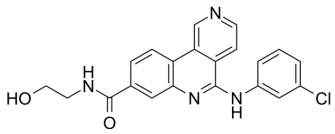
CK2 inhibitor 2

Cat. No.:	HY-132175
CAS No.:	2641079-92-5
Molecular Formula:	$C_{21}H_{17}ClN_4O_2$
Molecular Weight:	392.84
Target:	Casein Kinase
Pathway:	Cell Cycle/DNA Damage; Stem Cell/Wnt
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (127.28 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5456 mL	12.7278 mL	25.4557 mL
	5 mM	0.5091 mL	2.5456 mL	5.0911 mL
	10 mM	0.2546 mL	1.2728 mL	2.5456 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: 2.08 mg/mL (5.29 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

CK2 inhibitor 2 is a potent, selective and orally active inhibitor of CK2, with an IC_{50} of 0.66 nM. CK2 inhibitor 2 shows high selectivity for Clk2 (IC_{50}=32.69 nM)/CK2. CK2 inhibitor 2 exhibits favorable antiproliferative and antitumor activity[1].

IC_{50} & Target

CK2
0.66 nM (IC_{50})

In Vitro

CK2 inhibitor 2 (compound 1c) exhibits potent antiproliferative activities against PC-3, HCT-116, MCF-7, HT-29, T24 and LO2 cells, with IC_{50}s of 4.53 μM, 3.07 μM, 7.50 μM, 5.18 μM, 6.10 μM, and 96.68 μM, respectively[1].
CK2 inhibitor 2 (5-20 μM; 24 h) induces apoptosis of HCT-116 cells in a dose-dependent manner. CK2 inhibitor 2 dose-dependently suppresses the expression of p-Akt1^{S129} and p-Cdc37^{S13} in HCT-116 cells[1].
CK2 inhibitor 2 (1-500 nM) dose-dependently inhibits exogenous ALDH1A1 enzyme activity, with an IC_{50} of 0.10 μM[1].
CK2 inhibitor 2 (5-20 μM; 24 h) inhibits the transcription and protein expression of ALDH1A1 in HCT-116 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	HCT-116 cells
Concentration:	5, 10, 20 μM
Incubation Time:	24 hours
Result:	The apoptotic ratio reached about 55% at the concentration of 20 μM.

Western Blot Analysis[1]

Cell Line:	HCT-116 cells
Concentration:	5, 10, 20 μM
Incubation Time:	24 hours
Result:	Inhibited the expression of p-Akt1^{S129} and p-Cdc37^{S13} in a dose-dependent manner.

In Vivo

CK2 inhibitor 2 (60-90 mg/kg; p.o. twice a day for 4 weeks) obviously inhibits the tumor growth dose-dependently with a maximum inhibitory rate of 69% at a dose of 90 mg/kg[1].

CK2 inhibitor 2 (25 mg/kg; a single p.o.) exhibits C_{max} (7017.8 ng/mL), elimination half-life ($t_{1/2}$=6.67 h), and CL (0.60 L/h/kg) in SD rats[1].

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

Animal Model:	Male BALB/c athymic nude mice (5 weeks old; 16-18 g) were injected HCT-116 cells[1]
Dosage:	60, 90 mg/kg
Administration:	P.o. twice a day for 4 weeks
Result:	Inhibited the tumor growth in a dose-dependent manner. No conspicuous change in body weight.

Animal Model:	Sprague-Dawley (SD) rats[1]
Dosage:	25 mg/kg (Pharmacokinetic Analysis)
Administration:	A single p.o.
Result:	C_{max}=7017.8 ng/mL, $t_{1/2}$=6.67 h, CL=0.60 L/h/kg.

REFERENCES

[1]. Wang Y, et, al. Discovery of 5-(3-Chlorophenylamino)benzo[c][2,6]naphthyridine Derivatives as Highly Selective CK2 Inhibitors with Potent Cancer Cell Stemness Inhibition. J Med Chem. 2021 Apr 22;64(8):5082-5098.

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