GSK-A1

Cat. No.: HY-125118

CAS No.: 1416334-69-4

Molecular Formula: $C_{29}H_{27}FN_6O_4S$

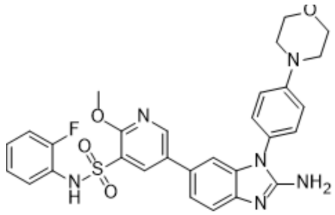
Molecular Weight: 574.63

Target: PI4K; HCV

Pathway: PI3K/Akt/mTOR; Anti-infection

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 2 mg/mL (3.48 mM)

H_2O : < 0.1 mg/mL (ultrasonic) (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7403 mL	8.7013 mL	17.4025 mL
5 mM	---	---	---
10 mM	---	---	---

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: ≥ 0.2 mg/mL (0.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.2 mg/mL (0.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK-A1 is a selective type III phosphatidylinositol 4-kinase PI4KA (PI4KIIIα) inhibitor with a pIC_{50} of 8.5-9.8. GSK-A1 inhibits PtdIns(4,5)P2 resynthesis with an IC_{50} of about 3 nM. GSK-A1 potently decreases the levels of PtdIns(4)P with a negligible effect on PtdIns(4,5)P2. GSK-A1 has the potential for anti-hepatitis C virus (HCV) research[1].

IC_{50} & Target

PI4KA	PI4KB	PI4K2A	PI4K2B
8.5-9.8 (pIC_{50})	7.2-7.7 (pIC_{50})	<5 (pIC_{50})	<5 (pIC_{50})

In Vitro

GSK-A1 (100 nM, 30 min) reduces HSPA1A localization at the plasma membrane in HeLa cells[2].

GSK-A1 (0-8 μM, 48 h) enhances Doxorubicin (HY-15142A) efficacy in resistant leukemia cells (K562/Adr and HL-60/Adr cells)

[3].

GSK-A1 (0-50 nM) stimulates phosphorylation of LATS and YAP in HEK293A cells[4].

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

REFERENCES

[1]. Smulders L, et al. Phosphatidylinositol Monophosphates Regulate the Membrane Localization of HSPA1A, a Stress-Inducible 70-kDa Heat Shock Protein. Biomolecules. 2022 Jun 20;12(6):856.

[2]. Jiang X, et al. Targeting PI4KA sensitizes refractory leukemia to chemotherapy by modulating the ERK/AMPK/OXPHOS axis. Theranostics. 2022 Oct 3;12(16):6972-6988.

[3]. Li FL, et al. Hippo pathway regulation by phosphatidylinositol transfer protein and phosphoinositides. Nat Chem Biol. 2022 Oct;18(10):1076-1086.

[4]. Naveen Bojjireddy, et al. Pharmacological and genetic targeting of the PI4KA enzyme reveals its important role in maintaining plasma membrane phosphatidylinositol 4-phosphate and phosphatidylinositol 4,5-bisphosphate levels. J Biol Chem. 2014 Feb 28;289(9):6120-32.

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