CP681301

Cat. No.: HY-150082

CAS No.: 865317-32-4

Molecular Formula: $C_{17}H_{22}N_4O$

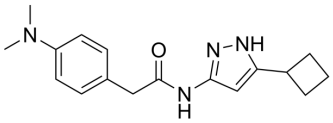
Molecular Weight: 298

Target: CDK; DNA/RNA Synthesis

Pathway: Cell Cycle/DNA Damage

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (335.57 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	3.3557 mL	16.7785 mL	33.5570 mL
5 mM	0.6711 mL	3.3557 mL	6.7114 mL
10 mM	0.3356 mL	1.6779 mL	3.3557 mL

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

BIOLOGICAL ACTIVITY

Description

CP681301 is a potent CDK5 inhibitor. CP681301 shows antiproliferative activity. CP681301 decreases the expression of CD133, OLIG2, SOX2, KI67, pCDK5 protein level in GSCs (Glioma stem cells). CP681301 reduces self-renewal in mouse glioma xenografts. CP681301 shows anti-tumor activity in Drosophila[1].

In Vitro

CP681301 (1 μM; 96 h) shows variably cytotoxic to the tested GSC cultures but is not toxic to NHNPs[1].

CP681301 (0, 10, 50 μM; 48 h) decreases the expression of CD133, OLIG2, SOX2, KI67, pCDK5 protein level in GSCs[1].

CP681301 (0, 0.5, 1 μM;) suppresses the expression of CREB Ser133 phosphorylation[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	NHNP, N12.159, GBM121, GBM39, N08-74 cells
Concentration:	1 μM
Incubation Time:	96 h

Result:	Showed variably cytotoxic to the tested GSC cultures but was not toxic to NHNPs (normal human neuro-progenitors).

Western Blot Analysis[1]

Cell Line:	GBM 121, GBM39 cells
Concentration:	1 μM
Incubation Time:	48 h
Result:	Suppressed the expression of CD133, OLIG2, and SOX2 and cell proliferation marker KI67.

In Vivo

CP681301 (1 mM; fed; 10 days) shows anti-tumor activity in 0- to 2-day-old adult Drosophila[1].

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

Animal Model:	0- to 2-day-old adult Drosophila (brat-RNAi tumors)[1]
Dosage:	1 mM
Administration:	Fed, 10 days
Result:	Reduced active phospho-dCdk5 (Y15) in tumor cells and the self-renewal properties of stem cells, increased the expression of neuronal marker ElaV in these cells and increased the median survival by 2.8-fold.

REFERENCES

[1]. Mukherjee S, et al. CDK5 Inhibition Resolves PKA/cAMP-Independent Activation of CREB1 Signaling in Glioma Stem Cells. Cell Rep. 2018 May 8;23(6):1651-1664.

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