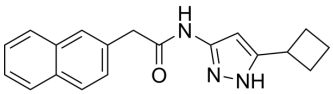
CDK5 inhibitor 20-223

Cat. No.:	HY-123772
CAS No.:	865317-30-2
Molecular Formula:	$C_{19}H_{19}N_3O$
Molecular Weight:	305.37
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
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 (327.47 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2747 mL	16.3736 mL	32.7472 mL
	5 mM	0.6549 mL	3.2747 mL	6.5494 mL
	10 mM	0.3275 mL	1.6374 mL	3.2747 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: ≥ 5 mg/mL (16.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CDK5 inhibitor 20-223 is a potent CDK2 and CDK5 inhibitor with IC_{50}s of 6.0 and 8.8 nM, respectively. CDK5 inhibitor 20-223 is an effective anti-colorectal cancer (CRC) agent[1].

IC_{50} & Target

CDK2	CDK5
6.0 nM (IC_{50})	8.8 nM (IC_{50})

In Vitro

CDK5 inhibitor 20-223 (10 nM-10 μM; 72 hours) potently inhibits cell growth in a panel of colorectal cancer (CRC) cell lines[1].
?CDK5 inhibitor 20-223 (0.3125-20 μM; 6 hours) induces a dose-dependent decrease in pRB (S807/811) and pFAK (S732) levels in each of the three CRC cell lines[1].

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

Cell Viability Assay[1]

Cell Line:	CRC cell lines SW620, DLD1, HT29, HCT116, FET, CBS, and GEO cells
Concentration:	10 μM, 1 μM, 100 nM, 10 nM
Incubation Time:	72 hours
Result:	Reduced cell growth. IC50s of 168±20, 480±41, 360±72, 763±92, 117±49, 568±49, 79±31 nM for SW620, DLD1, HT29, HCT116, FET, CBS, and GEO cells.

Western Blot Analysis[1]

Cell Line:	CRC cell lines GEO, HCT116 and HT29
Concentration:	20, 10, 5, 2.5, 1.25, 0.625, 0.3125 μM
Incubation Time:	6 hours
Result:	Did not affect the total levels of CDK2/5, and the levels of total FAK or total Retinoblastoma protein (Rb). Induced a dose-dependent decrease in pRB (S807/811) and pFAK (S732) levels.

In Vivo

CDK5 inhibitor 20-223 (8mg/kg; subcutaneously; for 14 injections) shows anti-tumor activity in human CRC xenograft tumors in nude mice[1].

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

Animal Model:	Athymic nude mice[1]
Dosage:	8 mg/kg
Administration:	Injections were given subcutaneously daily for the first week and every other day for two more weeks for a total of 14 injections.
Result:	Reduced tumor growth and tumor weight in vivo.

REFERENCES

[1]. Caroline M Robb, et al. Characterization of CDK(5) Inhibitor, 20-223 (Aka CP668863) for Colorectal Cancer Therapy. Oncotarget. 2017 Dec 28;9(4):5216-5232.

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