GSK840

Cat. No.: HY-104021

CAS No.: 2361146-30-5

Molecular Formula: $C_{21}H_{23}N_3O_3$

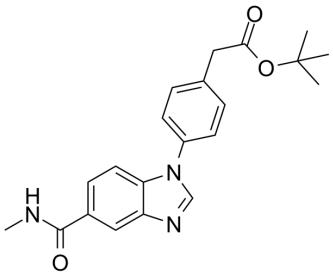
Molecular Weight: 365.43

Target: RIP kinase

Pathway: Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (301.02 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7365 mL	13.6825 mL	27.3650 mL
	5 mM	0.5473 mL	2.7365 mL	5.4730 mL
	10 mM	0.2737 mL	1.3683 mL	2.7365 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: ≥ 2.75 mg/mL (7.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (7.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (7.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK840 (GSK'840) is a receptor-interacting protein kinase 3 (RIP3 or RIPK3) inhibitor, which binds RIP3 kinase domain with an IC_{50} of 0.9 nM, and inhibits kinase activity with an IC_{50} of 0.3 nM[1].

IC_{50} & Target

IC50: 0.3 nM (RIP3)[1]

In Vitro

GSK840 (GSK'840) (0.01-3 μM; 24 hours) blocks TNF-induced necroptosis in a concentration-dependent manner[1].
GSK840 binds the kinase domain and inhibits kinase activity with high specificity, targeting a broader range of pro-necrotic stimuli than can be achieved with RIP1 kinase inhibitors[1].

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

Cell Viability Assay[1]

Cell Line:	Human HT-29 cells (TNF 10 ng/ml + zVAD-fmk 20 μM + SMAC007 100 nM)
Concentration:	0.01-3 μM
Incubation Time:	24 hours
Result:	Blocked TNF-induced necroptosis in a concentration-dependent manner.

CUSTOMER VALIDATION

- Cell Death Discov. 2022 Feb 26;8(1):88.

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REFERENCES

[1]. Mandal P, et al. RIP3 induces apoptosis independent of pronecrotic kinase activity. Mol Cell. 2014 Nov 20;56(4):481-95.

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