Cimpuciclib

Cat. No.: HY-112243

CAS No.: 2202767-78-8

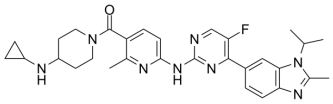
Molecular Formula: $C_{30}H_{35}FN_8O$

Molecular Weight: 542.65

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Cimpuciclib is a selective CDK4 inhibitor (IC_{50}: 0.49 nM) that has anti-tumor activity[1].

IC_{50} & Target

CDK4	CDK6
0.49 nM (IC_{50})	9.56 nM (IC_{50})

In Vitro

Cimpuciclib (example 63, 141.2 nM, 6 days) inhibits proliferation in colo205 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	Colo205 cells
Concentration:	0-500 nM approximately
Incubation Time:	6 days
Result:	Inhibited cell proliferation with an IC_{50} value of 141.2 nM.

In Vivo

Cimpuciclib (example 63, 50 mg/kg, oral gavage, twice a week) inhibits tumor growth in colo205 tumor-bearing mice[1].

Cimpuciclib (5 mg/kg for rats, 50 mg/kg for colo205 tumor-bearing mice, oral administration) shows slow metabolic rate and maintains high concentration in the plasma[1].

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

Animal Model:	Colo205 tumor-bearing mice[1]
Dosage:	50 mg/kg, twice a week
Administration:	Oral gavage
Result:	Inhibited tumor growth by 93.63%.

Animal Model:	Rats, colo205 tumor-bearing mice[1]
Dosage:	5 mg/kg for rats, 50 mg/kg for colo205 tumor-bearing mice.

Administration:	Oral administration
Result:	Pharmacokinetic profile of Cimpuciclib (example 63).

dose (mg/kg)	C_{max} (ng/mL)	T_{max} (h)	AUC_{0-24} (ng/mL•h)	$t_{1/2}$ (h)
5 mg/kg (rats)	559.7	6	5414	2.4
50 mg/kg (mice)	7960	1	136782	14.8

REFERENCES

[1]. Liu Shiqiang, et al. Preparation of benzimidazole compound as kinase inhibitor. Patent WO 2018045956.

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