

Product Data Sheet

Product Name: Tolrestat
Cat. No.: GC37812

Chemical Properties

Cas. No. 82964-04-3

SMILES O=C(O)CN(C(C1=C2C=CC(OC)=C(C(F)(F)F)C2=CC=C1)=S)C

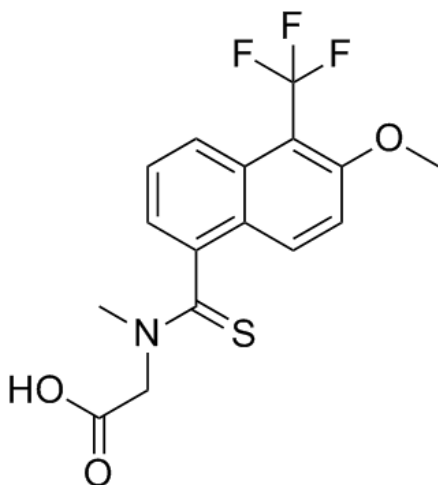
Formula $C_{16}H_{14}F_3NO_3S$ M.Wt 357.35

Solubility DMSO: ≥ 100 mg/mL (279.84 mM) Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

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

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: For a period of four days, rats weighing about 70 g are given unlimited access to water and Chow supplemented with 20% (wt/wt) galactose and tolrestat at various dose levels. Rats used as control receive chow containing galactose (20%, wt/wt) or glucose (20%, wt/wt). The rats are killed; the lenses and sciatic nerves are removed and homogenized in 5% trichloroacetic acid; the deproteinized extracts are then analyzed for galactitol by a modification of a method for glycerol determination. The values obtained in the group fed 20% glucose are used for background correction.

References:

- [1]. Sestanj K, et al. N-[5-(trifluoromethyl)-6-methoxy-1-naphthalenyl]thioxomethyl]- N-methylglycine (Tolrestat), a potent, orally active aldose reductase inhibitor. J Med Chem. 1984 Mar;27(3):255-6.
- [2]. Simard-Duquesne N, et al. The effects of a new aldose reductase inhibitor (tolrestat) in galactosemic and diabetic rats. Metabolism. 1985 Oct;34(10):885-92.
- [3]. Srivastava S, et al. Aldose reductase protects against early atherosclerotic lesion formation in apolipoprotein E-null mice. Circ Res. 2009 Oct 9;105(8):793-802.

Background

Tolrestat is a potent, orally active aldose reductase inhibitor with IC₅₀ of 35 nM. IC₅₀: 35 nM (Aldose Reductase)

Tolrestat (1.8 mg/kg per day) causes a reversal to normal RBC sorbitol levels diabetic rats[1]. In 21-day diabetic rats, the estimated ID in the sciatic nerve and lenses is 4.8 and about 20 for tolrestat, and 1.7 and 2.2 for (±)sorbitol, respectively[2]. Either tolrestat or sorbinil inhibits tissue AR activity but does not significantly affect plasma lipoprotein levels, or affect the body weight of the mice or their general health. Accumulation of cholesterol-rich foam cells is significantly increased in aortic roots of tolrestat-fed mice[3].

- [1]. Sestanj K, et al. N-[5-(trifluoromethyl)-6-methoxy-1-naphthalenyl]thioxomethyl]- N-methylglycine (Tolrestat), a potent, orally active aldose reductase inhibitor. J Med Chem. 1984 Mar;27(3):255-6. [2]. Simard-Duquesne N, et al. The effects of a new aldose reductase inhibitor (tolrestat) in galactosemic and diabetic rats. Metabolism. 1985 Oct;34(10):885-92. [3]. Srivastava S, et al. Aldose reductase

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