EGFR Inhibitors
(inhibitors, agonists and modulators)

EGFR (The epidermal growth factor receptor; ErbB-1; HER1 in humans) is the cell-surface receptor for members of the epidermal growth factor family (EGF-family) of extracellular protein ligands. The epidermal growth factor receptor is a member of the ErbB family of receptors, a subfamily of four closely related receptor tyrosine kinases.
Chrysophanol - CAS 481-74-3
Catalog Number: B0084-069470  Price: $278/1 g
Molecular Weight: 254.241  Molecular Formula: C15H10O4
Description: Chrysophanic acid (Chrysophanol) is a natural anthraquinone with anticancer activity in EGFR-overexpressing SNU-C5 human colon cancer cells. Chrysophanic acid preferentially blocked proliferation in SNU-C5 cells but not in other cell lines (HT7, HT29, KM12C, SW480, HCT116 and SNU-C4) with low levels of EGFR expression.

AZ5104 - CAS 1421373-98-9
Catalog Number: B0084-470856  Price: $198/25 mg
Molecular Weight: 485.592  Molecular Formula: C27H31N7O2
Description: AZ5104 is a potent EGFR inhibitor and a derivative of AZD 9291. AZ5104 exhibits reduced selectivity against wild-type EGFR but five-fold potency compared to AZD 9291.

AZD9291DA HCl salt - CAS 1421372-66-8
Catalog Number: B0084-462681  Price: $399/10 mg
Molecular Weight: 445.571  Molecular Formula: C25H31N7O
Description: AZD9291-DA is a des acryl analog of AZD9291, which is a third-generation EGFR inhibitor, showed promise in preclinical studies and provides hope for patients with advanced lung cancers that have become resistant to existing EGFR inhibitors. AZD9291 is highly active in preclinical models and is well tolerated in animal models.

EAI045 - CAS 1942114-09-1
Catalog Number: B0084-475458  Price: $198/50 mg
Molecular Weight: 383.397  Molecular Formula: C19H14F3N3O3S
Description: EAI045 is an allosteric, non-ATP competitive inhibitor of mutant EGFR. In vitro studies proved that EAI045 is active and selective for T790M- harboring EGFR mutants that are in a monomer state. In vivo the combination of EAI045 and cetuximab caused a marked tumor shrinkage in a mouse model carrying the EGFR mutant with L858R/T790M/C797S.

Mutant EGFR Inhibitor - CAS 1421373-62-7
Catalog Number: B0084-463231  Price: $238/5 mg
Molecular Weight: 520.03  Molecular Formula: C27H30ClN7O2
Description: Mutant EGFR inhibitor is a potent and selective mutant EGFR inhibitor extracted from patent WO 2013014448 A1. It inhibits EGFR L858R, EGFR Exon 19 deletion and EGFR T790M.
α-epidermal growth factor, human - CAS 62253-63-8

Catalog Number: B0084-073562  |  Price: $288/1 mg
Molecular Weight: 6222.02  |  Molecular Formula: C270H401N73O83S7

Description: Recombinant human epidermal growth factor has the same structure as the human epidermal growth factor. EGF binds to epidermal growth factor receptor (EGFR) and stimulates cell growth and proliferation. Recombinant human epidermal growth factor is approved for the treatment of diabetic foot ulcers.

Icotinib Hydrochloride - CAS 1204313-51-8

Catalog Number: B0084-457542  |  Price: $299/300 mg
Molecular Weight: 427.885  |  Molecular Formula: C22H22ClN3O4

Description: Icotinib Hydrochloride is a quinazoline-based inhibitor of epidermal growth factor receptor (EGFR), which is upregulated in a variety of cancer cell types. Icotinib suppresses the cancer cell proliferation via EGFR tyrosine kinase inhibition.

Erlotinib - CAS 183321-74-6

Catalog Number: B0084-086248  |  Price: $229/10 g
Molecular Weight: 393.44  |  Molecular Formula: C22H23N3O4

Description: Erlotinib is an EGFR inhibitor with IC50 of 2 nM, >1000-fold more sensitive for EGFR than human c-Src or v-Abl.

AG-879 - CAS 148741-30-4

Catalog Number:  |  Price: $199/20 mg
Molecular Weight: 316.5  |  Molecular Formula: C18H24N2OS

Description: AG-879, a tyrphostin compound, is a dual EGFR/HER2 inhibitor that was administered together with androgen withdrawal therapy. It inhibits nerve growth factor (NGF)-dependent TrkA tyrosine phosphorylation in PC-12 cells (IC50 = ~40 μM), HER2-ErbB2 kinase in several breast and ovarian cancer cell lines (IC50 = ~0.5 μM), and the VEGF receptor FLK1 (IC50 = ~1 μM).

Icotinib - CAS 610798-31-7

Catalog Number: B0084-459334  |  Price: $299/300 mg
Molecular Weight: 391.42  |  Molecular Formula: C22H21N3O4

Description: Icotinib Hydrochloride (BPI-2009H), or Icotinib, is a highly selective, first generation epidermal growth factor receptor tyrosine kinase inhibitor (EGFR-TKI).
WHI-P180 - CAS 211555-08-7

**Catalog Number:** B0084-312568  
**Price:** $198/10 mg  
**Molecular Weight:** 297.31  
**Molecular Formula:** C16H15N3O3  
**Description:** WHI-P180 moderately inhibited ABCG2 function, exhibiting weak phototoxicity. The elimination half-life of WHI-P180 in CD-1 mice (BALB/c mice) following i.v., i.p., or p.o. administration was less than 10 min. Systemic clearance of WHI-P180 was 6742 mL/h/kg in CD-1 mice and 8188 mL/h/kg in BALB/c mice.

Afatinib Dimaleate - CAS 850140-73-7

**Catalog Number:** B0084-463336  
**Price:** $198/500 mg  
**Molecular Weight:** 717.18  
**Molecular Formula:** C32H33ClFN5O11  
**Description:** Afatinib Dimaleate irreversibly inhibits EGFR/HER2 including EGFR(wt), EGFR(L858R), EGFR(L858R/T790M) and HER2 with IC50 of 0.5 nM, 0.4 nM, 10 nM and 14 nM, respectively.

AZD3759 - CAS 1626387-80-1

**Catalog Number:** B0084-470884  
**Price:** $179/1 g  
**Molecular Weight:** 459.91  
**Molecular Formula:** C22H23ClFN5O3  
**Description:** AZD3759 is an orally available inhibitor of the epidermal growth factor receptor (EGFR), with potential antineoplastic activity. Upon oral administration, AZD3759 binds to and inhibits the activity of EGFR as well as certain mutant forms of EGFR.

Irbinitinib - CAS 937263-43-9

**Catalog Number:** B0084-462666  
**Price:** $288/300 mg  
**Molecular Weight:** 480.532  
**Molecular Formula:** C26H24N8O2  
**Description:** Irbinitinib, also known as ARRY-380 and ONT-380, is an orally bioavailable inhibitor of the human epidermal growth factor receptor tyrosine kinase ErbB-2 (also called HER2) with potential antineoplastic activity. ErbB-2 is overexpressed in a variety of cancers and plays an important role in cellular proliferation and differentiation.

Erlotinib hydrochloride - CAS 183319-69-9

**Catalog Number:** B0084-332986  
**Price:** $189/50 g  
**Molecular Weight:** 429.90  
**Molecular Formula:** C22H23N3O4.HCl  
**Description:** Erlotinib HCl potently inhibits EGFR activation in intact cells including HNS human head and neck tumor cells (IC50 20nM), DiFi human colon cancer cells and MDA MB-468 human breast cancer cells.
**AZD-9291 mesylate - CAS 1421373-66-1**

**Catalog Number:** B0084-463232  
**Price:** $198/100 mg  
**Molecular Weight:** 595.71  
**Molecular Formula:** C29H37N7O5S  
**Description:** AZD-9291 is a third-generation EGFR inhibitor, showed promise in preclinical studies and provides hope for patients with advanced lung cancers that have become resistant to existing EGFR inhibitors. AZD9291 is highly active in preclinical models and is well tolerated in animal models. It inhibits both activating and resistant EGFR mutations while sparing the normal form of EGFR that is present in normal skin and gut cells, thereby reducing the side effects encountered with currently available medicines.

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**AG-1557 - CAS 189290-58-2**

**Catalog Number:** B0084-284805  
**Price:** $198/50 mg  
**Molecular Weight:** 407.211  
**Molecular Formula:** C16H14IN3O2  
**Description:** AG-1557 is an inhibitor of epidermal growth factor receptor (EGFR) tyrosine kinase.

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**Afatinib - CAS 850140-72-6**

**Catalog Number:** B0084-258486  
**Price:** $198/500 mg  
**Molecular Weight:** 485.94  
**Molecular Formula:** C24H25ClFN5O3  
**Description:** Afatinib irreversibly inhibits EGFR/HER2 including EGFR, EGFR(L858R), EGFR(L858R/T790M) and HER2. It is 100-fold more active against Gefitinib-resistant L858R-T790M EGFR mutant.

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**EGF816 - CAS 1508250-71-2**

**Catalog Number:** B0084-474599  
**Price:** $149/10 mg  
**Molecular Weight:** 495.02  
**Molecular Formula:** C26H31ClN6O2  
**Description:** EGF816, also called as Nazartinib, a covalent mutant-selective EGFR inhibitor and potently inhibits the T790M resistance mutation while sparing wild-type EGFR. in vivo: demonstrated strong tumor regressions in several EGFR activating and resistant tumor m

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**Rociletinib - CAS 1374640-70-6**

**Catalog Number:** B0084-462150  
**Price:** $198/100 mg  
**Molecular Weight:** 555.562  
**Molecular Formula:** C27H28F3N7O3  
**Description:** Rociletinib is a third-generation irreversible kinase inhibitor of epidermal growth factor receptor (EGFR). Rociletinib was shown to inhibit the proliferation of non-small cell lung cancer (NSCLC) cells expressing mutant EGFR. It also exhibits anti-tumor activity in NSCLC EGFR mutant xenograft models.
Olmutinib - CAS 1353550-13-6
Catalog Number: B0084-470842  Price: $199/200 mg
Molecular Weight: 486.18  Molecular Formula: C26H26N6O2S
Description: Olmutinib, also called as HM61713 and BI-1482694, is an orally available, mutant-selective third-generation epidermal growth factor receptor tyrosine kinase inhibitor (EGFR TKI) that is being developed for treatment of advanced and metastatic EGFR mutation-positive non-small cell lung cancer (NSCLC).

CNX-2006 - CAS 1375465-09-0
Catalog Number: B0084-462328  Price: $178/10 mg
Molecular Weight: 545.543  Molecular Formula: C26H27F4N7O2
Description: CNX-2006 is a potent and mutant-selective EGFR inhibitor with excellent in vitro activity in cells with activating EGFR mutations, as well as in cells harbouring the T790M mutation. CNX-2006 is the prototype for CO-1686, which is currently in a Phase I clinical trial for the treatment of EGFR-mutant lung cancer.

Afatinib - CAS 439081-18-2
Catalog Number: B0084-092426  Price: $198/500 mg
Molecular Weight: 485.94  Molecular Formula: C24H25ClFN5O3
Description: Afatinib (BIBW2992) irreversibly inhibits EGFR/HER2 including EGFR(wt), EGFR(L858R), EGFR(L858R/T790M) and HER2 with IC50 of 0.5 nM, 0.4 nM, 10 nM and 14 nM, respectively; 100-fold more active against Gefitinib-resistant L858R-T790M EGFR mutant.

AV-412 - CAS 451492-95-8
Catalog Number: B0084-324573  Price: $238/20 mg
Molecular Weight: 507.01  Molecular Formula: C27H28ClFN6O
Description: AV-412 is a second-generation, orally bioavailable dual kinase inhibitor with potential antineoplastic activity. EGFR/HER2 inhibitor AV-412 binds to and inhibits the epidermal growth factor receptor (EGFR) and the human epidermal growth factor receptor 2 (HER2), which may suppress tumor growth and angiogenesis, and tumor regression in EGFR/HER2-expressing tumors.

WZ-4002 - CAS 1213269-23-8
Catalog Number: B0084-286777  Price: $198/50 mg
Molecular Weight: 494.98  Molecular Formula: C25H27ClN6O3
Description: WZ-4002 is an EGFR phosphorylation inhibitor with selectivity for EGFR T790M. It has a framework of pyrimidine compound which is different from other EGFR inhibitors. WZ-4002 exhibits anti-tumor activity.
<table>
<thead>
<tr>
<th>Chemical Name</th>
<th>CAS Number</th>
<th>Catalog Number</th>
<th>Price</th>
<th>Molecular Weight</th>
<th>Molecular Formula</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>Genistein</td>
<td>CAS 446-72-0</td>
<td>B0084-118282</td>
<td>$297/5 g</td>
<td>270.24</td>
<td>C15H10O5</td>
<td>Genistein, a phytoestrogen found in soy products, is a highly specific inhibitor of protein tyrosine kinase (PTK) which blocks the mitogenic effect mediated by EGF on NIH-3T3 cells with IC50 of 12 μM or by insulin with IC50 of 19 μM. Genistein is developed to be an antitumor agent.</td>
</tr>
<tr>
<td>TPC-064</td>
<td>CAS 850140-73-7</td>
<td>B0084-007120</td>
<td></td>
<td>718.1</td>
<td>C24H25ClFNO3 • 2C4H4O4</td>
<td>TPC-064 is a novel mutant-selective inhibitor of EGFR, which plays a role in NSCLC and therefore becomes a key target for cancer therapy. TPC-064 can selectively inhibit the exon 20 insertion mutations associated with NSCLC, and also suppress the phosphorylation and cell proliferation.</td>
</tr>
<tr>
<td>Avitinib maleate</td>
<td>CAS 1557268-88-8</td>
<td></td>
<td></td>
<td>603.6</td>
<td>C30H30FN7O6</td>
<td>Avitinib maleate, the maleate salt form of avitinib, is a pyrrolopyrimidine-based, irreversible epidermal growth factor receptor (EGFR) mutant-selective inhibitor (IC50= 7.68 nM), with potential antineoplastic activity.</td>
</tr>
<tr>
<td>MTX-211</td>
<td>CAS 1952236-05-3</td>
<td></td>
<td></td>
<td>478.323</td>
<td>C20H14Cl2FN5O2S</td>
<td>MTX-211 is a dual inhibitor of EGFR and PI3K, which plays important roles in the progression of KRAS mutant colorectal cancer. MTX-211 has the potential for the treatment of KRAS mutant colorectal cancer.</td>
</tr>
</tbody>
</table>
**Methyl 2,5-dihydroxycinnamate - CAS 63177-57-1**

**Catalog Number:**

**Molecular Weight:** 194.19  \( \text{Molecular Formula: } \text{C}_{10}\text{H}_{10}\text{O}_{4} \)

**Description:** Methyl 2,5-dihydroxycinnamate, a stable analog of erbstatin, is a stable, potent inhibitor of EGFR kinase activity. It is described to be four-times more stable than erbstatin in calf serum. It was shown to delay the S-phase induction by epidermal growth factor in quiescent normal rat kidney cells, without affecting the total amount of DNA synthesis.

![Methyl 2,5-dihydroxycinnamate](image1.png)

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**BIBU 1361 dihydrochloride - CAS 793726-84-8**

**Catalog Number:**

**Molecular Weight:** 516.87  \( \text{Molecular Formula: } \text{C}_{22}\text{H}_{27}\text{ClF}_{7}\text{N}_{7}.2\text{HCl} \)

**Description:** BIBU 1361 dihydrochloride is an inhibitor of epidermal growth factor receptor (EGFR) tyrosine kinase (IC50 = 3 nM) with ~ 100-fold lower potency than ErbB2 (IC50 = 290 nM) and selectivity over a range of other related tyrosine kinases (IC50 > 10 μM).

![BIBU 1361 dihydrochloride](image2.png)

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**Lavendustin A - CAS 125697-92-9**

**Catalog Number:**

**Molecular Weight:** 381.38  \( \text{Molecular Formula: } \text{C}_{21}\text{H}_{19}\text{NO}_{6} \)

**Description:** Lavendustin A is an epidermal growth factor receptor inhibitor tyrosine kinase with IC50 value of 11 nM.

![Lavendustin A](image3.png)

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**Trastuzumab - CAS 180288-69-1**

**Catalog Number:** B0084-061382

**Molecular Weight:** 145531.5  \( \text{Molecular Formula: } \text{C}_{6470}\text{H}_{10012}\text{N}_{1726}\text{O}_{2013}\text{S}_{42} \)

**Description:** Trastuzumab is a monoclonal antibody drug for the treatment of breast cancer which is HER2 receptor positive. It acts via binding to HER2 receptor to suppress cell duplication.

![Trastuzumab](image4.png)
Falnidamol - CAS 196612-93-8

**Catalog Number:** 196612-93-8  
**Molecular Weight:** 387.847  
**Molecular Formula:** C18H19ClFN7  
**Description:** Falnidamol, also known as BIBX 1382, is a pyrimido-pyrimidine with antitumor activity. BIBX 1382 inhibits the intracellular tyrosine kinase domain of the Epidermal Growth Factor Receptor (EGFR) thus specifically reversing the aberrant enzymatic activity from overexpressed and constitutively activated EGFR, and subsequently inhibiting cell proliferation and inducing cell differentiation.

Lapatinib - CAS 231277-92-2

**Catalog Number:** 231277-92-2  
**Molecular Weight:** 581.06  
**Molecular Formula:** C29H26ClFN4O4S  
**Description:** Lapatinib, used in the form of Lapatinib Ditosylate, is a potent EGFR and ErbB2 inhibitor with IC50 of 10.8 and 9.2 nM, respectively.

Pelitinib - CAS 257933-82-7

**Catalog Number:** 257933-82-7  
**Molecular Weight:** 467.929  
**Molecular Formula:** C24H23ClFN5O2  
**Description:** Pelitinib is a 3-cyanoquinoline pan-ErbB tyrosine kinase inhibitor with potential antineoplastic activity. EKB-569 irreversibly binds covalently to epidermal growth factor receptors (EGFR) ErbB-1, -2 and -4, thereby inhibiting receptor phosphorylation and signal transduction and resulting in apoptosis and suppression of proliferation in tumor cells that overexpress these receptors.

Canertinib dihydrochloride - CAS 289499-45-2

**Catalog Number:** 289499-45-2  
**Molecular Weight:** 558.86  
**Molecular Formula:** C24H27Cl3FN5O3  
**Description:** Canertinib dihydrochloride is the hydrochloride salt of an orally bio-available quinazoline with potential antineoplastic and radiosensitizing activities.
**Mubritinib - CAS 366017-09-6**

**Catalog Number:** 366017-09-6  
**Molecular Weight:** 468.48  
**Molecular Formula:** C25H23F3N4O2  
**Description:** Mubritinib, also known as TAK-165, is a protein kinase inhibitor which was under development by Takeda for the treatment of cancer. It completed phase I clinical trials (may be discontinued since 2008). Mubritinib(TAK 165) is a potent EGFR, HER2 and p34cdc2 inhibitor with IC50 of 6 nM and 0.2 µM, respectively.

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**Lapatinib Ditosylate - CAS 388082-77-7**

**Catalog Number:** 388082-77-7  
**Molecular Weight:** 925.46  
**Molecular Formula:** C29H26ClFN4O4S.2C7H8O3S  
**Description:** Lapatinib is a synthetic, orally-active quinazoline with potential antineoplastic activity. Lapatinib reversibly blocks phosphorylation of the epidermal growth factor receptor (EGFR), ErbB2, and the Erk-1 and-2 and AKT kinases.

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**Tyrphostin B44, (-) enantiomer - CAS 133550-32-0**

**Catalog Number:**  
**Molecular Weight:** 308.34  
**Molecular Formula:** C18H16N2O3  
**Description:** Tyrphostin B44, (-) enantiomer is a potent inhibitor of epidermal growth factor receptor (EGFR) kinase (IC50 = 0.4 µM). More active than the (+) enantiomer.

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**CP-724714 - CAS 383432-38-0**

**Catalog Number:** 383432-38-0  
**Molecular Weight:** 469.545  
**Molecular Formula:** C27H27N5O3  
**Description:** CP-724714 is an orally bioavailable quinazoline with potential antineoplastic activity. CP-724,714 selectively binds to the intracellular domain of HER2, reversibly inhibiting its tyrosine kinase activity and resulting in suppression of tumor cell growth. HER2, a member of the epidermal growth factor receptor (EGFR) family, is overexpressed in many adenocarcinomas, particularly breast cancers.
**EGCG - CAS 989-51-5**

**Catalog Number:** 989-51-5  
**Molecular Weight:** 458.37  
**Molecular Formula:** C22H18O11  
**Description:** EGCG, also called Epigallocatechin gallate, under the IUPAC name [(2R,3R)-5,7-dihydroxy-2-(3,4,5-trihydroxyphenyl)-3,4-dihydro-2H-chromen-3-yl) 3,4,5-trihydroxybenzoate, an antioxidant extracted from green tea, reduces Aβ42-induced cell death in three different cell types and inhibits β-secretase (IC50 = 1.6 μM).

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**AG 825 - CAS 149092-50-2**

**Catalog Number:**  
**Molecular Weight:** 397.47  
**Molecular Formula:** C19H15N3O3S2  
**Description:** AG 825, a selective ErbB2 (Neu) inhibitor, could probably show activities in triggering p38 MAP kinase-dependent apoptosis at some extent.

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**BMS 599626 dihydrochloride**

**Catalog Number:**  
**Molecular Weight:** 603.48  
**Molecular Formula:** C27H27FN8O3.2HCl  
**Description:** BMS 599626 dihydrochloride is a potent and selective EGFR and ErbB2 inhibitor (IC50 = 22 nM and 32 nM, respectively), and also inhibits HER4 (IC50 = 190 nM). BMS 599626 displays 100-fold selectivity for EGFR and ErbB2 over VEGFR2, c-Kit, Lck, MET etc MEK and Lck. It can be used as an antiproliferative agent in vitro and anti-tumorigenic agent in vivo.
**BIBX 1382 dihydrochloride - CAS 1216920-18-1**

**Catalog Number:**

**Molecular Weight:** 460.77  
**Molecular Formula:** C18H19ClFN7.2HCl

**Description:** BIBX 1382 dihydrochloride is a potent and selective inhibitor of epidermal growth factor receptor (EGFR) tyrosine kinase (IC50 = 3 nM) with > 1000-fold lower potency than ErbB2 (IC50 = 3.4 μM) and a range of other related tyrosine kinases (IC50 > 10 μM). BIBX 1382 inhibits Lassa, Ebola and Marburg viruses.

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**GW 583340 dihydrochloride - CAS 1173023-85-2**

**Catalog Number:**

**Molecular Weight:** 671.03  
**Molecular Formula:** C28H25ClFN5O3S2.2HCl

**Description:** GW 583340 dihydrochloride is a potent dual EGFR/ErbB2 tyrosine kinase inhibitor (IC50 = 0.01 and 0.014 μM, respectively). GW 583340 selectively inhibits growth of human tumor cells overexpressing EGFR and ErbB2 (IC50 = 0.11 μM for inhibition of HNS, N87 and BT474 tumor cell lines vs. > 30 μM for inhibition of non-tumor cell line HFF). It is used in the treatment of breast cancer.

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**Butein - CAS 487-52-5**

**Catalog Number:** 487-52-5

**Molecular Weight:** 272.25  
**Molecular Formula:** C15H12O5

**Description:** Butein, a plant polyphenol isolated from Rhus verniciflua, is able to inhibit the activation of protein tyrosine kinase, NF-κB and STAT3, also inhibits EGFR. The use of these compounds in the treatment of breast cancer on the estrogen ground has been taken into account.

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**Tyrphostin AG-528 - CAS 133550-49-9**

**Catalog Number:**

**Molecular Weight:** 306.32  
**Molecular Formula:** C18H14N2O3

**Description:** Tyrphostin AG-528, also known as Tyrphostin B66, is an inhibitor of EGFR and ErbB2 with IC50s of 4.9 and 2.1 μM, respectively.
**CUDC-101 - CAS 1012054-59-9**

**Catalog Number:** 1012054-59-9  
**Molecular Weight:** 434.496  
**Molecular Formula:** C24H26N4O4  
**Description:** CUDC-101 is a multi-targeted, small-molecule inhibitor of histone deacetylase (HDAC), epidermal growth factor receptor tyrosine kinase (EGFR/ErbB1), and human epidermal growth factor receptor 2 tyrosine kinase (HER2/neu or ErbB2) with potential antineoplastic activity.

**AG-18 - CAS 118409-57-7**

**Catalog Number:** 118409-57-7  
**Molecular Weight:** 186.17  
**Molecular Formula:** C10H6N2O2  
**Description:** AG 18 (10 μM) inhibits EGF-induced proliferation of GH3 cells.

**AG-490 - CAS 133550-30-8**

**Catalog Number:** 133550-30-8  
**Molecular Weight:** 294.31  
**Molecular Formula:** C17H14N2O3  
**Description:** Tyrphostin AG490 is a JAK-2 specific inhibitor, which inhibits phosphorylation of EGFR and signal transducer and activator of transcription 3 [STAT-3], and subsequently reduce invasion and adhesion potential of malignant cells.

**AG 555 - CAS 133550-34-2**

**Catalog Number:**  
**Molecular Weight:** 322.36  
**Molecular Formula:** C19H18N2O3  
**Description:** AG 555 is an epidermal growth factor receptor (EGFR) tyrosine kinase inhibitor (IC50 = 0.7 μM) with 50-fold and >140-fold selectivity over ErbB2 and insulin receptor kinase respectively.
AG 556 - CAS 133550-41-1

Catalog Number: 133550-41-1

Molecular Weight: 336.38  Molecular Formula: C20H20N2O3

Description: AG 556, a dihydroxyphen derivative, has been found to be a EGFR kinase inhibitor that could probably be effective in the study of myocardial infarct and hemodynamic deterioration. IC50: 11 μM.

RG14620 - CAS 136831-49-7

Catalog Number: 

Molecular Weight: 275.13  Molecular Formula: C14H8Cl2N2

Description: RG14620 is a non-phenolic tyrphostin-class epidermal growth factor receptor (EGFR) inhibitor (IC50 = 3 μM for HER 14 colony formation, and IC50 = 1 pM for HER 14 DNA synthesis), with anti-proliferative effects on renal and bladder carcinoma cell lines.

JNJ 28871063 hydrochloride - CAS 944342-90-9

Catalog Number: 

Molecular Weight: 519.42  Molecular Formula: C24H27ClN6O3.HCl

Description: The hydrochloride salt form of JNJ 28871063, which has been found to be a nonquinazoline Pan-ErbB kinase inhibitor and probably could restrain the growth of human tumor xenografts.

PKI 166 hydrochloride

Catalog Number: 

Molecular Weight: 366.84  Molecular Formula: C20H18N4O.HCl

Description: PKI 166 is a potent EGFR-kinase inhibitor (IC50 = 0.7 nM), with >3000-fold selectivity against a panel of serine/threonine kinases. PKI 166 potently inhibits the growth and metastasis of many human cancers including human pancreatic cancer.
**PF 6274484 - CAS 1035638-91-5**

**Catalog Number:**  
**Molecular Weight:** 372.78  
**Molecular Formula:** C18H14ClFN4O2  
**Description:** PF 6274484 is a high affinity and potent covalent EGFR kinase inhibitor (Ki = 0.14 nM). It inhibits the autophosphorylation of wild-type EGFR in A549 cells and EGFR L858R/T790M in H1975 cells (IC50s = 5.8 and 6.6 nM, respectively).

**HKI 357 - CAS 848133-17-5**

**Catalog Number:**  
**Molecular Weight:** 574.05  
**Molecular Formula:** C31H29ClFN5O3  
**Description:** HKI 357 is a potent and irreversible dual inhibitor of ErbB2 (HER2) and EGFR (IC50 = 33 and 34 nM, respectively). It inhibits EGFR autophosphorylation and proliferation of NCI-H1975 cells containing L858R and T790M mutations.

**Cetuximab - CAS 205923-56-4**

**Catalog Number:** B0084-173938  
**Molecular Weight:** 145779.95  
**Molecular Formula:** C6484H10042N1732O2023S36  
**Description:** Cetuximab is a recombinant chimeric monoclonal antibody that binds to the human epidermal growth factor receptor (EGFR) with high affinity. Binding to EGFR blocks phosphorylation and activation of receptor-associated kinases which results in cell growth inhibition, induction of apoptosis, and decreased vascular endothelial growth factor production.

**PD-153035 - CAS 153436-54-5**

**Catalog Number:** 1S3436-54-5  
**Molecular Weight:** 360.211  
**Molecular Formula:** C16H14BrN3O2  
**Description:** PD153035 is a ATP-competitive EGFR inhibitor with an IC50 and Ki of 25 and 6 pM. PD153035 effectively blocks the enhancement of mitogenesis, induction of early gene expression, and oncogenic transformation that occur in response to EGF receptor stimulation.
AG-1478 - CAS 153436-53-4

Catalog Number: 153436-53-4

Molecular Weight: 315.75

Molecular Formula: C16H14ClN3O2

Description: AG-1478 (NSC 693255) is a selective EGFR inhibitor with IC50 of 3 nM; almost no activity on HER2-Neu, PDGFR, Trk, Bcr-Abl and InsR.

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AG 494 - CAS 139087-53-9

Catalog Number: 139087-53-9

Molecular Weight: 280.28

Molecular Formula: C16H12N2O3

Description: AG-494 is a EGFR (epidermal growth factor receptor) kinase inhibitor with IC50 value of 0.7 μM. It is selective over ErbB2, PDGFR and insulin receptor kinase (IC50 values are 42, 6 and > 100 μM respectively). AG-494 tyrphostin also can block Cdk2 activation.

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PD158780 - CAS 171179-06-9

Catalog Number: 171179-06-9

Molecular Weight: 330.18

Molecular Formula: C14H12BrN5

Description: PD158780 is a potent, cell-permeable, reversible ATP-competitive inhibitor of EGFR tyrosine kinase activity with IC50 values of 0.008, 49 and 52 nM for EGFR, ErbB2 (HER2) and Erb4 (HER4).

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AEE-788 - CAS 497839-62-0

Catalog Number: 497839-62-0

Molecular Weight: 440.595

Molecular Formula: C27H32N6

Description: AEE-788 is an orally bioavailable multiple-receptor tyrosine kinase inhibitor. AEE788 inhibits phosphorylation of the tyrosine kinases of epidermal growth factor receptor (EGFR), human epidermal growth factor receptor 2 (HER2), and vascular endothelial growth factor receptor 2 (VEGF2), resulting in receptor inhibition, the inhibition of cellular proliferation, and induction of tumor cell and tumor-associated endothelial cell apoptosis.
**AC480 - CAS 74971-09-2**

**Catalog Number:** 74971-09-2  
**Molecular Weight:** 530.564  
**Molecular Formula:** C27H27FN8O3  
**Description:** AC480, also known as BMS-599626, is an orally bioavailable inhibitor of the HER1, HER2 and HER4 tyrosine kinases (IC50 = 22, 32 and 190 nM, respectively) with potential antineoplastic activity. BMS-599626 inhibits human epidermal growth factor receptors (HER) HER1, HER2 and HER4, thereby inhibiting the proliferation of tumor cells that overexpress these receptors.

**EGFR-IN-2 - CAS 1643497-70-4**

**Catalog Number:**  
**Molecular Weight:** 551.66  
**Molecular Formula:** C26H33N9O3S  
**Description:** EGFR-IN-2 is a noncovalent, irreversible, mutant-selective second generation EGFR inhibitor. It showed excellent cellular activity against both the single and double mutants of EGFR, demonstrating target engagement in vivo and ADME-PK properties that are suitable for further evaluation.

**Epertinib - CAS 908305-13-5**

**Catalog Number:**  
**Molecular Weight:** 559.178  
**Molecular Formula:** C30H27ClFN5O3  
**Description:** Epertinib, also known as S-222611, is a potent, oral, reversible, and selective tyrosine kinase inhibitor of EGFR, HER2 and HER4, with IC50s of 1.48 nM, 7.15 nM and 2.49 nM, respectively, currently under trials in patients with solid tumours. It also inhibited intracellular kinase activity and the growth of EGFR-expressing and HER2-expressing cancer cells.

**Pyrotinib - CAS 1269662-73-8**

**Catalog Number:**  
**Molecular Weight:** 582.21  
**Molecular Formula:** C32H31ClN6O3  
**Description:** Pyrotinib, also known as SHR-1258, is a potent and selective EGFR/HER2 dual inhibitor with IC50s of 13 and 38 nM, respectively. Upon oral administration, pyrotinib binds to and inhibits both EGFR and HER2, which may result in the inhibition of tumor growth and angiogenesis, and tumor regression in EGFR/HER2-expressing tumor cells.
**NRC-2694 - CAS 936446-61-6**

Catalog Number:

Molecular Weight: 418.49  
Molecular Formula: C24H26N4O3

Description: NRC-2694 is a small molecule antagonist of epidermal growth factor receptor (EGFR) signalling pathways, for the treatment of solid tumors.

![Image of NRC-2694 molecule](image)

**ZD-4190 - CAS 413599-62-9**

Catalog Number:

Molecular Weight: 459.27  
Molecular Formula: C19H16BrFN6O2

Description: ZD-4190, a substituted 4-anilinoquinazoline, is a potent, orally available inhibitor of the vascular endothelial cell growth factor receptor 2 (VEGFR2) and of epidermal growth factor receptor (EGFR) signalling, with broad-spectrum antitumor efficacy.

![Image of ZD-4190 molecule](image)

**Lazertinib - CAS 1903008-80-9**

Catalog Number:

Molecular Weight: 554.64  
Molecular Formula: C30H34N8O3

Description: Lazertinib, also known as YH-25448 and GNS-1480, is a potent, highly mutant-selective, blood-brain barrier permeable, orally available and irreversible 3rd generation EGFR tyrosine kinase inhibitor, and can be used in the research of non-small cell lung cancer.

![Image of Lazertinib molecule](image)

**AZD-8931 - CAS 848942-61-0**

Catalog Number: 848942-61-0

Molecular Weight: 473.933  
Molecular Formula: C23H25ClFN5O3

Description: Sapitinib, also known as AZD-8931, is an erbB receptor tyrosine kinase inhibitor with potential antineoplastic activity. AZD8931 binds to and inhibits erbB tyrosine receptor kinases, which may result in the inhibition of cellular proliferation and angiogenesis in tumors expressing erbB.

![Image of Sapitinib molecule](image)
### OSI-420 - CAS 183320-51-6

**Catalog Number:** B0084-286627  
**Molecular Weight:** 415.874  
**Molecular Formula:** C21H22ClN3O4  
**Description:** OSI-420 (CP-473420) is an active metabolite of erlotinib which is an orally active EGFR tyrosin kinase inhibitor with IC50 of 2 and 20 nM for the inhibition of human EGFR and EGFR autophosphorylation in tumor cells.

### PD153035 HCl - CAS 183322-45-4

**Catalog Number:** 183322-45-4  
**Molecular Weight:** 396.67  
**Molecular Formula:** C16H14BrN3O2.HCl  
**Description:** PD153035 is a potent and specific inhibitor of EGFR with Ki and IC50 of 5.2 pM and 29 pM; little effect noted against PGDFR, FGFR, CSF-1, InsR and Src.

### WZ-3146 - CAS 1214265-56-1

**Catalog Number:** 1214265-56-1  
**Molecular Weight:** 464.954  
**Molecular Formula:** C24H25ClN6O2  
**Description:** WZ-3146 is an irreversibly inhibitor against EGFR T790M with potential anticancer activity.

### WZ-8040 - CAS 1214265-57-2

**Catalog Number:** 1214265-57-2  
**Molecular Weight:** 481.015  
**Molecular Formula:** C24H25ClN6OS  
**Description:** WZ8040 is a novel mutant-selective irreversible EGFR T790M inhibitor with potential anticancer activity. WZ8040 is about 30-fold more potent against EGFR T790M, and up to 100-fold less potent against wild-type EGFR, than other quinazoline-based EGFR inhibitors such as CL-387785 and HKI-272.
**Gefitinib - CAS 184475-35-2**  
**Catalog Number:** 184475-35-2  
**Molecular Weight:** 446.90  
**Molecular Formula:** C22H24ClFN4O3  
**Description:** Gefitinib effectively inhibits all tyrosine phosphorylation sites on EGFR in both the high and low-EGFR-expressing cell lines including NR6, NR6M and NR6W cell lines. The phosphorylation sites Tyr1173 and Tyr992 are less sensitive requiring higher concentrations of Gefitinib for inhibition.

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**Gefitinib hydrochloride - CAS 184475-55-6**  
**Catalog Number:** 184475-55-6  
**Molecular Weight:** 483.36  
**Molecular Formula:** C22H25Cl2FN4O3  
**Description:** Gefitinib HCl(ZD-1839 Hcl) is an EGFR inhibitor, which interrupts signaling through the epidermal growth factor receptor (EGFR) in target cells.

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**Neratinib - CAS 698387-09-6**  
**Catalog Number:** 698387-09-6  
**Molecular Weight:** 557.051  
**Molecular Formula:** C30H29ClN6O3  
**Description:** Neratinib, also known as HKI-272 or PB272, is an orally available, 6,7-disubstituted-4-anilinoquinoline-3-carbonitrile irreversible inhibitor of the HER-2 receptor tyrosine kinase with potential antineoplastic activity. Neratinib binds to the HER-2 receptor irreversibly, thereby reducing autophosphorylation in cells, apparently by targeting a cysteine residue in the ATP-binding pocket of the receptor.

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**HDS 029 - CAS 881001-19-0**  
**Catalog Number:**  
**Molecular Weight:** 355.76  
**Molecular Formula:** C17H11ClFN5O  
**Description:** HDS 029 is a potent inhibitor of the ErbB receptor family including EGFR, ErbB2 and ErbB4 (IC50 = 0.3, 0.5 and 1.1 nM for ErbB1 (EGFR), ErbB4 and ErbB2, respectively). HDS 029 inhibits EGF-induced erbB1 autophosphorylation in NIH3T3 cells and heregulin-stimulated ErbB autophosphorylation in MDA-MB-453 human breast carcinoma cells (IC50 = 25 and 24 nM, respectively).
**Tyrphostin B44, (+) enantiomer - CAS 133550-37-5**

Catalog Number: 
Molecular Weight: 308.34  
Molecular Formula: C18H16N2O3  
Description: Tyrphostin B44, (+) enantiomer is an inhibitor of epidermal growth factor receptor (EGFR) kinase (IC50 = 0.86 μM). Less active than the (-) enantiomer.

**RG13022 - CAS 136831-48-6**

Catalog Number:  
Molecular Weight: 266.29  
Molecular Formula: C16H14N2O2  
Description: RG13022, a non-phenolic tyrphostin analog, is an epidermal growth factor (EGF) receptor tyrosine kinase inhibitor with potential antiproliferative effect.

**BMS-6690514 - CAS 859853-30-8**

Catalog Number: 859853-30-8  
Molecular Weight: 368.441  
Molecular Formula: C19H24N6O2  
Description: BMS-690514 is a potent inhibitor of human epidermal growth factor receptor (HER) 1 (EGFR), 2, and 4, and vascular endothelial growth factor receptors (VEGFR) 1-3. BMS-690514 is currently under investigation as an oral agent for the treatment of solid tumors.

**AG 99 - CAS 122520-85-8**

Catalog Number:  
Molecular Weight: 204.18  
Molecular Formula: C10H8N2O3  
Description: AG 99, an EGF receptor tyrosine kinase inhibitor, has been found to show activities in diagnosis, prevention and treatment of cancer.
**WHI-P154 - CAS 211555-04-3**

**Catalog Number:** 211555-04-3  
**Molecular Weight:** 376.21  
**Molecular Formula:** C16H14BrN3O3  
**Description:** WHI-P154 is a JAK3 inhibitor with IC50 = 1.8 μM. WHI-P154 displays no activity at JAK1 or JAK2. WHI-P154 also inhibits STAT1 activation, iNOS expression and NO production in macrophages in vitro.

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**AST-1306 - CAS 1050500-29-2**

**Catalog Number:** 1050500-29-2  
**Molecular Weight:** 621.08  
**Molecular Formula:** C24H18ClFN4O2,C7H8O3S  
**Description:** AST-1306 is a novel irreversible inhibitor of EGFR and ErbB2 with IC50 of 0.5 nM and 3 nM, also effective in mutation EGFR T790M/L858R, more potent to ErbB2-overexpressing cells, 3000-fold selective for ErbB family than other kinases.

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**AST-1306 - CAS 897383-62-9**

**Catalog Number:** 897383-62-9  
**Molecular Weight:** 448.88  
**Molecular Formula:** C24H18ClFN4O2  
**Description:** AST-1306 functions as an irreversible inhibitor, most likely through covalent interaction with Cys797 and Cys805 in the catalytic domains of EGFR and ErbB2, respectively. It inactivated pathways downstream of these receptors and thereby inhibited the proliferation of a panel of cancer cell lines.

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**AG 1478 hydrochloride - CAS 170449-18-0**

**Catalog Number:**  
**Molecular Weight:** 352.22  
**Molecular Formula:** C16H14ClN3O2.HCl  
**Description:** The hydrochloride salt form of AG 1478, which has been found to be an epidermal growth factor receptor tyrosine kinase inhibitor.
EGFR Inhibitor - CAS 879127-07-8

Catalog Number: 
Molecular Weight: 413.4  Molecular Formula: C21H18F3N5O
Description: A cell-permeable and highly selective EGFR tyrosine kinase inhibitor with IC50 value in the nanomolar range. Inhibition of EGFR induces apoptosis of tumor cells by ownregulating antiapoptotic proteins such as survivin and upregulating proapoptotic proteins such as Bim.

Dacomitinib - CAS 1110813-31-4

Catalog Number: 1110813-31-4
Molecular Weight: 469.945  Molecular Formula: C24H25ClFN5O2
Description: Dacomitinib, also known as PF-299 and PF-00299804; or PF299804, is an orally bioavailable, highly selective, second-generation small-molecule inhibitor of the pan-epidermal growth factor receptor (EGFR) family of tyrosine kinases (ErbB family) with potential antineoplastic activity. Dacomitinib specifically.

AV-412 Tosylate - CAS 451493-31-5

Catalog Number: 451493-31-5
Molecular Weight: 851.41  Molecular Formula: C41H44ClFN6O7S2
Description: AV-412 is a dual EGFR/ErbB2 kinase inhibitor. It completely inhibits the tumor growth of both H1650 and H1975 xenografts in nude mice. It is proved that AV-412 suppresses tumor growth via the inhibition of EGFR. Besides that, AV-412 also shows antitumor effects against various tumor models expressing EGFR, ErbB2 or both receptors, such as breast cancer KPL-4, prostate cancer DU145 and lung cancer LC-376.

CL-387785 - CAS 194423-06-8

Catalog Number: 194423-06-8
Molecular Weight: 812.33  Molecular Formula: C18H13BrN4O
Description: CL-387785, also known as EKI-785, is an irreversible inhibitor of EGF-receptor (EGFR) kinase activity in vivo (IC50 = 250-490 pM). CL-387785 covalently bound to EGF-R. It also specifically inhibited kinase activity of the protein (IC50 = 370+/-120 pM), blocked EGF-stimulated autophosphorylation of the receptor in cells (ic50 approximately 5 nM), inhibited cell proliferation (IC50 = 31-125 nM) primarily in a cytostatic manner in cell lines that overexpress EGF-R or c-erbB-2.
**XL-647 - CAS 781613-23-8**

**Catalog Number:** 781613-23-8  
**Molecular Weight:** 491.39  
**Molecular Formula:** C24H25Cl2FN4O2  
**Description:** XL647 is an orally bioavailable small-molecule receptor tyrosine kinase inhibitor with potential antineoplastic activity. It inhibits EGFR, HER2, VEGFR and EphB4 kinase.

**Varlitinib - CAS 845272-21-1**

**Catalog Number:** 845272-21-1  
**Molecular Weight:** 466.944  
**Molecular Formula:** C22H19ClN6O2S  
**Description:** Varlitinib, also known as ARRY-543, is an orally bioavailable inhibitor of the epidermal growth factor receptor family with potential antineoplastic activity. Varlitinib selectively and reversibly binds to both EGFR (ErbB-1) and Her-2/neu (ErbB-2) and prevents their phosphorylation and activation, which may result in inhibition of the associated signal transduction pathways, inhibition of cellular proliferation and cell death.

**TAK-285 - CAS 871026-44-7**

**Catalog Number:** 871026-44-7  
**Molecular Weight:** 547.963  
**Molecular Formula:** C26H25ClF3N5O3  
**Description:** TAK-285 is a novel dual erbB protein kinase inhibitor that specifically targets human epidermal growth factor receptor (EGFR) and HER2. Methods: TAK-285 is currently being developed by Takeda. TAK-285 was found to be well tolerated in Phase I trials.

**BMS-599626 Hydrochloride - CAS 873837-23-1**

**Catalog Number:** 873837-23-1  
**Molecular Weight:** 567.01  
**Molecular Formula:** C27H28ClFN8O3  
**Description:** BMS-599626 Hydrochloride is a selective and efficacious orally bioavailable inhibitor of the HER1, HER2 and HER4 tyrosine kinases with potential antineoplastic activity. It inhibits human epidermal growth factor receptors (HER) HER1, HER2 and HER4, thereby inhibiting the proliferation of tumor cells that overexpress these receptors.
**ARRAY-380 - CAS 937265-83-3**

**Catalog Number:** 937265-83-3  
**Molecular Weight:** 569.63  
**Molecular Formula:** C29H27N7O4S  
**Description:** ARRY-380 is a potent and selective HER2 inhibitor with IC50 of 8 nM, equipotent against truncated p95-HER2, 500-fold more selective for HER2 versus EGFR.

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**AZD-9291 - CAS 1421373-65-0**

**Catalog Number:** B0084-462147  
**Molecular Weight:** 499.61  
**Molecular Formula:** C28H33N7O2  
**Description:** AZD-9291 is a third-generation EGFR inhibitor, showed promise in preclinical studies and provides hope for patients with advanced lung cancers that have become resistant to existing EGFR inhibitors.

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**Poziotinib - CAS 1092364-38-9**

**Catalog Number:** 1092364-38-9  
**Molecular Weight:** 491.344  
**Molecular Formula:** C23H21Cl2FN4O3  
**Description:** Poziotinib, also known as HM781-36B and NOV120101, is an orally bioavailable, quinazoline-based pan epidermal growth factor receptor (EGFR or HER) inhibitor with potential antineoplastic activity.

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**PD-168393 - CAS 194423-15-9**

**Catalog Number:** 369.222  
**Molecular Weight:** 369.222  
**Molecular Formula:** C17H13BrN4O  
**Description:** PD-168393 is a potent, cell-permeable, irreversible, ATP-competitive and selective inhibitor of EGFr receptor (EGFR) tyrosine kinase activity (IC50 = 700 pM). It is effective in vivo, suppressing the growth of human epidermoid carcinoma xenografts in mice.
**JNJ-26483327 - CAS 807640-87-5**

**Catalog Number:** 807640-87-5  
**Molecular Weight:** 457.372  
**Molecular Formula:** C22H25BrN4O2  
**Description:** JNJ-26483327 is an orally bioavailable, small-molecule, multитargeted reversible tyrosine kinase inhibitor with potential antineoplastic activity. Multitargeted tyrosine kinase inhibitor JNJ-26483327 binds to and inhibits several members of the epidermal growth factor receptor (EGFR) family, including EGFR, HER2 and HER4; Src family kinases (Lyn, Yes, Fyn, Lck and Src); and vascular endothelial growth factor receptor type 3 (VEGFR3).

**Anlotinib - CAS 1058156-90-3**

**Catalog Number:** 1058156-90-3  
**Molecular Weight:** 407.44  
**Molecular Formula:** C23H22FN3O3  
**Description:** Anlotinib is a multi-targetes kinase inhibitor of receptor tyrosine and could effectively resist the formation of new angiogenesis and is under Phase III trial for exploring the effectiveness and safety of advanced non-small cell lung cancer by Chiatai T

**WHI-P180 hydrochloride - CAS 153437-55-9**

**Catalog Number:** 153437-55-9  
**Molecular Weight:** 333.77  
**Molecular Formula:** C16H16ClN3O3  
**Description:** WHI-P180 moderately inhibited ABCG2 function, exhibiting weak phototoxicity. The elimination half-life of WHI-P180 in CD-1 mice (BALB/c mice) following i.v., i.p., or p.o. administration was less than 10 min. Systemic clearance of WHI-P180 was 6742 mL/h/kg in CD-1 mice and 8188 mL/h/kg in BALB/c mice.
**Erlotinib mesylate - CAS 248594-19-6**

**Catalog Number:** 248594-19-6  
**Molecular Weight:** 489.54  
**Molecular Formula:** C23H27N3O7S  
**Description:** Erlotinib is an EGFR inhibitor. The drug follows Iressa (gefitinib), which was the first drug of this type. Erlotinib specifically targets the epidermal growth factor receptor (EGFR) tyrosine kinase, which is highly expressed and occasionally mutated in various forms of cancer. It binds in a reversible fashion to the adenosine triphosphate (ATP) binding site of the receptor.

**FIIN-3 - CAS 1637735-84-2**

**Catalog Number:** 1637735-84-2  
**Molecular Weight:** 691.61  
**Molecular Formula:** C34H36Cl2N8O4  
**Description:** FIIN-3 is a potent, selective, irreversible and the next-generation covalent FGFR inhibitor. FIIN-3 is the first inhibitor that can potently inhibit the proliferation of cells dependent upon the gatekeeper mutants of FGFR1 or FGFR2, which confer resistance to first-generation clinical FGFR inhibitors such as NVP-BGJ398 and AZD4547.

**CO-1686 hydrobromide - CAS 1446700-26-0**

**Catalog Number:** 1446700-26-0  
**Molecular Weight:** 636.46  
**Molecular Formula:** C27H29BrF3N7O3  
**Description:** CO-1686 hydrobromide is the hydrobromide salt form of CO-1686. CO-1686, also called as Rociletinib, is an oral tyrosine kinase inhibitor that irreversibly and selectively inhibits mutation of EGFR for treating Non-small cell lung cancer. Non-small cell lung...
### EGF816 mesylate - CAS 1508250-72-3

**Catalog Number:** 1508250-72-3  
**Molecular Weight:** 591.12  
**Molecular Formula:** C27H35ClN6O5S  
**Description:** EGF816 mesylate is the mesylate salt of EGF816 which is a covalent mutant-selective EGFR inhibitor and potently inhibits the T790M.

### Transtinib - CAS 1246089-27-9

**Catalog Number:** 1246089-27-9  
**Molecular Weight:** 562.04  
**Molecular Formula:** C30H29ClFN5O3  
**Description:** This active molecular is an irreversible EGFR (epidermal growth factor receptor) tyrosine kinase inhibitor. Transtinib shows good anti-proliferative activity against the H1975 and A431 cell lines and IC50 values is 34 nM and 62 nM. In xenograft models, Transtinib decreases tumor size for a prolonged period of time.

### Selatinib - CAS 1275595-86-2

**Catalog Number:** 1275595-86-2  
**Molecular Weight:** 565.07  
**Molecular Formula:** C29H26ClFN4O3S  
**Description:** Selatinib is an Epidermal growth factor receptor(EGFR) and ERbB-2 receptor antagonist as an analog of the quinazoline lapatinib with potential antineoplastic activity originated by Qilu Pharmaceutical.

### SKLB-1028 - CAS 1350544-93-2

**Catalog Number:** 1350544-93-2  
**Molecular Weight:** 443.56  
**Molecular Formula:** C24H29N9  
**Description:** SKLB1028 is a novel Bcr-abl tyrosine kinase inhibitor, Epidermal growth factor inhibitor and Fms-like tyrosine kinase 3 inhibitor originated by CSPC Ouyi Pharmaceutical. Phase-I clinical trials in Acute myeloid leukaemia (Monotherapy, Second-line therapy or greater) in China is on-going.
**NT113 - CAS 1398833-56-1**

Catalog Number: 1398833-56-1  
Molecular Weight: 505.98  
Molecular Formula: C27H25ClFN5O2  
Description: NT113 is a pan-ERBB inhibitor with high brain penetrance. It can inhibit the growth of glioblastoma xenografts with EGFR amplification. NT113 is active against GBM xenografts in which wild-type EGFR or EGFRvIII is highly expressed.

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**PF-06459988 - CAS 1428774-45-1**

Catalog Number: 1428774-45-1  
Molecular Weight: 431.88  
Molecular Formula: C19H22ClN7O3  
Description: PF-06459988 is a third-generation, irreversible epidermal growth factor receptor (EGFR) inhibitor. It can bind to and inhibit mutant forms of EGFR, including the secondary acquired resistance mutation T790M.

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**BGB-283 - CAS 1446090-77-2**

Catalog Number: 1446090-77-2  
Molecular Weight: 478.31  
Molecular Formula: C25H17F3N4O3  
Description: BGB-283 is a selective Epidermal growth factor receptor and Proto oncogene protein b Raf inhibitor under the development of BeiGene. BGB-283 shows antitumor activity in B-RAF Mutated Colorectal Cancers. In vitro, BGB-283 can inhibit B-RAFV600E-activated ERK phosphorylation and cell proliferation.

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**Naquotinib mesylate - CAS 1448237-05-5**

Catalog Number: 1448237-05-5  
Molecular Weight: 658.82  
Molecular Formula: C31H46N8O6S  
Description: Naquotinib is irreversible, third-generation, epidermal growth factor receptor (EGFR) inhibitor with IC50 value of 70 nM for NCI-H1650 cell growth. It can covalently bind to and inhibit the activity of mutant forms of EGFR, including the T790M EGFR mutant.
**Naquotinib - CAS 1448232-80-1**

**Catalog Number:** 1448232-80-1  
**Molecular Weight:** 562.71  
**Molecular Formula:** C30H42N8O3  
**Description:** Naquotinib is an irreversible, third-generation, mutant-selective, epidermal growth factor receptor (EGFR) inhibitor, with potential antineoplastic activity with IC50 of 8-33 nM toward EGFR mutants, IC50 of 230 nM for WT EGFR.

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**Tarloxotinib bromide - CAS 1636180-98-7**

**Catalog Number:** 1636180-98-7  
**Molecular Weight:** 681.77  
**Molecular Formula:** C24H24Br2ClN9O3  
**Description:** Tarloxotinib bromide, a pyridopyrimidine derivative, has been found to be an EGFR tyrosine kinase inhibitor precursor and could probably be effective in antineoplastic researches.

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**CGP-59326 - CAS 173458-56-5**

**Catalog Number:** 173458-56-5  
**Molecular Weight:** 272.73  
**Molecular Formula:** C14H13ClN4  
**Description:** CGP-59326, a pyrrolopyrimidine derivative, has been found to be an EGFR antagonist and could be used in antineoplastic studies.

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**PF-06747775 - CAS 1776112-90-3**

**Catalog Number:** 1776112-90-3  
**Molecular Weight:** 415.43  
**Molecular Formula:** C18H22FN9O2  
**Description:** PF-06747775, a methylpurin derivative, has been found to be an epidermal growth factor receptor antagonist and could probably be effective against cell lung cancer through restrain EGFR-mediated signaling. It is still under Phase-I trial in Non-small cell lung cancer.