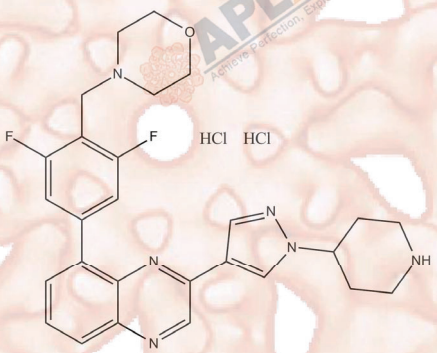
Product Data Sheet

NVP-BSK805 2HCl

Cat. No.:	A4148
CAS No.:	1092499-93-8 (free base)
Formula:	C27H28F2N6O·2HCl
M.Wt:	563.47
Synonyms:	
Target:	JAK/STAT Signaling
Pathway:	JAK
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥30.8 mg/mL in H2O with gentle warming; ≥214 mg/mL in DMSO; ≥8.03 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7747 mL	8.8736 mL	17.7472 mL
5 mM	0.3549 mL	1.7747 mL	3.5494 mL
10 mM	0.1775 mL	0.8874 mL	1.7747 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	JAK2 inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	JAK2V617F-mutant SET-2 cells
Preparation method:	The solubility of this compound in DMSO >214mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μmol/L, 24 and 48 h

	Applications:	NVP-BSK805 blocked the growth of JAK2V617F cells and induced apoptosis with GI50 of <100 nmol/L. NVP-BSK805 induced apoptosis in JAK2V617F-mutant SET-2 cells in a dose- and time-dependent manner. NVP-BSK805 potently suppressed STAT5 phosphorylation in JAK2V617F mutant cell lines and displayed a bias for JAK2 over JAK1 and JAK3 inhibition.
In Vivo	**Animal experiment**	
	Animal models:	Ba/F3 JAK2V617F-luc mouse model, Mouse rhEpo-induced polycythemia model
	Dosage form:	Oral administration, 150 mg/kg
	Applications:	NVP-BSK805 (150 mg/kg) suppressed STAT5 phosphorylation, splenomegaly, and leukemic cell spreading in a Ba/F3 JAK2V617F cell–driven mouse model. NVP-BSK805 suppressed rhEpo-induced STAT5 phosphorylation as well as rhEpo-mediated polycythemia and splenomegaly in BALB/c mice.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Baffert F, Régnier C H, De Pover A, et al. Potent and selective inhibition of polycythemia by the quinoxaline JAK2 inhibitor NVP-BSK805[J]. Molecular cancer therapeutics, 2010, 9(7): 1945-1955.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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