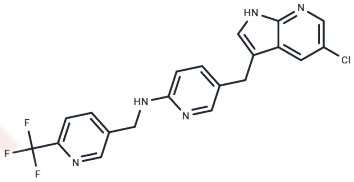
Data Sheet (Cat.No.T2115)

TargetMol

Pexidartinib

Chemical Properties



CAS No. : 1029044-16-3

Formula: C20H15ClF3N5

Molecular Weight: 417.81

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	Pexidartinib (PLX-3397) is a capsule containing a small-molecule receptor tyrosine kinase (RTK) inhibitor targeting KIT, CSF1R, and FLT3, with potential antineoplastic activity.
Targets(IC50)	Apoptosis,c-Fms,FLT,c-Kit,CSF-1R
In vitro	In M-NFS-60, Bac1.2F5 and M-07e cells, Pexidartinib inhibits the CSF1-dependent proliferation with IC50 of 0.44 μM, 0.22 μMand 0.1 μM, respectively. [1]
In vivo	In MMTV-PyMT mice, Pexidartinib (40 mg/kg, p.o.) significantly inhibits both steady-state and PTX-induced tumor infiltration by CD45+CD11b+Ly6C?Ly6 g?F4/80+. Pexidartinib/PTX therapy also results in a significant reduction in CD31+ vessel density within mammary tumors, paralleling induction of apoptosis and necrosis. [1] In C57 mice bearing GL261 tumors, Pexidartinib (p.o.) inhibits glioblastoma invasion. [2] In cmo mice, PLX3397 significantly attenuates autoinflammatory disease by decreasing the erosive bone lesions in tails and paws and the levels of circulating MIP-1α. [3] In mice bearing B16F10 melanomas, Pexidartinib (45 mg/kg, p.o.) enhances CD8-mediated immunotherapy of melanoma. [4]
Kinase Assay	Competitive binding fluorescent polarization assay: Recombinant Hsp90β, TAMRA-radicicol, or various concentrations of NVP-BEP800 is added in assay buffer (50 mM TRIS pH 7.4, 5 mM MgCl2, 150 mM KCl, and 0.1% CHAPS), mixed, and incubated at room temperature for 30 to 45 minutes prior to reading. The 2D-FIDA-based HTS assay based on confocal technologies monitors the decreased fluorescence polarization on displacement of the high affinity ligand TAMRA-radicicol from Hsp90β by NVP-BEP800. The concentration of NVP-BEP800 which inhibits Hsp90β by 50% is determined from the competition curve.

Solubility Information

Solubility	DMSO: 72.1 mg/mL (172.57 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 7.7 mg/mL (18.43 mM),Suspension. *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*

In vivo Formulation	*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	2.3934 mL	11.9672 mL	23.9343 mL
5 mM	0.4787 mL	2.3934 mL	4.7869 mL
10 mM	0.2393 mL	1.1967 mL	2.3934 mL
50 mM	0.0479 mL	0.2393 mL	0.4787 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

Fujiwara T, et al. CSF1/CSF1R Signaling Inhibitor Pexidartinib (PLX3397) Reprograms Tumor-Associated Macrophages and Stimulates T-cell Infiltration in the Sarcoma Microenvironment. Mol Cancer Ther. 2021 Aug;20 (8):1388-1399.

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Xu F, Han L, Wang Y, et al.Prolonged anesthesia induces neuroinflammation and complement-mediated microglial synaptic elimination involved in neurocognitive dysfunction and anxiety-like behaviors.BMC medicine. 2023, 21(1): 1-27.

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