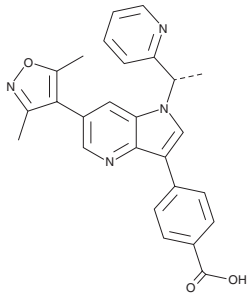
PRODUCT INFORMATION

PLX51107

Item No. 30742

CAS Registry No.:	1627929-55-8
Formal Name:	4-[6-(3,5-dimethyl-4-isoxazolyl)-1-[(1S)-1-(2-pyridinyl)ethyl]-1H-pyrrolo[3,2-b]pyridin-3-yl]-benzoic acid
MF:	$C_{26}H_{22}N_4O_3$
FW:	438.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 227, 251, 305 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PLX51107 is supplied as a solid. A stock solution may be made by dissolving the PLX51107 in the solvent of choice, which should be purged with an inert gas. PLX51107 is soluble in the organic solvent DMSO at a concentration of approximately 50 mg/ml.

Description

PLX51107 is a bromodomain and extra terminal domain (BET) family protein inhibitor.[1] It binds to bromodomain 1 (BD1) in bromodomain-containing protein 2 (BRD2), BRD3, BRD4, and BRDT (K_ds = 1.6, 2.1, 1.7, and 5 nM, respectively), as well as BD2 (K_ds = 5.9, 6.2, 6.1, and 120 nM, respectively). It also binds to the bromodomains of CBP and p300 (K_ds = ~100 nM for both). PLX51107 (0.1-10 µM) inhibits CpG-induced proliferation of primary chronic lymphocytic leukemia (CLL) cells. *In vivo*, PLX51107 (0.5-10 mg/kg) inhibits Ba/F3 cell-induced splenomegaly in mice. It also reduces tumor volume and increases survival in YUMM3.3 and D4M3.A mouse syngeneic B-RAF^{V600E} mutant melanoma models.[2]

References

1. Ozer, H.G., El-Gamal, D., Powell, B., *et al.* BRD4 profiling identifies critical chronic lymphocytic leukemia oncogenic circuits and reveals sensitivity to PLX51107, a novel structurally distinct BET Inhibitor. *Cancer Discov.* **8(4)**, 458-477 (2018).
2. Erkes, D.A., Field, C.O., Capparelli, C., *et al.* The next-generation BET inhibitor, PLX51107, delays melanoma growth in a CD8-mediated manner. *Pigm. Cell Melanoma R.* **32(5)**, 687-696 (2019).

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