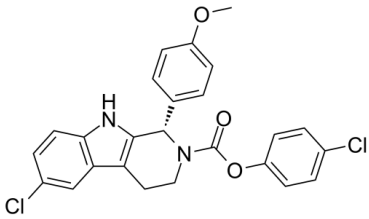
Data Sheet

Product Name:	PTC299
Cat. No.:	CS-0087039
CAS No.:	1256565-36-2
Molecular Formula:	C25H20Cl2N2O3
Molecular Weight:	467.34
Target:	VEGFR
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 50 mg/mL (106.99 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

PTC299 is a potent, orally bioavailable **VEGFA** inhibitor, targets dihydroorotate dehydrogenase **(DHODH)**, resulting in cell growth inhibition and differentiation in leukemias, including acute myeloid leukemia, linking DHODH regulation and stress-induced VEGFA and angiogenesis[1][2][3]. IC50 & Target: VEGF[2] **In Vitro:** PTC299 inhibits hypoxia-induced VEGFA protein production in HeLa cells with an EC_{50} of 1.64 ± 0.83 nM[1].

PTC299 is the most potent inhibitor with an IC_{50} of about 1 nM, over 10 to 1000-fold more potent than Brequinar, Vidofludimus or A 77-1726 in leukemia cells[1].

References:

[1]. Cao L, et al. Targeting of Hematologic Malignancies with PTC299, A Novel Potent Inhibitor of DihydroorotateDehydrogenase with Favorable Pharmaceutical Properties. Mol Cancer Ther. 2019 Jan;18(1):3-16.

[2]. Bender Ignacio RA, et al. Brief Report: A Phase 1b/Pharmacokinetic Trial of PTC299, a Novel PostTranscriptional VEGF Inhibitor, for AIDS-Related Kaposi's Sarcoma: AIDS Malignancy Consortium Trial 059. J Acquir Immune Defic Syndr. 2016 May 1;72(1):52-7.

[3]. Zeng Z, et al, Targeting dihydroorotate dehydrogenase in acute myeloid leukemia. Haematologica. 2018 Sep;103(9):1415-1417.

CAIndexNames:

2H-Pyrido[3,4-b]indole-2-carboxylic acid, 6-chloro-1,3,4,9-tetrahydro-1-(4-methoxyphenyl)-, 4-chlorophenyl ester, (1S)-

SMILES:

O=C(N([C@H]1C2=CC=C(OC)C=C2)CCC3=C1NC4=C3C=C(Cl)C=C4)OC5=CC=C(Cl)C=C5

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