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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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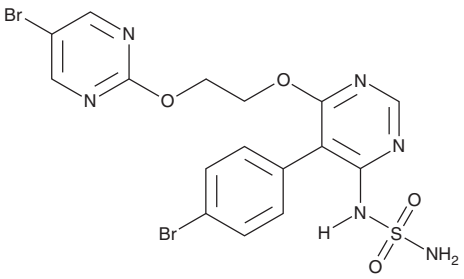
PRODUCT INFORMATION

Aprocitentan

Item No. 34915

CAS Registry No.:	1103522-45-7
Formal Name:	N-[5-(4-bromophenyl)-6-[2-[(5-bromo-2-pyrimidinyl)oxy]ethoxy]-4-pyrimidinyl]-sulfamide
Synonym:	ACT-132577
MF:	$C_{16}H_{14}Br_2N_6O_4S$
FW:	546.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 259 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Aprocitentan is supplied as a solid. A stock solution may be made by dissolving the aprocitentan in the solvent of choice, which should be purged with an inert gas. Aprocitentan is soluble in DMSO.

Description

Aprocitentan is a dual endothelin type A (ET_A) and ET_B receptor antagonist and an active metabolite of macitentan (Item No. 23304).[1] It is formed from macitentan by the cytochrome P450 (CYP) isoform CYP3A4. Aprocitentan inhibits increases in intracellular calcium induced by endothelin-1 (ET-1) in primary human pulmonary arterial smooth muscle cells (HPASMCs; IC_{50} = 14 nM), ET-1-induced contractions in isolated rat aortic rings (pA_2 = 6.7 for ETA), and sarafotoxin S6c-induced contractions of isolated rat tracheal rings (pK_B = 5.5 for ETB).[2] It reduces ET-1-induced increases in the proliferation of isolated human skin fibroblasts when used at concentrations of 10 and 100 µM.[3] Aprocitentan (10-300 mg/kg) increases plasma ET-1 concentrations in normotensive rats and decreases mean arterial pressure (MAP) in DOCA-salt hypertensive rats.[4]

References

1. Dingemanse, J., Sidharta, P.N., Maddrey, W.C., *et al*. Efficacy, safety and clinical pharmacology of macitentan in comparison to other endothelin receptor antagonists in the treatment of pulmonary arterial hypertension. *Expert Opin. Drug Saf.* **13(3)**, 391-405 (2013).
2. Iglarz, M., Binkert, C., Morrison, K., *et al*. Pharmacology of macitentan, an orally active tissue-targeting dual endothelin receptor antagonist. *J. Pharmacol. Exp. Ther.* **327(3)**, 736-745 (2008).
3. Cutolo, M., Montagna, P., Brizzolara, R., *et al*. Effects of macitentan and its active metabolite on cultured human systemic sclerosis and control skin fibroblasts. *J. Rheumatol.* **42(3)**, 456-463 (2015).
4. Trensz, F., Bortolamiol, C., Kramberg, M., *et al*. Pharmacological characterization of aprocitentan, a dual endothelin receptor antagonist, alone and in combination with blockers of the renin angiotensin system, in two models of experimental hypertension. *J. Pharmacol. Exp. Ther.* **368(3)**, 462-476 (2019).

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