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

GSK1904529A

Catalog No: tcsc0044

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1089283-49-7

Formula:
$C_{44}H_{47}F_2N_9O_5S$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
IGF-1R

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
851.96

Product Description

GSK1904529A is a selective inhibitor of IGF-1R and IR with IC50 of 27 nM and 25 nM, >100-fold more selective for IGF-1R/InsR than Akt1/2, Aurora A/B,B-Raf, CDK2, EGFR etc.

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

IC50 value: 27/25 nM (IGF1R/IR) [1]

Target: IGF1R/IR

in vitro: GSK1904529A is a reversible, ATP-competitive inhibitor and has enzyme-inhibitor binding values against IGF-1R and IR with Ki of 1.6 nM and 1.3 nM, respectively. GSK1904529A potently inhibits the ligand-induced phosphorylation of IGF-1R and IR at concentrations above 0.01 μM, followed by blocking downstream signaling (AKT, IRS-1, and ERK). GSK1904529A potently inhibits NIH-3T3/LISN, TC-71, SK-N-MC, SK-ES RD-ES cells with IC50 of 60 nM, 35 nM, 43 nM, 61 nM and 62 nM, respectively. GSK1904529A also inhibits other multiple myeloma and Ewing\'s sarcoma cell lines including NCI-H929, MOLP-8, LP-1 and KMS-12-BM etc. GSK1904529A induces cell cycle arrest at the G1 phase in cell lines COLO 205, MCF-7, and NCI-H929, which are sensitive to GK1904529A [1].

in vivo: GSK1904529A indicates 98% tumor growth inhibition in NIH-3T3/LISN tumor-bearing mice at a dose of 30 mg/kg (orally, twice-daily) and 75% in COLO 205 xenografts mice (once daily). Among HT29 and BxPC3 xenografts, GSK1904529A produces moderate tumor growth inhibition with no side effects at a dose of 30 mg/kg. Meanwhile, GSK1904529A shows minimal effects on blood glucose levels. GSK1904529A (~3.5 μM in blood) completely inhibits IGF-1R phosphorylation. GSK1904529A has been implicated in treatment of various IGF-1R-dependent tumors including prostate, colon, breast, pancreatic, ovarian, and sarcomas [1].