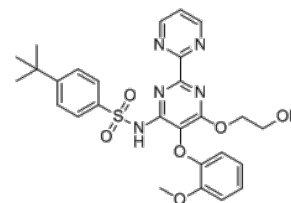


Product Name : Bosentan
Cat. No. : PC-20918
CAS No. : 147536-97-8
Molecular Formula : C₂₇H₂₉N₅O₆S
Molecular Weight : 551.62
Target : Endothelin Receptor
Solubility : 10 mM in DMSO



CAS: 147536-97-8

Biological Activity

Bosentan (Ro 47-0203) is a potent, competitive and dual antagonist of endothelin-1 (ET) for the ETA and ETB receptors with Ki of 4.7 nM and 95 nM in human SMC, respectively.

Bosentan (Ro 47-0203) competitively and specifically antagonizes binding of 125I-labelled ET-1 to ETA receptors on human smooth muscle cells (SMC) and ETB receptors on human placenta cells.

Bosentan (Ro 47-0203) shows 67-fold greater selectivity for ETA than ETB receptors (mean IC₅₀=7.1 vs 474.8 nM) in an in vitro 125I-labeling assay.

Bosentan (Ro 47-0203) blocks the initial depressor, tachycardic and hindquarters hyperaemic vasodilator effects of ET-1, -2 and -3, and substantially curtailed the primary renal and secondary hindquarters vasoconstrictor responses.

References

Dhillon S, et al. J Cardiovasc Drugs. 2009;9(5):331-50.

Gardiner SM, et al. Br J Pharmacol. 1994 Jul;112(3):823-30.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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