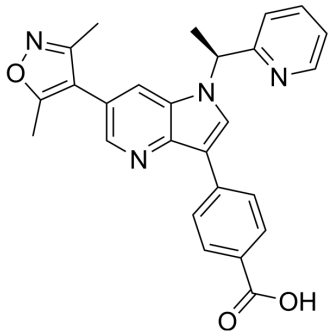
PLX51107

Cat. No.:	HY-111422
CAS No.:	1627929-55-8
Molecular Formula:	$C_{26}H_{22}N_4O_3$
Molecular Weight:	438.48
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 75 mg/mL (171.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2806 mL	11.4030 mL	22.8061 mL
	5 mM	0.4561 mL	2.2806 mL	4.5612 mL
	10 mM	0.2281 mL	1.1403 mL	2.2806 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PLX51107 is a potent and selective BET inhibitor, with K_ds of 1.6, 2.1, 1.7, and 5 nM for BD1 and 5.9, 6.2, 6.1, and 120 nM for BD2 of BRD2, BRD3, BRD4, and BRDT, respectively; PLX51107 also interacts with the bromodomains of CBP and EP300 (K_d, in the 100 nM range).

IC_{50} & Target

Kd: 1.6 nM (BRD2-BD1), 2.1 nM (BRD3-BD1), 1.7 nM (BRD4-BD1), 5 nM (BRDT-BD1), 5.9 nM (BRD2-BD2), 6.2 nM (BRD3-BD2), 6.1 nM (BRD4-BD2), 120 nM (BRDT-BD2), ⊠100 nM (CBP), ⊠100 nM (EP300)[1]

In Vitro	PLX51107 is a potent and selective BET inhibitor, with K_ds of 1.6, 2.1, 1.7, and 5 nM for BD1 and 5.9, 6.2, 6.1, and 120 nM for BD2 of BRD2, BRD3, BRD4, and BRDT, respectively. PLX51107 also interacts with the bromodomains of CBP and EP300 (K_d, in the 100 nM range). PLX51107 (0.156-10 μM) suppresses the CpG-induced proliferation of primary chronic lymphocytic leukemia (CLL) cells. PLX51107 also causes accumulation of p21 and IκBα, reduces c-MYC level, and modulates proapoptotic and antiapoptotic proteins. PLX51107 selectively modulates CLL driver genes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PLX51107 (2 mg/kg, p.o.) inhibits splenomegaly by 75% in the Ba/F3 (murine IL3-dependent pro-B-cell line) splenomegaly mouse model, with the similar effect of 25 mg/kg OTX015. PLX51107 (20 mg/kg, qd, p.o.) exhibits potent antileukemic effects in disease models of aggressive chronic lymphocytic leukemia (CLL) and Richter transformation (RT) via oral administration once daily[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] For engraftment studies, C57BL/6 WT mice are engrafted with 1E7 cells by tail-vein injection of splenocytes derived from Eμ-TCL1 or Eμ-Myc/TCL1 mice with active disease. At the onset of leukemia (Eμ-TCL1: ≥ 10% CD19/CD5/CD45-positive circulating cells; Eμ-Myc/TCL1: WBC count ≥ 8 and/or ≥ 5% CD19/CD5/CD45-positive circulating cells), mice are randomized to receive treatments as indicated. PLX51107 20 mg/kg, qd (once daily), oral gavage. Vehicle = 10% N-methyl-2-pyrrolidone plus diluent (40% PEG400, 5% TPGS, 5% Poloxamer 407, and 50% water). Mice are sacrificed when meeting early removal criteria (>20% weight loss, impaired motility, splenomegaly, and evident tumor masses), and tissues are collected for further analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2023 Jan 6;14(1):97.
- The University of Chicago. THE FACULTY OF THE DIVISION OF THE BIOLOGICAL SCIENCES AND THE PRITZKER SCHOOL OF MEDICINE. 2021 Apr.
- Martin-Luther-Universität Halle-Wittenberg. Naturwissenschaftlichen Fakultät I. 2020 Dec.

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REFERENCES

[1]. Ozer HG, et al. BRD4 Profiling Identifies Critical Chronic Lymphocytic Leukemia Oncogenic Circuits and Reveals Sensitivity to PLX51107, a Novel Structurally Distinct BET Inhibitor. Cancer Discov. 2018 Apr;8(4):458-477.

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