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

Atrasentan

Catalog No: tcsc1372

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
173937-91-2

Formula:
$C_{29}H_{38}N_2O_6S$

Pathway:
GPCR/G Protein

Target:
Endothelin Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
ABT-627;(+)-A 127722;A-147627

Observed Molecular Weight:
542.69

Product Description

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Atrasentan is an **endothelin receptor** antagonist with **IC_{50}** of 0.0551 nM for ET_A.

IC50 & Target: IC50: 0.055 nM (ET_A)

In Vitro: Atrasentan (ABT-627, 0-50 μM) significantly inhibits LNCaP and C4-2b prostate cancer cell growth. ABT-627 in conbination with Taxotere elicits a significantly greater loss of viable prostate cancer cells relative to either agent alone and shows greater degree of down-regulation of the NF-κB DNA binding activity[2]. Atrasentan profoundly induces several CYPs and drug transporters (e.g. 12-fold induction of CYP3A4 at 50 μM). It is a moderate P-gp inhibitor (IC_{50} in P388/dx cells=15.1±1.6 μM) and a weak BCRP inhibitor (IC_{50} in MDCKII-BCRP cells=59.8±11 μM)[3].

In Vivo: Atrasentan (3 mg/kg, p.o.) inhibits the pressor response induced by big endothelin-1 (1 nmol/kg) in pithed rats[1]. Aatrasentan (ABT-627, 10 mg/kg, i.p.) as well as Taxotere alone inhibited the C4-2b tumor growth within the bone environment to some extent in the SCID-hu model[2].