

Product Specification Sheet

Product Name: Tolrestat

Catalog Number: C8657

Technical information:

Chemical Formula: C₁₆H₁₄F₃NO₃S

CAS #: 82964-04-3

Molecular Weight: 357.35

Purity: > 98%

Appearance: white solid

Solubility: Soluble in DMSO up to 22 mM

Chemical Name: 2-(6-methoxy-N-methyl-5-(trifluoromethyl)naphthalene-1-carbothioamido)acetic acid

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.28mL of DMSO for each mg of Tolrestat.

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity: Tolrestat is a thioamide-based inhibitor of aldose reductase with an IC50 activity of 35 nM. (1)

Along with other similar therapies, Tolrestat has implications in the treatment of diabetic complications, such as diabetic neuropathy, in a manner independent of insulin-related control of

Tolrestat

blood glucose levels. (2)

In rat diabetes models, Tolrestat reduces sorbitol concentrations in the sciatic nerve and eye lens, as well as prevents diabetic retinopathy, cateracts, thickening of the retinal basement membrane,

and nerve dysfunction. Additionally, Tolrestat reduces urinary albumin excretion. (3)

Reference: 1. Sestanj et al., N-[[5-(Trifluoromethyl)-6-methoxy-1-naphthalenyl]thioxomethyl]-N-methylglycine (Tolrestat), a Potent, Orally Active Aldose Reductase Inhibitor. J. Med. Chem. 1984, 27, 255-256. Pubmed ID: 6422042

2. Kador et al., Aldose Reductase Inhibitors: A Potential New Class of Agents for the Pharmacological Control of Certain Diabetic Complications. J. Med. Chem. 1985, 28(7), 841-849. Pubmed ID:

3. Fruncillo et al., Pharmacokinetics of the aldose reductase inhibitor tolrestat: Studies in healthy young and elderly male and female subjects and in subjects with diabetes. Clin. Pharmcol. Ther. 1996, 59(6), 602-613.

Pubmed ID: 8681485

To reorder: http://www.cellagentech.com/Tolrestat/

For Technical Support: <u>technical@cellagentech.com</u>

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