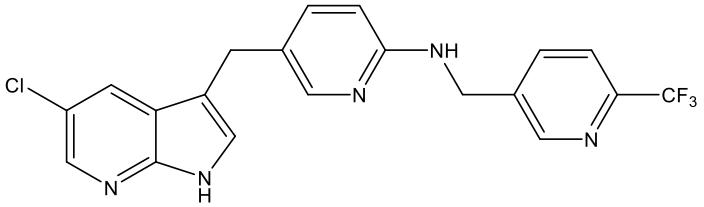
# Catalog # 10-4829
# Pexidartinib
**CAS# 1029044-16-3**

5-[(5-Chloro-1H-pyrrolo[2,3.b]pyridine-3-yl)methyl]-N-[[6-(trifluoromethyl)pyridin-3-yl]methyl]pyridin-2-amine; PLX3397

Lot # FBS2069




Pexidartinib is a potent and selective dual inhibitor of CSF1R ($IC_{50}$ = 20nM) and c-KIT ($IC_{50}$ = 10nM).[1] Inhibition of CSF1R with Pexidartinib resulted in a reprogrammed immune microenvironment that fosters antitumor immunity in a $CD8^+$ T-cell-dependent manner in a breast cancer model.[1] CSF1R recruits tumor-infiltrating myeloid cell that suppress tumor immunity – Pexidartinib increased the efficacy of adoptive cell immunotherapy (ACT) in a mouse melanoma model by inhibiting the intratumoral accumulation of immunosuppressive macrophages.[2,3] It has also been shown to increase the efficacy of anti-PD-1[4,5] and DC immunotherapy [6].


1) DeNardo *et al.* (2011) *Leukocyte Complexity Predicts Breast Cancer Survival and Functionally Regulates Response to Chemotherapy;* Cancer Discov. **1** 54
2) Mok *et al.* (2014) *Inhibition of CSF-1 receptor improves the antitumor efficacy of adoptive cell transfer immunotherapy;* Cancer Res.**74** 153
3) Sluijter *et al.* (2014) *Inhibition of CSF-1R supports T-cell mediated melanoma therapy;* PLoS One **9** e104230
4) Peranzoni *et al.* (2018) *Macrophages impede CD8 T cells from reaching tumor cells and limit the efficacy of anti-PD-1 treatment;* Proc.Natl.Acad.Sci.USA **115** E4041
5) Shi *et al.* (2019) *Modulating the Tumor Microenvironment via Oncolytic Viruses and CSF-1R Inhibition Synergistically Enhances Anti-PD-1 Immunotherapy;* Mol.Ther. **27** 244
6) Dammeijer *et al.* (2017) *Depletion pf Tumor-Associated Macrophages with a CSF-1R Kinase Inhibitor Enhances Antitumor Immunity and Survival Induced by DC Immunotherapy;* Cancer Immunol.Res. **5** 535


## PHYSICAL DATA

| | |
|---|---|
| Molecular Weight: | 417.81 |
| Molecular Formula: | $C_{20}H_{15}ClF_3N_5$ |
| Purity: | >98% by HPLC |
| | NMR: (Conforms) |
| Solubility: | DMSO (>10 mg/m with warming) |
| Physical Description: | White solid |
| Storage and Stability: | Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month. |

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