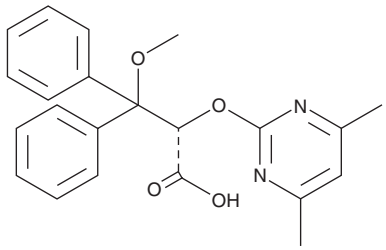
PRODUCT INFORMATION

Ambrisentan

Item No. 23669

CAS Registry No.: 177036-94-1
Formal Name: αS-[(4,6-dimethyl-2-pyrimidinyl) oxy]-β-methoxy-β-phenyl-benzenepropanoic acid
MF: $C_{22}H_{22}N_2O_4$
FW: 378.4
Purity: ≥98%
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

Ambrisentan is supplied as a crystalline solid. A stock solution may be made by dissolving the ambrisentan in the solvent of choice, which should be purged with an inert gas. The solubility of ambrisentan in DMSO is approximately 30 mg/ml. Ambrisentan is slightly soluble in methanol and ethanol.

Description

Ambrisentan is a nonpeptide endothelin A (ET_A) receptor antagonist (IC_{50}s = 0.251, 0.316, 0.398, 251, and 630 nM for rat preparations of heart, bladder, kidney, lung, and cerebral cortex, respectively).[1] It inhibits contraction of isolated rabbit aortic rings induced by endothelin-1 (ET-1; Item No. 24127) by 43.23% when used at a concentration of 1 µM.[2] Ambrisentan inhibits ET-1-induced contraction of human pulmonary and radial arteries *in vitro* (K_d = 0.042 and 0.11 µM, respectively).[3] In a rat model of neonatal hyperoxic lung injury, ambrisentan (20 mg/kg per day, s.c.) reduces pulmonary arterial hypertension (PAH) as well as decreases PAH-induced right ventricular hypertrophy (RVH) and peak RV pressure.[4] Formulations containing ambrisentan have been used in the treatment of PAH.

References

1. Yokoyama, Y., Osano, A., Hyashi, H., *et al*. Endothelin-1 receptors in rat tissues: Characterization by bosentan, ambrisentan and CI-1020. *Biol. Pharm. Bull.* **37(3)**, 461-465 (2014).
2. Xia, J., Song, J., Zhen, L., *et al*. Synthesis and in vitro evaluation of ambrisentan analogues as potential endothelin receptor antagonists. *Bioorg. Med. Chem. Lett.* **21(13)**, 3894-3897 (2011).
3. Angus, J.A., Soeding, P.F., Hughes, R.J.A., *et al*. Functional estimation of endothelin-1 receptor antagonism by bosentan, macitentan and ambrisentan in human pulmonary and radial arteries *in vitro*. *Eur. J. Pharmacol.* **804**, 111-116 (2017).
4. Wagenaar, G.T., Laghmani, e.H., de Visser, Y.P., *et al*. Ambrisentan reduces pulmonary arterial hypertension but does not stimulate alveolar and vascular development in neonatal rats with hyperoxic lung injury. *Am. J. Physiol. Lung Cell Mol. Physiol.* **304(4)**, L264-L275 (2013).

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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