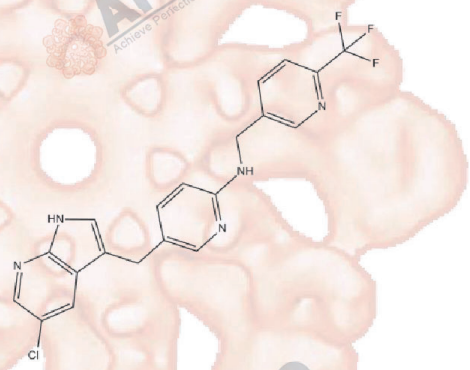
Product Data Sheet

Pexidartinib (PLX3397)

Cat. No.: B5854
CAS No.: 1029044-16-3
Formula: C20H15ClF3N5
M.Wt: 417.81
Synonyms:
Target: CSF-1R
Pathway: Tyrosine Kinase
Storage: Store at -20° C



Solvent & Solubility

insoluble in EtOH; insoluble in H2O; ⩾20.9 mg/mL in DMSO

In Vitro — Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	2.3934 mL	11.9672 mL	23.9343 mL
5 mM	0.4787 mL	2.3934 mL	4.7869 mL
10 mM	0.2393 mL	1.1967 mL	2.3934 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	CSF-1R inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	SK-N-SH cells
Preparation method:	The solubility of this compound in DMSO is >20.9mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	IC50: 10 μM

	Applications:	Pexidartinib weakly inhibited the growth of SK-N-SH cells with an IC50 of 10 μM. PLX3397 had little or no effect on the growth of MDA-MB-231 human tumor cells grown as xenografts.
In Vivo	**Animal experiment**	
	Animal models:	C57BL/6 mice xenografted with B16F10 melanoma cells, Female nude mice bearing MDA-MB-468 human breast tumor cells xenografts
	Dosage form:	Oral administration, daily doses of approximately 45 mg/kg
	Applications:	Pexidartinib predominantly affected F4/80+ Ly6C- blood macrophages and strongly decreased the CSF-1R expression levels on F4/80+ Ly6C+ 'inflammatory' monocytes. Oral dosing of PLX3397 prevented the rise in osteoclasts and the loss of bone.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Komohara Y, Noyori O, et al. "Potentialanti-lymphoma effect of M-CSFR inhibitor in adult T-cell leukemia/lymphoma." J Clin Exp Hematop. 2018;58(4):152-160.PMID:30541986

2. Yu R, Jin H, et al. "Inhibition of the CSF-1 receptor sensitizes ovarian cancer cells to cisplatin." Cell Biochem Funct. 2018 Jan 25.PMID:29372560

3. Wang C, Yeo S, et al. "Autophagy gene FIP200 in neural progenitors non-cell autonomously controls differentiation by regulating microglia." J Cell Biol. 2017 Jun 20. pii: jcb.201609093.PMID:28634261

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References

[1] West B L, DeNardo D G, Tsai J, et al. Efficacy of the selective CSF-1R kinase inhibitor PLX3397 in mouse models of tumor growth and bone metastasis[J]. 2010.

[2] Sluijter M, van der Sluis T C, van der Velden P A, et al. Inhibition of CSF-1R supports T-cell mediated melanoma therapy[J]. PloS one, 2014, 9(8): e104230.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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