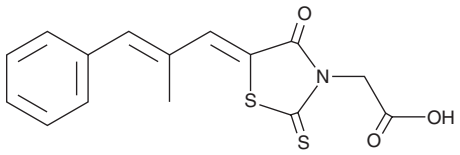
PRODUCT INFORMATION

Epalrestat

Item No. 15214

CAS Registry No.: 82159-09-9
Formal Name: 5Z-[(2E)-2-methyl-3-phenyl-2-propen-1-ylidene]-4-oxo-2-thioxo-3-thiazolidineacetic acid
Synonym: ONO-2235
MF: $C_{15}H_{13}NO_3S_2$
FW: 319.4
Purity: ≥98%
UV/Vis.: λ_{max}: 237, 292, 390 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

Epalrestat is supplied as a crystalline solid. A stock solution may be made by dissolving the epalrestat in the solvent of choice, which should be purged with an inert gas. Epalrestat is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of epalrestat in these solvents is approximately 2 and 10 mg/ml, respectively.

Epalrestat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, epalrestat should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Epalrestat has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Epalrestat is an inhibitor of aldose reductase (IC_{50}s = 0.01 and 0.26 µM for rat lens and human placenta aldose reductase, respectively).[1] It inhibits glucose-induced sorbitol accumulation in isolated rat lens, rat sciatic nerve, and human erythrocytes (IC_{50}s = 1.5, 5, and 1.5 µM, respectively). It decreases high glucose-induced proliferation of vascular smooth muscle cells when used at a concentration of 10 nM and prevents high glucose-induced intracellular NADH/NAD^+ increases and membrane-bound PKC activation at 100 nM.[2,3] Epalrestat (20 and 40 mg/kg) improves motor nerve conduction velocity and decreases sorbitol content in the sciatic nerve and erythrocytes in a rat model of streptozotocin-induced diabetic neuropathy.[4] It also prevents capillary strand formation in a rat model of diabetes-induced retinal microangiopathy when administered at a dose of 50 mg/kg.[5]

References

1. Terashima, H., Hama, K., Yamamoto, R., *et al. J. Pharmacol. Exp. Ther.* **229(1)**, 226-230 (1984).
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3. Yasunari, K., Kohno, M., Kano, H., *et al. Arterioscler. Thromb. Vasc. Biol.* **15(12)**, 2207-2212 (1995).
4. Kikkawa, R., Hatanaka, I., Yasuda, H., *et al. Diabetologia* **24(4)**, 290-292 (1983).
5. Kojima, K., Matsubara, H., Mizuno, K., *et al. Nippon Ganka Gakkai Zasshi* **89(2)**, 247-256 (1985).

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