

Name: Flonoltinib maleate Cat#: EX-A6364

Chemical Structure:

Chemical	Ethanol, 2-[[1-[2-fluoro-4-[[5-methyl-4-[1-(1-methylethyl)-1H-pyrazol-
Name	4-yl]-2-pyrimidinyl]amino]phenyl]-4-piperidinyl]methylamino]-

Molecular Weight	467.58	Storage	3 years -20°C powder
Formula	C25H34FN7O		6 months -80°C in solvent
CAS No.	2387765-27-5	Synonyms	JAK2/FLT3-IN-1

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

- * <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:

Mass	1 mg	5 mg	10 mg
Volume Concentration			
1 mM	2.1387 mL	10.6934 mL	21.3867 mL
5 mM	0.4277 mL	2.1387 mL	4.2773 mL
10 mM	0.2139 mL	1.0693 mL	2.1387 mL

*The above data is based on the product molecular weight 467.58.



Biological Activities:

Description

JAK2/FLT3-IN-1 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 has anti-cancer activity.

IC₅∘ & Target	JAK2	FLT3	JAK1	JAK3
	0.7 nM (IC50)	4 nM (IC50)	26 nM (IC50)	39 nM (IC50)

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] .

In Vivo	JAK2/FLT3-IN-1 (30 and 60 mg/kg/day; p.o.; for 14 days) exhibits
	significant antitumor effects ^[1] .

References

[1]. Yang T, et al. Discovery of Potent and Orally Effective Dual JAK2/FLT3

Inhibitors for the Treatment of AcuteMyelogenous Leukemia and

Myeloproliferative Neoplasms. J Med Chem. 2019 Oct 31.