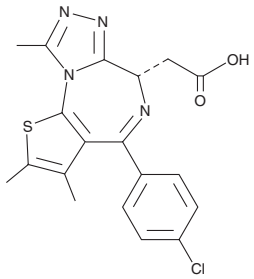
PRODUCT INFORMATION

(+)-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:	$C_{19}H_{17}ClN_4O_2S$
FW:	400.9
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 (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_ds = 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).

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