

PRODUCT INFORMATION



(-)-JQ1

Item No. 11232

Manufactured, marketed, and sold with authorization from Roche. Patent Pending relating to PCT Publ. No. WO/2011/143669, and any related U.S. and foreign patents and patent applications.

CAS Registry No.: 1268524-71-5

Formal Name: (6R) 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

MF: $C_{23}H_{25}ClN_4O_2S$

FW: 457.0

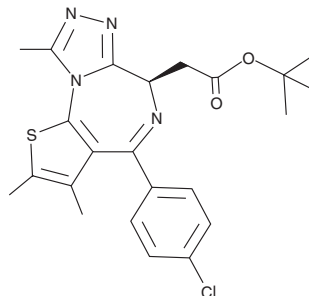
Purity: $\geq 98\%$

UV/Vis.: λ_{max} : 254 nm

Supplied as: A crystalline solid

Storage: $-20^{\circ}C$

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

(-)-JQ1 is supplied as a crystalline solid. A stock solution may be made by dissolving the (-)-JQ1 in the solvent of choice. (-)-JQ1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of (-)-JQ1 in ethanol, DMSO, and DMF is approximately 14, 10, and 16 mg/ml, respectively.

(-)-JQ1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (-)-JQ1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (-)-JQ1 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The bromodomain and extra terminal domain (BET) family of proteins, including BRD2, BRD3, and BRD4, play a key role in many cellular processes, including inflammatory gene expression, mitosis, and viral/host interaction by controlling the assembly of histone acetylation-dependent chromatin complexes. (\pm)-JQ1 displaces BET proteins from chromatin by competitively binding to the acetyl-lysine recognition pocket of BET bromodomains.^{1,2} The (-)-JQ1 stereoisomer has no appreciable affinity to BET bromodomains, whereas enantiomerically pure (+)-JQ1 binds to BRD4 bromodomains 1 and 2 with K_d values of ~ 50 and 90 nM, respectively.¹

References

1. Filippakopoulos, P., Qi, J., Picaud, S., *et al.* Selective inhibition of BET bromodomains. *Nature* **468**(7327), 1067-1073 (2011).
2. Dawson, M.A., Kouzarides, T., and Huntly, B.J. Targeting epigenetic readers in cancer. *N. Engl. J. Med.* **367**(7), 647-657 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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