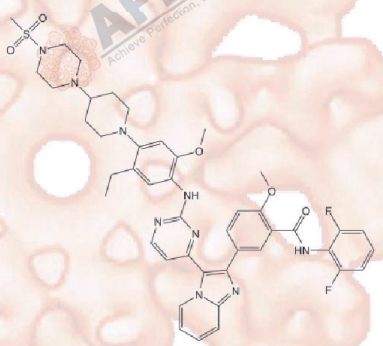
Product Data Sheet

GSK1904529A



Cat. No.:	A1302
CAS No.:	1089283-49-7
Formula:	C44H47F2N9O5S
M.Wt:	851.96
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	IGF1R
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥42.6 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
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.

Biological Activity

Shortsummary	Selective IGF-1R/IR inhibitor
IC_{50} & Target	27 nM (IGF1R)

In Vitro

Cell Viability Assay

Cell Line:	NIH-3T3 cells that overexpress human IGF-IR [NIH-3T3-hIGF-IR (NIH-3T3/LISN)] and IR (NIH-3T3-hIR)
Preparation method:	The solubility of this compound in DMSO is >42.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	72 h
	Applications:	In the NIH-3T3/LISN and NIH-3T3-hIR cells, GSK1904529A potently inhibited phosphorylation of IGF-IR and IR with the IC50 of 22 ± 8 nmol/L and 19 ± 8 nmol/L, respectively. GSK1904529A suppressed cell proliferation in a variety of tumor cells with the IC50 values of 81, 35, 43, 124, 137 and 68 nM for NCI-H929, TC-71, SK-N-MC, COLO 205, MCF7 and PREC, respectively. In COLO 205, MCF-7, and NCI-H929 cells, GSK1904529A resulted in cell accumulation in G1 and decrease in S and G2-M phases.
In Vivo	**Animal experiment**	
	Animal models:	Female athymic nu/nu CD-1 mice bearing NIH-3T3/LISN, COLO 205, HT29, and BxPC3 cells
	Dosage form:	Oral administration, 30 mg/kg, once or twice daily for 21 d
	Applications:	In NIH-3T3/LISN tumor-bearing mice, GSK1904529A (oral, 30 mg/kg, twice-daily) inhibited 98% tumor growth. In COLO 205 xenografts mice, GSK1904529A (oral, 30 mg/kg, once daily) inhibited 75% tumor growth. Among HT29 and BxPC3 xenografts, GSK1904529A produced moderate tumor growth inhibition with no side effects at a dose of 30 mg/kg.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Sabbatini P, Rowand J L, Groy A, et al. Antitumor activity of GSK1904529A, a small-molecule inhibitor of the insulin-like growth factor-I receptor tyrosine kinase. Clinical Cancer Research, 2009, 15(9): 3058-3067.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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