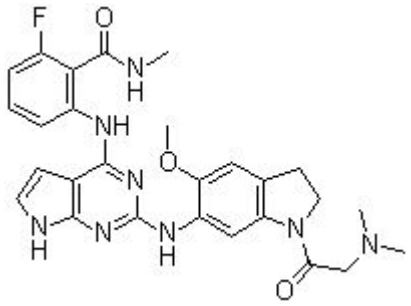
Product Introduction

GSK1838705A

GSK1838705A is a potent **IGF-1R** inhibitor with **IC50** of 2.0 nM, modestly potent to **IR** and **ALK** with **IC50** of 1.6 nM and 0.5 nM, respectively, and little activity to other protein kinases.

Technical Data:

Molecular Weight (MW):	532.57	
Formula:	$C_{27}H_{29}FN_8O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 107 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1116235-97-2	

Biological Activity

GSK1838705A potently and ATP-competitively inhibits IGF-1R and IR with $^{app}K_i$ values of 0.7 nM and 1.1 nM, respectively.In cells, GSK1838705A potently inhibits ligand-induced phosphorylation of IGF-1R and IR with IC50 of 85 nM and 79 nM, respectively. GSK1838705A shows the significant anti-proliferative effect in a panel of cell lines derived from solid and hematologic tumors such as L-82, SUP-M2, SK-ES and MCF-7 cells with EC50 of 24 nM, 28 nM, 141 nM and 203 nM, respectively. GSK1838705A shows an accumulation of MCF-7 and NCI-H929 cells predominantly in G1 (2N) phase of the cell cycle. GSK1838705A also inhibits

ALK with K_i of 0.35 nM and supresses the proliferation of nucleophosmin (NPM)-ALK fusion cells with EC50 of 24-88 nM. GSK1838705A potently inhibits NPM-ALK phosphorylation in Karpas-299 and SR-786 cells, while has modest effect on STAT3 phosphorylation. [1]

In NIH-3T3/LISN tumor-bearing mice, oral treatment of GSK1838705A (60 mg/kg) cause tumor growth inhibition by 77%, without significant weight loss. In COLO 205 tumor-bearing mice, inhibition of tumor growth by GSK1838705A (30 mg/kg) is 80%. Besides, the antitumor efficacy of GSK1838705A is also observed in mice bearing HT29 xenograft or BxPC3 xenograft. In mice, GSK1838705A (60 mg/kg) leads to a transient 2-fold increase in blood glucose levels by inhibiting IR signaling. GSK1838705A (60 mg/kg) inhibits the growth of established Karpas-299 xenografts with 93% tumor growth inhibition, with no effect on weights of the rats. [1]

A small-molecule kinase inhibitor of IGF-1R and the insulin receptor.

References

[1] Sabbatini P, et al. Mol Cancer Ther. 2009, 8(10), 2811-2820.

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.