

DATA SHEET

Product Name: JQ-1

Catalog #: CV-1007

Alt: CAS # 1268524-69-1; (6R,S)-4-(4-Chlorophenyl)-2,3,9-trimethyl-6H-thieno[3,2f][1,2,4]triazolo[4,3-c][1,4]diazepine- 6-acetic acid 1,1dimethylethyl ester

Molecular Mass: 457.0

Analysis: >98% (TLC); NMR (conforms)

Supplied As: White powder

Resuspension: May be dissolved in DMSO (9 mg/ml, warm); or ethanol (9 mg/ml, warm)

Storage: Store desiccated as supplied at ambient temperature for up to 1 year. Store solutions at -20°C for up to two months.

Description: JQ1 is a potent BET bromodomain inhibitor. IC₅₀ = 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 IC₅₀ nominally 2 fold greater than literature values for the (+) isomer (offered as a lower cost option).

References:

1. Filippakopoulos, P., et al., (2010) Nature, 468 : 1067
2. Herrmann, H., et al., (2012) Oncotarget, 3 : 1588
3. Matzuk, M.M., et al., (2012) Cell, 150 : 673
4. Meng, S., et al., (2014) J. Dent. Res., 93 : 657

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