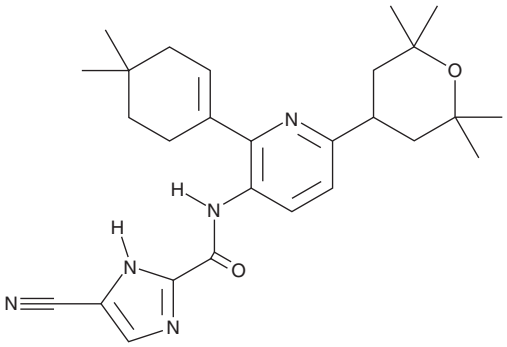
PRODUCT INFORMATION

Edicotinib

Item No. 33347

CAS Registry No.:	1142363-52-7
Formal Name:	5-cyano-N-[2-(4,4-dimethyl-1-cyclohexen-1-yl)-6-(tetrahydro-2,2,6,6-tetramethyl-2H-pyran-4-yl)-3-pyridinyl]-1H-imidazole-2-carboxamide
Synonyms:	JNJ-40346527, JNJ-527
MF:	$C_{27}H_{35}N_5O_2$
FW:	461.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 249, 292 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

Edicotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the edicotinib in the solvent of choice, which should be purged with an inert gas. Edicotinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of edicotinib in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Edicotinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, edicotinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Edicotinib has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Edicotinib is an inhibitor of colony stimulating factor 1 receptor (CSF1R; IC_{50} = 3.2 nM).[1] It is selective for CSF1R over KIT and FMS-related tyrosine kinase 3 (FLT3; IC_{50}s = 20 and 190 nM, respectively). Edicotinib (0.1-1,000 nM) reduces CSF1-induced phosphorylation of CSF1R and ERK1/2 in N13 microglia. It reduces spinal motor neuron degeneration and increases the latency to fall in the rotarod test in the P301S mouse model of tauopathy. Edicotinib (15 mg/kg) reduces increases in the colonic weight-to-length ratio, as well as decreases colonic infiltration of macrophages, neutrophils, and $CD3^+$ T cells, in an adoptive T cell transfer-induced mouse model of colitis.[2] It also reduces the viability of L-1236 Hodgkin lymphoma cells with an IC_{50} value of 264 nM.[3]

References

1. Mancuso, R., Fryatt, G., Cleal, M., *et al.* CSF1R inhibitor JNJ-40346527 attenuates microglial proliferation and neurodegeneration in P301S mice. *Brain* **142(10)**, 3243-3264 (2019).
2. Manthey, C.L., Moore, B.A., Chen, Y., *et al.* The CSF-1-receptor inhibitor, JNJ-40346527 (PRV-6527), reduced inflammatory macrophage recruitment to the intestinal mucosa and suppressed murine T cell mediated colitis. *PLoS One* **14(11)**, e0223918 (2019).
3. Campion, L., Deangelis, N., Ferrante, C., *et al.* Abstract B269: Effects of blocking aberrantly expressed CSF-1R in Hodgkin lymphoma. *Mol. Cancer Ther.* **12(11_Supplement)**, B269 (2014).

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