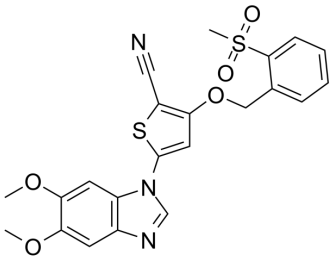
GSK319347A

Cat. No.:	HY-14682
CAS No.:	862812-98-4
Molecular Formula:	$C_{22}H_{19}N_3O_5S_2$
Molecular Weight:	469.53
Target:	IKK
Pathway:	NF-κB
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 45 mg/mL (95.84 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1298 mL	10.6489 mL	21.2979 mL
	5 mM	0.4260 mL	2.1298 mL	4.2596 mL
	10 mM	0.2130 mL	1.0649 mL	2.1298 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: 2.25 mg/mL (4.79 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (4.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK319347A is a dual inhibitor of TBK1 and IKKε with IC_{50}s of 93 nM and 469 nM, respectively. GSK319347A also inhibits IKK2 with an IC_{50} of 790 nM.

IC_{50} & Target

TBK1	IKK-ε	IKK2
93 nM (IC_{50})	469 nM (IC_{50})	790 nM (IC_{50})

In Vitro

GSK319347A (Compound 1) inhibits TBK1 enzyme with an IC_{50} of 93 nM, which also translates into good cell potency (72 nM). Moreover, IKK-3 Inhibitor exhibits excellent selectivity against cell-cycle kinases CDK2 and AurB[1]. IKK-3 Inhibitor (Compound 13) is a novel IκB kinase 2 (IKK2) inhibitor with an IC_{50} of 790 nM[2].

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

CUSTOMER VALIDATION

- Theranostics. 2020 Jan 16;10(5):2358-2373.

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REFERENCES

[1]. Johannes JW, et al. Discovery of 6-aryl-azabenzimidazoles that inhibit the TBK1/IKK-ε kinases. Bioorg Med Chem Lett. 2014 Feb 15;24(4):1138-43.

[2]. Xie HZ, et al. Pharmacophore modeling and hybrid virtual screening for the discovery of novel IκB kinase 2 (IKK2) inhibitors. J Biomol Struct Dyn. 2011 Aug;29(1):165-79.

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