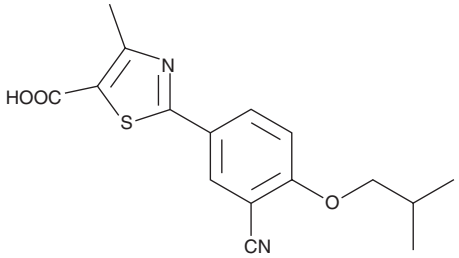
PRODUCT INFORMATION

Febuxostat

Item No. 14127

CAS Registry No.:	144060-53-7
Formal Name:	2-[3-cyano-4-(2-methylpropoxy)phenyl]-4-methyl-5-thiazolecarboxylic acid
Synonyms:	FBX, TEI 6720, TMX 67
MF:	$C_{16}H_{16}N_2O_3S$
FW:	316.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 217, 317 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

Febuxostat is supplied as a crystalline solid. A stock solution may be made by dissolving the febuxostat in the solvent of choice, which should be purged with an inert gas. Febuxostat is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of febuxostat in these solvents is approximately 5, 10, and 30 mg/ml, respectively.

Febuxostat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, febuxostat should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Febuxostat has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Febuxostat is an antihyperuricemic nonpurine inhibitor of both the oxidized and reduced forms of xanthine oxidase.[1] It inhibits bovine milk xanthine oxidase as well as mouse and rat liver xanthine oxidase/xanthine dehydrogenase (IC_{50}s = 1.4, 1.8, and 2.2 nM, respectively).2 It is 10-30 times more potent than the hypoxanthine analog allopurinol (Item No. 10012597; K_is = 0.7 nM and 0.7 µM, respectively).[3,4] Febuxostat decreases the serum level of urate in a potassium oxonate rat model of hyperuricemia (ED_{50} = 1.5 mg/kg).[2] It reduces hepatic macrovesicular steatosis in mice fed a high-fat diet containing trans fatty acids when administered at a dose of 1 mg/kg per day.[5] Febuxostat (0.75 mg/kg) also increases CNS expression of glutamate oxaloacetate transaminase 2 (GOT2) and improves neurological symptoms in a mouse model of secondary progressive experimental autoimmune encephalomyelitis (EAE).[6] Formulations containing febuxostat have been used in the treatment of symptomatic hyperuricemia in patients with gout.

References

1. Okamoto, K., Eger, B.T., Nishino, T., *et al. J. Biol. Chem.* **278(3)**, 1848-1855 (2003).
2. Osada, Y., Tsuchimoto, M., Fukushima, H., *et al. Eur. J. Pharmacol.* **241(2-3)**, 183-188 (1993).
3. Takano, Y., Hase-Aoki, K., Horiuchi, H., *et al. Life Sci.* **76(16)**, 1835-1847 (2005).
4. Bisht, M. and Bist, S.S. *Indian J. Pharm. Sci.* **73(6)**, 597-600 (2011).
5. Nakatsu, Y., Seno, Y., Kushiyama, A., *et al. Am. J. Physiol. Gastrointest. Liver Physiol.* **309(1)**, G42-G51 (2015).
6. Honorat, J.A., Nakatsuji, Y., Shimizu, M., *et al. PLoS One* **12(11)**, e0187215 (2017).

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