Product Name: Bosentan Hydrate
Revision Date: 6/30/2016

Chemical Properties

Product Name: Bosentan Hydrate
Cas No.: 157212-55-0
M.Wt: 569.63
Formula: C27H31N5O7S

Chemical Name: 4-tert-butyl-N-[6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)-2-pyrimidin-2-yl]benzenesulfonamide;hydrate

Canonical SMILES: CC(C)(C)C1=CC=C(C=C1)S(=O)(=O)NC2=C(C(=NC(=N2)C3=NC=CC=N3)OCCO)OC4=CC=CC=C4OC.O

Solubility: >28.5mg/mL in DMSO

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.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Endothelin Receptor

Pathways: GPCR/G protein >> Endothelin Receptor

Description:
IC50: Inhibiting endothelin receptor A and B with an IC50 of 15.1 ± 1.6 μM in P388/dx cells. A sulfonamide-derived, competitive and specific endothelin receptor antagonist with a relatively higher affinity to the endothelin A receptor than endothelin B receptor. By competitively binding to endothelin A and endothelin B receptors in the endothelium and vascular smooth muscle, Bosentan offset the effect of endothelin which is an extremely potent endogenous vasoconstrictor and broncho-constrictor. In addition, Bosentan decreases both pulmonary and
systemic vascular resistance and is particularly applied in the treatment of pulmonary arterial hypertension. [1]

In vitro: A study was performed in vitro to measure the influence of Bosentan on the angiogenic performance of dermal microvascular endothelial cells (MVECs) and to detect the capacity of Bosentan in offsetting the antiangiogenic effects of systemic sclerosis sera. It was found that Bosentan significantly increased cell viability and offset the antiangiogenic effects of systemic sclerosis sera on dermal MVECs. [2]

In vivo: A study was conducted to investigate the effect of Bosentan on plasma leptin level after myocardial infarction in Wistar rats. After oral administration of Bosentan once daily at the dose of 100 mg/kg for 2 days, concentration of leptin in plasma significantly increased. This finding revealed that Bosentan played an crucial role on regulating leptin concentration in ischemic cardiovascular pathology. [1]

Clinical trials: A double-blind, placebo-controlled clinical trial was conducted to study the effect of bosentan on exercise capacity in a larger number of patients. 213 patients with pulmonary arterial hypertension were administered with 62.5 mg Bosentan twice daily for 4 weeks followed by either of two doses of Bosentan (125 or 250 mg twice daily) for a minimum of 12 weeks. It was found that 125 mg Bosentan was well tolerated and beneficial in patients with pulmonary arterial hypertension. [3]

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.
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