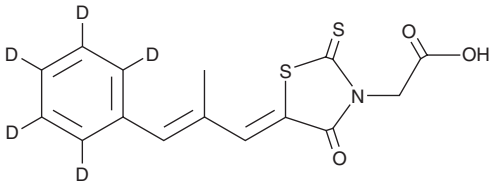
PRODUCT INFORMATION

Epalrestat-d_5

Item No. 29993

Formal Name:	5Z-[(2E)-2-methyl-3-phenyl-d_5-2-propen-1-ylidene]-4-oxo-2-thioxo-3-thiazolidineacetic acid
MF:	$C_{15}H_8D_5NO_3S_2$
FW:	324.4
Chemical Purity:	≥98% (Epalrestat)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as:	A 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-d_5 is intended for use as an internal standard for the quantification of epalrestat (Item No. 15214) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Epalrestat-d_5 is supplied as a solid. A stock solution may be made by dissolving the epalrestat-d_5 in the solvent of choice, which should be purged with an inert gas. Epalrestat-d_5 is slightly soluble in DMSO and methanol.

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).
2. Yasunari, K., Kohno, M., Kano, H., *et al. Hypertension* **35(5)**, 1092-1098 (2000).
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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM