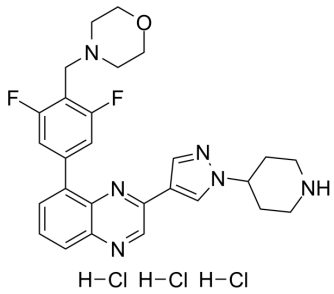
NVP-BSK805 trihydrochloride

Cat. No.:	HY-14722C
CAS No.:	2320258-95-3
Molecular Formula:	$C_{27}H_{31}Cl_3F_2N_6O$
Molecular Weight:	599.93
Target:	JAK
Pathway:	Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	NVP-BSK805 trihydrochloride trihydrochloride is an ATP-competitive JAK2 inhibitor, with IC_{50}s of 0.48 nM, 31.63 nM, 18.68 nM, and 10.76 nM for JAK2 JH1 (JAK homology 1), JAK1 JH1, JAK3 JH1, and TYK2 JH1, respectively[1].
IC_{50} & Target	JAK2 JH1 0.48 nM (IC_{50}) JAK2(V617F) 0.56 nM (IC_{50}) FL JAK2 wt 0.58 nM (IC_{50}) TYK2 JH1 18.68 nM (IC_{50}) JAK1 JH1 31.68 nM (IC_{50})
In Vitro	NVP-BSK805 trihydrochloride (BSK 805) is a JAK2 inhibitor, with IC_{50}s of 0.48 nM, 31.63 nM, 18.68 nM, and 10.76 nM for JAK2 JH1 (JAK homology 1), JAK1 JH1, JAK3 JH1, and TYK2 JH1, respectively. NVP-BSK805 trihydrochloride inhibits the full-length wild-type JAK2 (FL JAK2 wt) and FL JAK2 V617F activity, with IC_{50}s of 0.58 ± 0.03 and 0.56 ± 0.04 nM. NVP-BSK805 trihydrochloride is ATP-competitive, with aclculated K_i of 0.43 ± 0.02 nM. NVP-BSK805 trihydrochloride suppresses the growth of $JAK2^{V617F}$-bearing acute myeloid leukemia cell lines with GI_{50} of <100 nM. NVP-BSK805 trihydrochloride blocks the STAT5 phosphorylation at ≥100 nM concentrations, and shows a bias for JAK2 over JAK1 and JAK3 inhibition in the $JAK2^{V617F}$-mutant cell lines[1]. NVP-BSK805 trihydrochloride (5 μM) improves P-gp inhibitory activity. NVP-BSK805 trihydrochloride increases sensitization of drug-resistant KBV20C cancer cells to VIC treatment at 10 μM, and such an effect is more effective than a 5 μM dose[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	NVP-BSK805 trihydrochloride (BSK 805; 150 mg/kg, p.o.) blocks STAT5 phosphorylation, splenomegaly, and leukemic cell spreading in a Ba/F3 $JAK2^{V617F}$ cell-driven mouse model[1]. NVP-BSK805 trihydrochloride (50, 75, and 100 mg/kg, p.o.) also suppresses rhEpo-mediated polycythemia and splenomegaly in BALB/c mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Patent. US20180263995A1.

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REFERENCES

[1]. 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.

[2]. [2].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.

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