## Chemical Properties

### Product Name:
Febuxostat

### Cas No.:
144060-53-7

### M.Wt:
316.37

### Formula:
C\text{16}H\text{16}N\text{2}O\text{3}S

### Chemical Name:
2-\[3\text{-cyano-4-(2-methylpropoxy)phenyl]-4-methyl-1,3-thiazole-5-carboxylic acid\}

### Canonical SMILES:
CC1=C(SC(=N1)C2=CC(=C(C=C2)OCC(C)C#N)C(=O)O

### Solubility:
\(\geq\) 15.55mg/mL in DMSO

### Storage:
Store at -20°C

### General tips:
For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

### Shopping Condition:
Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

## Biological Activity

### Targets:
Others

### Pathways:
XAO

### Description:

IC50: Febuxostat displayed potent mixed-type inhibition of the activity of xanthine oxidase (XO), with Ki value of 0.6 nM [1]. Febuxostat was also reported to be 1000-fold (IC50=1.8 nM) more potent than allopurinol (IC50=2.9 μM) at inhibiting XO-dependent uric acid formation [2].

Xanthine oxidase is a critical source of reactive oxygen species which contribute to vascular inflammation. Febuxostat is a non-purine selective inhibitor of xanthine oxidase. It works by
non-competitively blocking the molybdenum pterin center which is the active site on xanthine oxidase. Xanthine oxidase is needed to successively oxidize both hypoxanthine and xanthine to uric acid. Hence, febuxostat inhibits xanthine oxidase, therefore reducing production of uric acid. Febuxostat inhibits both oxidized as well as reduced form of xanthine oxidase because of which febuxostat cannot be easily displaced from the molybdenum pterin site. In vitro: In a previous study, the authors investigated the effects of febuxostat on several enzymes in purine and pyrimidine metabolism and characterized the mechanism of febuxostat inhibition of XO activity. Results showed that Febuxostat displayed potent mixed-type inhibition of the activity of purified bovine milk XO, indicating inhibition of both the oxidized and reduced forms of XO. These results demonstrate that febuxostat is a potent non-purine, selective inhibitor of XO, and could be useful for the treatment of hyperuricemia and gout. [1]. In vivo: A study evaluated whether febuxostat (Fx) could alleviate the features of metabolic syndrome as well as the renal hemodynamic alterations and afferent arteriolopathy induced by a high-fructose diet in rats. Compared with fructose, fructose+Fx rats showed significantly lowered blood pressure, UA, triglycerides, and insulin. Moreover, fructose+Fx rats had significantly reduced glomerular pressure, renal vasoconstriction, and afferent arteriolar area relative to fructose rats. These results provide further evidence for a pathogenic role of hyperuricemia in fructose-mediated metabolic syndrome [3]. Clinical trial: Febuxostat (INN; trade names Adenuric in Europe and New Zealand and Uloric in the US) is drug that is indicated for use in the treatment of chronic gout and hyperuricemia. It acts as an inhibitor of xanthine oxidase, thus lowering urate concentrations in the body. Febuxostat received marketing approval by the European Medicines Agency for Menarini on April 21, 2008 and was approved by the U.S. Food and Drug Administration for Takeda on February 16, 2009. 

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that
required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.