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

Macitentan

Catalog No: tcsc0686

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
441798-33-0

Formula:
$C_{19}H_{20}Br_2N_6O_4S$

Pathway:
GPCR/G Protein

Target:
Endothelin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (84.99 mM)

Alternative Names:
ACT-064992

Observed Molecular Weight:
588.27

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Product Description

Macitentan is an orally active, non-peptide dual endothelin **ETA** and **ETB receptor** antagonist for the potential treatment of idiopathic pulmonary fibrosis (IPF) and pulmonary arterial hypertension (PAH).

In Vitro: Tube formation ability is restored when microvascular endothelial cells are preincubated with BOS or macitentan, also reducing the expression of mesenchymal markers and restoring CD31 expression and the imbalance between VEGF-A and VEGF-A165b[1]. Macitentan inhibits OATP1B1-mediated uptake of atorvastatin and OATP1B3-mediated uptake of estrone-3-sulfate with IC_{50} ± SE values of 6.3 ± 0.7 and 11.8 ± 5.0 μM, respectively[3]. Treatment with macitentan or with ACT-132577 does not lead to intracellular accumulation of R123 in HeyA8-MDR, showing that these compounds are not P-gp inhibitors[4].

In Vivo: Macitentan (25 mg/kg/day, p.o.) prevents increased production of vasoactive and fibrogenic factors, NF-κB activation, structural and functional changes, and increases extracellular matrix protein production in type 2 diabetes in type 2 diabetes[2]. Macitentan (10 mg/kg, p.o.) coupled with once-per-week 5 mg/kg taxol, significantly reduces the weight (size) of HeyA8-MDR tumors in mice. Combination therapy with macitentan (10 or 50 mg/kg, but not 5 mg/kg) and taxol or macitentan (10 mg/kg) and cisplatinum significantly reduces the number of proliferating Ki-67-positive cells[4].