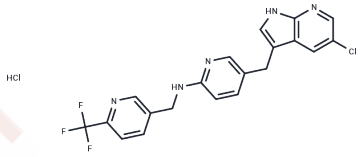
# Data Sheet (Cat.No.T62788)

TargetMol

## Pexidartinib hydrochloride

### Chemical Properties



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|---|---|
| CAS No. : | 2040295-03-0 |
| Formula: | C20H16Cl2F3N5 |
| Molecular Weight: | 454.28 |
| Storage: | Keep away from direct sunlight<br>Powder: -20°C for 3 years \| In solvent: -80°C for 1 year<br>Actual storage temperature shall be subject to the COA. |

### Biological Description

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|---|---|
| Description | Pexidartinib hydrochloride (PLX-3397 HCl) is a selective, orally active, ATP-competitive CSF1R/M-CSFR inhibitor and c-Kit inhibitor with IC50 values of 20 and 10 nM, respectively, capable of inducing cancer cell apoptosis. |
| Targets(IC50) | Apoptosis,c-Fms,c-Kit |
| In vitro | Pexidartinib hydrochloride is a highly potent, selective, ATP-competitive inhibitor of CSF1R (cFMS) and c-Kit. It exhibits 10–100 times greater inhibitory selectivity for c-Kit and CSF1R compared to other related kinases (such as FLT3, KDR (VEGFR2), LCK, FLT1 (VEGFR1), and NTRK3 (TRKC)), with IC50 values of 160 nM, 350 nM, 860 nM, 880 nM, and 890 nM, respectively [1]. |
| In vivo | In the neonatal mouse model, Pexidartinib hydrochloride (0.25 and 1 mg/kg, intraperitoneal injection, twice daily for 8 days) inhibited the proliferation of microglia and BrdU-positive cells [2].<br>Pexidartinib hydrochloride (1 mg/kg, twice daily for 8 days) did not significantly affect the number of cleaved caspase-3-positive cells in mice [2].<br>Pexidartinib hydrochloride (50 mg/kg, oral administration, once every two days for 3 weeks) reduced macrophage levels in mouse tissues without interfering with Vitis vinifera glucose homeostasis [4]. |

### Solubility Information

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|---|---|
| Solubility | H2O: < 1 mg/mL (insoluble)<br>DMSO: 48 mg/mL (105.66 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.2013 mL | 11.0064 mL | 22.0129 mL |
| 5 mM | 0.4403 mL | 2.2013 mL | 4.4026 mL |
| 10 mM | 0.2201 mL | 1.1006 mL | 2.2013 mL |
| 50 mM | 0.044 mL | 0.2201 mL | 0.4403 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

DeNardo DG, et al. Leukocyte complexity predicts breast cancer survival and functionally regulates response to chemotherapy. Cancer Discov. 2011 Jun;1(1):54-67.
Kuse Y, et al. Microglia increases the proliferation of retinal precursor cells during postnatal development. Mol Vis. 2018 Jul 30;24:536-545. eCollection 2018.
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Merry TL, et al. The CSF1 receptor inhibitor pexidartinib (PLX3397) reduces tissue macrophage levels without affecting glucose homeostasis in mice. Int J Obes (Lond). 2020;44(1):245-253.
Luo L, et al. Intermittent theta-burst stimulation improves motor function by inhibiting neuronal pyroptosis and regulating microglial polarization via TLR4/NFκB/NLRP3 signaling pathway in cerebral ischemic mice. J Neuroinflammation. 2022 Jun 11;19(1):141.
Chadarevian JP, et al. Engineering an inhibitor-resistant human CSF1R variant for microglia replacement. J Exp Med. 2023 Mar 6;220(3):e20220857.