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Inhibitors, Screening Libraries, Proteins

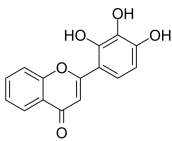
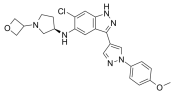
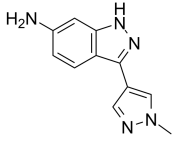
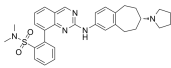
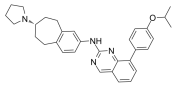
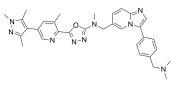
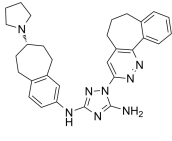
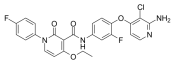
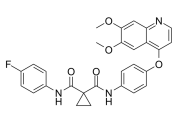
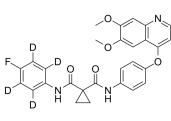
TAM Receptor

Tyro3; Axl; Mer

TAM receptors, comprising of Tyro3, Axl and Mertk receptors, are receptor tyrosine kinases (RTKs) that are expressed by multiple immune cells including NK cells. The TAM family of receptors and their ligands Gas6 and Protein S (PROS1) are required for the optimal phagocytosis of apoptotic cells in the mature immune, nervous, and reproductive systems.

TAMs are three homologous type I receptor-tyrosine kinases that are activated by endogenous ligands, PROS1 and GAS6. These ligands can either activate TAMs as soluble factors, or, in turn, opsonize phosphatidylserine (PS) on apoptotic cells (ACs) and serve as bridging molecules between ACs and TAMs. Abnormal expression and activation of TAMs have been implicated in promoting proliferation and survival of cancer cells, as well as in suppressing anti-tumor immunity.

TAM Receptor Inhibitors

<p>2-D08</p> <p>Cat. No.: HY-114166</p> <p>2-D08 is a cell permeable, mechanistically unique inhibitor of protein SUMOylation. 2-D08 also inhibits Axl with an IC_{50} of 0.49 nM.</p>  <p>Purity: 98.44% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Axl-IN-3</p> <p>Cat. No.: HY-144706</p> <p>Axl-IN-3 is a potent, selective and orally active AXL kinase inhibitor with an IC_{50} of 41.5 nM. Axl-IN-3 has lower inhibition of other kinases.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Axl-IN-4</p> <p>Cat. No.: HY-144708</p> <p>Axl-IN-4 (Compound 24) is an AXL kinase inhibitor with an IC_{50} of 28.8 μM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Axl-IN-5</p> <p>Cat. No.: HY-146596</p> <p>Axl-IN-5 (compound 1) is a AXL inhibitor with an IC_{50} of 283 nM. Axl-IN-5 has anticancer effects.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Axl-IN-6</p> <p>Cat. No.: HY-146615</p> <p>Axl-IN-6 (compound 14) is an orally active and potent AXL inhibitor. Axl-IN-6 is well tolerated and significantly inhibits the tumor growth in MV-4-11 subcutaneous xenograft model.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>AZ14145845</p> <p>Cat. No.: HY-132893</p> <p>AZ14145845 is a highly selective type II/2 dual Mer/Axl kinase inhibitor with in vivo efficacy.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Bemcentinib (R428; BGB324)</p> <p>Cat. No.: HY-15150</p> <p>Bemcentinib (R428) is a potent and selective inhibitor of Axl with an IC_{50} of 14 nM.</p>  <p>Purity: 99.95% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>BMS 777607 (BMS 817378)</p> <p>Cat. No.: HY-12076</p> <p>BMS 777607 (BMS 817378) is a Met-related inhibitor for c-Met, Axl, Ron and Tyro3 with IC_{50}s of 3.9 nM, 1.1 nM, 1.8 nM and 4.3 nM, respectively, and 40-fold more selective for Met-related targets than Lck, VEGFR-2, and TrkA/B, with more than 500-fold greater selectivity...</p>  <p>Purity: 99.04% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Cabozantinib (XL184; BMS-907351)</p> <p>Cat. No.: HY-13016</p> <p>Cabozantinib is a potent multiple receptor tyrosine kinases (RTKs) inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and Flt3 with IC_{50}s of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.</p>  <p>Purity: 99.96% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>Cabozantinib-d4 (XL184-d4; BMS-907351-d4)</p> <p>Cat. No.: HY-13016S1</p> <p>Cabozantinib-d4 is deuterium labeled Cabozantinib. Cabozantinib is a potent multiple receptor tyrosine kinases (RTKs) inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and Flt3 with IC_{50}s of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>Cabozantinib-d6</p> <p>Cat. No.: HY-13016S</p>	<p>CEP-40783 (RXDX-106)</p> <p>Cat. No.: HY-100946</p>
<p>Cabozantinib-d6 (XL184-d6) is the deuterium labeled Cabozantinib. Cabozantinib is a potent multiple receptor tyrosine kinases (RTKs) inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and Flt3 with IC₅₀s of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.</p> <p>Purity: 98.14%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 2.5 mg, 1 mg, 5 mg, 10 mg</p>	<p>CEP-40783 is a potent, selective and orally available inhibitor of AXL and c-Met with IC₅₀ values of 7 nM and 12 nM, respectively.</p> <p>Purity: 99.22%</p> <p>Clinical Data: Phase 1</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</p>
<p>DS-1205b free base</p> <p>Cat. No.: HY-114357A</p>	<p>Dubermatinib (TP-0903)</p> <p>Cat. No.: HY-12963</p>
<p>DS-1205b free base is a potent and selective inhibitor of AXL kinase, with an IC₅₀ of 1.3 nM. DS-1205b free base also inhibits MER, MET, and TRKA, with IC₅₀s of 63, 104, and 407 nM, respectively. DS-1205b free base can inhibit cell migration in vitro and tumor growth in vivo.</p> <p>Purity: 99.92%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>Dubermatinib (TP-0903) is a potent and selective Axl receptor tyrosine kinase inhibitor with an IC₅₀ value of 27 nM.</p> <p>Purity: 99.82%</p> <p>Clinical Data: Phase 1</p> <p>Size: 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Gilteritinib (ASP2215)</p> <p>Cat. No.: HY-12432</p>	<p>Gilteritinib hemifumarate (ASP2215 hemifumarate)</p> <p>Cat. No.: HY-12432A</p>
<p>Gilteritinib (ASP2215) is a potent and ATP-competitive FLT3/AXL inhibitor with IC₅₀s of 0.29 nM/0.73 nM, respectively.</p> <p>Purity: 99.55%</p> <p>Clinical Data: Launched</p> <p>Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Gilteritinib (ASP2215) hemifumarate is a potent and ATP-competitive FLT3/AXL inhibitor with IC₅₀ of 0.29 nM/0.73 nM, respectively.</p> <p>Purity: 99.96%</p> <p>Clinical Data: Launched</p> <p>Size: 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Gilteritinib-d3 (ASP2215-d3)</p> <p>Cat. No.: HY-12432S</p>	<p>Gilteritinib-d8 (ASP2215-d8)</p> <p>Cat. No.: HY-12432S1</p>
<p>Gilteritinib-d3 (ASP2215-d3) is the deuterium labeled Gilteritinib. Gilteritinib (ASP2215) is a potent and ATP-competitive FLT3/AXL inhibitor with IC₅₀s of 0.29 nM/0.73 nM, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Gilteritinib-d8 is deuterium labeled Gilteritinib. Gilteritinib (ASP2215) is a potent and ATP-competitive FLT3/AXL inhibitor with IC₅₀s of 0.29 nM/0.73 nM, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Glesatinib (MGCD265)</p> <p>Cat. No.: HY-19642</p>	<p>Glesatinib hydrochloride (MGCD265 hydrochloride)</p> <p>Cat. No.: HY-19642A</p>
<p>Glesatinib (MGCD265) is an orally active, potent MET/SMO dual inhibitor. Glesatinib, a tyrosine kinase inhibitor, antagonizes P-glycoprotein (P-gp) mediated multidrug resistance (MDR) in non-small cell lung cancer (NSCLC).</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Glesatinib hydrochloride (MGCD265 hydrochloride) is an orally active, potent MET/SMO dual inhibitor. Glesatinib hydrochloride, a tyrosine kinase inhibitor, antagonizes P-glycoprotein (P-gp) mediated multidrug resistance (MDR) in non-small cell lung cancer (NSCLC).</p> <p>Purity: 98.25%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

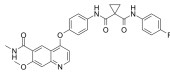
<p>LDC1267</p> <p style="text-align: right;">Cat. No.: HY-12494</p>	<p>Multi-kinase-IN-1</p> <p style="text-align: right;">Cat. No.: HY-146014</p>
<p>LDC1267 is a highly selective TAM (Tyro3, Axl and Mer) kinase inhibitor with IC_{50}s of <5 nM/8 nM/29 nM for Tyro3,Axl and Mer respectively.</p> <p style="text-align: center;"></p> <p>Purity: 99.39% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Multi-kinase-IN-1 (Compound 11k) is a potent kinase inhibitor with antitumor activity. Multi-kinase-IN-1 induces cell apoptosis, and can be studied for colorectal cancer.</p> <p style="text-align: center;"></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Ningetinib</p> <p style="text-align: right;">Cat. No.: HY-107145A</p>	<p>Ningetinib Tosylate</p> <p style="text-align: right;">Cat. No.: HY-107145</p>
<p>Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC_{50}s of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.</p> <p style="text-align: center;"></p> <p>Purity: 99.79% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Ningetinib Tosylate is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC_{50}s of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.</p> <p style="text-align: center;"></p> <p>Purity: 99.92% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>NPS-1034</p> <p style="text-align: right;">Cat. No.: HY-100509</p>	<p>ONO-7475</p> <p style="text-align: right;">Cat. No.: HY-114358</p>
<p>NPS-1034 is a dual inhibitor of AXL and MET with IC_{50}s of 10.3 and 48 nM, respectively.</p> <p style="text-align: center;"></p> <p>Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>ONO-7475 is a potent, selective, and orally active Axl/Mer inhibitor with IC_{50} values of 0.7 nM and 1.0 nM, respectively. ONO-7475 sensitizes AXL-overexpressing EGFR-mutant NSCLC cells to the EGFR-TKIs, suppresses the emergence and maintenance of tolerant cells.</p> <p style="text-align: center;"></p> <p>Purity: 99.38% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>PROTAC Axl Degradar 1</p> <p style="text-align: right;">Cat. No.: HY-144624</p>	<p>PROTAC Axl Degradar 2</p> <p style="text-align: right;">Cat. No.: HY-144627</p>
<p>PROTAC Axl Degradar 1 is a potent and selective PROTAC Axl degrader with an IC_{50} of 0.92 μM. PROTAC Axl Degradar 1 shows anti-proliferation activity, anti-migration activity in vitro. PROTAC Axl Degradar 1 induces mehuosis.</p> <p style="text-align: center;"></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>PROTAC Axl Degradar 2 is a potent and selective PROTAC Axl degrader with an IC_{50} of 1.61 μM. PROTAC Axl Degradar 2 shows anti-proliferation activity, anti-migration activity in vitro. PROTAC Axl Degradar 2 induces mehuosis.</p> <p style="text-align: center;"></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>R916562</p> <p style="text-align: right;">Cat. No.: HY-104075</p>	<p>RU-301</p> <p style="text-align: right;">Cat. No.: HY-119039</p>
<p>R916562 is an orally active and selective Axl/VEGF-R2 inhibitor with IC_{50}s of 136 nM and 24 nM, respectively. R916562 has anti-angiogenesis and anti-metastasis.</p> <p style="text-align: center;"></p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>RU-301 is a pan-TAM receptor inhibitor, exerts pan-TAM inhibitory activity by binding at the interface between Gas6 and the Ig1 domain of the respective TAMs with K_d and IC_{50} values of 12 μM and 10 μM, respectively.</p> <p style="text-align: center;"></p> <p>Purity: 99.73% Clinical Data: Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

<p>RU-302</p> <p style="text-align: right;">Cat. No.: HY-124066</p>	<p>SGI-7079</p> <p style="text-align: right;">Cat. No.: HY-12964</p>
<p>RU-302 is a pan TAM inhibitor that blocks the interface between the TAM Ig1 ectodomain and the Gas6 Lg domain. RU-302 effectively blocks Gas6-inducible Axl receptor activation with a low micromolar IC₅₀ in cell assays, and suppresses lung cancer tumor growth.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>SGI-7079 is a potent and ATP-competitive Axl inhibitor, significantly inhibits the proliferation of SUM149 or KPL-4 cells with an IC₅₀ of 0.43 or 0.16 μM, respectively.</p> <p>Purity: 99.65%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>TAM-IN-2</p> <p style="text-align: right;">Cat. No.: HY-126216</p>	<p>UNC1062</p> <p style="text-align: right;">Cat. No.: HY-117548</p>
<p>TAM-IN-2 is a TAM inhibitor extracted from patent US 20170275290 A1, pyrrolotriazine compound 0904.</p> <p>Purity: 99.87%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>UNC1062 is a MERTK-selective tyrosine kinase inhibitor, reduces activation of MERTK-mediated downstream signaling, induces apoptosis in culture, reduces colony formation in soft agar, and inhibits invasion of melanoma cells.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>UNC2250</p> <p style="text-align: right;">Cat. No.: HY-15797</p>	<p>UNC2541</p> <p style="text-align: right;">Cat. No.: HY-125510</p>
<p>UNC2250 is a potent and selective Mer inhibitor with an IC₅₀ of 1.7 nM, about 160- and 60-fold selectivity over the closely related kinases Axl/Tyro3.</p> <p>Purity: 99.22%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>UNC2541 is a potent and Mer tyrosine kinase (MerTK)-specific inhibitor, binds in the MerTK ATP pocket, with an IC₅₀ of 4.4 nM, more selective over Axl, Tyro3 and Flt3. UNC2541 inhibits phosphorylated MerTK (pMerTK; EC₅₀ 510 nM).</p> <p>Purity: 99.71%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>UNC2881</p> <p style="text-align: right;">Cat. No.: HY-15798</p>	<p>UNC4203</p> <p style="text-align: right;">Cat. No.: HY-124502</p>
<p>UNC2881 is a potent and specific Mer kinase inhibitor; inhibits steady-state Mer kinase phosphorylation with an IC₅₀ value of 22 nM.</p> <p>Purity: 99.91%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg</p>	<p>UNC4203 is a potent, orally available and highly selective MERTK inhibitor, with IC₅₀s of 1.2 nM, 140 nM, 42 nM and 90 nM for MERTK, AXL, TYRO3 and FLT3, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>UNC5293</p> <p style="text-align: right;">Cat. No.: HY-132200</p>	<p>UNC569</p> <p style="text-align: right;">Cat. No.: HY-117596</p>
<p>UNC5293 is a MERTK-selective and potent inhibitor (K_i=190 pM). UNC5293 inhibits MERTK (IC₅₀=0.9 nM) and is more selective over Axl, Tyro3 and Flt3. UNC5293 exhibits excellent mouse PK properties and is used for bone marrow leukemia research.</p> <p>Purity: 99.31%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>UNC569 is a potent, reversible, ATP-competitive and orally active Mer kinase inhibitor with an IC₅₀ of 2.9 nM and a K_i of 4.3 nM. UNC569 also inhibits Axl and Tyro3 with IC₅₀s of 37 nM and 48 nM, respectively.</p> <p>Purity: 98.64%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg</p>

XL092

Cat. No.: HY-138696

XL092 is an orally active, ATP-competitive inhibitor of multiple receptor tyrosine kinases (RTKs) including MET, VEGFR2, AXL and MER, with IC_{50} s in cell-based assays of 15 nM, 1.6 nM, 3.4 nM, 7.2 nM respectively. XL092 exhibits anti-tumor activity.

**Purity:** 99.52%**Clinical Data:** Phase 1**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg