

Product Data Sheet

Product Name: Ambrisentan-d3

Cat. No.: GC49638

Chemical Properties

Cas. No. 1189479-60-4

SMILES CC1=NC(OC(C(O)=O)C(OC([2H])([2H])[2H])(C2=CC=CC=C2)C3=CC=CC=C3)=NC(C)=C1

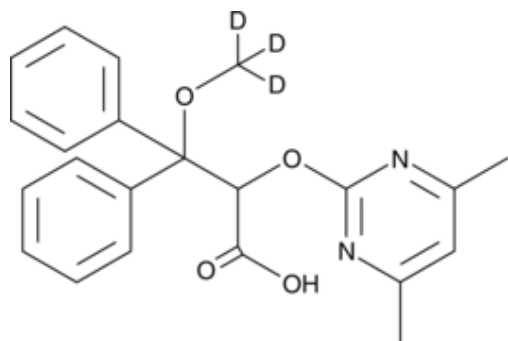
Formula C₂₂H₁₉D₃N₂O₄ M.Wt 381.4

Solubility DMSO: soluble Storage -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Ambrisentan-d₃ is intended for use as an internal standard for the quantification of ambrisentan by GC- or LC-MS. 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 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

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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RV pressure.⁴ Formulations containing ambrisentan have been used in the treatment of PAH.

1.Yokoyama, Y., Osano, A., Hyashi, H., et al.Endothelin-1 receptors in rat tissues: Characterization by bosentan, ambrisentan and CI-1020Biol. 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 antagonistsBioorg. 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 vitroEur. J. Pharmacol.804111-116(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 injuryAm. J. Physiol. Lung Cell Mol. Physiol.304(4)L264-L275(2013)

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