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Produktinformation



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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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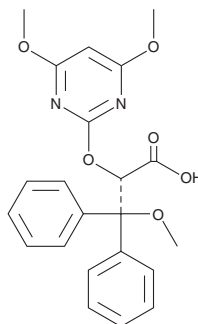
PRODUCT INFORMATION



Darusentan

Item No. 36034

CAS Registry No.: 171714-84-4
Formal Name: α S-[[4,6-dimethoxy-2-pyrimidinyl)oxy]- β -methoxy- β -phenyl-benzenepropanoic acid
Synonyms: HMR 4005, Lu 135252
MF: C₂₂H₂₂N₂O₆
FW: 410.4
Purity: \geq 98%
Supplied as: A solid
Storage: -20°C
Stability: \geq 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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

Description

Darusentan is an endothelin type A (ET_A) receptor antagonist (K_i = 13 nM in rat aortic vascular smooth muscle cells).¹ It inhibits contractions induced by human endothelin-1 (ET-1; Item No. 24127) in isolated rat aortic rings and mesenteric microvessels with pA₂ values of 8.1 and 7.97, respectively. Darusentan (50 mg/kg) decreases systolic blood pressure in DOCA-salt hypertensive rats, as well as reduces mean arterial pressure (MAP) and left ventricular developed pressure (LVDP) in normotensive pigs when administered at doses of 1 and 5 mg/kg.^{2,3} It reduces myocardial infarct size as a percentage of the area at risk in a porcine model of ischemia-reperfusion injury induced by ligation of the left anterior descending (LAD) coronary artery.³

References

1. Liang, F., Glascock, C.B., Schafer, D.L., *et al.* Darusentan is a potent inhibitor of endothelin signaling and function in both large and small arteries. *Can. J. Physiol. Pharmacol.* **88(8)**, 840-849 (2010).
2. Schiffrin, E.L., Turgeon, A., and Deng, L.Y. Effect of chronic ETA-selective endothelin receptor antagonism on blood pressure in experimental and genetic hypertension in rats. *Br. J. Pharmacol.* **121(5)**, 935-940 (1997).
3. Gonon, A.T., Wang, Q.D., Shimizu, M., *et al.* The novel non-peptide selective endothelin A receptor antagonist LU 135 252 protects against myocardial ischaemic and reperfusion injury in the pig. *Acta Physiol. Scand.* **163(2)**, 131-137 (1998).

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.

WARRANTY AND LIMITATION OF REMEDY

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