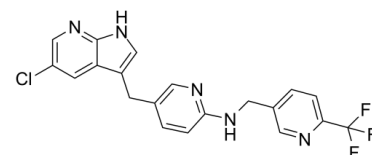


Data Sheet

| | |
|---------------------------|--|
| Product Name: | Pexidartinib |
| Cat. No.: | CS-4256 |
| CAS No.: | 1029044-16-3 |
| Molecular Formula: | C ₂₀ H ₁₅ ClF ₃ N ₅ |
| Molecular Weight: | 417.81 |
| Target: | Apoptosis; c-Fms; c-Kit |
| Pathway: | Apoptosis; Protein Tyrosine Kinase/RTK |
| Solubility: | DMSO : 5 mg/mL (11.97 mM; Need ultrasonic); H ₂ O : < 0.1 mg/mL (insoluble) |



BIOLOGICAL ACTIVITY:

Pexidartinib (PLX-3397) is a potent, orally active, selective, and ATP-competitive **colony stimulating factor 1 receptor (CSF1R or M-CSFR)** and **c-Kit** inhibitor, with **IC₅₀s** of 20 and 10 nM, respectively. Pexidartinib (PLX-3397) exhibits 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases. Anti-tumor activity^[1]. **IC₅₀ & Target:** IC₅₀: 10 nM (c-Kit), 20 nM (cFMS), 160 nM (FLT3), 350 nM (KDR), 860 nM (LCK), 880 nM (FLT1), 890 nM (NTRK3)^[1] **In Vitro:** Pexidartinib (PLX-3397) is a potent, selective and ATP-competitive CSF1R (cFMS) and c-Kit inhibitor, shows 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases, such as FLT3, KDR (VEGFR2), LCK, FLT1 (VEGFR1) and NTRK3 (TRKC), with **IC₅₀s** of 160, 350, 860, 880, and 890 nM, respectively^[1]. **In Vivo:** Pexidartinib (PLX3397; 0.25, 1 mg/kg, twice daily for 8 days) inhibits the proliferation of microglia and BrdU-positive cells in neonatal mice^[2]. Pexidartinib (1 mg/kg, twice daily for 8 day) shows no obvious effect on the cleaved caspase-3-positive cells in mice^[2].

References:

- [1]. DeNardo DG, et al. Leukocyte complexity predicts breast cancer survival and functionally regulates response to chemotherapy. *Cancer Discov.* 2011 Jun;1(1):54-67.
- [2]. 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.
- [3]. Lee JH, et al. A phase I study of pexidartinib, a colony-stimulating factor 1 receptor inhibitor, in Asian patients with advanced solid tumors. *Invest New Drugs.* 2019 Mar 2.

CAIndexNames:

3-Pyridinemethanamine, N-[5-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)methyl]-2-pyridinyl]-6-(trifluoromethyl)-

SMILES:

FC(C1=CC=C(CNC2=NC=C(CC3=CNC4=NC=C(Cl)C=C43)C=C2)C=N1)(F)F

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA