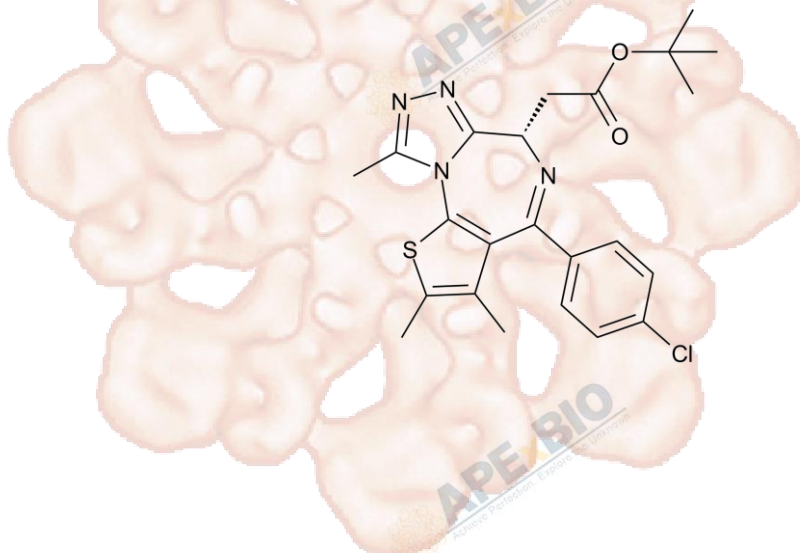


Product Data Sheet

Bromodomain Inhibitor, (+)-JQ1

Cat. No.:	A1910
CAS No.:	1268524-70-4
Formula:	C23H25ClN4O2S
M.Wt:	456.99
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Bromodomain
Storage:	Store at -20°C



Solvent & Solubility

≥22.85 mg/mL in DMSO; insoluble in H₂O; ≥55.6 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	2.1882 mL	10.9412 mL	21.8823 mL
	5 mM	0.4376 mL	2.1882 mL	4.3765 mL
	10 mM	0.2188 mL	1.0941 mL	2.1882 mL

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

Biological Activity

Shortsummary

BET bromodomain inhibitor

IC₅₀ & Target

77 nM/33 nM (BRD4(1/2))

In Vitro

Cell Viability Assay

Cell Line: Human Leukemia OCI-AML3 (AML-M4 subtype, DNMT3A-R882, NPM1c-mutated, p53-wildtype) cell lines

Preparation method: The solubility of this compound in DMSO is >10 mM. 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:	0.25 μ M JQ1 for 24 h incubation
	Applications:	BRD4 bromodomain inhibitor JQ1 is highly active against human leukemia OCI-AML3 mutation lines such as nucleophosmin (NPM1) and DNA methyltransferase 3 (DNMT3A). JQ1 causes caspase 3/7-mediated apoptosis and DNA damage response in these cells. JQ1 prevented BRD4-mediated recruitment of p53 to chromatin targets following its activation in OCI-AML3 cells resulting in cell cycle arrest and apoptosis in a c-MYC-independent manner.
In Vivo	Animal experiment	
	Animal models:	Male C57BL/6J (The Jackson Laboratory) and BALB/cJ (Charles River) mice, 6–8 wk of age
	Dosage form:	10% (w:v) JQ1 solution in 2-hydroxypropyl- β -cyclodextrin solvent (Sigma-Aldrich);injected into the contralateral side of the abdomen
	Applications:	JQ1 ablated cytokine production and blunted the "cytokine storm" in endotoxemic mice by reducing levels of IL-6 and TNF- α ; while rescuing mice from LPS-induced death. JQ1 benefited hyper-inflammatory conditions associated with high levels of cytokine production.
	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.Kurimchak AM, Shelton C, et al. "Intrinsic Resistance to MEK Inhibition through BET Protein-Mediated Kinome Reprogramming in NF1-Deficient Ovarian Cancer." Mol Cancer Res. 2019 Aug;17(8):1721-1734.PMID:31043489
- 2.Liu K, Zhou Z, et al. "JQ1, a BET-bromodomain inhibitor, inhibits human cancer growth and suppresses PD-L1 expression." Cell Biol Int. 2019 Jun;43(6):642-650.PMID:30958600
- 3.Kushani Shah, Robert H Whitaker, et al. "Specific inhibition of DPY30 activity by ASH2L-derived peptides suppresses blood cancer cell growth." bioRxiv. 2019 February 19.
- 4.Kim DU, Nam J, et al. "Inhibition of phosphodiesterase 4D decreases the malignant properties of DLD-1 colorectal cancer cells by repressing the AKT/mTOR/Myc signaling pathway." Oncol Lett. 2019 Mar;17(3):3589-3598.PMID:30867802
- 5.Talha Ijaz. "Fibroblasts: Key Cells in Inflammation and Fibrosis." University of Texas Medical Branch.May, 2018.

See more customer validations on www.apexbt.com.

References

- [1]. Stewart HJ1, Horne GA, Bastow S et al. BRD4 associates with p53 in DNMT3A-mutated leukemia cells and is implicated in apoptosis by the bromodomain inhibitor JQ1. Cancer Med. 2013 Dec;2(6):826-35.
- [2]. Belkina AC1, Nikolajczyk BS, Denis GV. BET protein function is required for inflammation: Brd2 genetic disruption and BET

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 APEX BIO 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.

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