PRODUCT INFORMATION



(+)-JQ1 (free acid) Item No. 9002910

CAS Registry No.: 202592-23-2

Formal Name: (6S)-4-(4-chlorophenyl)-2,3,9-

> trimethyl-6H-thieno[3,2-f][1,2,4] triazolo[4,3-a][1,4]diazepine-6-acetic

MF: $C_{19}H_{17}CIN_4O_2S$

400.9 FW: **Purity:** ≥98% UV/Vis.: λ_{max} : 254 nm A crystalline solid Supplied as:

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 (free acid) is supplied as a crystalline solid. A stock solution may be made by dissolving the (+)-JQ1 (free acid) in the solvent of choice, which should be purged with an inert gas. (+)-JQ1 (free acid) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of (+)-JQ1 (free acid) in these solvents is approximately 15, 25, and 20 mg/ml, respectively.

(+)-JQ1 (free acid) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (+)-JQ1 (free acid) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. (+)-JQ1 (free acid) has a solubility of approximately 0.20 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

(+)-JQ1 (free acid) is an inhibitor of bromodomain and extra terminal domain (BET) family proteins (K_ss = 128, 59.5, 49.0, and 190 nM for JQ1 binding to bromodomains of BRD2, BRD3, BRD4, and BRDT, respectively), blocking their interaction with acetylated histones.^{1,2} Enantiomerically pure (+)-JQ1 (Item No. 11187) binds to BRD4 bromodomains 1 and 2 with K_d values of ~50 and 90 nM, respectively, whereas the (-)-JQ1 (Item No. 11232) stereoisomer has no appreciable affinity to BET bromodomains. 1 JQ1 has been used as a chemical probe to investigate the role of BET bromodomains in the transcriptional regulation of oncogenesis. 1-5 See the Structural Genomics Consortium (SGC) website for more information.

References

- 1. Filippakopoulos, P., Qi, J., Picaud, S., et al. Nature 468(7327), 1067-1073 (2010).
- 2. Dawson, M.A., Kouzarides, T., and Huntly, B.J. N. Engl. J. Med. 367(7), 647-657 (2012).
- 3. Delmore, J.E., Issa, G.C., Lemieux, M.E., et al. Cell 146(6), 904-917 (2011).
- 4. Mertz, J.A., Conery, A.R., Bryant, B.M., et al. Proc. Natl. Acad. Sci. USA 108(40), 16669-16674 (2011).
- 5. Dawson, M.A., Prinjha, R.K., Dittmann, A., et al. Nature 478, 529-533 (2011).

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

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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