

Product Name: PF 06651600 malonate

Catalog No.: 6506

Batch No.: 1

CAS Number: 2140301-97-7

IUPAC Name: 1-[(2*S*,5*R*)-2-Methyl-5-(7*H*-pyrrolo[2,3-*d*]pyrimidin-4-ylamino)-1-piperidinyl]-2-propen-1-one malate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₅H₁₉N₅O.C₃H₄O₄

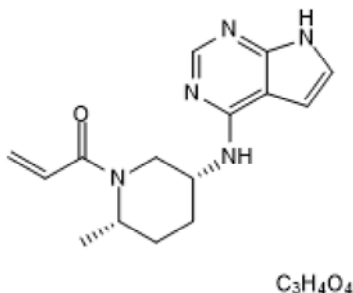
Batch Molecular Weight: 389.41

Physical Appearance: White solid

Solubility:
water to 100 mM
DMSO to 100 mM
ethanol to 50 mM

Storage: Store at +4°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.5% purity

Chiral HPLC: Shows 100% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:	Carbon Hydrogen Nitrogen			
	Theoretical	55.52	5.95	17.98
Found	55.54	6	17.78	

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Potent and selective JAK3 inhibitor (IC₅₀ = 33.1 μM). Exhibits no significant activity against a panel of other kinases including JAK1, JAK2 and TYK2. Inhibits phosphorylation of STAT5, induced by IL-2, IL-4, IL-7 and IL-15. Suppresses Th1 and Th17 T-cell differentiation and function in vitro. Reduces paw swelling in a rat model of arthritis and reduces disease severity in a rat EAE model.

Physical and Chemical Properties:

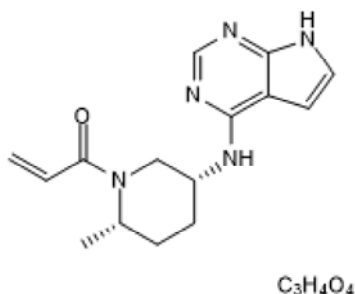
Batch Molecular Formula: C₁₅H₁₉N₅O.C₃H₄O₄

Batch Molecular Weight: 389.41

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



References:

Thorarensen et al (2017) Design of a Janus Kinase 3 (JAK3) specific inhibitor 1-((2S,5R)-5-((7H-pyrrolo[2,3-d]pyrimidin-4-yl)amino)-2-methylpiperidin-1-yl)prop-2-en-1-one (PF-06651600) allowing for the interrogation of JAK3 signaling in humans. *J.Med.Chem.* **60** 1971. PMID: 28139931.

Telliez et al (2016) Discovery of a JAK3-selective inhibitor: functional differentiation of JAK3-selective inhibition over pan-JAK or JAK1-selective inhibition. *ACS Chem.Biol.* **11** 3442. PMID: 27791347.

Storage: Store at +4°C

Solubility & Usage Info:

water to 100 mM

DMSO to 100 mM

ethanol to 50 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold for research purposes under agreement from Pfizer Inc.

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