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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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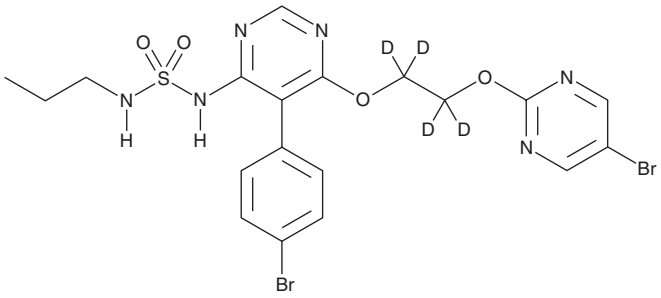
PRODUCT INFORMATION

Macitentan-d_4

Item No. 28522

CAS Registry No.:	1258428-05-5
Formal Name:	N-[5-(4-bromophenyl)-6-[2-[(5-bromo-2-pyrimidinyl)oxy]ethoxy-1,1,2,2-d_4]-4-pyrimidinyl]-N'-propyl-sulfamide
Synonym:	ACT-064992-d_4
MF:	$C_{19}H_{16}Br_2D_4N_6O_4S$
FW:	592.3
Chemical Purity:	≥95% (Macitentan)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_4); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Macitentan-d_4 is intended for use as an internal standard for the quantification of macitentan (Item No. 23304) 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).

Macitentan-d_4 is supplied as a solid. A stock solution may be made by dissolving the macitentan-d_4 in the solvent of choice, which should be purged with an inert gas. Macitentan-d_4 is slightly soluble in methanol (warmed) and DMSO.

Description

Macitentan is a dual antagonist of the endothelin (ET) receptors type A and B (IC_{50}s = 0.5 and 391 nM in a radioligand binding assay using recombinant ET_A and ET_B, respectively).[1] Macitentan inhibits intracellular Ca^{2+} increases induced by the endothelin isoform ET-1 in human pulmonary arterial smooth muscle cells (HPASMCs; IC_{50} = 0.9 nM), contractions of isolated rat aortic rings (pA_2 = 7.6 for ET_A), and sarafotoxin S6c-induced contractions of isolated rat tracheal rings (pA_2 = 5.9 for ET_B). Macitentan increases plasma ET-1 concentrations in normotensive rats and decreases mean arterial blood pressure in hypertensive DOCA-salt rats (ED_{50} = 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 (Item No. 16666). 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 (Item No. 13104). Formulations containing macitentan have been used for the treatment of pulmonary arterial hypertension.[2]

References

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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