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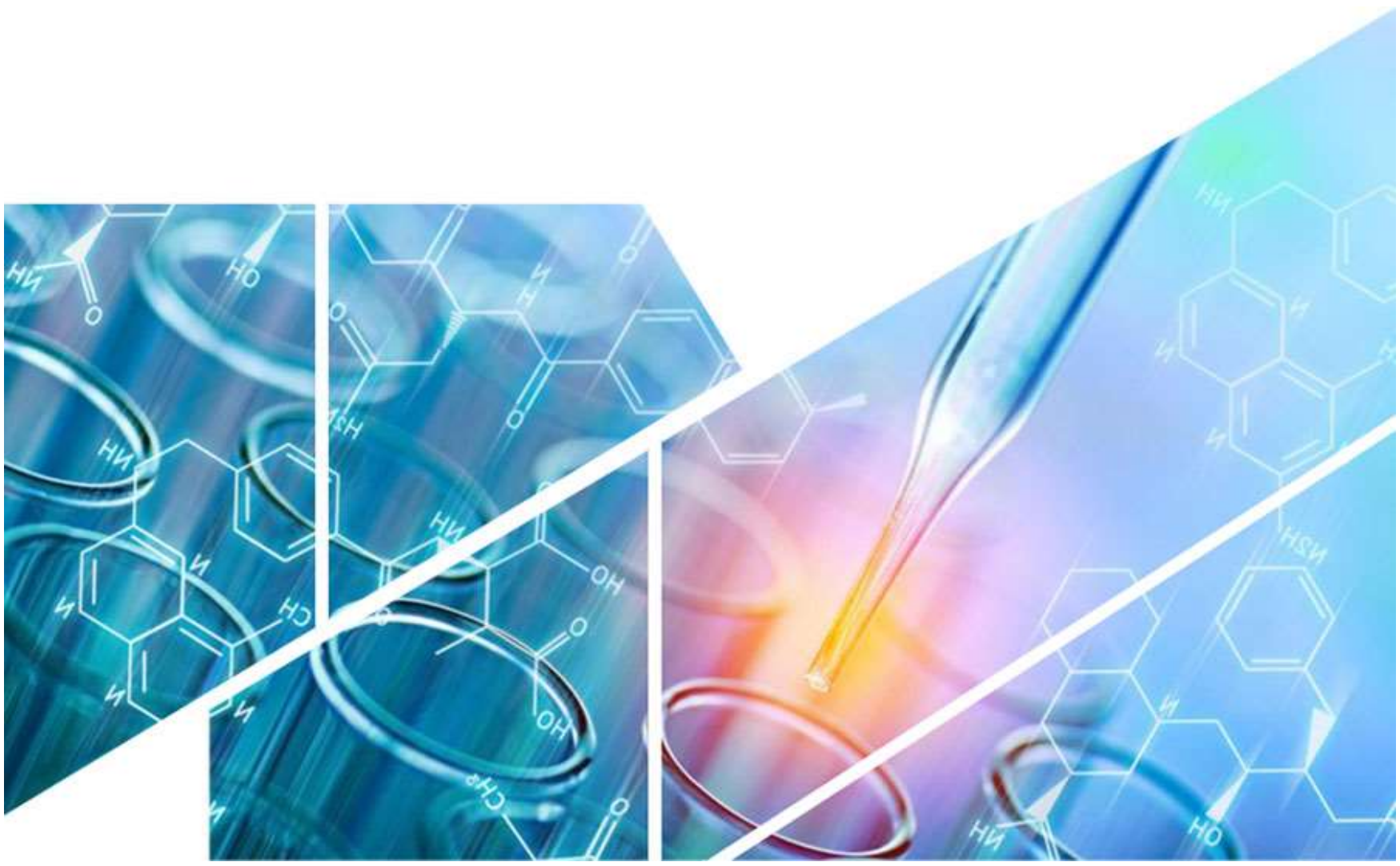
c-Kit Inhibitors

(inhibitors, agonists and modulators)

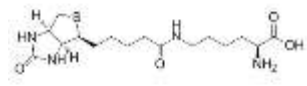


Mast/stem cell growth factor receptor (SCFR), also known as proto-oncogene c-Kit or tyrosine-protein kinase Kit or CD117, is a receptor protein-tyrosine kinase that acts as cell-surface receptor for the cytokine KITLG/SCF and plays important roles in gametogenesis, hematopoiesis, mast cell development and function, and melanogenesis. C-Kit consists of an extracellular domain, a transmembrane segment, a juxtamembrane segment, and a protein kinase domain that contains an insert of about 80 amino acid residues. Binding of stem cell factor to Kit results in receptor dimerization and activation of protein kinase activity.

BLU-285 - CAS 1703793-34-3

Catalog Number:

Molecular Weight: 498.56 **Molecular Formula:** C26H27FN10

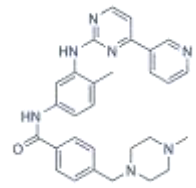


Description: BLU-285 is a potent and selective inhibitor of exon 17 mutant KIT (IC50= 0.27 nM for KIT D816V). BLU-285 showed dose-dependent, robust anti-tumor efficacy in a TKI- resistant KIT exon 11/17 mutant GIST PDX model through inhibition of tumor growth, proliferation, KIT signaling and induction of apoptosis.

Imatinib - CAS 152459-95-5

Catalog Number: 152459-95-5

Molecular Weight: 493.6 **Molecular Formula:** C29H31N7O

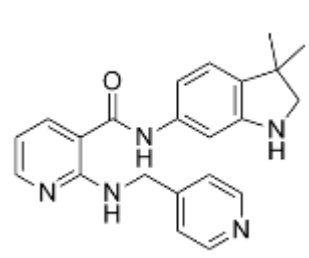


Description: Imatinib inhibits the SLF-dependent activation of wild-type c-kit kinase activity with an IC50 for these effects of approximately 0.1 μM, which is similar to the concentration required for inhibition of PDGFR.

Motesanib - CAS 453562-69-1

Catalog Number: B0084-069090 **Price:** $288/100 mg

Molecular Weight: 373.45 **Molecular Formula:** C22H23N5O

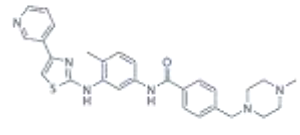


Description: Motesanib is a multikinase inhibitor that selectively targets VEGF receptors, platelet-derived growth factor receptors (PDGFRs), and Kit receptors. It also potently inhibits angiogenesis and induces regression in tumor xenografts.

Masitinib - CAS 790299-79-5

Catalog Number: 790299-79-5

Molecular Weight: 498.64 **Molecular Formula:** C28H30N6OS

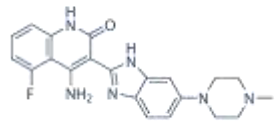


Description: Masitinib is an orally bioavailable and multi-targeted protein tyrosine kinase inhibitor with potential antineoplastic activity.

Dovitinib - CAS 405169-16-6

Catalog Number: 405169-16-6

Molecular Weight: 392.44 **Molecular Formula:** C21H21FN6O

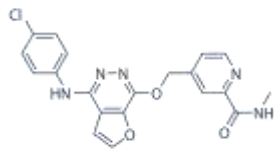


Description: Dovitinib (TKI258) potently inhibited FLT3, c-KIT, FGFR, VEGFR1/2/3, PDGFRß and CSF-1R with IC50 values of 1, 2, 5, 10, 8, 27, 36 nM respectively. Dovitinib selectively blocked the growth of wild-type (WT) or activated mutant FGFR3-transformed B9 cells and human myeloma cell lines.

Telatinib - CAS 332012-40-5

Catalog Number: 332012-40-5

Molecular Weight: 409.83 **Molecular Formula:** C20H16ClN5O3

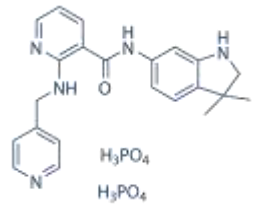


Description: Telatinib is a potent inhibitor of VEGFR2/3, c-Kit and PDGFRα with IC50 of 6 nM/4 nM, 1 nM and 15 nM, respectively.

Motesanib Diphosphate - CAS 857876-30-3

Catalog Number: 857876-30-3

Molecular Weight: 569.44 **Molecular Formula:** C22H29N5O9P2

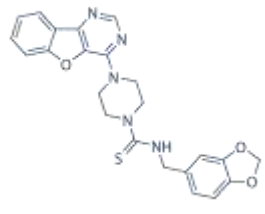


Description: Motesanib Diphosphate is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC50 of 2 nM/3 nM/6 nM, respectively; similar activity against Kit, ~10-fold more selective for VEGFR than PDGFR and Ret.

Amuvatinib - CAS 850879-09-3

Catalog Number: 850879-09-3

Molecular Weight: 447.51 **Molecular Formula:** C23H21N5O3S

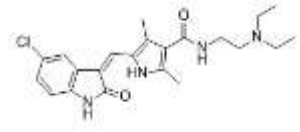


Description: Amuvatinib, also known as MP-470, is an orally bioavailable synthetic carbothioamide with potential antineoplastic activity. MP470 inhibits activities of other receptor tyrosine kinases. This agent also suppresses the induction of DNA repair protein Rad51, thereby potentiating the activities of DNA damage-inducing agents.

SU11652 - CAS 326914-10-7

Catalog Number:

Molecular Weight: 414.93 **Molecular Formula:** C22H27ClN4O2

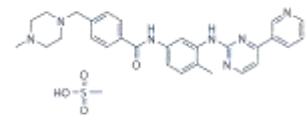


Description: SU11652 is a cell-permeable and sunitinib-like inhibitor of tyrosine kinase receptor (RTK) and angiogenesis with antineoplastic property. It selectively inhibits PDGFR-β, VEGFR2, FGFR1, FLT3 (IC50 values of 3, 27, 170 and 1.5 nM, respectively) and Kit family members (IC50=~10-500 nM).

Imatinib Mesylate - CAS 220127-57-1

Catalog Number: 220127-57-1

Molecular Weight: 589.71 **Molecular Formula:** C29H31N7O.CH4SO3

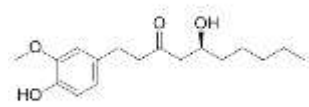


Description: Imatinib (INN), marketed by Novartis as Gleevec (Canada, South Africa and the USA) or Glivec (Australia, Europe and Latin America), and sometimes referred to by its investigational name STI-571, is a tyrosine-kinase inhibitor used in the treatment of multiple cancers, most notably Philadelphia chromosome-positive (Ph+) chronic myelogenous leukemia (CML).

ISCK03 - CAS 945526-43-2

Catalog Number:

Molecular Weight: 355.45 **Molecular Formula:** C19H21N3O2S

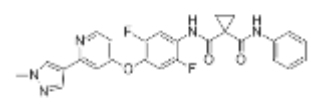


Description: ISCK03, a phenyl-imidazolosulfonamide compound, is a cell-permeable, specific SCF/c-Kitinhibitor (IC50 <<2.5 μM in cell-free kinase assays).

DCC-2618 - CAS 1225278-16-9

Catalog Number: 1225278-16-9

Molecular Weight: 489.47 **Molecular Formula:** C26H21F2N5O3



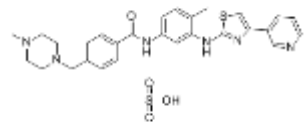
Description: DCC-2618 inhibits normal and mutant KIT kinase at the nanomol level. The targets are wt c-KIT, c-KIT mutants, PDGFR alpha, PDGFR beta, KDR and cFMS. DCC-2618 inhibits mutant KIT in GIST patient cell line (GIST T1 pKIT western Ex11 deletion) at a IC 50 of 2 nM.

Masitinib mesylate - CAS 1048007-93-7

Catalog Number: 1048007-93-7

Molecular Weight: 594.75 **Molecular Formula:** C29H34N6O4S2

Description: Masitinib mesylate is a novel inhibitor for Kit and PDGFRα/β with IC50 of 200 nM and 540 nM/800 nM, weak inhibition to ABL and c-Fms.

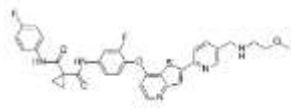


Sitravatinib - CAS 1123837-84-2

Catalog Number: 1123837-84-2

Molecular Weight: 629.68 **Molecular Formula:** C33H29F2N5O4S

Description: Sitravatinib, a new inhibitor of tyrosine kinase, has been studied to have effective in inhibiting tyrosine kinases receptors involved in driving sarcoma cell growth. It is still under a phase II trial for non small cell lung cancer. IC50: 3980 nmol/L.



Flumatinib - CAS 895519-90-1

Catalog Number: 895519-90-1

Molecular Weight: 562.59 **Molecular Formula:** C29H29F3N8O

Description: Flumatinib is a multi-kinase inhibitor of c-Abl, PDGFRβ and c-Kit with IC50 values of 1.2 nM, 307.6 nM and 2662 nM respectively. It can predominantly inhibit the autophosphorylation of Bcr-Abl in K562 cell in vitro. It can inhibit the phosphorylation of c-Kit in Mo7e cell and the phosphorylation of PDGFR in Swiss3T3 cell in higher concentration.