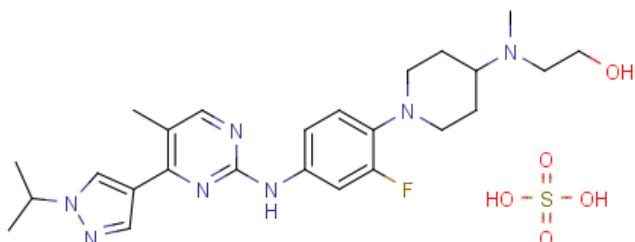


Name: Flonoltinib maleate cat#: EX-A6365

Chemical Structure:



Chemical Name	Ethanol, 2-[[1-[2-fluoro-4-[[5-methyl-4-[1-(1-methylethyl)-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]phenyl]-4-piperidinyl]methylamino]-, sulfate (1:1)		
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Molecular Weight	565.67	Storage	3 years -20°C powder
Formula	C25H36FN7O5S		6 months -80°C in solvent Away from moisture
CAS No.	2568842-57-7	Synonyms	JAK2/FLT3-IN-1 maleate

Solubility (25°C) *	In vitro	DMSO	Soluble
		Ethanol	N/A
	In vivo (should be freshly prepared each time)	Water	Soluble

* <1 mg/ml means slightly soluble or insoluble.

* Please note that Selleck tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.

Preparing Stock Solutions:

Concentration	Mass	1 mg	5 mg	10 mg
	Volume			
1 mM		1.7678 mL	8.8391 mL	17.6782 mL
5 mM		0.3536 mL	1.7678 mL	3.5356 mL
10 mM		0.1768 mL	0.8839 mL	1.7678 mL

*The above data is based on the product molecular weight 565.67.

Biological Activities:

Description	JAK2/FLT3-IN-1 maleate (Flonoltinib maleate) is a potent and orally active dual JAK2/FLT3 inhibitor with IC50 values of 0.7 nM, 4 nM, 26 nM and 39 nM for JAK2, FLT3, JAK1 and JAK3, respectively. JAK2/FLT3-IN-1 maleate (Flonoltinib maleate) has anti-cancer activity.
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IC ₅₀ & Target	JAK2 0.7 nM (IC50)	FLT3 4 nM (IC50)	JAK1 26 nM (IC50)	JAK3 39 nM (IC50)
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In Vitro	JAK2/FLT3-IN-1 (0.008-1 μM; for 2 hours) down-regulates p-FLT3 in a dose-dependent manner ^[1] . JAK2/FLT3-IN-1 (5-100 nM; for 2 hours) has a dose-dependent effect on the induction of apoptosis in the MV4-11 cells ^[1] . JAK2/FLT3-IN-1 (5-100 nM; for 2 hours) strongly induces cell cycle arrest with a G1/G0 percentage of 85% at 100 nM in the MV4-11 cells ^[1] .
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In Vivo	JAK2/FLT3-IN-1 (30 and 60 mg/kg/day; p.o.; for 14 days) exhibits significant antitumor effects ^[1] .
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References	[1]. Yang T, et al. Discovery of Potent and Orally Effective Dual JAK2/FLT3 Inhibitors for the Treatment of Acute Myelogenous Leukemia and Myeloproliferative Neoplasms. J Med Chem. 2019 Oct 31.
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