

# 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

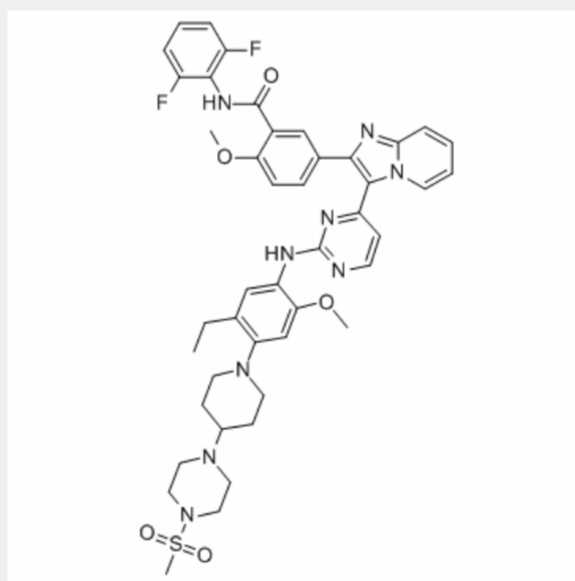
## Product Description

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.

Target: IGF1R/IR

in vitro: GSK1904529A is a reversible, ATP-competitive inhibitor and has enzyme-inhibitor binding values against IGF-1R and IR with  $K_i$  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  $\mu$ M, 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  $IC_{50}$  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 ( $\sim 3.5 \mu\text{M}$  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].



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