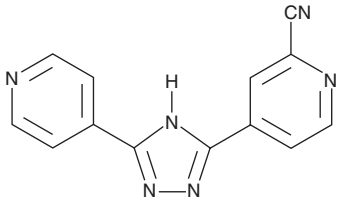
PRODUCT INFORMATION

Topiroxostat

Item No. 21267

CAS Registry No.:	577778-58-6
Formal Name:	4-[3-(4-pyridinyl)-1H-1,2,4-triazol-5-yl]-2-pyridinecarbonitrile
Synonym:	FYX-051
MF:	$C_{13}H_8N_6$
FW:	248.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 208, 272 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Topiroxostat is supplied as a crystalline solid. A stock solution may be made by dissolving the topiroxostat in the solvent of choice, which should be purged with an inert gas. Topiroxostat is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of topiroxostat in these solvents is approximately 10 and 20 mg/ml, respectively.

Topiroxostat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, topiroxostat should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Topiroxostat has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Topiroxostat is an inhibitor of xanthine oxidoreductase (IC_{50} = 5.3 nM).[1] It inhibits ATP-binding cassette transporter 2 (ABCG2) in isolated human plasma (IC_{50} = 0.18 µM).[2] Topiroxostat (5 µM) also increases LPS-induced decreases in B cell lymphoma 2 (Bcl-2) levels and decreases LPS-induced increases of Bax and cleaved caspase-3 levels in H9c2 rat cardiomyocytes.[3] Chronic administration of topiroxostat results in the presence of urinary xanthine crystals and induces nephropathy in rats when administered at doses of 0.2 and 1 mg/kg, respectively.[4] Formulations containing topiroxostat have been used in the treatment of gout and hyperuricemia.

References

1. Sato, T., Ashizawa, N., Matsumoto, K., *et al.* Discovery of 3-(2-cyano-4-pyridyl)-5-(4-pyridyl)-1,2,4-triazole, FYX-051 - a xanthine oxidoreductase inhibitor for the treatment of hyperuricemia. *Bioor. Med. Chem. Lett.* **19(21)**, 6225-6229 (2009).
2. Miyata, H., Takada, T., Toyoda, Y., *et al.* Identification of febuxostat as a new strong ABCG2 inhibitor: Potential applications and risks in clinical situations. *Front. Pharmacol.* **7(518)**, (2016).
3. Liu, J., Zhang, X., Lao, Y., *et al.* Protective effect of topiroxostat on myocardial injury induced by lipopolysaccharide. *J. Surg. Res.* **271**, 171-179 (2022).
4. Shimo, T., Ashizawa, N., Moto, M., *et al.* FYX-051, a xanthine oxidoreductase inhibitor, induces nephropathy in rats, but not in monkeys. *Toxicol. Pathol.* **37(4)**, 438-445 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM