Product Data Sheet

Product Name: VVD-118313
Cat. No.: GC67867

Chemical Properties

Cas. No.	2875046-27-6		
Formula	$C_{19}H_{22}Cl_2N_2O_3S$	M.Wt	429.36
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	VVD-118313		

Background

VVD-118313 (compound 5a) is a potent, selective **JAK1** inhibitor. VVD-118313 targets an isoform-restricted allosteric cysteine to block JAK1-dependent trans-phosphorylation and cytokine signaling. VVD-118313 can be used for research of cancer[1].

VVD-118313 (compound 5a; 0.01-10 μM; 3 h; primary human PBMCs) inhibits JAK1 by engagement of C817 and JAK2 by engagement of C838. VVD-118313 inhibits cysteine reactivity in a dose-dependent manner[1].
VVD-118313 (2 μM, 2h) blocks IFNα-simulated STAT1 and IL-6-stimulated STAT3 phosphorylation in WT- or C810A-JAK1-expressing 22Rv1 cells. VVD-118313 also blocks the constitutive phosphorylation of WT- and C810A-JAK1[1].
VVD-118313 (0.01-10 μM) selectively inhibits JAK1 signaling in primary human immune cells. VVD-118313 inhibits JAK1-dependent IFNα-pSTAT1, IL-6-pSTAT3, and IL-2-pSTAT5 pathways in human PBMCs in a dose-dependent manner[1].
VVD-118313 (0.1-0.4 μM; 24h) inhibits T-cell activation induction. VVD-118313 inhibits the activation of human T cells co-stimulated with αCD3/αCD28 by a reduction in the proportion of $CD25^+$ T cells. VVD-118313 blocks the secretion of the Th1-polarizing cytokine IFNγ and increases the production of IL-2[1].

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VVD-118313 (0.1-0.5 μM; 2h) inhibits on the production of pro-inflammatory cytokines and chemokines. VVD-118313 suppresses the induction of several pro-inflammatory chemokines, including CCL2/MCP-1, CXCL10/IP-10, and CCL4/MIP-1β[1].

Western Blot Analysis[1]

Cell Line:	22Rv1 cells
Concentration:	0.01, 0.1, and 1 μM
Incubation Time:	2 hours
Result:	Showed labeling of recombinant WT-JAK1 and C810A-JAK1, but not C817A-JAK1.

Western Blot Analysis[1]

Cell Line:	22Rv1 cells
Concentration:	2 μM
Incubation Time:	2 hours
Result:	Inhibited WT- and C810A-JAK1 phosphorylation with even greater potency than STAT1/STAT3 phosphorylation.

VVD-118313 (compound 5a; 25-50 mg/kg; i.h.; once; TYK2 knockout mice) inhibits JAK1 signaling in mice[1].

Animal Model:	TYK2 knockout mice[1]
Dosage:	25 and 50 mg/kg
Administration:	Subcutaneous injection; once
Result:	Revealed 75% engagement of JAK1_C816 at 25 and 50 mg/kg, while other JAK1 cysteines were unaffected in reactivity.

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[1]. Kavanagh ME, et, al. Selective inhibitors of JAK1 targeting an isoform-restricted allosteric cysteine. Nat Chem Biol. 2022 Sep 12.

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