Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

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

IC50 & Target: Ki: 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 ^{125}I-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 IC_{50}=7.1 vs 474.8 nM) in an in vitro ^{125}I-labeling assay[1].

In Vivo: Single-dose Bosentan 62.5 mg significantly (p[1]. 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].