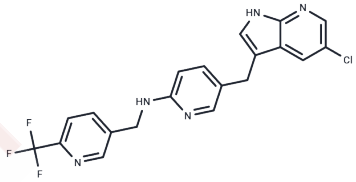
# Data Sheet (Cat.No.T2115)

TargetMol

## Pexidartinib

### Chemical Properties



CAS No. : 1029044-16-3

Formula: C20H15ClF3N5

Molecular Weight: 417.81

Appearance: no data available

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

### Biological Description

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|---|---|
| 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

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|---|---|
| Solubility | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 7.7 mg/mL (18.43 mM),Suspension.<br>DMSO: 45 mg/mL (107.7 mM),Sonication is recommended.<br>Ethanol: < 1 mg/mL (insoluble or slightly soluble),<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

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.

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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