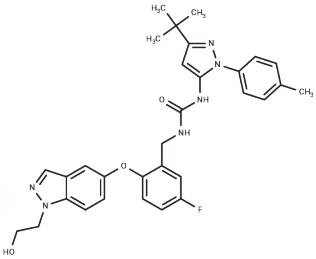
Data Sheet (Cat.No.T6934)

TargetMol

Pexmetinib

Chemical Properties



CAS No. : 945614-12-0

Formula: C31H33FN6O3

Molecular Weight: 556.63

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	Pexmetinib (ARRY-614) is an orally bioavailable dual p38 MAPK/Tie-2 inhibitor studied in acute myeloid leukemia and inhibits osteoclastogenesis and breast cancer-induced osteolysis via the P38/STAT3 signaling pathway.
Targets(IC50)	Autophagy,p38 MAPK,Tie-2
In vitro	**METHODS**: HEK-Tie2 cells were treated with Pexmetinib (ARRY-614) (0.05, 0.15, 0.5, 1.3, 4.1, 12, 37, 333, 1000 nM), and Western blot was used to evaluate the efficacy of direct or proximal inhibition of p-Tie-2 and p-p38 MAPK. **RESULTS** The IC50 values of Pexmetinib for inhibition of p-Tie-2 and p-p38 in cells were 16 and 1 nM, respectively. [1] **METHODS**: MDA-MB-231 cells were treated with pexmetinib (ARRY-614) (4 μM), and the levels of the indicated proteins were measured by Western blotting at 0 h, 6 h, 12 h, and 24 h after treatment. **RESULTS** Pexmetinib treatment inhibited the phosphorylation of p38 and STAT3 in MDA-MB-231 cells. [2]
In vivo	**METHODS**: Pexmetinib (ARRY-614) Pexmetinib (10 mg/kg, intraperitoneal injection, once every 3 days, 1 month) was used to treat tumor xenograft model mice injected with MDA-MB-231 cells into the tibia to test the effect of Pexmetinib on breast cancer cells Caused by osteolytic bone damage. **RESULTS** Tissue volume, tissue length, and tissue weight were reduced in xenograft mice treated with Pexmetinib; p-STAT3 was significantly reduced in the Pexmetinib-treated group. [2]

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (167.08 mM),Sonication is recommended. Ethanol: 93 mg/mL (167.08 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: 3.3 mg/mL (5.93 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7965 mL	8.9826 mL	17.9653 mL
5 mM	0.3593 mL	1.7965 mL	3.5931 mL
10 mM	0.1797 mL	0.8983 mL	1.7965 mL
50 mM	0.0359 mL	0.1797 mL	0.3593 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

Bachegowda L,et al. Pexmetinib: A Novel Dual Inhibitor of Tie2 and p38 MAPK with Efficacy in Preclinical Models of Myelodysplastic Syndromes and Acute Myeloid Leukemia. Cancer Res. 2016 Aug 15;76(16):4841-4849.

Jie Z,et al. Pexmetinib suppresses osteoclast formation and breast cancer induced osteolysis via P38/STAT3 signal pathway. J Bone Oncol. 2022 Jun 11;35:100439.