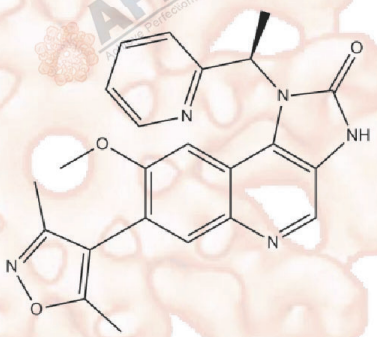
Product Data Sheet

I-BET151 (GSK1210151A)

Cat. No.: B1500
CAS No.: 1300031-49-5
Formula: C23H21N5O3
M.Wt: 415.44
Synonyms:
Target: Chromatin/Epigenetics
Pathway: Bromodomain
Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥41.5 mg/mL in DMSO; insoluble in H2O; ≥19.5 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.4071 mL	12.0354 mL	24.0709 mL
5 mM	0.4814 mL	2.4071 mL	4.8142 mL
10 mM	0.2407 mL	1.2035 mL	2.4071 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Selective BET inhibitor
IC_{50} & Target	0.5 μM (BRD2), 0.25 μM (BRD3), 0.79 μM (BRD4)

In Vitro

Cell Viability Assay

Cell Line:	MV4;11, MOLM13, NOMO1, RS4;11, HEL, HL60 and K562 cells
Preparation method:	The solubility of this compound in DMSO is limited. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	24 or 72 hrs

	Applications:	I-BET151 potently inhibited cell lines harboring different MLL-fusions such as MV4;11, RS4;11, MOLM13 and NOMO1 cells, with the IC50 values ranging from 15 to 192 nM. Consistently, I-BET151 completely ablated the colony-forming potential of MLL-fusion-driven leukemia (MOLM13) but not tyrosine kinase activation-driven leukemia (K562).
In Vivo	**Animal experiment**	
	Animal models:	NOD-SCID mice bearing MV4;11 cells and C57BL/6 mice bearing MLL-AF9 cells
	Dosage form:	30 mg/kg; i.p.
	Applications:	For NOD-SCID mice bearing MV4;11 cells, at the experimental end-point, mice in the control group had succumbed to fulminat or progressive disease, but 1/5 mice in the treatment group showed evidence of disease at low levels. In C57BL/6 mice bearing MLL-AF9 cells, I-BET151 also provided a clear and dramatic survival benefit.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Tan X, Tong J, et al. "BET inhibitors potentiate chemotherapy and killing of SPOP-mutant colon cancer cellsvia induction of DR5." Cancer Res. 2019 Jan 23. pii: canres.3223.2018.PMID:30674532

2. Piunti A, Hashizume R, et al. "Therapeutic targeting of polycomb and BET bromodomain proteins in diffuse intrinsic pontine gliomas." Nat Med. 2017 Apr;23(4):493-500.PMID:28263307

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References

[1]. Dawson MA, Prinjha RK, Dittmann A, Giotopoulos G, Bantscheff M, Chan WI, Robson SC, Chung CW, Hopf C, Savitski MM, Huthmacher C, Gudgin E, Lugo D, Beinke S, Chapman TD, Roberts EJ, Soden PE, Auger KR, Mirguet O, Doehner K, Delwel R, Burnett AK, Jeffrey P, Drewes G, Lee K, Huntly BJ, Kouzarides T. Inhibition of BET recruitment to chromatin as an effective treatment for MLL-fusion leukaemia. Nature. 2011 Oct 2;478(7370):529-33.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com