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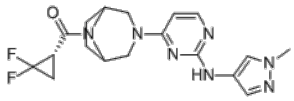
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Brepocitinib
Cat. No.	:	PC-61819
CAS No.	:	1883299-62-4
Molecular Formula	:	$C_{18}H_{21}F_2N_7O$
Molecular Weight	:	389.411
Target	:	JAK
Solubility	:	10 mM in DMSO



Biological Activity

Brepocitinib (PF-06700841) is a potent dual **JAK1/TYK2** inhibitor with IC50 of 17/23 nM, inhibits JAK2 and JAK3 with IC50=77 and 6494 nM, respectively.
PF-06700841 potently inhibits TYK2/JAK2 mediated IL-12/pSTAT4 and IL-23/pSTAT3 (HWB IC50=65 and 120 nM, respectively).
PF-06700841 has good potency against IL6/pStat1 in the CD3+ cellular subset (IC50 = 81 nM), but lower inhibition of IL6/pSTAT3, again in the CD3+ cellular subset (IC50=641 nM).
PF-06700841 inhibits EPO/pSTAT5 (JAK2 homodimer) in HWB spiked with CD34+ progenitor cells (IC50=577 nM).
IL10/pSTAT3 (TYK2/JAK1) and IL27/pSTAT3 (JAK1/JAK2/TYK2) are also inhibited by PF-06700841 with IC50=305 nM and 86 nM, respectively.
PF-06700841 shows good selectivity against a broad panel of receptors with exception for kinase insert domain receptor (KDR) (VEGFR2) (IC50=1600 nM); shows therapeutic eggect in the rat adjuvant induced arthritis (AIA) following oral dosing.

References

Banfield C, et al. ***J Clin Pharmacol.*** 2017 Dec 21. doi: 10.1002/jcph.1046.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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