

Product Data Sheet

Product Name: PLX51107

Cat. No.: GC19298

Chemical Properties

Cas. No. 1627929-55-8

SMILES C[C@@H]

(C1=NC=CC=C1)N2C=C(C3=CC=C(C(O)=O)C=C3)C4=NC=C(C5=C(C)ON=C5C)C=C42

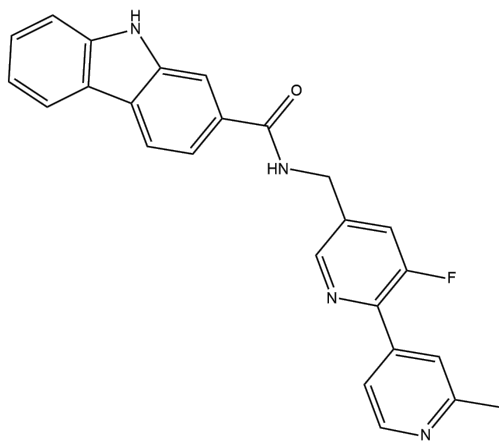
Formula C26H22N4O3 M.Wt 438.48

Solubility DMSO : 75 mg/mL (171.05 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: Mice[1] For engraftment studies, C57BL/6 WT mice are engrafted with 1E7 cells by tail-vein injection of splenocytes derived from E μ -TCL1 or E μ -Myc/TCL1 mice with active disease. At the onset of leukemia (E μ -TCL1: $\geq 10\%$ CD19/CD5/CD45-positive circulating cells; E μ -Myc/TCL1: WBC count ≥ 8 and/or $\geq 5\%$ 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].

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.

Background

PLX51107 is a potent and selective 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).

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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

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lymphocytic leukemia (CLL) and Richter transformation (RT) via oral administration once daily[1].

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