

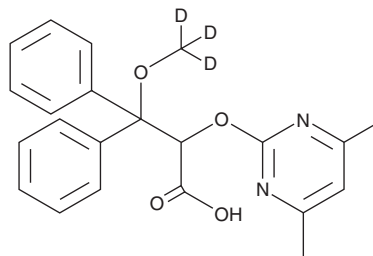
PRODUCT INFORMATION



Ambrisentan-d₃

Item No. 35558

CAS Registry No.: 1189479-60-4
Formal Name: 2-((4,6-dimethylpyrimidin-2-yl)oxy)-3-(methoxy-d₃)-3,3-diphenylpropanoic acid
MF: C₂₂H₁₉D₃N₂O₄
FW: 381.4
Chemical Purity: ≥98% (Ambrisentan)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₃); ≤1% d₀
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-d₃ is intended for use as an internal standard for the quantification of ambrisentan (Item No. 23669) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Ambrisentan-d₃ is supplied as a crystalline solid. A stock solution may be made by dissolving the ambrisentan-d₃ in the solvent of choice, which should be purged with an inert gas. Ambrisentan-d₃ is soluble in the organic solvent DMSO.

Description

Ambrisentan is a nonpeptide endothelin A (ETA) receptor antagonist (IC₅₀s = 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.

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