



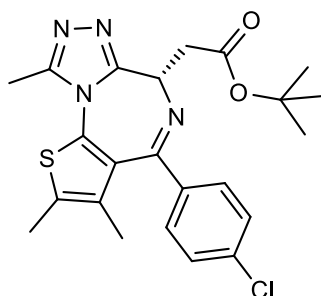
Catalog # 10-1584

JQ1 (+)

CAS# 1268524-70-4

(6S)-4-(4-Chlorophenyl)-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-6-acetic acid 1,1-dimethylethyl ester

Lot # X108801



JQ1 (+) is a potent BET bromodomain inhibitor and is the active isomer. IC_{50} = 17.7, 32.6, 76.9 and 12942 nM respectively for BRD2 (N-terminal (N)), BRD4 (C-terminal (C)), BRD4 (N) and CREBBP respectively (data for + isomer)¹. Competitive binding by JQ1 displaces the BRD4 fusion oncoprotein from chromatin, prompting squamous differentiation and specific antiproliferative effects in BRD4-dependent cell lines and patient-derived xenograft models¹. Induces squamous differentiation in NMC cell lines and inhibits tumor growth in NMC xenografts². Displays reversible contraceptive effects in male mice³. Blocks inflammation and bone loss in periodontitis⁴. Reverses CAR T cell extinction⁵.

- 1) Filippakopoulos *et al.* (2010), *Selective inhibition of BET bromodomains*; Nature, **468** 1067
- 2) Herrmann *et al.* (2012), *Small-molecule inhibition of BRD4 as a new potent approach to eliminate leukemic stem- and progenitor cells in acute myeloid leukemia AML*; Oncotarget, **3** 1588
- 3) Matzuk *et al.* (2012), *Small-molecule inhibition of BRDT for male contraception*; Cell., **150** 673
- 4) Meng *et al.* (2014), *BET Inhibitor JQ1 Blocks Inflammation and Bone Destruction*;; J. Dent. Res. **93** 657
- 5) Kong *et al.* (2021), *BET bromodomain protein inhibition reverses chimeric antigen receptor extinction and reinvigorates exhausted T cells in chronic lymphocytic leukemia*; J. Clin. Invest., **131** e145459

PHYSICAL DATA

Molecular Weight:	456.99
Molecular Formula:	C ₂₃ H ₂₅ ClN ₄ O ₂ S
Purity:	98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (up to 60 mg/ml) or Ethanol (up to 46 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at room temperature for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 2 months.

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