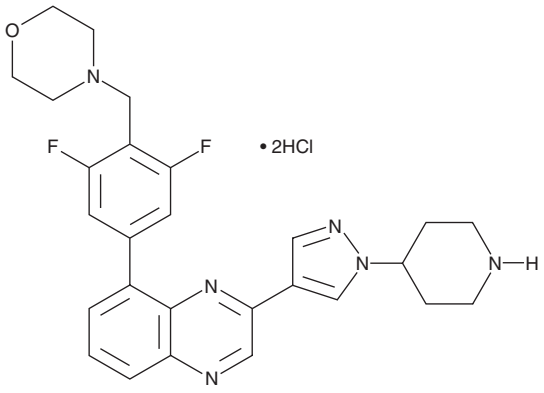
PRODUCT INFORMATION

NVP-BSK805 (hydrochloride)

Item No. 16714



CAS Registry No.:	1942919-79-0
Formal Name:	4-(2,6-difluoro-4-(3-(1-(pip4-(2,6-difluoro-4-(3-(1-(piperidin-4-yl)-1H-pyrazol-4-yl)quinoxalin-5-yl)benzyl) morpholine, dihydrochloride
MF:	$C_{27}H_{28}F_2N_6O \bullet 2HCl$
FW:	563.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 244, 275, 350 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

NVP-BSK805 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the NVP-BSK805 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. NVP-BSK805 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of NVP-BSK805 (hydrochloride) in these solvents is approximately 1, 25, and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of NVP-BSK805 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of NVP-BSK805 (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

NVP-BSK805 is a potent inhibitor of JAK2 that also inhibits the $JAK2^{V617F}$ mutant enzyme (IC_{50}s for both enzymes ~ 0.5 nM). It displays at least 20-fold selectivity against other JAK enzymes and a panel of serine/threonine and tyrosine kinases.[1] This ATP-competitive inhibitor is orally bioavailable and has a long half-life *in vivo*, suppressing leukemic cell spreading and splenomegaly in $JAK2^{V617F}$ cell-driven disease in mice.[1] NVP-BSK805 suppresses recombinant human erythropoietin-induced polycythemia and extramedullary erythropoiesis in mice and rats.[1] NVP-BSK805 can be used to study the molecular mechanisms involved in $JAK2^{V617F}$ signaling in cells.[2]

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. *Mol. Cancer Ther.* **9(7)**, 1945-1955 (2010).
2. Rubert, J., Qian, Z., Andraos, R., et al. Bim and Mcl-1 exert key roles in regulating $JAK2^{V617F}$ cell survival. *BMC Cancer* **11:24** (2011).

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