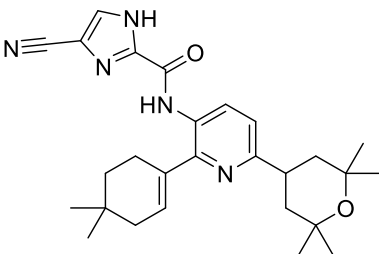


# Product data sheet



MedKoo Cat#: 205972 Name: Edicotinib CAS#: 1142363-52-7 (free) Chemical Formula: C <sub>27</sub> H <sub>35</sub> N <sub>5</sub> O <sub>2</sub> Exact Mass: 461.2791 Molecular Weight: 461.61	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

## 1. Product description:

Edicotinib, also known as JNJ-40346527, is a small molecule and orally available inhibitor of colony-stimulating factor-1 receptor (CSF1R; FMS) with potential antineoplastic activity. FMS tyrosine kinase inhibitor JNJ-40346527 blocks the receptor-ligand interaction between FMS and its ligand CSF1, thereby preventing autophosphorylation of FMS. As a result, unphosphorylated FMS cannot activate FMS-mediated signaling pathways, thus potentially inhibiting cell proliferation in FMS-overexpressed tumor cells.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	23.34	50.56
DMSO:PBS (pH 7.2) (1:3)	0.25	0.54
DMF	30.0	64.99
Ethanol	20.0	43.33

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.17 mL	10.83 mL	21.66 mL
5 mM	0.43 mL	2.17 mL	4.33 mL
10 mM	0.22 mL	1.08 mL	2.17 mL
50 mM	0.04 mL	0.22 mL	0.43 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Mancuso R, Fryatt G, Cleal M, Obst J, Pipi E, Monzón-Sandoval J, Ribe E, Winchester L, Webber C, Nevado A, Jacobs T, Austin N, Theunis C, Grauwen K, Daniela Ruiz E, Mudher A, Vicente-Rodriguez M, Parker CA, Simmons C, Cash D, Richardson J; NIMA Consortium, Jones DNC, Lovestone S, Gómez-Nicola D, Perry VH. CSF1R inhibitor JNJ-40346527 attenuates microglial proliferation and neurodegeneration in P301S mice. *Brain*. 2019 Oct 1;142(10):3243-3264. doi: 10.1093/brain/awz241. PMID: 31504240; PMCID: PMC6794948.

### In vivo study

1. Manthey CL, Moore BA, Chen Y, Loza MJ, Yao X, Liu H, Belkowski SM, Raymond-Parks H, Dunford PJ, Leon F, Towne JE, Plevy SE. 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*. 2019 Nov 11;14(11):e0223918. doi: 10.1371/journal.pone.0223918. PMID: 31710624; PMCID: PMC6844469.

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2. Mancuso R, Fryatt G, Cleal M, Obst J, Pipi E, Monzón-Sandoval J, Ribe E, Winchester L, Webber C, Nevado A, Jacobs T, Austin N, Theunis C, Grauwen K, Daniela Ruiz E, Mudher A, Vicente-Rodriguez M, Parker CA, Simmons C, Cash D, Richardson J; NIMA Consortium, Jones DNC, Lovestone S, Gómez-Nicola D, Perry VH. CSF1R inhibitor JNJ-40346527 attenuates microglial proliferation and neurodegeneration in P301S mice. *Brain*. 2019 Oct 1;142(10):3243-3264. doi: 10.1093/brain/awz241. PMID: 31504240; PMCID: PMC6794948.

## 7. Bioactivity

### Biological target:

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Edicotinib (JNJ-40346527) is a brain penetrant colony-stimulating factor-1 receptor (CSF-1R) inhibitor with an IC<sub>50</sub> of 3.2 nM.

### In vitro activity

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Pre-incubation of the cells with a range of concentrations of JNJ-527 (JNJ-40346527) (0.1–103 nM) resulted in a dose-dependent decrease of CSF1R activation and a concurrent reduction of ERK1 and ERK2 phosphorylation, which are prominent intracellular signalling pathways downstream of CSF1R (Fig. 1A and B). This demonstrates that JNJ-527 is able to prevent CSF1R phosphorylation, and activation of subsequent downstream pathways.

Reference: *Brain*. 2019 Oct; 142(10): 3243–3264. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6794948/>

### In vivo activity

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Treatment with JNJ-40346527 significantly prevented disease-induced body weight loss at all three dose levels in Study 1. In Study 2, body weight gain was restored by both prophylactic and therapeutic dosing. Study 2 included a positive control mouse group treated with anti-TNF $\alpha$  and the restoration of weight gain by JNJ-40346527 was similar to that achieved with anti-TNF $\alpha$ .

Reference: *PLoS One*. 2019; 14(11): e0223918. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6844469/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*