

Product Data Sheet

Product Name: Pexidartinib (PLX3397)

Cat. No.: GC12222

Chemical Properties

Cas. No. 1029044-16-3

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

SMILES C1C(C=N1)=CC2=C1NC=C2CC3=CN=C(NCC4=CN=C(C(F)(F)F)C=C4)C=C3

Formula $C_{20}H_{15}ClF_3N_5$

M.Wt 417.81

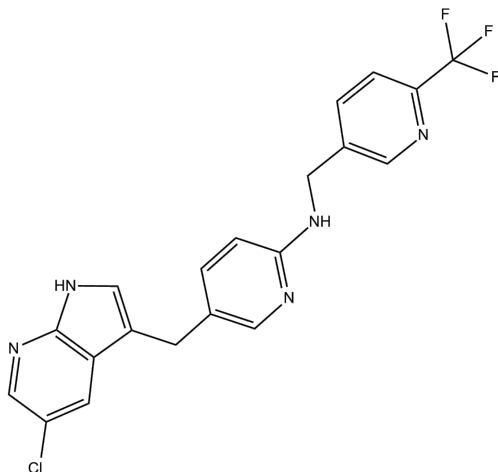
Solubility $\geq 20.9\text{mg/mL}$ in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines

A549 cells

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

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Preparation Method	A549 cells were cultured in DMEM-F12 medium, supplemented with 10% fetal bovine serum (FBS), 1% penicillin/streptomycin at 37°C in an incubator with 5% CO ₂ . Cells were seeded in 96-well plates (1.5×10^4 cells/well) and incubated with increasing concentrations of Pexidartinib (1, 5, 20, 50, 100μM) for 48h, the cell viability was determined.
Reaction Conditions	1, 5, 20, 50, 100μM; 48h
Applications	Pexidartinib treatment inhibited the cell viability of A549 cells in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Male BALB/c nude mice
Preparation Method	Male BALB/c nude mice (4 weeks old) were maintained in a controlled environment at 21±1°C and 60% relative humidity under a 12h light/dark cycle, and were given free access to food and water. 0.2ml of adriamycin-resistant MCF-7 cell suspension (containing 5×10^6 cells) was administered subcutaneously into the right axillary region of the mouse's abdomen, tumor dimensions were assessed once every two days, with monitoring continuing until the tumor volume reached 100mm ³ , mice were treated by oral administration of Pexidartinib (75mg/kg) or intraperitoneal injection of doxorubicin hydrochloride (2mg/kg). Pexidartinib was administered every 3 days for 15 days.
Dosage form	75mg/kg/day; every 3 days; 15 days; p.o.

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Applications	Pexidartinib treatment suppressed tumor growth in mice with adriamycin-resistant MCF-7 xenografts.
References: [1] Haciosmanoğlu-Aldoğan E, Lama D, Yetke H İ, et al. Necroptotic suppression of lung cancer cell proliferation and migration: a comprehensive in vitro and in silico study to determine new molecular targets for pexidartinib[J]. Cell Biochemistry and Function, 2025, 43(3): e70068. [2] Peng R, Zhan Y, Cheng Z, et al. Targeting the MAPK/ERK Signaling Pathway: Mechanistic Analysis of Pexidartinib in Overcoming Adriamycin Resistance in Breast Cancer[J]. Experimental Cell Research, 2026: 115127.	

Background

Pexidartinib (PLX3397) is a potent, orally active, selective, and ATP-competitive CSF1R and c-Kit inhibitor, with IC₅₀ values of 0.02μM and 0.01μM, respectively^[1]. Pexidartinib stabilizes CSF1R in the auto-inhibited state by interacting with the CSF1R juxta-membrane region, resulting in inactivation of the kinase domain and prevention of CSF1 and ATP binding, which restrains the switch from a monocytic to macrophage phenotype^[2]. Pexidartinib has been widely used in cancer research to eliminate AKT phosphorylation induced by FLT3-L in vitro stimulation and to inhibit the growth of various tumor cells^[3].

In vitro, Pexidartinib treatment for 48 hours significantly inhibited the proliferation of A549 and Beas-2B cells, with IC₅₀ values of 1.3μM and 9.3μM, respectively^[4]. Treatment with 50μM Pexidartinib for 24 hours led to a decrease in ATP content in HepG2 cells, and induced endoplasmic reticulum stress and mitochondrial dysfunction^[5]. Treatment with 10μM Pexidartinib for 72 hours suppressed the expression of IL-8 and CCND1, as well as cell viability in 12Z cells^[6].

In vivo, Pexidartinib treatment via oral administration at a dose of 290mg/kg/day for 1 week ameliorated social isolation-induced depressive-like behaviors, promoted neurogenesis, and enhanced synaptic plasticity in mice^[7]. Oral administration of Pexidartinib at a dose of 75mg/kg

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every three days for 15 days inhibited the growth of adriamycin-resistant MCF-7 xenograft tumors and induced apoptosis in tumor tissues in nude mice^[8].

References:

- [1] Lamb Y N. Pexidartinib: First Approval: YN Lamb[J]. *Drugs*, 2019, 79(16): 1805-1812.
- [2] Benner B, Good L, Quiroga D, et al. Pexidartinib, a novel small molecule CSF-1R inhibitor in use for tenosynovial giant cell tumor: a systematic review of pre-clinical and clinical development[J]. *Drug design, development and therapy*, 2020: 1693-1704.
- [3] Voissière A, Gomez-Roca C, Chabaud S, et al. The CSF-1R inhibitor pexidartinib affects FLT3-dependent DC differentiation and may antagonize durvalumab effect in patients with advanced cancers[J]. *Science translational medicine*, 2024, 16(731): eadd1834.
- [4] Haciosmanoğlu-Aldoğan E, Lama D, Yetke H İ, et al. Necroptotic suppression of lung cancer cell proliferation and migration: a comprehensive in vitro and in silico study to determine new molecular targets for pexidartinib[J]. *Cell Biochemistry and Function*, 2025, 43(3): e70068.
- [5] Chen S, Li Y, Li X, et al. Mechanistic study of pexidartinib-induced toxicity in human hepatic cells[J]. *Chemico-biological interactions*, 2025, 419: 111641.
- [6] Dunn T N, Cope D I, Tang S, et al. Inhibition of CSF1R and KIT with pexidartinib reduces inflammatory signaling and cell viability in endometriosis[J]. *Endocrinology*, 2024, 165(4): bqae003.
- [7] Wang L, Wang X, Deng L, et al. Pexidartinib (PLX3397) through restoring hippocampal synaptic plasticity ameliorates social isolation-induced mood disorders[J]. *International Immunopharmacology*, 2022, 113: 109436.
- [8] Peng R, Zhan Y, Cheng Z, et al. Targeting the MAPK/ERK Signaling Pathway: Mechanistic Analysis of Pexidartinib in Overcoming Adriamycin Resistance in Breast Cancer[J]. *Experimental Cell Research*, 2026: 115127.

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