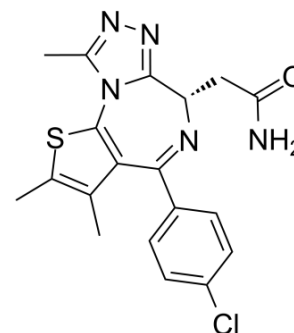


CPI-203

Cat. No.:	HY-15846		
CAS No.:	1446144-04-2		
Molecular Formula:	C ₁₉ H ₁₈ ClN ₅ OS		
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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 47 mg/mL (117.53 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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
- 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 IC₅₀ value of appr 37 nM (BRD4 α-screen assay).

IC₅₀ & 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 GI₅₀ 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 10⁷ 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

- Martin-Luther-Universität Halle-Wittenberg. Naturwissenschaftlichen Fakultät I. 2020 Dec.

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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

Caution: Product has not been fully validated for medical applications. For research use only.

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