

Bosentan (hydrate)

Catalog No: tcsc1265



Available Sizes

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 5g



Specifications

CAS No:

157212-55-0

Formula:

$C_{27}H_{31}N_5O_7S$

Pathway:

GPCR/G Protein

Target:

Endothelin Receptor

Purity / Grade:

>98%

Solubility:

H₂O :

Observed Molecular Weight:

569.63

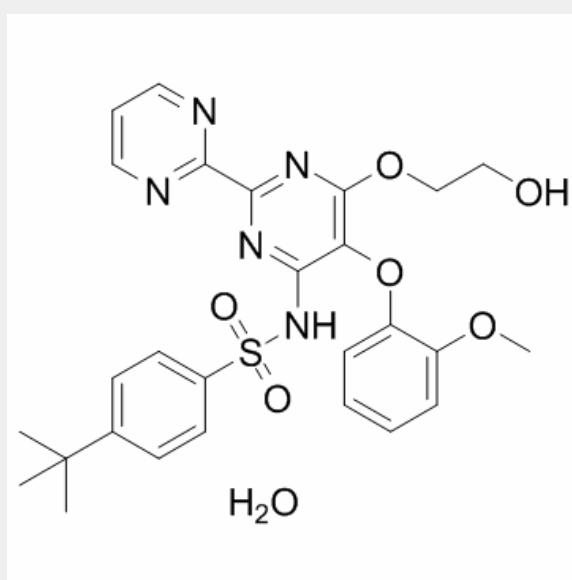
Product Description

Bosentan hydrate is a competitive and dual antagonist of **endothelin-1 (ET)** for the ET_A and ET_B receptors with **K_i** of 4.7 nM and 95 nM in human SMC, respectively.

IC₅₀ & Target: K_i: 4.7 nM (ET_A receptor, in human SMC), 95 nM (ET_A receptor, in human SMC)^[1]

In Vitro: Bosentan (BOS) competitively and specifically antagonizes binding of ¹²⁵I-labelled ET-1 to ET_A receptors on human smooth muscle cells (SMC) and ET_B receptors on human placenta cells. The in vitro binding affinity of Bosentan to ET_A receptors on human SMC is 4.7 nM and to ET_B receptors on human SMC or placenta cells is 41 or 95 nM. Bosentan has 67-fold greater selectivity for ET_A than ET_B receptors (mean IC₅₀=7.1 vs 474.8 nM) in an in vitro ¹²⁵I-labeling assay^[1].

In Vivo: Single-dose Bosentan 62.5 mg significantly (p<0.05). In hypertensive rats, Macitentan 30 mg/kg further decreases mean arterial blood pressure (MAP) by 19 mm Hg when given on top of Bosentan 100 mg/kg. Conversely, Bosentan given on top of Macitentan fails to induce an additional MAP decrease. In pulmonary hypertensive rats, Macitentan 30 mg/kg further decreases mean pulmonary artery pressure (MPAP) by 4 mm Hg on top of Bosentan, whereas a maximal effective dose of Bosentan given on top of Macitentan does not cause any additional MPAP decrease^[3].



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