

CPI-203

Catalog No: tcsc2190

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

CAS No:

1446144-04-2

Formula:

 $C_{19}H_{18}CIN_5OS$

Pathway:

Epigenetics

Target: Epigenetic Reader Domain

Purity / Grade:

>98%

Solubility: DMSO : \geq 47 mg/mL (117.53 mM)

Observed Molecular Weight: 399.9

Product 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 -

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screen assay).

IC50 & Target: IC50: 37 nM (BRD4)

In Vitro: CPI203 inhibits BRD4 in vitro and in cells, but does not affect BRD4 kinase activity in vitro^[1]. CPI203 exerts a cytostatic effect in all the 9 MCL cell lines analyzed with GI_{50} ranging from 0.06 to 0.71 μ M, with low cytotoxicity in normal PBMCs from healthy donors. Furthermore, lenalidomide and CPI203, by targeting IRF4 and MYC, efficiently activates the cell death program in MCL cells resistant to bortezomib^[2].

In Vivo: BRD4 mediates CTD Ser2 phosphorylation in vivo^[1]. In REC-1 tumor-bearing mice, the combination of lenalidomide with CPI203 (2.5 mg/kg, i.p.) synergistically augments the antitumoral properties of each single agent via the abrogation of MYC and IRF4 expression and the induction of apoptosis^[2].



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