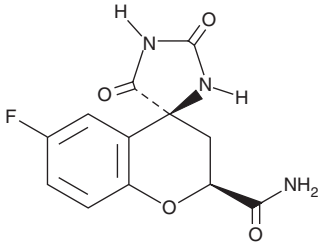
PRODUCT INFORMATION

Fidarestat

Item No. 21756

CAS Registry No.:	136087-85-9
Formal Name:	(2S,4S)-6-fluoro-2,3-dihydro-2',5'-dioxo-spiro[4H-1-benzopyran-4,4'-imidazolidine]-2-carboxamide
MF:	$C_{12}H_{10}FN_3O_4$
FW:	279.2
Purity:	≥95%
UV/Vis.:	λ_{max}: 204, 283 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

Fidarestat is supplied as a crystalline solid. A stock solution may be made by dissolving the fidarestat in the solvent of choice, which should be purged with an inert gas. Fidarestat is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of fidarestat in these solvents is approximately 1, 5, and 3 mg/ml, respectively.

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

Description

Fidarestat is an aldose reductase inhibitor (IC_{50} = 0.026 µM using human recombinant enzyme) that also inhibits aldo-keto reductase family 1 member B10 (AKR1B10; IC_{50} = 33 µM using human recombinant enzyme).[1] It decreases sorbitol and *myo*-inositol levels in the sciatic nerve of rats with diabetes induced by streptozotocin (STZ; Item No. 13104) when administered at a dose of 2 mg/kg per day.[2] Fidarestat (2 mg/kg per day) decreases nerve fiber abnormalities and reverses slowing of motor nerve conduction velocity (MNCV) in an STZ-induced diabetic rat model of peripheral neuropathy. It decreases the pain threshold in STZ-induced diabetic mice that overexpress human aldose reductase when administered at 4 mg/kg per day.[3] Fidarestat (50 mg/kg per day) decreases metastasis in a KM20 human colorectal cancer mouse xenograft model.[4]

References

1. Ruiz, F.X., Cousido-Siah, A., Mitschler, A., *et al. Chem. Biol. Interact.* **202(1-3)**, 178-185 (2013).
2. Kato, N., Mizuno, K., Matsubara, A., *et al. J. Diabetes Complications* **8(1)**, 27-32 (1994).
3. Uehara, K., Yamagishi, S., Otsuki, S., *et al. Diabetes* **53(12)**, 3239-3247 (2004).
4. Tammali, R., Reddy, A.B., Saxena, A., *et al. Carcinogenesis* **32(8)**, 1259-1267 (2011).

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