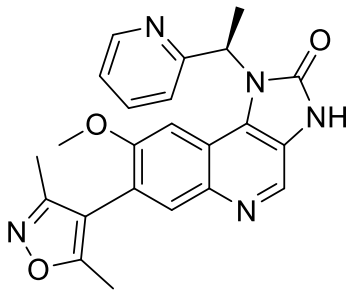
Product data sheet

MedKoo Cat#: 406154 Name: GSK1210151A CAS#: 1300031-49-5 Chemical Formula: $C_{23}H_{21}N_5O_3$ Exact Mass: 415.16444 Molecular Weight: 415.44	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GSK1210151A, also known as I-BET151, is a BET bromodomain inhibitor, which blocks recruitment of BET to chromatin. I-BET151 (GSK1210151A) shows good oral bioavailability in both the rat and minipig as well as demonstrating efficient suppression of bacterial induced inflammation and sepsis in a murine in vivo endotoxaemia model.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100.00	240.71

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.41 mL	12.04 mL	24.07 mL
5 mM	0.48 mL	2.41 mL	4.81 mL
10 mM	0.24 mL	1.20 mL	2.41 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Domínguez-Andrés J, Ferreira AV, Jansen T, Smithers N, Prinjha RK, Furze RC, Netea MG. Bromodomain inhibitor I-BET151 suppresses immune responses during fungal-immune interaction. Eur J Immunol. 2019 Nov;49(11):2044-2050. doi: 10.1002/eji.201848081. Epub 2019 Jun 21. PMID: 31206650; PMCID: PMC6899658.
2. Guo NH, Zheng JF, Zi FM, Cheng J. I-BET151 suppresses osteoclast formation and inflammatory cytokines secretion by targetting BRD4 in multiple myeloma. Biosci Rep. 2019 May 14;39(5):BSR20181245. doi: 10.1042/BSR20181245. PMID: 30455393; PMCID: PMC6522735.

In vivo study

1. Liu A, Fan D, Wang Y. The BET bromodomain inhibitor i-BET151 impairs ovarian cancer metastasis and improves antitumor immunity. Cell Tissue Res. 2018 Dec;374(3):577-585. doi: 10.1007/s00441-018-2906-y. Epub 2018 Sep 4. PMID: 30182276.
2. Fan J, Zhao J, Shao J, Wei X, Zhu X, Li M. I-BET151 inhibits expression of RANKL, OPG, MMP3 and MMP9 in ankylosing spondylitis in vivo and in vitro. Exp Ther Med. 2017 Nov;14(5):4602-4606. doi: 10.3892/etm.2017.5032. Epub 2017 Aug 25. PMID: 29067128; PMCID: PMC5647692.

7. Bioactivity
Biological target:

Product data sheet

I-BET151 (GSK1210151A) is a BET bromodomain inhibitor which inhibits BRD4, BRD2, and BRD3 with pIC50 of 6.1, 6.3, and 6.6, respectively.

In vitro activity

I-BET151 dose-dependently suppressed osteoclast formation, inhibited the levels of osteoclast-specific genes TRACP, MMP-9, Ctsk, and c-Src and inflammatory cytokines TNF-α, IL-1β, and IL-6 secretion in peripheral blood mononuclear cells and RAW 264.7. I-BET151 inhibited the protein levels of BRD4 and NFATc1, increased OPG expression, and suppressed IκB-α degradation and p65 nuclear translocation.

Reference: Biosci Rep. 2019 May 14;39(5):BSR20181245. https://pubmed.ncbi.nlm.nih.gov/30455393/

In vivo activity

I-BET151 suppressed expression of RANKL, OPG, MMP3, and MMP9 in AS rat model. results showed that RANKL, OPG, MMP3, and MMP9 were upregulated in AS rats compared with the control rats, $P<0.05$ (Fig. 3). On the contrary, AS rats treated with 30 mg/kg of I-BET151 for 5 weeks showed significant inhibitory effects on levels of RANKL, OPG, MMP3, and MMP9 compared with the AS model, $P<0.05$. These data demonstrated that I-BET151 could inhibit AS induced expression of RANKL, OPG, MMP3, and MMP9 in AS rats.

Reference: Exp Ther Med. 2017 Nov;14(5):4602-4606. https://pubmed.ncbi.nlm.nih.gov/29067128/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.