XL228

**Chemical Properties**

- **CAS No.**: 898280-07-4
- **Formula**: C22H31N9O
- **Molecular Weight**: 437.54
- **Appearance**: N/A
- **Storage**: 0-4°C for short term (days to weeks), or -20°C for long term (months).

**Biological Description**

**Description**: XL228 is an inhibitor of multi-targeted tyrosine kinase (IC50s: 5, 3.1, 1.6, 6.1, 2 nM for Bcr-Abl, Aurora A, IGF-1R, Src, and Lyn, respectively).

**Targets (IC50s)**

- Aurora A: 3.1 nM
- IGF-1R: 1.6 nM

**In vitro**

XL228 inhibits phosphorylation of BCR-ABL and its substrate STAT5 in K562 cells in vitro (IC50s: 33 and 43 nM, respectively). XL228 eliminates the phosphorylation of Aurora A and B at concentrations above 10 nM. XL228 displays a broad pattern of protein kinase inhibition, including the tyrosine kinases IGF1R, SRC, ABL, FGFR1-3, and ALK and the serine/threonine kinases Aurora A and Aurora B. Approximately 30% of the lines demonstrate XL228 IC50 values of <100nM in viability assays, including many lines with characterized ALK or FGFR mutations or amplifications [2][3].

**In vivo**

Single-dose pharmacodynamics studies demonstrate that XL228 on BCR-ABL signaling in K562 xenograft tumors has a potent effect. At XL228 plasma concentrations of 3.5 μM, phosphorylation of BCR-ABL is decreased by 50%; a similar decrease in phospho-STAT5 occurred at 0.8 μM plasma concentration [3].

**Solubility Information**

- **Solubility**: DMSO: 83.33 mg/mL (190.45 mM)
- **Preparation Stock Solutions**

<table>
<thead>
<tr>
<th>Solubility</th>
<th>Preparation</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.286 mL</td>
<td>11.428 mL</td>
<td>22.855 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
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<tr>
<td>10 mM</td>
<td>0.229 mL</td>
<td>1.143 mL</td>
<td>2.286 mL</td>
<td></td>
</tr>
<tr>
<td>50 mM</td>
<td>0.046 mL</td>
<td>0.229 mL</td>
<td>0.457 mL</td>
<td></td>
</tr>
</tbody>
</table>

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.
Reference


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