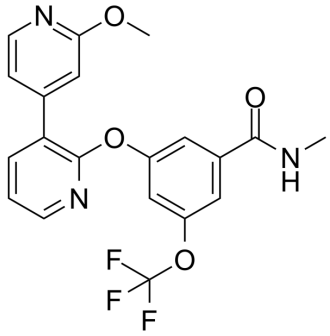
Aurora Kinases-IN-3

Cat. No.:	HY-151971
CAS No.:	2840558-83-8
Molecular Formula:	$C_{20}H_{16}F_3N_3O_4$
Molecular Weight:	419.35
Target:	Aurora Kinase; Polo-like Kinase (PLK)
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (238.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3846 mL	11.9232 mL	23.8464 mL
	5 mM	0.4769 mL	2.3846 mL	4.7693 mL
	10 mM	0.2385 mL	1.1923 mL	2.3846 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: 2.5 mg/mL (5.96 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.96 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.96 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Aurora Kinases-IN-3 (Compound 15a) is an orally active AURKB inhibitor that elicits an AURKB-suppressive activity by disrupting the mitotic localization of AURKB, rather than inhibiting its phosphorylation of H3 at Ser10[1].

IC_{50} & Target

AURKB[1]

In Vitro

Aurora Kinases-IN-3 (Compound 15a) (40 nM; 6 h) disrupts localization of AURKB, MKLP1, and PLK at the spindle midzone to prevent spindle midzone microtubule assembly in RPE-MYC^{BCL2} cells. Aurora Kinases-IN-3 disrupts the localization of

AURKB as early as anaphase, producing downstream consequences that blocked cytokinesis[1].
Aurora Kinases-IN-3 (1-10 μM; 3 days) shows wide spectrum of growth suppression in human cancer cell lines[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	NCI–H23, A549, HCT116, SW480, MDA-MB-231, HeLa and NCI-87 cells
Concentration:	1, 2.5, 5, or 10 μM
Incubation Time:	3 days
Result:	Exhibited the EC_{50} values of about 10 nM in most cell lines.

In Vivo

Aurora Kinases-IN-3 (Compound 15a) (50 mg/kg; oral; twice a day for 7 days) suppresses the growth of lung tumors in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c nude mice bearing a xenograft of the human lung cancer cell line NCI–H23 [1]
Dosage:	50 mg/kg
Administration:	Oral gavage, twice a day for 7 days
Result:	Elicited a mitotic arrest and induced cell death by apoptosis. Effectively suppressed the growth of the tumor and reduced the cellularity of tumor tissue.

Animal Model:	Female BAL B/c nude mice[1]
Dosage:	50 mg/kg
Administration:	Oral administration (Pharmacokinetic Analysis)
Result:	After oral delivery in PEG300, achieved adequate plasma exposure, the mean value of dose-normalized area under the dose-response curve (AUC) was 0.35 x h/(mg/kg), C_{max} was 6.9 μM. Was barely absorbed after oral gavage in the hydrophilic hydroxypropyl methylcellulose (HPMC) formulation.

REFERENCES

[1]. Lv G, et al. 2-Phenoxy-3, 4'-bipyridine derivatives inhibit AURKB-dependent mitotic processes by disrupting its localization. Eur J Med Chem. 2023 Jan 5;245(Pt 1):114904.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA