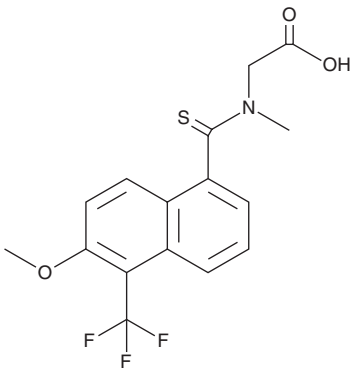
PRODUCT INFORMATION

Tolrestat

Item No. 29693

CAS Registry No.:	82964-04-3
Formal Name:	N-[[6-methoxy-5-(trifluoromethyl)-1-naphthalenyl] thioxomethyl]-N-methyl-glycine
Synonym:	AY 27773
MF:	$C_{16}H_{14}F_3NO_3S$
FW:	357.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 227 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

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

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of tolrestat can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of tolrestat in PBS (pH 7.2) is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Tolrestat is an aldose reductase inhibitor (IC_{50} = 35 nM for the bovine lens enzyme).[1] Dietary administration of tolrestat decreases sciatic nerve galactitol accumulation in a rat model of galactosemia (ED_{50} = 7.3 mg/kg per day) and sciatic nerve sorbitol accumulation (ED_{50} = 4.8 mg/kg per day) in a rat model of diabetes induced by streptozotocin (STZ; Item No. 13104). It also decreases urinary total protein exretion in a rat model of STZ-induced diabetes when administered at a dose of 25 mg/kg per day.[2] Topical administration of tolrestat (2 and 3% in 10 µl four times per day) decreases levels of galactitol in the lens of and inhibits cataract formation in rats fed a high-galactose diet.[3]

References

1. Sestanj, K., Bellini, F., Fung, S., *et al.* N-[5-(trifluoromethyl)-6-methoxy-1-naphthalenyl]thioxomethyl]-N-methylglycine (Tolrestat), a potent, orally active aldose reductase inhibitor. *J. Med. Chem.* **27(3)**, 255-256 (1984).
2. McCaleb, M.L., McKean, M.L., Hohman, T.C., *et al.* Intervention with the aldose reductase inhibitor, tolrestat, in renal and retinal lesions of streptozotocin-diabetic rats. *Diabetologia* **34(10)**, 695-701 (1991).
3. Banditelli, S., Boldrini, E., Vilardo, P.G., *et al.* A new approach against sugar cataract through aldose reductase inhibitors. *Exp. Eye Res.* **69(5)**, 533-538 (1999).

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