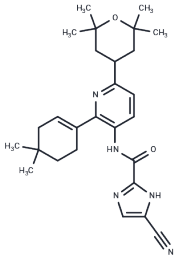
Data Sheet (Cat.No.T15199)

TargetMol
YOUR TARGET MOLECULES

Edicotinib

Chemical Properties



CAS No. : 1142363-52-7

Formula: C27H35N5O2

Molecular Weight: 461.6

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Edicotinib (JNJ-527) is a brain penetrant and orally active inhibitor of the colony-stimulating factor-1 receptor (IC50: 3.2 nM). It shows less inhibitory effects on KIT and FLT3 (IC50: 20 nM and 190 nM). It has the potential for Alzheimer's disease and rheumatoid arthritis treatment.
Targets(IC50)	c-Fms,FLT,CSF-1R,c-Kit
In vitro	Edicotinib (0.1 nM-1μM; 24 hours) causes a dose-dependent decrease of CSF1R activation. Edicotinib (0.1 nM-1μM; 24 hours) lead to a concurrent reduction of ERK1 and ERK2 phosphorylation. The dose-response curve displays the effect of Edicotinib on CSF1R and ERK1/2 (IC50: 18.6 nM and 22.5 nM for CSF1R and ERK1/2) [1].
In vivo	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, however not other inflammatory cytokines. Edicotinib (oral gavage; 3, 10, 30, and 100 mg/kg; 5 days) obviously inhibits microglial proliferation in ME7 mice.?It reduces the number of microglia (total CD45+CD11b+ cells) only at the highest dose tested of 100 mg/kg. At every dose tested (CD45+CD11b high Ly6C intermediate/low cells), JNJ-527 depletes up to 50% of patrolling blood monocytes. Only a tendency for a reduction in the proportion of inflammatory monocytes (Ly6C high?cells) at 100 mg/kg [1]. Edicotinib displays 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].

Solubility Information

Solubility	DMSO: 1mg/ml,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

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.

Reference

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