

Data Sheet (Cat.No.T5049)

NVP-RSK805

Chemical Properties

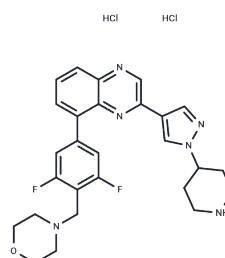
CAS No. : 1092499-93-8

Formula: C₂₇H₂₈F₂N₆O

Molecular Weight: 490.55

Appearance: Solid

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	NVP-BSK805 (BSK 805) is an ATP-competitive JAK2 inhibitor.
Targets(IC50)	JAK,Tyrosine Kinases
In vitro	GI50 of <100 nM. NVP-BSK805 blocks the STAT5 phosphorylation at \geq 100 nM concentrations, and shows a bias for JAK2 over JAK1 and JAK3 inhibition in the JAK2V617F-mutant cell lines. NVP-BSK805 (5 μ M) improves P-gp inhibitory activity. NVP-BSK805 increases sensitization of drug-resistant KBV20C cancer cells to VIC treatment at 10 μ M, and such an effect is more effective than a 5 μ M dose.
In vivo	NVP-BSK805 (150 mg/kg, p.o.) blocks STAT5 phosphorylation, splenomegaly, and leukemic cell spreading in a Ba/F3 JAK2V617F cell-driven mouse model. NVP-BSK805 (50, 75, and 100 mg/kg, p.o.) also suppresses rhEpo-mediated polycythemia and splenomegaly in BALB/c mice.

Solubility Information

Solubility DMSO: 10 mM, Sonication is recommended.
(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0385 mL	10.1926 mL	20.3853 mL
5 mM	0.4077 mL	2.0385 mL	4.0771 mL
10 mM	0.2039 mL	1.0193 mL	2.0385 mL
50 mM	0.0408 mL	0.2039 mL	0.4077 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Cheon JH, et al. The JAK2 inhibitors CEP-33779 and NVP-BSK805 have high P-gp inhibitory activity and sensitize drug-resistant cancer cells to vincristine. *Biochem Biophys Res Commun.* 2017 Sep 2;490(4):1176-1182.

Rubert J, et al. *BMC Cancer*, 2011, Jan(19), 11-24.

Baffert F, et al. Potent and selective inhibition of polycythemia by the quinoxaline JAK2 inhibitor NVP-BSK805. *Mol Cancer Ther.* 2010 Jul;9(7):1945-55.

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