SKLB4771

Cat. No.: HY-12960
CAS No.: 1370256-78-2
Molecular Formula: C₂₅H₂₇N₇O₃S₂
Molecular Weight: 537.66
Target: FLT3
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 : ≥ 47 mg/mL (87.42 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.8599 mL</td>
<td>9.2996 mL</td>
<td>18.5991 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3720 mL</td>
<td>1.8599 mL</td>
<td>3.7198 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1860 mL</td>
<td>0.9300 mL</td>
<td>1.8599 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

SKLB4771 is a novel potent and selective Flt3 inhibitor with IC50 of 10 nM; against FLT3-ITD-expressing MV4-11 cells with IC50 of 6 nM. IC50 value: 10 nM (in vitro) [1]Target: in vitro: SKLB4771 inhibited FLT3 phosphorylation in a dose-dependent manner. Consistent with the downregulation of the phosphorylation of FLT3, the phosphorylation of the downstream signaling proteins STAT5 and ERK1/2 was also significantly inhibited at concentrations >0.1 μM.

SKLB4771 potently inhibited the growth of MV4-11 cells that express FLT3-ITD, with an IC50 value of 0.006 μM. It just exhibited very weak inhibitory activity against human T lymphoma Jurkat cells, human Burkitt’s lymphoma Ramos cells, human lung cancer PC-9 and H292 cells, and human epithelial carcinoma A431 cells (IC50: 3.05 μM, 6.25 μM, 3.72 μM, 6.94 μM, and 8.91 μM, respectively). For other leukemia and solid tumor cell lines, including K562, U937, Karpas299, HCC827, A549, H2228, H820, MDA-MB-231, BT474, MCF-7, HCT116, SW480, LoVo, HeLa, SKOV-3, SK, DU145, PC-3, A431, and SH-SY5Y [1].in vivo: Treatment with SKLB4771 at 100 mg/kg/d resulted in rapid and complete tumor regression in all mice of this group. SKLB4771 treatment at 20 mg/kg/d and 40 mg/kg/d significantly slowed down the tumor growth; the tumor inhibition rates are 66% and 84%, respectively. Moreover, during the whole experiment, no significant weight loss or any other obvious signs of toxicity were observed for all of the
SKLB4771 treated mice.

REFERENCES