c-Met/HGFR Inhibitors
(inhibitors, agonists and modulators)

c-Met, also called tyrosine-protein kinase Met or hepatocyte growth factor receptor (HGFR), is a protein that in humans is encoded by the MET gene. The protein possesses tyrosine kinase activity. The primary single chain precursor protein is post-translationally cleaved to produce the alpha and beta subunits, which are disulfide linked to form the mature receptor.
**Dihexa - CAS 1401708-83-5**

**Catalog Number:**

**Molecular Weight:** 504.66  
**Molecular Formula:** C27H44N4O5

**Description:** Dihexa, an oligopeptide drug, is a stable bioavailable synthetic Hepatocyte growth factor (HGF) mimetic with potential to improve cognitive function in animal models of Alzheimer's disease-like mental impairment.

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**Lys01 trihydrochloride - CAS 1391426-24-6**

**Catalog Number:**

**Molecular Weight:** 549.75  
**Molecular Formula:** C23H26Cl5N5

**Description:** Lys01 trihydrochloride, a water-soluble salt of Lys01, is a selective and orally available inhibitor of AXL and c-Met with IC50 values of 7 nM and 12 nM, respectively, resulting in sustained inhibition of autophagy and tumor growth.

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**CEP-40783 - CAS 1437321-24-8**

**Catalog Number:**

**Molecular Weight:** 588.56  
**Molecular Formula:** C31H26F2N4O6

**Description:** CEP-40783 is an orally-active, nanomolar potent and highly kinase-selective Type II inhibitor of the AXL and c-Met RTK with IC50 values of 7 nM and 12 nM, respectively.

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**Tivantinib - CAS 905854-02-6**

**Catalog Number:** 905854-02-6

**Molecular Weight:** 369.424  
**Molecular Formula:** C23H19N3O2

**Description:** Tivantinib (ARQ-197) is an orally bioavailable small molecule inhibitor of c-Met with potential antineoplastic activity. c-Met inhibitor ARQ 197 binds to the c-Met protein and disrupts c-Met signal transduction pathways, which may induce cell death in tumor cells overexpressing c-Met protein or expressing constitutively activated c-Met protein.
**JNJ-38877605 - CAS 943540-75-8**

**Catalog Number:** 943540-75-8  
**Molecular Weight:** 377.36  
**Molecular Formula:** C19H13F2N7  
**Description:** JNJ-38877605 is an ATP-competitive inhibitor of c-Met with IC50 of 4 nM, 600-fold selective for c-Met than 200 other tyrosine and serine-threonine kinases.

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**foretinib - CAS 849217-64-7**

**Catalog Number:** 849217-64-7  
**Molecular Weight:** 632.665  
**Molecular Formula:** C34H34F2N4O6  
**Description:** Potent inhibitor of MET, VEGFR2, Ron and AXL (IC50 values are 0.4, 0.9, 3 and 11 nM, respectively). Also potently inhibits Tie-2, Flt-1, Flt-3, Flt-4, KIT, PDGFRalpha and PDGFRbeta. Inhibits migration and invasion of B16F10 melanoma cells in vitro. Suppresses B16F10 tumor growth and metastasis in mice.

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**MGCD-265 - CAS 875337-44-3**

**Catalog Number:** 875337-44-3  
**Molecular Weight:** 517.60  
**Molecular Formula:** C26H20FN5O2S2  
**Description:** MGCD-265 is a potent, multi-target and ATP-competitive inhibitor of c-Met and VEGFR1/2/3 with IC50 of 1 nM, 3 nM/3 nM/4 nM, respectively and also inhibits Ron and Tie2.

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**MK-2461 - CAS 917879-39-1**

**Catalog Number:** 917879-39-1  
**Molecular Weight:** 495.554  
**Molecular Formula:** C24H25N5O5S  
**Description:** MK-2461 is a novel ATP-competitive multitargeted inhibitor of activated c-Met. MK-2461 inhibited in vitro phosphorylation of a peptide substrate recognized by wild-type or oncogenic c-Met kinases (N1100Y, Y1230C, Y1230H, Y1235D, and M1250T) with IC50 values of 0.4 to 2.5 nmol/L.
SU11274 - CAS 658084-23-2

Catalog Number: 658084-23-2
Molecular Weight: 568.089  Molecular Formula: C28H30ClN5O4S
Description: SU11274 is a selective Met tyrosine kinase inhibitor with IC50 of 10 nM.

PF-04217903 methanesulfonate - CAS 956906-93-7

Catalog Number: 956906-93-7
Molecular Weight: 468.49  Molecular Formula: C20H20N8O4S
Description: PF-04217903 methanesulfonate is a selective ATP-competitive c-Met inhibitor and is susceptible to oncogenic mutations (no activity to Y1230C mutant).

AMG208 - CAS 1002304-34-8

Catalog Number: 1002304-34-8
Molecular Weight: 383.411  Molecular Formula: C22H17N5O2
Description: AMG 208 is a selective small-molecule inhibitor of the proto-oncogene c-Met with potential antineoplastic activity. C-Met encodes the hepatocyte growth factor receptor tyrosine kinase, plays an important role in epithelial cell proliferation and has been shown to be overexpressed in a variety of cancers.

BMS-794833 - CAS 1174046-72-0

Catalog Number: 1174046-72-0
Molecular Weight: 468.845  Molecular Formula: C23H15ClF2N4O3
Description: BMS-794833 is a potent ATP competitive inhibitor of Met/VEGFR2 with IC50 of 1.7 nM/15 nM.
PF-04217903 - CAS 956905-27-4
Catalog Number: 956905-27-4
Molecular Weight: 372.392 Molecular Formula: C19H16N8O
Description: PF-04136309 is an orally available human chemokine receptor 2 (CCR2) antagonist with potential immunomodulating and antineoplastic activities.

SGX-523 - CAS 1022150-57-7
Catalog Number: 1022150-57-7
Molecular Weight: 359.411 Molecular Formula: C18H13N7S
Description: SGX523 is a novel, ATP-competitive kinase inhibitor remarkable for its exquisite selectivity for MET. SGX523 potently inhibited MET with an IC50 of 4 nmol/L and is >1,000-fold selective versus the >200-fold selectivity of other protein kinases tested in biochemical assays.

PHA665752 - CAS 477575-56-7
Catalog Number: 477575-56-7
Molecular Weight: 641.608 Molecular Formula: C32H34Cl2N4O4S
Description: PHA665752 is a small-molecule inhibitor of c-Met/HGF/SF signaling c-Met is highly expressed in most tumors from patients with advanced-stage, metastatic NBL.

Tyrosine kinase inhibitor - CAS 1021950-26-4
Catalog Number: 1021950-26-4
Molecular Weight: 586.61 Molecular Formula: C31H31FN6O5
Description: It is a Tyrosine kinase inhibitor.
**Crizotinib - CAS 877399-52-5**

**Catalog Number:** 877399-52-5  
**Molecular Weight:** 450.343  
**Molecular Formula:** C21H22Cl2FN5O  
**Description:** Crizotinib is an anti-cancer drug acting as an ALK (anaplastic lymphoma kinase) and ROS1 (c-ros oncogene 1) inhibitor.

**MK-8033 - CAS 1001917-37-8**

**Catalog Number:** 1001917-37-8  
**Molecular Weight:** 471.53  
**Molecular Formula:** C25H21N5O3S  
**Description:** MK8033 is a novel and specific dual ATP competitive c-Met/Ron inhibitor (IC50=1 nM Wt c-Met) under investigation as a treatment for cancer.

**BMS-777607 - CAS 1025720-94-8**

**Catalog Number:** 1025720-94-8  
**Molecular Weight:** 512.893  
**Molecular Formula:** C25H19ClF2N4O4  
**Description:** BMS-777607 is a novel prodrug of the dual Met/VEGFR-2 inhibitor.

**Capmatinib - CAS 1029712-80-8**

**Catalog Number:** 1029712-80-8  
**Molecular Weight:** 412.428  
**Molecular Formula:** C23H17FN6O  
**Description:** Capmatinib, also known as INC28060 and INC280, is an orally bioavailable inhibitor of the proto-oncogene c-Met (hepatocyte growth factor receptor [HGFR]) with potential antineoplastic activity. c-Met inhibitor INC280 selectively binds to c-Met, thereby inhibiting c-Met phosphorylation and disrupting c-Met signal transduction pathways.
**Tepotinib - CAS 1100598-32-0**

**Catalog Number:** 1100598-32-0  
**Molecular Weight:** 492.583  
**Molecular Formula:** C29H28N6O2  
**Description:** Tepotinib, also known as EMD 1214063 and MSC2156119, is an inhibitor of MET tyrosine kinase with potential antineoplastic activity. MET tyrosine kinase inhibitor EMD 1214063 selectively binds to MET tyrosine kinase and disrupts MET signal transduction pathways, which may induce apoptosis in tumor cells overexpressing this kinase.

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**NVP-BVU972 - CAS 1185763-69-2**

**Catalog Number:** 1185763-69-2  
**Molecular Weight:** 340.38  
**Molecular Formula:** C20H16N6  
**Description:** NVP-BVU972 potently inhibits MET kinase but displays low inhibition against other kinases including the most closely related kinase RON with IC50 values of more than 1000 nM.

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**Golvatinib - CAS 928037-13-2**

**Catalog Number:** 928037-13-2  
**Molecular Weight:** 633.701  
**Molecular Formula:** C33H37F2N7O4  
**Description:** Golvatinib (also known as E7050) is an orally bioavailable dual kinase inhibitor of c-Met (hepatocyte growth factor receptor) and VEGFR-2 (vascular endothelial growth factor receptor-2) tyrosine kinases with potential antineoplastic activity.

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**Savolitinib - CAS 1313725-88-0**

**Catalog Number:** 1313725-88-0  
**Molecular Weight:** 345.37  
**Molecular Formula:** C17H15N9  
**Description:** Savolitinib is a tyrosine kinase inhibitor with potential anticancer activity.
**LY2801653 - CAS 1206799-15-6**

**Catalog Number:** 1206799-15-6  
**Molecular Weight:** 552.542  
**Molecular Formula:** C30H22F2N6O3  
**Description:** LY2801653 is an orally available, small molecule inhibitor of the proto-oncogene c-Met with potential antineoplastic activity.

**LY2801653 dihydrochloride - CAS 1206801-37-7**

**Catalog Number:** 1206801-37-7  
**Molecular Weight:** 625.45  
**Molecular Formula:** C30H24Cl2F2N6O3  
**Description:** LY2801653 is a type-II ATP competitive, slow-off inhibitor of MET tyrosine kinase with a dissociation constant (K(i)) of 2 nM, a pharmacodynamic residence time (K(off)) of 0.00132 min(-1) and t(1/2) of 525 min [1]. LY2801653 was found to have potent activity against several other receptor tyrosine oncokinases including MST1R, FLT3, AXL, MERTK, TEK, ROS1, DDR1/2 and against the serine/threonine kinases MKNK1/2.

**MK-8033 hydrochloride - CAS 1283000-43-0**

**Catalog Number:** 1283000-43-0  
**Molecular Weight:** 507.99  
**Molecular Formula:** C25H22ClN5O3S  
**Description:** MK-8033 binds 3-fold more tightly to phosphorylated c-Met kinase domain (Kd= 3.2 nM) than to its unphosphorylated counterpart (Kd = 10.4 nM). Significantly, MK-8033 potently inhibits kinase activity of three oncogenic c-Met activation loop mutants, Y1230C, Y1230H, and Y1235D (IC50s ranging from 0.6 to 1 nM at 50 uM ATP) in addition to other c-Met activating mutants N1100Y and M1250T.

**Altiratinib - CAS 1345847-93-9**

**Catalog Number:** 1345847-93-9  
**Molecular Weight:** 510.46  
**Molecular Formula:** C26H21F3N4O4  
**Description:** Altiratinib, also known as DCC-270, DP-5164, is an oral, selective and highly potent inhibitor of MET, TIE2, VEGFR2 and TRK kinases with potential anticancer activity.
<table>
<thead>
<tr>
<th><strong>c-Met inhibitor 1 - CAS 1357072-61-7</strong></th>
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<tr>
<td><strong>Catalog Number:</strong> 1357072-61-7</td>
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<td><strong>Molecular Weight:</strong> 362.41</td>
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<td><strong>Molecular Formula:</strong> C17H14N8S</td>
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<td><strong>Description:</strong> c-Met inhibitor 1 is an inhibitor of the c-Met receptor signaling pathway useful for the treatment of cancer including gastric, glioblastoma, and pancreatic cancer.</td>
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<thead>
<tr>
<th><strong>AMG 337 - CAS 1173699-31-4</strong></th>
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<td><strong>Catalog Number:</strong> 1173699-31-4</td>
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<td><strong>Molecular Weight:</strong> 463.47</td>
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<td><strong>Molecular Formula:</strong> C23H22FN7O3</td>
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<td><strong>Description:</strong> AMG 337 is an orally bioavailable inhibitor of the proto-oncogene c-Met with potential antineoplastic activity. c-Met inhibitor AMG 337 selectively binds to c-Met, thereby disrupting c-Met signal transduction pathways.</td>
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<tr>
<th><strong>Glesatinib hydrochloride - CAS 1123838-51-6</strong></th>
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<td><strong>Catalog Number:</strong> 1123838-51-6</td>
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<td><strong>Molecular Weight:</strong> 655.16</td>
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<td><strong>Molecular Formula:</strong> C31H27ClF2N5O3S2X</td>
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<td><strong>Description:</strong> The hydrochloride salt form of Glesatinib, an inhibitor of tyrosine kinase, could be effectively targeting tumors that are driving to grow through MET and AXL receptor. It seems to be more common in non-small cell lung cancer and it is still under Phase I</td>
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<thead>
<tr>
<th><strong>SCR-1481B1 - CAS 1174161-86-4</strong></th>
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<td><strong>Catalog Number:</strong> 1174161-86-4</td>
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<td><strong>Molecular Weight:</strong> 699.98</td>
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<td><strong>Molecular Formula:</strong> C28H29ClF2N5O10P</td>
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<tr>
<td><strong>Description:</strong> SCR-1481B1, also known as c-Met inhibitor 2, is an effective inhibitor of MET kinase so that probably has anti-tumor activity. It is also found to be an inhibitor of VEGFR. IC50: 1.7 nM for MET kinase.</td>
</tr>
</tbody>
</table>
TAS-115 - CAS 1190836-34-0

Catalog Number: 1190836-34-0
Molecular Weight: 518.56
Molecular Formula: C27H23FN4O4S

Description: This active molecular is a c-MET and VEGFR inhibitor which is selective and specific in vitro. In vivo studies, TAS-115 suppressed the progression of MET-inactivated tumor completely through blocking angiogenesis without toxicity and it also induced marked tumor shrinkage and prolonged survival in animal model. In Dec 2013, Phase-I development was ongoing in Japan.

LCRF-0004 - CAS 1229611-73-7

Catalog Number: 1229611-73-7
Molecular Weight: 578.55
Molecular Formula: C28H18F4N6O2S

Description: This active molecular is a selective RON receptor tyrosine kinase inhibitor. The RON receptor tyrosine kinase has been reported that it usually overexpressed in the pancreatic cancer. Preclinical research shows that inhibition of RON function can decrease pancreatic cancer cell migration, invasion and survival.