Product Name: Atrasentan

CAS No.: 173937-91-2

Cat. No.: HY-15403

MWt: 510.62

Formula: C29H38N2O6

Purity: >98%

Solubility: DMSO

Mechanisms:
Pathways: GPCR/G protein; Target: Endothelin Receptor

Biological Activity:
Atrasentan (A-147627; ABT 627) is an endothelin receptor antagonist (IC50=0.0551 nM, ETA) being developed for the treatment of prostate cancer.
IC50 Value: 0.0551 nM (for ET A receptor) [1]

Target: ETA receptor
in vitro: The combination of Atrasentan with Taxotere was more effective in the inhibition of cell viability and induction of apoptosis in LNCaP and C4-2b cells (androgen receptor positive) but not in PC-3 cells[2].
Atrasentan profoundly induced several CYPs and drug transporters (e.g. 12-fold induction of CYP3A4 at 50 μM). It was 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). BCRP or P-gp overexpressing cells were slightly more resistant towards antiproliferative effects of atrasentan [5].
in vivo: ABT-627 did reduce the accumulation of macrophages in both stains (36 ... 

References:

Caution: Not fully tested. For research purposes only

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