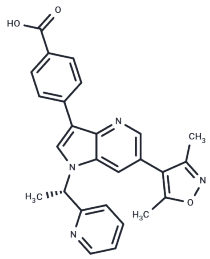
# Data Sheet (Cat.No.TQ0253)

TargetMol

PLX51107

## Chemical Properties



CAS No. : 1627929-55-8

Formula: C26H22N4O3

Molecular Weight: 438.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

## Biological Description

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|---|---|
| Description | PLX51107 is a potent and selective BET inhibitor with Kds of 1.6, 2.1, 1.7, and 5 nM for BRD2-BD1, BRD3-BD1, BRD4-BD1, and BRDT-BD1, respectively. |
| Targets(IC50) | Epigenetic Reader Domain |
| In vitro | PLX51107 is a BET inhibitor, with Kds 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 (Kd, 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. |
| 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, q.d, p.o.) exhibits potent antileukemic effects in disease models of aggressive CLL and Richter transformation (RT) via oral administration once daily. |
| Animal Research | 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. |

## Solubility Information

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|---|---|
| Solubility | DMSO: 70 mg/mL (159.64 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.56 mM),Sonication is recommended.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.* |

| | |
|---|---|
| In vivo Formulation | *Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.2806 mL | 11.403 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 |
| 50 mM | 0.0456 mL | 0.2281 mL | 0.4561 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.