Topiroxostat

Cat. No.: HY-14874
CAS No.: 577778-58-6
Molecular Formula: C₁₃H₈N₆
Molecular Weight: 248.24
Target: Xanthine Oxidase
Pathway: Metabolic Enzyme/Protease
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: 23.5 mg/mL (94.67 mM; Need ultrasonic and warming)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>4.0284 mL</td>
<td>20.1418 mL</td>
<td>40.2836 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.8057 mL</td>
<td>4.0284 mL</td>
<td>8.0567 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.4028 mL</td>
<td>2.0142 mL</td>
<td>4.0284 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Topiroxostat (FYX-051) is a novel and potent xanthine oxidoreductase (XOR) inhibitor with IC50 value of 5.3 nM. IC50 value: 5.3 nM [1]. Target: xanthine oxidoreductase.

In vitro: Steady-state kinetics study showed that FYX-051 initially behaved as a competitive-type inhibitor with a K(i) value of 5.7 × 10(-9) M, then after a few minutes it formed a tight complex with XOR via a Mo-oxygen-carbon atom covalent linkage, as reported previously [3].

In vivo: FYX-051 exhibited a weak CYP3A4-inhibitory activity (18.6%); its Cmax and bioavailability were as high as 4.62 μg/mL (3 mg/kg) and 69.6%, respectively. Moreover, the t1/2 value of 39 was greater (19.7 h) than that of compound 2 (0.97 h) [1]. In the mechanistic study by 52-week oral treatment with topiroxostat at 3 mg/kg to F344 male rats, with and without citrate, simple and papillary transitional cell hyperplasias of the urinary bladder epithelium were observed in 5/17 in the topiroxostat-alone treatment group, along with xanthine-induced nephropathy, in contrast to neither xanthine crystals nor lesions in urinary organs by co-treatment group with citrate [2].

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**REFERENCES**

