

Atrasentan (hydrochloride)

Catalog No: tcsc1373



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

195733-43-8

Formula:

$C_{29}H_{39}ClN_2O_6$

Pathway:

GPCR/G Protein

Target:

Endothelin Receptor

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 33.3 mg/mL (60.87 mM)

Alternative Names:

ABT-627;Abbott 147627

Observed Molecular Weight:

547.08

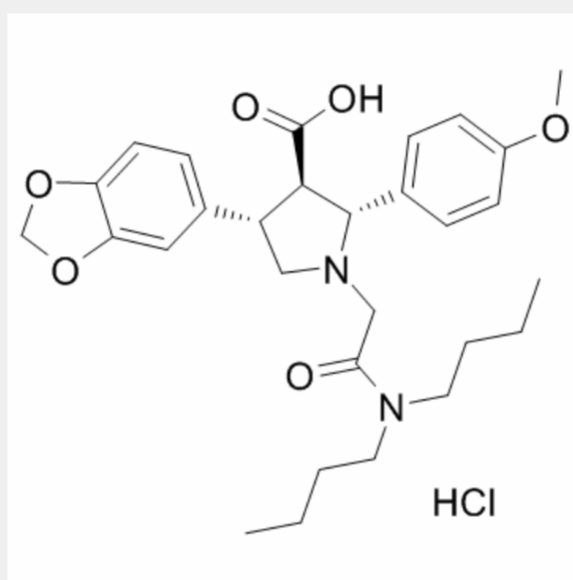
Product Description

Atrasentan (hydrochloride) is an **endothelin receptor** antagonist with **IC₅₀** 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 combination 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 (IC₅₀ in P388/dx cells=15.1±1.6 μM) and a weak BCRP inhibitor (IC₅₀ 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]. Atrasentan (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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!