

Topiroxostat

Catalog No: tcsc2033



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg



Specifications

CAS No:

577778-58-6

Formula:

$C_{13}H_8N_6$

Pathway:

Metabolic Enzyme/Protease

Target:

Xanthine Oxidase

Purity / Grade:

>98%

Solubility:

DMSO : 23.5 mg/mL (94.67 mM; Need ultrasonic and warming)

Alternative Names:

FYX-051

Observed Molecular Weight:

248.24

Product Description

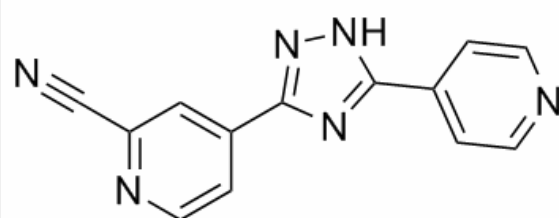
Topiroxostat(FYX-051) is a novel and potent xanthine oxidoreductase (XOR) inhibitor with IC50 value of 5.3 nM.

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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