

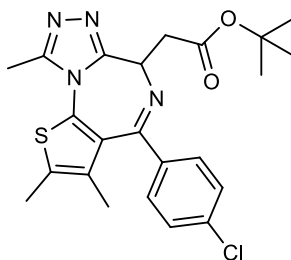
Catalog # 10-1361

JQ-1 (+/-)

CAS# 1268524-69-1

(6R,S)-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 # X104501



JQ1 is a potent BET bromodomain inhibitor. 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. Blocks inflammation and bone loss in periodontitis⁴. Displays reversible contraceptive effects in male mice³. Note this product is racemic (+/-) making the IC_{50} nominally 2 fold greater than literature values for the (+) isomer.

- 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

PHYSICAL DATA

Molecular Weight:	457.00
Molecular Formula:	C ₂₃ H ₂₅ ClN ₄ O ₂ S
Purity:	98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 9 mg/ml with warming) or Ethanol (up to 9 mg/ml with warming)
Physical Description:	White solid
Storage and Stability:	Store as supplied 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.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.