

JQ1 (+/-)

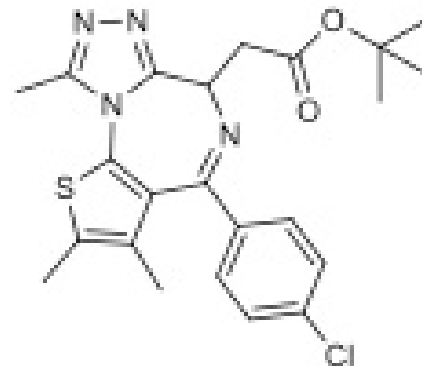
Catalog No: 14066, 14067**Format:** 25 mg, 5 mg**Chemical Properties:**

MW = 457.0

C₂₃H₂₅ClN₄O₂S

CAS 1268524-70-4

Physical Properties: White powder

Names: JQ1 (+/-), JQ1 racemic, (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

Chemical Structure of JQ1 racemic.

Pharmacology: JQ1 is a potent BET bromodomain inhibitor. (IC₅₀ = 17.7, 32.6, 76.9 and 12942 nM respectively for BRD2 (N-terminal), BRD4 (C-terminal) and CREBBP (data for + isomer))(ref 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 models (ref 1). Induces squamous differentiation in NMC cell lines and inhibits tumor growth in NMC xenografts (ref 2). Blocks inflammation and bone loss in periodontitis (ref 3). Displays reversible contraceptive effects in male mice (ref 4).

Note: This product is racemic (+/-) making the IC₅₀ nominally 2-fold greater than literature values for the (+) isomer.

Solubilization: May be dissolved in DMSO (40 mg/ml); Ethanol (40 mg/ml)

Fluorescent Properties: N/A

Quality Control:

>98% (TLC); NMR (Conforms)

References:

1. P Filippakopoulos *et al. Nature* 2010, 468:1067
2. H Hermann *et al. Oncotarget* 2012, 3:1588
3. S Meng *et al. Dent. Res.* 2014, 93:657
4. MM Matzuk *et al. Cell* 2012, 150:673

Storage and Guarantee: Store desiccated as supplied at room temperature for up to 1 year. Store solutions at -20°C for up to 2 months. This product is guaranteed for 6 months from date of arrival.