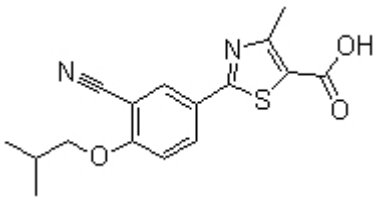
Product Introduction

Febuxostat

Febuxostat is selective **xanthine oxidase** inhibitor with **Ki** of 0.6 nM.

Technical Data:

Molecular Weight (MW):	316.37	
Formula:	C16H16N2O3S	
Solubility (25°C) *** <1 mg/ml means slightly soluble or insoluble:**	DMSO 63 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	144060-53-7	

Biological Activity

Febuxostat displays potent mixed-type inhibition of the activity of purified bovine milk xanthine oxidase, with Ki and Ki' values of 0.6 nM and 3.1 nM respectively, indicating inhibition of both the oxidized and reduced forms of xanthine oxidase. [1]

Febuxostat (5–6 mg/kg/day) combined with fructose significantly lowers blood pressure, UA, triglycerides, and insulin in rats compared with fructose alone. Febuxostat (5–6 mg/kg/day) combined with fructose also reduces glomerular pressure, renal vasoconstriction, and afferent arteriolar area in rats compared with fructose alone. [2] Febuxostat prevents hyperuricemia in 5/6 nephrectomy (5/6 Nx)+oxonic acid (OA)+Febuxostat(Fx) rats and ameliorates proteinuria, preserves renal function and prevents glomerular

hypertension in both 5/6 nephrectomy (5/6 Nx)+vehicle (V)+Febuxostat(Fx) and 5/6 nephrectomy (5/6 Nx)+oxonic acid (OA)+Febuxostat(Fx) groups. [3] Febuxostat (5 mg/kg/d by gavage for 8 days) treatment after transverse aortic constriction (TAC) attenuates the TAC-induced left ventricular (LV) hypertrophy and dysfunction. Febuxostat blunts the TAC-induced increases in nitrotyrosine (indicating reduced myocardial oxidative stress), p-Erk(Thr202/Tyr204), and p-mTOR(Ser2488), with no effect on total Erk or total mTOR. [4] Febuxostat significantly suppresses oxonic acid activity, and thereby reduces oxidative stress in Sprague-Dawley rats with right nephrectomy and left renal I/R injury, as assessed by nitrotyrosine, thiobarbituric acid-reactive substances (TBARS) and urine 8-isoprostane. Febuxostat also reduces the induction of endoplasmic reticulum (ER) stress in Sprague-Dawley rats with right nephrectomy and left renal I/R injury, as assessed by GRP-78, ATF4, and CHOP. [5]

References

[1] Takano Y, et al. Life Sci, 2005, 76(16), 1835-1847.
[2] Sánchez-Lozada LG, et al. Am J Physiol Renal Physiol, 2008, 294(4), F710-F718.
[3] Sánchez-Lozada LG, et al. Nephron Physiol, 2008, 108(4), p69-p78.
[4] Xu X, et al. Card Fail, 2008, 14(9), 746-753.
[5] Tsuda H, et al. Biochem Biophys Res Commun, 2012, 427(2), 266-272.

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