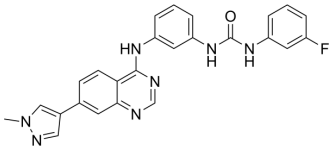
SP-96

Cat. No.:	HY-131339
CAS No.:	2682114-54-9
Molecular Formula:	$C_{25}H_{20}FN_7O$
Molecular Weight:	453.47
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 : 100 mg/mL (220.52 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2052 mL	11.0261 mL	22.0522 mL
5 mM	0.4410 mL	2.2052 mL	4.4104 mL
10 mM	0.2205 mL	1.1026 mL	2.2052 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SP-96 is a highly potent, selective and non-ATP-competitive Aurora B (IC_{50}=0.316 nM) inhibitor and shows >2000 fold selectivity against FLT3 and KIT. SP-96 shows selective growth inhibition in NCI60 screening, incluing MDA-MD-468 (GI_{50}=107 nM). SP-96 can be used for the research of triple negative breast cancer (TNBC)[1].

IC_{50} & Target

Aurora A	Aurora B
18.975 nM (IC_{50})	0.316 nM (IC_{50})

In Vitro

SP-96 is a highly potent, selective and non-ATP-competitive Aurora B (IC_{50}=0.316 nM) inhibitor and shows >2000 fold selectivity against FLT3 (IC_{50}=1475.6 nM) and KIT (IC_{50}=1307.6 nM)[1].

SP-96 (0-1 μM; 24 hours) is not promiscuous, rather selective for a few cell lines, it inhibits MDA-MB-468, CCRF-CEM, COLO 205 and A498 cell growth with GI_{50} values of 107 nM,47.4 nM, 50.3 nM and 53.2 nM, respectively[1].

SP-96 (63.2 nM) inhibits Aurora B activity in H460 cells by the characteristics of increased DNA content, and it increases cell

volume with enormous nucleus[1].

SP-96 (0-2 μM) inhibits Aurora B enzymatic activity with an IC_{50} of 0.316 nM and inhibits Aurora A with observed IC_{50} value of 18.975 nM. SP-96 shows >2000 fold selectivity against FLT3 (IC_{50}=1475.6 nM) and KIT (IC_{50}=1307.6 nM). Meanwhile, it exhibits inhibitory effects on other receptor tyrosine kinases (RTKs) namely EGFR, RET and HER2 with IC_{50} value ≥2 μM[1].

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

Cell Viability Assay[1]

Cell Line:	MDA-MB-468, CCRF-CEM, COLO 205 and A498 cell
Concentration:	0-1 μM
Incubation Time:	24 hours
Result:	Showed good inhibitory activity on MDA-MB-468 cells.

REFERENCES

[1]. Naga Rajiv Lakkaniga,et al. Discovery of SP-96, the first non-ATP-competitive Aurora Kinase B inhibitor, for reduced myelosuppression.Eur J Med Chem. 2020 Jul 12;203:112589.

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