

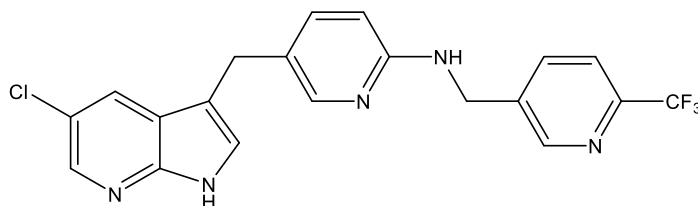
Catalog # 10-4829

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 # FBS2124



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 immunotherapy⁶.

- 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 of 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 ₃ N 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 -20°C 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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