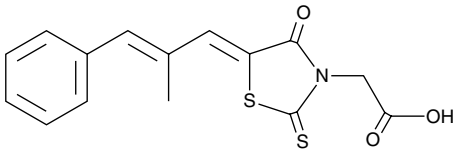
Product Information

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
Synonyms: Kinedak, ONO-2235, Sorbistat
MF: $C_{15}H_{13}NO_3S_2$
FW: 319.4
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 237, 292, 390 nm



Laboratory Procedures

For long term storage, we suggest that epalrestat be stored as supplied at -20°C. It should be stable for at least two years.

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

Aldose reductase, the first enzyme of the polyol pathway, converts glucose to sorbitol in the presence of NADPH. Increased aldose reductase expression, induced by hyperglycemia, has been associated with complications of diabetes, as it can create a metabolic imbalance in tissues dependent on insulin for the uptake of glucose. Epalrestat is a carboxylic acid-based inhibitor of aldose reductase (IC_{50} = 0.01-15 µM).[1] At 10 nM, it can suppress high glucose-induced proliferation of vascular smooth muscle cells and at 100 nM it can prevent high glucose-induced intracellular NADH/NAD^+ increase and membrane-bound protein kinase C activation.[2-3] Epalrestat has been shown to reduce oxidative stress in type 2 diabetic patients, significantly decreasing lipid hydroperoxide levels in erythrocytes when administered at 150 mg/day.[4]

References

1. Miyamoto, S. Molecular modeling and structure-based drug discovery studies of aldose reductase inhibitors. *Chem-Bio Informatics Journal* **2(3)**, 74-85 (2002).
2. Yasunari, K., Kohno, M., Kano, H., *et al.* Aldose reductase inhibitor improves insulin-mediated glucose uptake and prevents migration of human coronary artery smooth muscle cells induced by high glucose. *Hypertension* **35(5)**, 1092-1098 (2000).
3. Yasunari, K., Kohno, M., Kano, H., et al. Aldose reductase inhibitor prevents hyperproliferation and hypertrophy of cultured rat vascular smooth muscle cells induced by high glucose. *Arterioscler. Thromb. Vasc. Biol.* **15(12)**, 2207-2212 (1995).
4. Ohmura, C., Watada, H., Azuma, K., *et al.* Aldose reductase inhibitor, epalrestat, reduces lipid hydroperoxides in type 2 diabetes. *Endocr. J.* **56(1)**, 149-156 (2009).

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