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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Edicotinib

Cat. No.: HY-109086

CAS No.: 1142363-52-7

Molecular Formula: $C_{27}H_{35}N_5O_2$

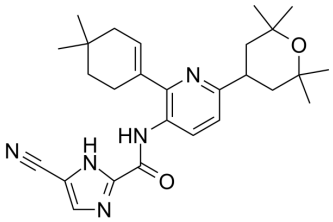
Molecular Weight: 461.6

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)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1664 mL	10.8319 mL	21.6638 mL
	5 mM	0.4333 mL	2.1664 mL	4.3328 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

1. Add each solvent one by one: 17% Polyethylene glycol 12-hydroxystearate in saline
   Solubility: 10 mg/mL (21.66 mM); Suspended solution; Need ultrasonic
2. 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
3. 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].

IC_{50} & Target

IC50: 3.2 nM (CSF-1R)[1]
20 nM (KIT); 190 nM (FLT3)[2]

In Vitro

Edicotinib (0.1 nM-1μM; 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 IC_{50} 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 EC_{50} 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-1β 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.
- Mol Syst Biol. 2023 Dec 18.

See more customer validations on www.MedChemExpress.com

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 Disease-modifying Antirheumatic Drug Therapy.J Rheumatol. 2015 Oct;42(10):1752-60.

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

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