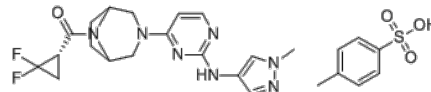


Product Name : PF-06700841 tosylate
Cat. No. : PC-61818
CAS No. : 2140301-96-6
Molecular Formula : C₂₅H₂₉F₂N₇O₄S
Molecular Weight : 561.609
Target : JAK
Solubility : 10 mM in DMSO



Biological Activity

PF-06700841 tosylate (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 effect 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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