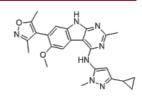


Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BET inhibitor CF53
Cat. No.	:	PC-35401
CAS No.	:	1808160-52-2
Molecular Formula	:	C ₂₄ H ₂₅ N ₇ O ₂
Molecular Weight	:	443.511
Target	:	Bromodomain
Solubility	:	10 mM in DMSO



Biological Activity

BET inhibitor CF53 is a highly potent, orally active inhibitor of bromodomain and extra-terminal (BET) with Ki of <1 nM (**BRD4 BD1**).

BET inhibitor CF53 potently inhibits different BET proteins with Kd values of 0.5-2.2 nM, weakly inhibits CREBBP (Ki=47 nM) and shows excellent selectivity over other bromodomain containing proteins.

BET inhibitor CF53 inhibits cell growth in MOLM-13 and MDA-MB-231 cell lines with IC50 of 7 and 85 nM, respectively. CF53 demonstrates significant antitumor activity in both triple-negative breast cancer and acute leukemia xenograft models in mice.

References

Zhao Y, et al. J Med Chem. 2018 Jul 17. doi: 10.1021/acs.jmedchem.8b00483.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com