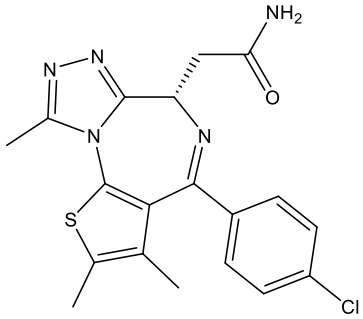
Catalog # 10-4048

CPI203

CAS# 1446144-04-2

(S)-2-(4-(4-Chlorophenyl)-2,3,9-trimethyl-6h-Thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-6-yl)acetamide; 2-[(9S)-7-(4-Chlorophenyl)-4,5-13-trimethyl-3-thia-1,8,11,12-tetrazatricyclo[8.3.0.0^{2,6}]trideca-2(6),4,7,10,12-pentaen-9-yl]acetamide; CPI-267203

Lot # FBS3057



CPI203, a JQ1 analog, is a potent and selective inhibitor of the BET bromodomain BRD4 (IC_{50} = 26 nM).[1] It helps promote the maintenance of hematopoietic stem cells *via* repression of Myc expression.[2] CPI203 reversibly suppressed intestinal stem cell differentiation in a mouse model.[3] It enhanced the expansion of human cord blood hematopoietic stem cells without losing cell viability.[4] CPI203 displays synergistic antitumor activity with various agents including lenalidomide[5], bortezomib[6], and PARP inhibitors[7].

1) Devaiah *et al.* (2012), *BRD4 is an atypical kinase that phosphorylates serine2 of the RNA polymerase II carboxy-terminal domain;* Proc. Nat. Acad. Sci. USA **109** 6927
2) Knudsen *et al.* (2015), *ERG promotes the maintenance of hematopoietic stem cells by restricting their differentiation*; Genes Dev., **29** 1915
3) Nakagawa *et al*. (2016), *Selective and reversible suppression of intestinal stem cell differentiation by pharmacological inhibition of BET bromodomains*; Sci. Rep. **6** 20390
4) Hua *et al*. (2020), *The BET inhibitor CPI203 promotes ex vivo expansion of cord blood long-term repopulating HSCs and megakaryocytes*; Blood **136** 2410
5) Diaz *et al*. (2017), *The BET bromodomain inhibitor CPI203 improves lenalidomide and dexamethasone activity in in vitro and in vivo models of multiple myeloma by blockade of Ikaros and MYC signaling*; Haematologica **102** 1776
6) Siegel *et al*. (2015), *Small molecule inhibitor screen identifies synergistic activity of the bromodomain inhibitor CPI203 and bortezomib in drug resistant myeloma*; Oncotarget **6** 18921
7) Lui *et al*. (2020), *BET, SRC, and BCL2 family inhibitors are synergistic drug combinations with PARP inhibitors in ovarian cancer*; EBioMedicine **60** 102988

PHYSICAL DATA

Molecular Weight:	399.90
Molecular Formula:	$C_{19}H_{18}ClN_5OS$
Purity:	>98% HPLC
	NMR: (Conforms)
Solubility:	Soluble in DMSO (>25 mg/ml)
Physical Description:	White to off-white solid
Storage and Stability:	Store as supplied at -20º for up to 1 year from the date of purchase. Store solutions at -20ºC for up to 3 months.

Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462

www.focusbiomolecules.com