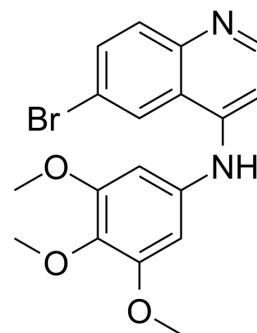


SGC-GAK-1

Cat. No.:	HY-122186		
CAS No.:	2226517-76-4		
Molecular Formula:	C ₁₈ H ₁₇ BrN ₂ O ₃		
Molecular Weight:	389.24		
Target:	Cyclin G-associated Kinase (GAK)		
Pathway:	Cell Cycle/DNA Damage		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (107.05 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.5691 mL	12.8455 mL	25.6911 mL
5 mM	0.5138 mL	2.5691 mL	5.1382 mL
10 mM	0.2569 mL	1.2846 mL	2.5691 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (5.34 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.08 mg/mL (5.34 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (5.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SGC-GAK-1 is a potent, selective cyclin G-associated kinase (GAK) inhibitor with a K_i of 3.1 nM. SGC-GAK-1 is a chemical probe for GAK^[1].

IC₅₀ & Target

K_i: 3.1 nM (GAK)^[1]

In Vitro

SGC-GAK-1 potently binds cyclin G-associated kinase (GAK), adaptor protein 2-associated kinase (AAK1), serine/threonine kinase 16 (STK16) with K_is of 3.1 nM, 53 μM, 51 μM, respectively^[1].

SGC-GAK-1 potently binds cyclin G-associated kinase (GAK), receptor-interacting protein kinase 2 (RIPK2), AarF domain containing kinase 3 (ADCK3), and nemo-like kinase (NLK) with K_D s of 1.9 nM, 110 nM, 190 nM, and 520 nM, respectively^[1]. SGC-GAK-1 (0.1, 1, and 10 μ M, 48 hours or 72 hours) shows strong growth inhibition in LNCaP, VCaP, and 22Rv1 cells at 10 μ M, but minimal effect in PC3 and DU145 cells^[1].

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

Cell Viability Assay^[1]

Cell Line:	Prostate cancer cells (22Rv1, LNCaP, VCaP, PC3, DU145)
Concentration:	0.1, 1, and 10 μ M
Incubation Time:	48 hours or 72 hours
Result:	Showed potent antiproliferative activity in LNCaP and 22Rv1 cells with IC_{50} s of $0.05 \pm 0.15 \mu$ M and $0.17 \pm 0.65 \mu$ M, respectively.

CUSTOMER VALIDATION

- Antiviral Res. 2022 Jun 20;105367.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Asquith CRM, et al. SGC-GAK-1: A Chemical Probe for Cyclin G Associated Kinase (GAK). J Med Chem. 2019 Feb 26.

Caution: Product has not been fully validated for medical applications. For research use only.

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