GSK1904529A

Cat. No.: HY-10524

CAS No.: 1089283-49-7

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

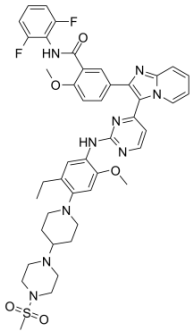
Molecular Weight: 851.96

Target: IGF-1R; Insulin Receptor; Apoptosis

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (58.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1738 mL	5.8688 mL	11.7376 mL
	5 mM	0.2348 mL	1.1738 mL	2.3475 mL
	10 mM	0.1174 mL	0.5869 mL	1.1738 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (3.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK1904529A is a potent, selective, orally active, and ATP-competitive inhibitor of insulin-like growth factor-1 receptor (IGF-1R) and insulin receptor (IR), with IC_{50}s of 27 and 25 nM, respectively. GSK1904529A shows poor activity (IC_{50}>1 μM) in 45 other serine/threonine and tyrosine kinases. GSK1904529A exhibits anti-tumor activity[1][2].

IC_{50} & Target

IC50: 27 nM (IGF-1R), 25 nM (IR)[1]

In Vitro

GSK1904529A displays high affinities for IGF-1R and IR, with K_is of 1.6 and 1.3 nM, respectively[1].

GSK1904529A (72 h) inhibits tumor cells proliferation with IC_{50}s ranges from 35 nM to >30 μM, and Ewing's sarcoma and multiple myeloma cell lines are greatest sensitive[1].

GSK1904529A (0.03-3 μM; 24 and 48 h) arrests cells at the G1 phase of the cell cycle[1].

GSK1904529A (2 h) inhibits phosphorylation of IGF-IR and IR with IC_{50}s of 22 and 19 nM in NIH-3T3/LISN and NIH-3T3-hIR cells, respectively[1].

GSK1904529A (0.01-3 μM; 4 h) blocks the major downstream signal transduction pathways mediated by IGF-IR and IR in NIH-3T3/LISN and NIH-3T3-hIR cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	COLO 205, MCF-7, and NCI-H929 cells
Concentration:	0, 0.03, 0.1, 0.3, 1, 3 μM
Incubation Time:	24 and 48 hours
Result:	Increased the accumulation in G1 and decreased accumulation in S and G2-M phases of the cell cycle.

Western Blot Analysis[1]

Cell Line:	NIH-3T3/LISN and NIH-3T3-hIR cells
Concentration:	0.01, 0.03, 0.1, 0.3, 1, 3 μM
Incubation Time:	4 hours
Result:	Inhibited the ligand-induced phosphorylation of IGF-IR and IR at concentrations >0.01 μM. Decreased the phosphorylation of AKT, IRS-1, and ERK.

In Vivo

GSK1904529A (30 mg/kg; p.o. once or twice daily for 21 d) has antitumor activity in mice[1].

GSK1904529A (1-30 mg/kg; a single p.o.) decreases IGF-I-induced IGF-IR phosphorylation in a dose-dependent manner in mice[1].

GSK1904529A (30 mg/kg; p.o. once or twice daily for 21 d) has no significant alterations in the blood glucose levels in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female athymic nu/nu CD-1 mice are bring NIH-3T3/LISN tumor[1]
Dosage:	30 mg/kg
Administration:	P.o. once or twice daily for 21 d
Result:	Resulted in 56% (once daily) and 98% (twice daily) inhibition of tumor growth. No significant decrease in body weight on the once-daily schedule. Observed 11-13% of body weight loss, and recovered to near baseline 6 days after the cessation of treatment in twice-daily group.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Clin Cancer Res. 2014 Nov 1;20(21):5483-95.
- J Cell Mol Med. 2021 Apr;25(7):3205-3215.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Sabbatini P, et al. Antitumor activity of GSK1904529A, a small-molecule inhibitor of the insulin-like growth factor-I receptor tyrosine kinase. Clin Cancer Res, 2009, 15(9), 3058-3067.

[2]. Zhou Q, et, al. GSK1904529A, an insulin-like growth factor-1 receptor inhibitor, inhibits glioma tumor growth, induces apoptosis and inhibits migration. Mol Med Rep. 2015 Sep;12(3):3381-3385.

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