

## Product Datasheet

### JQ-1

Catalog No. **BP-02472** · CAS **1268524-70-4** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** · Revision **2026-09-10**

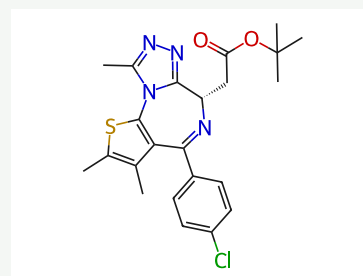
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| Target<br><b>Epigenetic Reader Domain; Autophagy; Ligands for Target Protein for PROTAC; SWI/SNF Complex</b> | Research area<br><b>Neurological Disease; Cancer</b> |
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Molecular formula **C<sub>23</sub>H<sub>25</sub>ClN<sub>4</sub>O<sub>2</sub>S**

Molecular weight **456.9880**

#### STRUCTURE



## DESCRIPTION

(+)-JQ-1 (JQ1), a chemical probe, is a potent, specific, CNS-penetrant and reversible BET bromodomain inhibitor, with IC<sub>50</sub>s of 77 and 33 nM for the first and second bromodomain (BRD4(1/2)) . (+)-JQ-1 also activates autophagy .

## BIOLOGICAL ACTIVITY

### In Vitro

(+)-JQ-1 represents a potent, highly specific and Kac competitive inhibitor for the BET family of bromodomains. (+)-JQ-1 (100 nM, 48 h) prompts squamous differentiation exhibited by cell spindling, flattening and increased expression of keratin. (+)-JQ-1 (250 nM) induces rapid expression of keratin in treated NMC 797 cells compared to (-)-JQ1 (250 nM) and vehicle controls, as determined by quantitative immunohistochemistry. (+)-JQ-1 (250 nM) elicits a time-dependent induction of strong (3+) keratin staining of treated NMC 797 cells, compared to (-)-JQ1 (250 nM)[1]. De-repression of autophagy genes is observed almost immediately after (+)-JQ-1 addition[2]. (+)-JQ-1 is a potent thienodiazepine inhibitor (K<sub>d</sub>=90 nM) of the BET family coactivator protein BRD4, which is implicated in the pathogenesis of cancer via transcriptional control of the MYC oncogene. Dose-ranging studies of (+)-JQ-1 demonstrates potent inhibition of H4Kac4 binding with a IC<sub>50</sub> value of 10 nM for murine BRDT(1) and 11 nM for human BRDT(1).

### In Vivo

Matched cohorts of mice with established tumors are randomized to treatment with (+)-JQ1 (50 mg/kg) or vehicle, administered by daily intraperitoneal injection. Prior to randomization, and after four days of therapy, mice are evaluated by FDG-PET imaging. A marked reduction in FDG uptake is observed with (+)-JQ1 treatment. Tumor-volume measurements confirm a reduction in tumor growth with JQ1 treatment. Pharmacokinetic studies of (+)-JQ1 are performed in CD1 mice following intravenous and oral administration. Mean plasma concentration-time profiles of (+)-JQ1 after intravenous dosing (5 mg/kg). The pharmacokinetic parameters for intravenous (+)-JQ1 demonstrate excellent drug exposure (AUC=2090 hr\*ng/mL) and an approximately one hour half-life (T<sub>1/2</sub>). Mean

plasma concentration-time profiles of (+)-JQ1 after oral dosing (10 mg/kg). The pharmacokinetic parameters for oral (+)-JQ1 demonstrate excellent oral bioavailability (F=49%), peak plasma concentration (C<sub>max</sub>=1180 ng/mL) and drug exposure (AUC=2090 hr\*ng/mL).

## SOLUBILITY

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Soluble in DMSO

## REFERENCES

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- [1]. Filippakopoulos P, et al. Selective inhibition of BET bromodomains. *Nature*. 2010 Dec 23;468(7327):1067-73.
- [2]. Sakamaki JI, et al. Bromodomain Protein BRD4 Is a Transcriptional Repressor of Autophagy and Lysosomal Function. *Mol Cell*. 2017 May 18;66(4):517-532.e9.
- [3]. Matzuk MM, et al. Small-molecule inhibition of BRDT for male contraception. *Cell*. 2012 Aug 17;150(4):673-84.
- [4]. Zhong X, et al. JQ1 attenuates neuroinflammation by inhibiting the inflammasome-dependent canonical pyroptosis pathway in SAE. *Brain Res Bull*. 2022 Oct 15;189:174-183.

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