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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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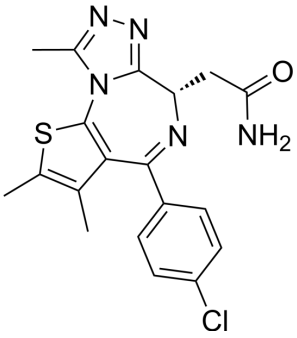
CPI-203



Cat. No.: HY-15846

CAS No.: 1446144-04-2

Molecular Formula: $C_{19}H_{18}ClN_5OS$

Molecular Weight: 399.9

Target: Epigenetic Reader Domain; Apoptosis

Pathway: Epigenetics; Apoptosis

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 : ≥ 47 mg/mL (117.53 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5006 mL	12.5031 mL	25.0063 mL
5 mM	0.5001 mL	2.5006 mL	5.0013 mL
10 mM	0.2501 mL	1.2503 mL	2.5006 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: ≥ 2.5 mg/mL (6.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CPI-203 is a novel potent, selective and cell permeable inhibitor of BET bromodomain, with an IC_{50} value of appr 37 nM (BRD4 α-screen assay).

IC_{50} & Target

IC50: 37 nM (BRD4)

In Vitro

CPI-203 inhibits BRD4 in vitro and in cells, but does not affect BRD4 kinase activity in vitro[1]. CPI-203 exerts a cytostatic

	effect in all the 9 MCL cell lines analyzed with GI_{50} ranging from 0.06 to 0.71 μM, with low cytotoxicity in normal PBMCs from healthy donors. Furthermore, CPI-203 efficiently activates the cell death program in MCL cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CPI-203 (2.5 mg/kg, i.p.) combined with lenalidomide, enhances the antitumoral properties of each single agent via the abrogation of MYC and IRF4 expression and the induction of apoptosis in n REC-1 tumor-bearing mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	CB17-severe combined immunodeficiency (SCID) mice are inoculated subcutaneously with 10^7 cells of the indicated MCL cell line, and monitored for tumor growth and vital parameters as previously described. For lenalidomide and lenalidomide-bortezomib dosing, mice are randomly assigned into cohorts of 3-4 mice each and receive by intraperitoneal injection a twice weekly dose of bortezomib (0.15 mg/kg), a daily dose of lenalidomide (50 mg/kg), the combination of lenalidomide and bortezomib, or an equal volume of vehicle. In the lenalidomide-CPI-203 protocol, a total of 22 REC-1 tumor-bearing mice are randomly assigned to cohorts of 5-6 mice, receiving a twice daily intraperitoneal injection of 2.5 mg/kg CPI-203, a daily intraperitoneal injection of 50 mg/kg lenalidomide, both agents or an equal volume of vehicle. Between 26 and 29 days post-inoculation, animals are killed according to institutional guidelines and tumor samples are subjected to immunohistochemical staining using primary antibodies against phospho-histone H3, cleaved caspase-3 (5A1E) and MYC (D84C12), IRF4 (M-17) and platelet endothelial cell adhesion molecule-1 (PECAM-1) (M20), CD19 (LE-CD19), Blimp-1 (clone Ros195G/G5), PAX5 (clone 24), CCL3 and CD38, as previously described. Preparations are evaluated using an Olympus DP70 microscope and Cell B Basic Imaging Software. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Sci. 2022 Jan;113(1):28-40.
- Sci Rep. 2022 Mar 8;12(1):4038.
- Martin-Luther-Universität Halle-Wittenberg. Naturwissenschaftlichen Fakultät I. 2020 Dec.
- Patent. US20180263995A1.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Devaiah BN, et al. BRD4 is an atypical kinase that phosphorylates serine2 of the RNA polymerase II carboxy-terminal domain. Proc Natl Acad Sci U S A. 2012 May 1;109(18):6927-32.

[2]. Moros A, et al. Synergistic antitumor activity of lenalidomide with the BET bromodomain inhibitor CPI203 in bortezomib-resistant mantle cell lymphoma. Leukemia. 2014 Oct;28(10):2049-59

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