GAK inhibitor 49

Cat. No.: HY-124793 CAS No.: 319492-82-5 Molecular Formula: $C_{20}H_{22}N_{2}O_{5}$ Molecular Weight: 370.4

Target: Cyclin G-associated Kinase (GAK)

Pathway: Cell Cycle/DNA Damage

Storage: Powder -20°C 3 years

2 years

-80°C In solvent 6 months

> -20°C 1 month

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 50 mg/mL (134.99 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg	
	1 mM	2.6998 mL	13.4989 mL	26.9978 mL	
	5 mM	0.5400 mL	2.6998 mL	5.3996 mL	
	10 mM	0.2700 mL	1.3499 mL	2.6998 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: ≥ 2.08 mg/mL (5.62 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.08 mg/mL (5.62 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.62 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	GAK inhibitor 49 is a potent, ATP-competitive and highly selective cyclin G associated kinase (GAK) inhibitor with a K_i of 0.54 nM and a cell IC ₅₀ of 56 nM. GAK inhibitor 49 also shows binding to RIPK2 ^[1] .

In Vitro GAK inhibitor 49 (compound 49) shows a weak inhibitory effect on AAK1, BMP2K and STK16, with IC50s of 28, 63 and >100 μ M, respectively^[1].

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

REFERENCES					
[1]. Asquith CRM, et al. Identific	cation and Optimization of	4-Anilinoquinolines as Inhibitors	of Cyclin G Associated Kinase. Ch	emMedChem. 2018;13(1):48-66	i.
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