Small Molecules

I-BET151

BET family inhibitor; Inhibits BRD2, BRD3, and BRD4

Catalog #	73712	10 mg
	73714	50 mg

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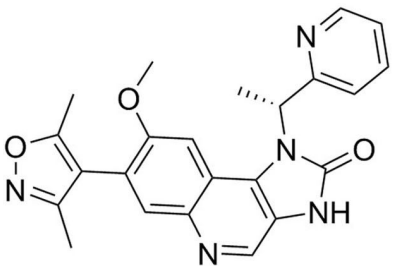
Product Description

I-BET151 is an inhibitor of bromodomain and extra terminal (BET) family proteins. BET proteins recognize acetylated lysine residues via their two bromodomains (Gallenkamp et al.). I-BET151 inhibits BRD2, BRD3, and BRD4 with IC_{50} values of 0.5, 0.25, and 0.79 µM, respectively (Dawson et al. 2012; Hewings et al.; Kline et al.; Vidler et al.).

Molecular Name:	I-BET151
Alternative Names:	GSK1210151A
CAS Number:	1300031-49-5
Chemical Formula:	$C_{23}H_{21}N_5O_3$
Molecular Weight:	415.5 g/mol
Purity:	≥ 98%
Chemical Name:	7-(3,5-dimethyl-1,2-oxazol-4-yl)-8-methoxy-1-[(1R)-1-pyridin-2-ylethyl]-3H-imidazo[4,5-c]quinolin-2-one
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 12 mM · Absolute ethanol ≤ 2.4 mM · DMF ≤ 20 mM For example, to prepare a 5 mM stock solution in DMSO, resuspend 10 mg in 4.81 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING
· Enhances reprogramming of mouse fibroblasts to neurons, in combination with ISX-9 (Catalog #73202), Forskolin (Catalog #72112), and CHIR99021 (Catalog #72052) (Li et al.).
CANCER RESEARCH
· Induces early cell cycle arrest and apoptosis in human and mouse MLL-fusion leukemia cell lines by blocking transcription of key genes including BCL2, C-MYC, and CDK6 (Dawson et al. 2011).

References

Dawson MA et al. (2011) Inhibition of BET recruitment to chromatin as an effective treatment for MLL-fusion leukaemia. Nature 478(7370): 529–33.
Dawson MA et al. (2012) Targeting epigenetic readers in cancer. N Engl J Med 367(7): 647–57.
Gallenkamp D et al. (2014) Bromodomains and their pharmacological inhibitors. ChemMedChem 9(3): 438–64.
Hewings DS et al. (2013) Optimization of 3,5-dimethylisoxazole derivatives as potent bromodomain ligands. J Med Chem 56(8): 3217–27.
Kline TB et al. (1982) Structure-activity relationships for hallucinogenic N,N-dialkyltryptamines: photoelectron spectra and serotonin receptor affinities of methylthio and methylenedioxy derivatives. J Med Chem 25(11): 1381–3.
Li X et al. (2015) Small-molecule-driven direct reprogramming of mouse fibroblasts into functional neurons. Cell Stem Cell 17(2): 195–203.
Vidler LR et al. (2012) Druggability analysis and structural classification of bromodomain acetyl-lysine binding sites. J Med Chem 55(17): 7346–59.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).