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Inhibitors, Screening Libraries, Proteins

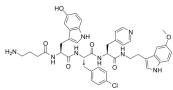
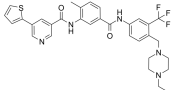
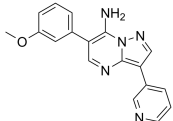
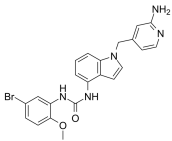
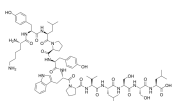
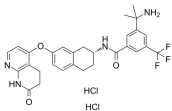
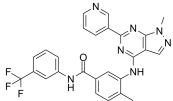
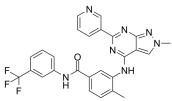
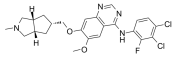
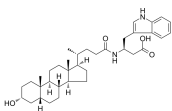
# Ephrin Receptor

The Eph receptor tyrosine kinase (RTK) family comprises the largest group of surface receptors and are categorized into EphA or EphB subclasses based on sequence homology and preferential binding to their ephrin-A and ephrin-B ligands, respectively.

In humans, nine EphA (EphA1-8,10) and five EphB (EphB1-4,6) receptors are expressed, along with five ephrin-A and three ephrin-B ligands. Unlike most RTKs, Eph receptors interact with ligands that are often membrane-bound, allowing both “forward signaling” in the receptor-bound cell and “reverse signaling” in the ephrin-bound cell. In addition to “forward signaling,” Eph receptors can signal in the absence of ligand binding and kinase activation through cross-talk with other RTKs, such as HER2.

Eph receptor tyrosine kinases and their ligands, the ephrins, play key roles in the regulation of migration and cell adhesion during development, thereby influencing cell fate, morphogenesis and organogenesis. By now, many Eph receptors and ephrins have also been found to play important roles in the progression of cancer. Therefore, the Eph/ephrin system is considered a promising therapeutic target.

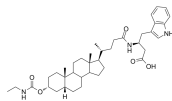
## Ephrin Receptor Inhibitors, Agonists & Antagonists

|   |   |
|---|---|
| <p><b>123C4</b></p> <p>Cat. No.: HY-P0177</p>   | <p><b>ALW-II-41-27</b><br/>(Eph receptor tyrosine kinase inhibitor)</p> <p>Cat. No.: HY-18007</p>   |
| <p>123C4 is a potent, selective and competitive agonist of the receptor tyrosine kinase <b>EPHA4</b>, with a <math>K_i</math> value of 0.65 <math>\mu</math>M.</p>  <p><b>Purity:</b> 99.05%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 1 mg, 5 mg, 10 mg</p>  | <p>ALW-II-41-27 is a <b>Eph</b> family tyrosine kinase inhibitor with an <math>IC_{50}</math> of 11 nM for Eph2.</p>  <p><b>Purity:</b> 99.70%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg</p>   |
| <p><b>Eph inhibitor 2</b></p> <p>Cat. No.: HY-131005</p>  | <p><b>JI-101</b></p> <p>Cat. No.: HY-16265</p>  |
| <p>Eph inhibitor 2 (Example 35) is a <b>Eph</b> family tyrosine kinase inhibitor.</p>  <p><b>Purity:</b> &gt;98%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 1 mg, 5 mg</p>   | <p>JI-101 is an orally available multi-kinase inhibitor of <b>VEGFR2</b>, <b>PDGFR<math>\beta</math></b> and <b>EphB4</b> with potent anti-cancer activity.</p>  <p><b>Purity:</b> 99.43%<br/><b>Clinical Data:</b> Phase 2<br/><b>Size:</b> 5 mg, 10 mg, 50 mg, 100 mg</p>  |
| <p><b>KYL peptide</b></p> <p>Cat. No.: HY-P2264</p>   | <p><b>ML786 dihydrochloride</b></p> <p>Cat. No.: HY-14979A</p>  |
| <p>KYL peptide, an antagonistic peptide, selectively targets <b>EphA4 receptor</b>. KYL peptide binds to the ligand-binding domain of EphA4, effectively alleviates A<math>\beta</math>-induced synaptic dysfunction and synaptic plasticity defects in AD mice.</p>  <p><b>Purity:</b> &gt;98%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 1 mg, 5 mg</p>             | <p>ML786 dihydrochloride is a potent and orally bioavailable <b>Raf</b> inhibitor, with <math>IC_{50}</math>s of 2.1, 4.2, and 2.5 nM for <b>V600E<math>\Delta</math>B-Raf</b>, <b>wt B-Raf</b>, and <b>C-Raf</b>, respectively. ML786 dihydrochloride also inhibits <b>Abi-1</b>, <b>DDR2</b>, <b>EPHA2</b>, <b>KDR</b>, and <b>RET</b> (<math>IC_{50}</math> = &lt;0.5, 7.0, 11, 6.2, 0.8 nM).</p>  <p><b>Purity:</b> &gt;98%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 1 mg, 5 mg</p> |
| <p><b>NVP-BHG712</b><br/>(BHG712)</p> <p>Cat. No.: HY-13258A</p>  | <p><b>NVP-BHG712 isomer</b></p> <p>Cat. No.: HY-13258</p>   |
| <p>NVP-BHG712 is an oral active <b>EphB4 kinase autophosphorylation</b> inhibitor, with <math>IC_{50}</math> values of 3.3 nM and 3.0 nM for EphA2 and EphB4, respectively.</p>  <p><b>Purity:</b> 99.78%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>  | <p>NVP-BHG712 isomer, a regioisomer of NVP-BHG712, shows conserved non-bonded binding to EPHA2 and EPB4.</p>  <p><b>Purity:</b> 99.46%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>   |
| <p><b>Tesevatinib</b><br/>(XL-647; EXEL-7647; KD-019)</p> <p>Cat. No.: HY-13314</p>   | <p><b>UniPR129</b></p> <p>Cat. No.: HY-123607</p>   |
| <p>Tesevatinib (XL-647; EXEL-7647; KD-019) is an orally available, multi-target tyrosine kinase inhibitor; inhibits <b>EGFR</b>, <b>ErbB2</b>, <b>KDR</b>, <b>Flt4</b> and <b>EphB4</b> kinase with <math>IC_{50}</math>s of 0.3, 16, 1.5, 8.7, and 1.4 nM.</p>  <p><b>Purity:</b> 99.21%<br/><b>Clinical Data:</b> Phase 3<br/><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg</p> | <p>UniPR129 is a potent <b>Eph/ephrin</b> antagonist. UniPR129 has the potential for the research of cancer disease.</p>  <p><b>Purity:</b> &gt;98%<br/><b>Clinical Data:</b> No Development Reported<br/><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>   |

## UniPR505

Cat. No.: HY-146375

UniPR505 (Compound 14) is an EphA2 antagonist with an  $IC_{50}$  of 0.95  $\mu$ M. UniPR505 displays anti-angiogenic properties.



**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg