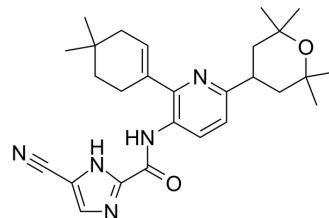


Edicotinib

Cat. No.:	HY-109086		
CAS No.:	1142363-52-7		
Molecular Formula:	C ₂₇ H ₃₅ N ₅ O ₂		
Molecular Weight:	461.6		
Target:	c-Fms		
Pathway:	Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 16.67 mg/mL (36.11 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions	1 mM	2.1664 mL	10.8319 mL
	5 mM	0.4333 mL	2.1664 mL	
	10 mM	0.2166 mL	1.0832 mL	2.1664 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 17% Polyethylene glycol 12-hydroxystearate in saline Solubility: 10 mg/mL (21.66 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1.67 mg/mL (3.62 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 1.67 mg/mL (3.62 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	Edicotinib (JNJ-40346527) is a potent, selective, brain penetrant and orally active colony-stimulating factor-1 receptor (CSF-1R) inhibitor with an IC ₅₀ of 3.2 nM. Edicotinib exhibits less inhibitory effects on KIT and FLT3 with IC ₅₀ values of 20 nM and 190 nM, respectively ^[1] . Edicotinib limits microglial expansion and attenuates microglial proliferation and neurodegeneration in mice. Edicotinib has the potential for Alzheimer's disease and rheumatoid arthritis research ^{[1][2]} .
IC₅₀ & Target	IC ₅₀ : 3.2 nM (CSF-1R) ^[1] 20 nM (KIT); 190 nM (FLT3) ^[2]

In Vitro

Edicotinib (0.1 nM-1 μ M; 24 hours) Leads to a dose-dependent decrease of CSF1R activation and a concurrent reduction of ERK1 and ERK2 phosphorylation. The dose response curve shows the effect of JNJ-527 on CSF1R and ERK1/2, and the IC₅₀ values are 18.6 nM and 22.5 nM for CSF1R and ERK1/2, respectively^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	N13 microglial cells
Concentration:	0.1 nM, 1 nM, 10 nM, 100 nM, 1000 nM
Incubation Time:	24 hours
Result:	Prevented CSF1R and ERK1/2 phosphorylation in N13 microglial cells

In Vivo

Edicotinib (oral gavage; 3, 10, 30 and 100 mg/kg; 5 days) significantly inhibits microglial proliferation in ME7 mice. It diminishes the number of microglia (total CD45⁺CD11b⁺ cells) only at the highest dose tested of 100 mg/kg, and JNJ-527 depletes up to 50% of patrolling blood monocytes at every dose tested (CD45⁺CD11b^{high}Ly6C^{intermediate/low} cells) with only a tendency for a reduction in the proportion of inflammatory monocytes (Ly6C high cells) at 100 mg/kg^[1].

Edicotinib exhibits a good pharmacokinetic/pharmacodynamics (PK/PD) profile, the microglial proliferation data shows an EC₅₀ of 196/ml and 69 ng/g calculated from plasmatic and brain compound concentration, respectively^[1].

Edicotinib (oral gavage; 30 mg/kg; 33 days) significantly reduces the density of microglia in CA1 of the hippocampus of ME7-prion mice (PU.1⁺ cells) by up to 30%. And the expression of IL-1 β is also reduced, but not other inflammatory cytokines^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 J (Harlan) mice ^[1]
Dosage:	3, 10, 30 and 100 mg/kg; 5 days
Administration:	Oral gavage
Result:	Did not affect microglial numbers when administered under 100 mg/kg.

Animal Model:	C57BL/6 J (Harlan) mice ^[1]
Dosage:	30 mg/kg; 33 days
Administration:	Oral gavage
Result:	Limited microglial expansion and attenuated behavioural deficits in ME7-prion mice.

CUSTOMER VALIDATION

- J Exp Med. 2023 Mar 6;220(3):e20220857.

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REFERENCES

[1]. Mancuso R, et al. CSF1R inhibitor JNJ-40346527 attenuates microglial proliferation and neurodegeneration in P301S mice. *Brain*. 2019 Oct 1;142(10):3243-3264.

[2]. Genovese MC, et al. Results from a Phase IIA Parallel Group Study of JNJ-40346527, an Oral CSF-1R Inhibitor, in Patients with Active Rheumatoid Arthritis despite

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

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