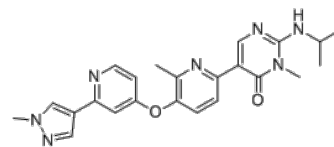


Product Name : Vimseltinib
Cat. No. : PC-38376
CAS No. : 1628606-05-2
Molecular Formula : C₂₃H₂₅N₇O₂
Molecular Weight : 431.500
Target : c-Fms (CSF1R)
Solubility : 10 mM in DMSO



Biological Activity

Vimseltinib (DCC-3014) is a potent, selective, orally active inhibitor of colony-stimulating factor 1 receptor (CSF1R/c-Fms), inhibits CSF1R phosphorylated juxtamembrane domain (JMD) with IC₅₀ of 2.8 nM, 100-fold less potency against fully phosphorylated CSF1R (IC₅₀=290 nM).

Vimseltinib (DCC-3014) exhibits approximately 20-fold weaker affinity for unphosphorylated CSF1R (K_d=79 nM) versus the JMD phosphorylated form (K_d=3.6 nM).

Vimseltinib (DCC-3014) displays high selectivity (>100-fold) for CSF1R kinase against a panel of approximately 300 human kinases.

Vimseltinib (DCC-3014) potently inhibited CSF1-stimulated phosphorylation of CSF1R in the human THP1 mononuclear cell line with IC₅₀ of 19 nM, inhibited proliferation of M-NFS-60 cells with IC₅₀ of 10.1 nM.

Vimseltinib (DCC-3014) inhibited CSF1R signaling in monocytes in human whole blood with an average IC₅₀ of 403 nM, as measured the levels of phosphorylated ERK (downstream of CSF1R activation).

Vimseltinib (DCC-3014) inhibited tumor growth and bone degradation in mouse cancer models.

References

Smith BD, et al. Mol Cancer Ther. 2021 Nov;20(11):2098-2109.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com