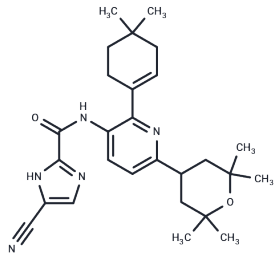
Data Sheet (Cat.No.T15199)

TargetMol

Edicotinib

Chemical Properties



CAS No. : 1142363-52-7

Formula: C27H35N5O2

Molecular Weight: 461.6

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Edicotinib (JNJ-527) is a blood-brain-penetrating, orally active, selective CSF-1R inhibitor (IC50 value is 3.2 nM), with less inhibitory effects on KIT (IC50 value is 20 nM) and FLT3 (IC50 value is 190 nM). Edicotinib (JNJ-527) can block microglial proliferation and attenuate neurodegeneration, and can be used to study Alzheimer's disease and rheumatoid arthritis.
Targets(IC50)	c-Fms,FLT,c-Kit,CSF-1R
In vitro	**METHODS**: N13 mouse microglial cell line was incubated with Edicotinib (JNJ-527) (0.1, 1, 10, 100, 1000 nM) for 30 min. We characterized the effects of the selective CSF1R inhibitor JNJ-527 on CSF1R activation in vitro. **RESULTS** Edicotinib (JNJ-527) resulted in a dose-dependent decrease in CSF1R activation and a reduction in ERK1 and ERK2 phosphorylation, with an IC50 of 18.6 nM for CSF1R and 22.5 nM for ERK1/2. [1]
In vivo	**METHODS**: ME7 mice were treated with JNJ-527 (3, 10, 30, 100 mg/kg, oral) for five consecutive days at 12 weeks post-induction (wpi), followed by a dose-response experiment to evaluate the potential of Edicotinib (JNJ-527) to block microglial proliferation in ME7-prion mice. **RESULTS** Edicotinib (JNJ-527) administered at 30 mg/kg significantly blocked microglial proliferation in ME7-prion mice without altering the population dynamics in the healthy brain. [1]

Solubility Information

Solubility	DMSO: 2.31 mg/mL (5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.17 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0433 mL	0.2166 mL	0.4333 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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