

Product Introduction

GSK1904529A

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.

Technical Data:

Molecular Weight (MW):	851.96	$ = \left(\begin{array}{c} & & \\$
Formula:	$C_{44}H_{47}F_2N_9O_5S$	
Solubility (25°C)	DMSO 124 mg/mL	
* <1 mg/ml means slightly	Water <1 mg/mL	
soluble or insoluble:	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder	
	6 months-80℃in DMSO	0
CAS No.:	1089283-49-7	

Biological Activity

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 μ 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 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,

Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

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]

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 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]

References

[1] Sabbatini P, et al. Clin Cancer Res, 2009, 15(9), 3058-3067.



Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.