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## Product Data Sheet

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Product Name: NVP-BSK805 dihydrochloride

Cat. No.: GC36783

### Chemical Properties

Cas. No. 1942919-79-0

SMILES FC1=C(CN2CCOCC2)C(F)=CC(C3=C4N=C(C5=CN(C6CCNCC6)N=C5)C=NC4=CC=C3)=C1.Cl.ClFormula C<sub>27</sub>H<sub>30</sub>Cl<sub>2</sub>F<sub>2</sub>N<sub>6</sub>O

M.Wt

563.47

Solubility DMSO: 50 mg/mL (88.74 mM)

Storage

Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure 

### Background

Janus kinases (JAKs) are non-receptor tyrosine kinases that mediate signaling through cytokine receptors, often to members of the signal transducer and activator of transcription (STAT) family.<sup>1</sup> A point mutation of JAK2, V617F, activates signaling through STAT5 and drives certain forms of cancer.<sup>2</sup> NVP-BSK805 is a potent inhibitor of JAK2 that also inhibits the JAK2<sup>V617F</sup> mutant enzyme (IC<sub>50</sub>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.<sup>2</sup> This ATP-competitive inhibitor is orally bioavailable and has a long half-life *in vivo*, suppressing leukemic cell spreading and splenomegaly in JAK2<sup>V617F</sup> cell-driven disease in mice.<sup>2</sup> NVP-BSK805 suppresses recombinant human erythropoietin-induced polycythemia and extramedullary erythropoiesis in mice and rats.<sup>2</sup> NVP-BSK805 can be used to study the molecular mechanisms involved in JAK2<sup>V617F</sup> signaling in cells.<sup>3</sup>

1. Yamaoka, K., Saharinen, P., Pesu, M., et al. The Janus kinases (Jaks) *Genome Biol.* 5(12):253 (2004)

2. 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)

3. Rubert, J., Qian, Z., Andraos, R., et al. Bim and Mcl-1 exert key roles in regulating JAK2<sup>V617F</sup> cell survival *BMC Cancer* 11:24 (2011)

**Caution: Product has not been fully validated for medical applications. For research use only.**

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