

Product Data Sheet

Product Name: Macitentan-d4

Cat. No.: GC45723

Chemical Properties

Cas. No. 1258428-05-5

SMILES BrC1=CC=C(C2=C(OC([2H])([2H])C([2H])([2H])OC3=NC=C(Br)C=N3)N=CN=C2NS(NC)C)(=O)=O)C=C1

Formula C₁₉H₁₆Br₂D₄N₆O₄S

M.Wt 592.3

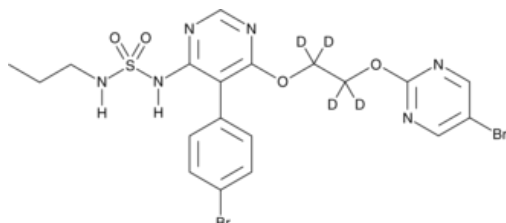
Solubility DMSO : 100 mg/mL (168.83 mM; ultrasonic and warming and heat to 80°C); DMSO : ≥ 50 mg/mL (84.42 mM)

Storage Store at -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

Macitentan-d4 is intended for use as an internal standard for the quantification of macitentan by GC- or LC-MS. Macitentan is a dual antagonist of the endothelin (ET) receptors type A and B (IC₅₀s = 0.5 and 391 nM in a radioligand binding assay using recombinant ETA and ETB, respectively).¹

Macitentan inhibits intracellular Ca²⁺ increases induced by the endothelin isoform ET-1 in human pulmonary arterial smooth muscle cells (HPASMCs; IC₅₀ = 0.9 nM), contractions of isolated rat aortic rings (pA₂ = 7.6 for ETA), and sarafotoxin S6c-induced contractions of isolated rat tracheal rings (pA₂ = 5.9 for ETB). Macitentan increases plasma ET-1 concentrations in normotensive rats and

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decreases mean arterial blood pressure in hypertensive DOCA-salt rats (ED₅₀ = 1 mg/kg). Oral administration (30 mg/kg per day) prevents development of pulmonary hypertension and right ventricle hypertrophy in a rat model of hypertension induced by monocrotaline . It also decreases the number of vascular and tubule-interstitial lesions and amount of glomerular damage in a rat model of diabetes induced by streptozotocin . Formulations containing macitentan have been used for the treatment of pulmonary arterial hypertension.²

[1. 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).]2. Lefaucheur, C., Loupy, A., and Zeevi, A. Complement-binding anti-HLA antibodies and kidney transplantation. N. Engl. J. Med. 370(1), 85-86 (2014).

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