TPX-0005

Cat. No.: HY-103022
CAS No.: 1802220-02-5
Molecular Formula: C₁₈H₁₈FN₅O₂
Molecular Weight: 355.37
Target: ALK; ROS; Trk Receptor
Pathway: Protein Tyrosine Kinase/RTK
Storage: Powder -20°C 3 years
4°C 2 years
In solvent -80°C 6 months
-20°C 1 month

Solvent & Solubility

In Vitro DMSO : ≥ 83.3 mg/mL (234.40 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.8140 mL</td>
<td>14.0698 mL</td>
<td>28.1397 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5628 mL</td>
<td>2.8140 mL</td>
<td>5.6279 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2814 mL</td>
<td>1.4070 mL</td>
<td>2.8140 mL</td>
<td></td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description TPX-0005 is a potent ALK/ROS1/TRK inhibitor, with IC₅₀ of 5.3 nM, 1.01 nM, 1.26 nM and 1.08 nM for SRC, WT ALK, ALK G1202R and ALK L1196M, respectively.

IC₅₀ & Target IC₅₀: 5.3 nM (SRC), 1.01 nM (WT ALK), 1.26 nM (ALK G1202R), 1.08 nM (ALK L1196M)[1]

In Vitro TPX-0005 effectively overcomes this primary resistance (IC₅₀ 100 nM in cell proliferation assay) with strong inhibition of the phosphorylation of EML4-ALK (IC₅₀ 13 nM) and the SRC substrate paxillin (IC₅₀ 107 nM). PX-0005 inhibits H2228 cell migration in a wound healing assay with similar activity to saracatinib[1].

In Vivo TPX-0005 effectively inhibits tumor growth in vivo in ALK WT and ALK G1202R xenografts[1].

REFERENCES

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