

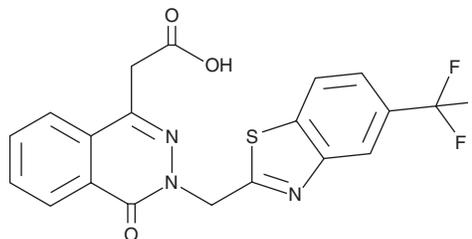
PRODUCT INFORMATION



Zopolrestat

Item No. 29185

CAS Registry No.: 110703-94-1
Formal Name: 3,4-dihydro-4-oxo-3-[[5-(trifluoromethyl)-2-benzothiazolyl]methyl]-1-phthalazineacetic acid
MF: C₁₉H₁₂F₃N₃O₃S
FW: 419.4
Purity: ≥98%
UV/Vis.: λ_{max}: 221 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

Zopolrestat is supplied as a crystalline solid. A stock solution may be made by dissolving the zopolrestat in the solvent of choice, which should be purged with an inert gas. Zopolrestat is soluble in the organic solvent DMSO at a concentration of approximately 20 mg/ml.

Description

Zopolrestat is a potent inhibitor of aldose reductase (IC₅₀s = 1.9 and 41 nM for the human placenta and rat lens enzymes, respectively).¹ It inhibits sorbitol accumulation in human and rat erythrocytes (IC₅₀s = 370 and 220 nM, respectively). Zopolrestat (50 μM) inhibits ethanol-induced aldose reductase activity and lipid accumulation in HepG2 cells.² *In vivo*, zopolrestat (50 mg/kg) reduces sciatic nerve, retina, and lens accumulation of sorbitol in a rat model of diabetes induced by streptozotocin (STZ; Item No. 13104).¹ It also reduces protein excretion and maintains lens transparency and *myo*-inositol content, markers of cataract development, in rats with STZ-induced diabetes when administered at a dose of 100 mg/kg.³ Zopolrestat also inhibits glyoxalase I (GLOI; K_i = 18 μM).⁴

References

1. Mylari, B.L., Larson, E.R., Beyer, T.A., *et al.* Novel, potent aldose reductase inhibitors: 3,4-Dihydro-4-oxo-3-[[5-(trifluoromethyl)-2-benzothiazolyl] methyl]-1-phthalazineacetic acid (zopolrestat) and congeners. *J. Med. Chem.* **34**(1), 108-122 (1991).
2. Qiu, L., Cai, C., Zhao, X., *et al.* Inhibition of aldose reductase ameliorates ethanol induced steatosis in HepG2 cells. *Mol. Med. Rep.* **15**(5), 2732-2736 (2017).
3. Beyer-Mears, A., Mistry, K., Diecke, F.P.J., *et al.* Zopolrestat prevention of proteinuria, albuminuria and cataractogenesis in diabetes mellitus. *Pharmacology* **52**(5), 292-302 (1996).
4. Zhai, J., Zhang, H., Zhang, L., *et al.* Zopolrestat as a human glyoxalase I inhibitor and its structural basis. *ChemMedChem* **8**(9), 1462-1464 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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