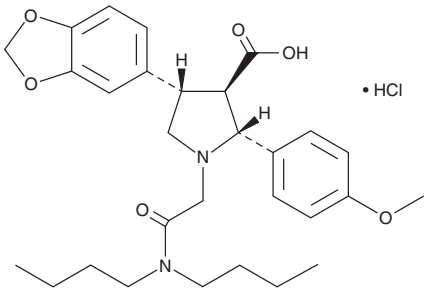
PRODUCT INFORMATION

Atrasentan (hydrochloride)

Item No. 31238

CAS Registry No.: 195733-43-8
Formal Name: 4-(1,3-benzodioxol-5-yl)-1-[2R-(dibutylamino)-2-oxoethyl]-2-(4S-methoxyphenyl)-3R-pyrrolidinecarboxylic acid, monohydrochloride
Synonyms: A-127722, A-147627, ABT-627
MF: $C_{29}H_{38}N_2O_6 \bullet HCl$
FW: 547.1
Purity: ≥98%
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

Atrasentan (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the atrasentan (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Atrasentan (hydrochloride) is soluble (≥10 mg/ml) in ethanol and DMSO.

Description

Atrasentan is an antagonist of endothelin receptor type A (ET_A; K_i = 69 pM for the human receptor).[1] It is selective for ET_A over ET_B (K_i = 139 nM for the human receptor) and a panel of 29 other receptors at 10 µM. Atrasentan inhibits endothelin-1-induced secretion of arachidonic acid (Item Nos. 90010 | 90010.1 | 10006607) from human pericardial smooth muscle cells (HPSMCs; pA_2 = 10.5), as well as endothelin-1-induced vasoconstriction in isolated rat aortic rings (pA_2 = 9.2). It inhibits endothelin-1-induced increases in the pressor response in normotensive rats when administered at a dose of 10 mg/kg. Atrasentan (5 mg/kg per day) reduces urinary levels of albumin, TGF-β, and a prostaglandin E_2 metabolite, as well as decreases the number of macrophages in the renal cortex, in a rat model of streptozotocin-induced diabetic nephropathy.[2]

References

1. Opgenorth, T.J., Adler, A.L., Calzadilla, S.V., *et al.* Pharmacological characterization of A-127722: An orally active and highly potent ET_A-selective receptor antagonist. *J. Pharmacol. Exp. Ther.* **276(2)**, 473-481 (1996).
2. Sasser, J.M., Sullivan, J.C., Hobbs, J.L., *et al.* Endothelin A receptor blockade reduces diabetic renal injury *via* an anti-inflammatory mechanism. *J. Am. Soc. Nephrol.* **18(1)**, 143-154 (2007).

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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