XEN445

Cat. No.: HY-12246
CAS No.: 1515856-92-4
Molecular Formula: C₁₈H₁₇F₃N₂O₃
Molecular Weight: 366.33
Target: Others
Pathway: Others
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 : ≥ 40 mg/mL (109.19 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>2.7298 mL</td>
<td>13.6489 mL</td>
<td>27.2978 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5460 mL</td>
<td>2.7298 mL</td>
<td>5.4596 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2730 mL</td>
<td>1.3649 mL</td>
<td>2.7298 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
XEN445 is a potent and selective EL inhibitor (IC50 = 0.237 uM), that showed good ADME and PK properties, and demonstrated in vivo efficacy in raising plasma HDLc concentrations in mice. IC50 value: 0.237 uM [1]

Target: Endothelial lipase inhibitor

XEN445 can be readily prepared in good yield from commercial available chemicals, which was selected for further evaluation of this series of EL inhibitors. After a 30 min preincubation of EL-expressing HEK cells with XEN445, the IC50 value for XEN445 of 0.25 uM was obtained. This value was very similar to that determined in the cell-free assay. Wild-type mice were orally dosed with XEN445 at 30 mg/kg b.i.d. for 3 days and blood was taken on the morning of day 4, 16 h post final dose. At termination, the average plasma levels of XEN445 was 9.9 uM and the drug caused an 18% and 16% increase in total plasma cholesterol and HDLc, respectively.

REFERENCES

www.MedChemExpress.com