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Data Sheet

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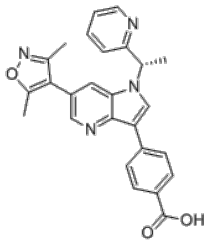
Product Name	:	PLX51107
Cat. No.	:	PC-50010
CAS No.	:	1627929-55-8
Molecular Formula	:	$C_{26}H_{22}N_4O_3$
Molecular Weight	:	438.487
Target	:	Bromodomain
Solubility	:	10 mM in DMSO



1. Ozer HG, et al. ***Cancer Discov.*** 2018 Jan 31.

Biological Activity

PLX51107 is a novel structurally distinct **BET bromodomain** inhibitor that inhibits all four BET family proteins BRD2, BRD3, BRD4, and BRDT with low nanomolar potency.
PLX51107 exhibits modest preference for BD1 versus BD2 within each BET protein (Kd=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 potently reduces viability and proliferation of the human AML cell lines MV4-11, MOLM-13, OCI-AML3, and Kasumi-1 with IC50 of 0.17, 1.8, 0.2 and 0.2 uM, respectively.
PLX51107 has sufficient potency and oral bioavailability to demonstrate in vivo efficacy in animal models of a variety of tumor types.

References

pii: CD-17-0902.
2. Nicole R. Grieselhuber, et al. ***Blood*** 2016 128:3941.