TAS-119

Cat. No.: HY-137377

CAS No.: 1453099-83-6

Molecular Formula: $C_{23}H_{22}Cl_2FN_5O_3$

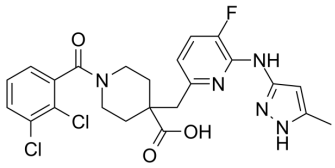
Molecular Weight: 506.36

Target: Aurora Kinase

Pathway: Cell Cycle/DNA Damage; Epigenetics

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 : 50 mg/mL (98.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9749 mL	9.8744 mL	19.7488 mL
	5 mM	0.3950 mL	1.9749 mL	3.9498 mL
	10 mM	0.1975 mL	0.9874 mL	1.9749 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 (4.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.11 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAS-119 is a potent, selective and orally active Aurora A inhibitor with an IC_{50} of 1.0 nM. TAS-119 shows high selectivity for Aurora A over other protein kinases, including Aurora B (IC_{50} of 95 nM). TAS-119 has potent antitumor activites[1].

IC_{50} & Target

Aurora A	Aurora B
1 nM (IC_{50})	95 nM (IC_{50})

In Vitro

TAS-119 enhances the antiproliferative effect of Paclitaxel in a variety of human cancer cell lines, including Paclitaxel-

	resistant cells[1]. TAS-119 (30-300 nM) dose dependently enhances cell growth inhibition by three taxanes (Paclitaxel, Docetaxel, and Cabazitaxel) in HeLa cells. TAS-119 induces mitotic accumulation predominantly in tumor cells, compared with that in normal diploid fibroblasts[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TAS-119 (5-30 mg/kg; oral administration; twice daily on day 1 and everyday on day 2) treatment induces phosphorylated histone H3 (pHH3) in nude rats with the HeLa-luc xenografts[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude rats injected with HeLa-luc cells[1]
Dosage:	5 mg/kg, 10 mg/kg, and 30 mg/kg
Administration:	Oral administration; twice daily on day 1 and everyday on day 2
Result:	Induced pHH3 at all doses in nude rats with the HeLa-luc xenografts.

REFERENCES

[1]. Hiroshi Sootome, et al. Aurora A Inhibitor TAS-119 Enhances Antitumor Efficacy of Taxanes In Vitro and In Vivo: Preclinical Studies as Guidance for Clinical Development and Trial Design. Mol Cancer Ther. 2020 Oct;19(10):1981-1991.

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