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产品名称：Topiroxostat
产品别名：托匹司他； FYX-051

生物活性：

Description	Topiroxostat (FYX-051) is a potent and orally active xanthine oxidoreductase (XOR) inhibitor with an IC50 value of 5.3 nM and a Ki value of 5.7 nM. Topiroxostat exhibits weak CYP3A4-inhibitory activity (18.6%). Topiroxostat has the potential for hyperuricemia treatment[1][2].
IC_{50} & Target	IC50: 5.3 nM (XOR)[1] Ki: 5.7 nM (XOR)[2]
In Vitro	These potent and more sustained effects of Topiroxostat (FYX-051, compound 39) have been confirmed by a crystallographic analysis of XOR-Topiroxostat complex. The cyano group of Topiroxostat has been reported to play an important role in the binding activity between Topiroxostat and XOR. This is attributable to the formation of a hydrogen bond between Asn 768 of XOR and the cyano group of Topiroxostat[1].
In Vivo	Topiroxostat (FYX-051; 0.03-10 mg/kg; oral administration; for 1 hour; male Wistar/ST strain rats) treatment shows a potent and long-lasting hypouricemic effect in a rat model of potassium oxonate-induced hyperuricemia[2] . The C_{max} and bioavailability of Topiroxostat (FYX-051, compound 39) are as high as 4.62 μg/mL (3 mg/kg) and 69.6%, respectively. Moreover, the $t_{1/2}$ value of Topiroxostat is 19.7 hours[1].

Animal Model:	Male Wistar/ST strain rats (7 weeks old) injected with potassium oxonate[2]
Dosage:	0.03 mg/kg, 0.1 mg/kg, 0.3 mg/kg, 1 mg/kg, 3 mg/kg, 10 mg/kg
Administration:	Oral administration; for 1 hour
Result:	Caused a dose-dependent decrease in serum urate levels with an extremely low ED_{50} of 0.15 mg/kg, evaluated at 1 h after oral administration.

Solvent&Solubility

In Vitro:

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

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0284 mL	20.1418 mL	40.2836 mL
	5 mM	0.8057 mL	4.0284 mL	8.0567 mL
	10 mM	0.4028 mL	2.0142 mL	4.0284 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Sato T, 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 [corrected]. Bioorg Med Chem Lett. 2009 Nov 1;19(21):6225-9.

[2]. Matsumoto K, et al. FYX-051: a novel and potent hybrid-type inhibitor of xanthine oxidoreductase. J Pharmacol Exp Ther. 2011 Jan;336(1):95-103.