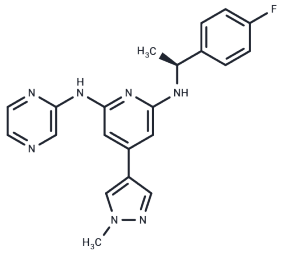
Data Sheet (Cat.No.T12266)

TargetMol

Ilginatinib

Chemical Properties



CAS No. : 1239358-86-1

Formula: C21H20FN7

Molecular Weight: 389.43

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	Ilginatinib (NS-018) is a highly active, orally bioavailable JAK2 inhibitor.
Targets(IC50)	JAK,Tyrosine Kinases
In vitro	Ilginatinib (NS-018) is highly active against JAK2 with a 50% inhibition (IC(50)) of <1 n, and had 30-50-fold greater selectivity for JAK2 over other JAK-family kinases, such as JAK1, JAK3 and tyrosine kinase 2. In addition to JAK2, NS-018 inhibited Src-family kinases. NS-018 showed potent antiproliferative activity against cell lines expressing a constitutively activated JAK2 (the JAK2V617F or MPLW515L mutations or the TEL-JAK2 fusion gene; IC(50)=11-120 n), but showed only minimal cytotoxicity against most other hematopoietic cell lines without a constitutively activated JAK2[1].
In vivo	Ilginatinib(NS-018) preferentially suppressed in vitro erythropoietin-independent endogenous colony formation from polycythemia vera patients.NS-018 also markedly reduced splenomegaly and prolonged the survival of mice inoculated with Ba/F3 cells harboring JAK2V617F.In addition, NS-018 significantly reduced leukocytosis, hepatosplenomegaly and extramedullary hematopoiesis, improved nutritional status, and prolonged survival in JAK2V617F transgenic mice.suggest that NS-018 will be a promising candidate for the treatment of MPNs[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (128.39 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: 2 mg/mL (5.14 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	2.5679 mL	12.8393 mL	25.6786 mL
5 mM	0.5136 mL	2.5679 mL	5.1357 mL
10 mM	0.2568 mL	1.2839 mL	2.5679 mL
50 mM	0.0514 mL	0.2568 mL	0.5136 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

Nakaya Y, et al. Efficacy of NS-018, a potent and selective JAK2/Src inhibitor, in primary cells and mouse models of myeloproliferative neoplasms. Blood Cancer J. 2011 Jul;1(7):e29.
Kuroda J, et al. NS-018, a selective JAK2 inhibitor, preferentially inhibits CFU-GM colony formation by bone marrow mononuclear cells from high-risk myelodysplastic syndrome patients. Leuk Res. 2014 May;38(5):619-24.