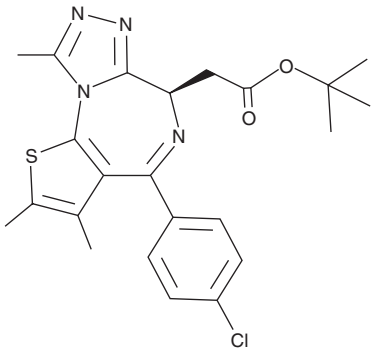
PRODUCT INFORMATION

(–)-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: ≥98%
UV/Vis.: λ_{max}: 254 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(–)-JQ1 is supplied as a crystalline solid. A stock solution may be made by dissolving the (–)-JQ1 in the solvent of choice, which should be purged with an inert gas. (–)-JQ1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (–)-JQ1 in these solvents 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. (±)-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] See the Structural Genomics Consortium (SGC) website for more information.

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).

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