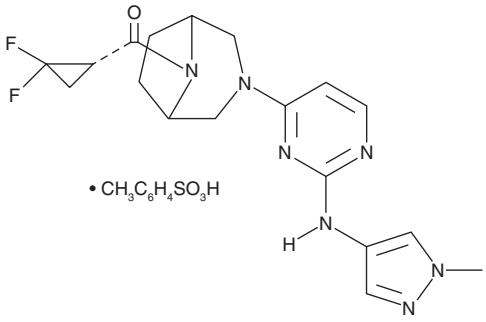
PRODUCT INFORMATION

PF-06700841 (tosylate)

Item No. 26125

CAS Registry No.:	2140301-96-6
Formal Name:	[(1S)-2,2-difluorocyclopropyl][3-[2-[(1-methyl-1H-pyrazol-4-yl)amino]-4-pyrimidinyl]-3,8-diazabicyclo[3.2.1]oct-8-yl]-methanone, 4-methylbenzenesulfonate
MF:	$C_{18}H_{21}F_2N_7O \bullet C_7H_8O_3S$
FW:	561.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 224 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-06700841 (tosylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-06700841 (tosylate) in the solvent of choice, which should be purged with an inert gas. PF-06700841 (tosylate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PF-06700841 (tosylate) in these solvents is approximately 10 mg/ml. PF-06700841 (tosylate) is also slightly soluble in ethanol.

PF-06700841 (tosylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-06700841 (tosylate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PF-06700841 (tosylate) has a solubility of approximately 0.05 mg/ml in a 1:20 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PF-06700841 is an inhibitor of JAK1 and tyrosine kinase 2 (TYK2; IC_{50}s = 17 and 23 nM, respectively).[1] It is selective for JAK1 and TYK2 over JAK2 and JAK3 (IC_{50}s = 77 and 6,494 nM, respectively). PF-06700841 selectively inhibits IFN-α/STAT3 signaling over erythropoietin/STAT5 signaling in human whole blood (IC_{50}s = 30 and 577 nM, respectively). It reduces increases in hind paw volume in a rat model of adjuvant-induced arthritis in a dose-dependent manner.

Reference

1. Fensome, A., Ambler, C.M., Arnold, E., *et al.* Dual inhibition of TYK2 and JAK1 for the treatment of autoimmune diseases: Discovery of ((*S*)-2,2-difluorocyclopropyl)((1*R*,5*S*)-3-(2-((1-methyl-1*H*-pyrazol-4-yl)amino)pyrimidin-4-yl)-3,8-diazabicyclo[3.2.1]octan-8-yl)methanone (PF-06700841). *J. Med. Chem.* (2018).

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