Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

dBET1

Catalog No: tcsc0021961

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1799711-21-9

Formula:
$C_{38}H_{37}ClN_8O_7S$

Pathway:
Epigenetics;PROTAC

Target:
Epigenetic Reader Domain;PROTAC

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
785.27

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Product Description

dBET1 is a potent **BRD4** protein degrader based on **PROTAC** technology with an **EC_{50}** of 430 nM.

IC50 & Target: EC_{50}: 430 nM (BRD4)[1]

In Vitro: Treatment with dBET1 down regulates *MYC* and *PIM1* transcription. Degradation of BRD4 by dBET1 is associated with a more potent apoptotic consequence in MV4;11 cell line. Significantly increased apoptosis after only 4 h of dBET1 treatment is enhanced at 8 h. dBET1 also induces a potent and superior inhibitory effect on MV4;11 cell proliferation at 24 hours (measured by ATP content, IC_{50}= 0.14 μM, compare to IC_{50}= 1.1 μM with JQ1)[1].

In Vivo: Administration of dBET1 attenuates tumor progression as determined by serial volumetric measurement, and decreases tumor weight assessed post-mortem. Acute pharmacodynamic degradation of BRD4 is observed four hours after a first or second daily treatment with dBET1 (50 mg/kg IP). A statistically significant destabilization of BRD4, down regulation of MYC and inhibition of proliferation is observed with dBET1 compare to vehicle control in excised tumors. Two weeks of dBET1 is well tolerated by mice without a meaningful effect on weight, white blood count, hematocrit or platelet count[1].