CYC-116

Cat. No.: HY-10558

CAS No.: 693228-63-6

Molecular Formula: $C_{18}H_{20}N_6OS$

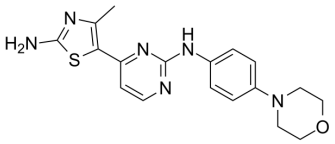
Molecular Weight: 368.46

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 : 15 mg/mL (40.71 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7140 mL	13.5700 mL	27.1400 mL
	5 mM	0.5428 mL	2.7140 mL	5.4280 mL
	10 mM	0.2714 mL	1.3570 mL	2.7140 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: 1.5 mg/mL (4.07 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.5 mg/mL (4.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CYC-116 is a potent aurora A and aurora B inhibitor with K_is of 8 and 9 nM, respectively.

IC_{50} & Target

Aurora A	Aurora B
8 nM (Ki)	9.2 nM (Ki)

In Vitro

CYC-116 also inhibits VEGFR2, Src, Lck AND FLT3 with with K_is of 44, 82, 280, 44 nM, respectively. CYC-116 may have broad-spectrum antitumor activity. CYC-116 shows potent antiproliferative activity against cancer cell lines with with IC_{50}s of 0.599, 0.59, 0.241, 0.34, 0.725, 1.375, 0.471, 0.034, 0.372, 0.681, 0.151, 1.626, 0.775, 0.308, 0.110, 0.09 for MCF7, HeLa, Colo205, HCT-116, HT29, K562, CCRF-CEM, MV4-11, HL60, NCI-H460, A2780, BxPC3, HuPT4, Mia-Paca-2, Saos-2, Messa cells. Treatment

	with 1.25 μM CYC-116 for 7 h results in complete inhibition of histone H3 phosphorylation in HeLa cell lysates[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral administration of CYC-116 at dose levels of 75 and 100 mg/kg q.d. causes tumor growth delays of 2.3 and 5.8 days, which translates into specific growth delays of 0.32 and 0.81, respectively. The mean relative tumor volumes of mice receiving CYC-116 at both dose levels are less than those of vehicle-treated mice for the duration of the study period. At 100 mg/kg po q.d., the reduction in growth is statistically significant on days 6 and 9[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Aurora A kinase assays are performed using a 25 μL reaction volume (25 mM β-glycerophosphate, 20 mM Tris/HCl, pH 7.5, 5 mM EGTA, 1 mM DTT, 1 mM Na_3VO_4, 10 μg of kemptide (peptide substrate)), and recombinant aurora A kinase is diluted in 20 mM Tris/HCl, pH 8, containing 0.5 mg/mL BSA, 2.5% glycerol, and 0.006% Brij-35. Reactions are started by the addition of 5 μ L Mg/ATP mix (15 mM $MgCl_4$, 100 μM ATP, with 18.5 kBq γ-^{32}P-ATP per well) and incubated at 30°C for 30 min before terminating by the addition of 25 μL of 75 mM H_3PO_4. Aurora B kinase assays are performed as for aurora A except that prior to use, aurora B is activated in a separate reaction at 30°C for 60 min with inner centromeres protein[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay	CYC-116 is prepared in DMSO and diluted in cell medium[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: Mice implanted intraperitoneally with P388/0 cells are treated with CYC-116, and the antitumor activity is measured as an increase in lifespan of the treated animals versus the vehicle control group[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- J Agric Food Chem. 2022 Oct 27.
- FASEB J. 2019 Apr;33(4):5520-5534.

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REFERENCES

[1]. Wang S, et al. Discovery of N-phenyl-4-(thiazol-5-yl)pyrimidin-2-amine aurora kinase inhibitors. J Med Chem. 2010 Jun 10;53(11):4367-78.

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