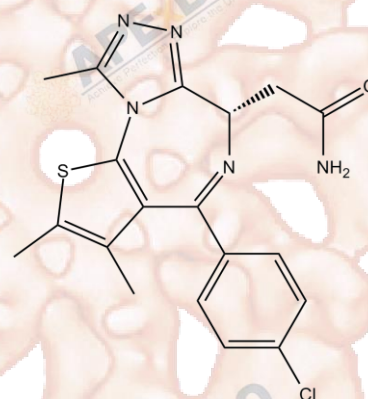


Product Data Sheet

CPI-203

Cat. No.:	B1081
CAS No.:	1446144-04-2
Formula:	C ₁₉ H ₁₈ ClN ₅ O ₂ S
M.Wt:	399.9
Synonyms:	CPI203; CPI 203; TEN010; TEN 010; JQ-2; JQ 2; JQ2; RG-6146; RG 6146
Target:	Chromatin/Epigenetics
Pathway:	Bromodomain
Storage:	Store at -20°C



Solvent & Solubility

≥40 mg/mL in DMSO; insoluble in H₂O; ≥6.1 mg/mL in EtOH with ultrasonic

In Vitro

Preparing Stock Solutions	Mass			
	Solvent Concentration	1mg	5mg	10mg
	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.

Biological Activity

Shortsummary

BET bromodomain inhibitor

IC₅₀ & Target

37 nM (BRD4)

Cell Viability Assay

In Vitro

Cell Line:	9 MCL cell lines and 2 PBMCs
Preparation method:	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.

	Reacting conditions:	72 hrs
	Applications:	In 9 MCL cell lines, CPI-203 exerted a cytostatic effect, with a mean GI50 value of 0.23 μ M. At this concentration, the cytotoxicity of CPI-203 to PBMCs was below 25%, which demonstrated its selectivity. In 2 Bortezomib-resistant MCL cell lines with high MYC expression (REC-1 and JBR) and 2 Bortezomib-sensitive MCL cell lines with lower MYC expression (GRANTA-519 and JVM-2), CPI-203 at the dose of 5 μ M effectively reduced MYC expression, without causing apoptosis.
In Vivo	Animal experiment	
	Animal models:	REC-1 tumor-bearing mice
	Dosage form:	2.5 mg/kg; i.p.; b.i.d.
	Applications:	In REC-1 tumor-bearing mice, CPI-203 alone or in combination with Lenalidomide reduced tumor volume by 44% and 62%, respectively. Moreover, tumor glucose uptake was reduced by 86% in the CPI-203 + Lenalidomide group. Immunohistochemical analysis of tumors collected from the CPI-203 + Lenalidomide group showed a decrease in the mitotic index, almost complete disappearance of MYC- and IRF4-positive cells, as well accumulation of cleaved caspase-3-positive cells.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Nagaraja S, Vitanza NA, et al."Transcriptional Dependencies in Diffuse Intrinsic Pontine Glioma." Cancer Cell.2017 May 8;31(5):635-652.e6.PMID:28434841

See more customer validations on www.apexbt.com.

References

[1]. Moros A, Rodríguez V, Saborit-Villarroya I, Montraveta A, Balsas P, Sandy P, Martínez A, Wiestner A, Normant E, Campo E, Pérez-Galán P, Colomer D, Roué G. Synergistic antitumor activity of lenalidomide with the BET bromodomain inhibitor CPI203 in bortezomib-resistant mantle cell lymphoma. Leukemia. 2014 Mar 18.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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