

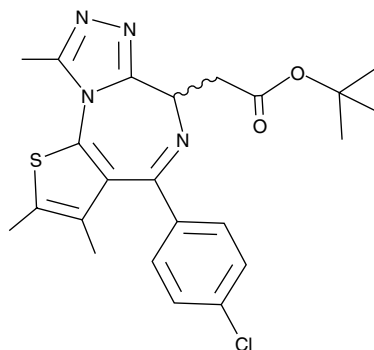
# Product Information



(±)-JQ1

Item No. 10741

**CAS Registry No.:** 1268524-69-1  
**Formal Name:** 4-(4-chlorophenyl)-2,3,9-trimethyl-1,1-dimethylethyl ester-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-6-acetic acid  
**MF:** C<sub>23</sub>H<sub>25</sub>ClN<sub>4</sub>O<sub>2</sub>S  
**FW:** 457.0  
**Purity:** ≥98%  
**Stability:** ≥2 years at -20°C  
**Supplied as:** A crystalline solid  
**UV/Vis.:** λ<sub>max</sub>: 254 nm



## Laboratory Procedures

For long term storage, we suggest that (±)-JQ1 be stored as supplied at -20°C. It should be stable for at least two years.

(±)-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, which should be purged with an inert gas. The solubility of (±)-JQ1 in these solvents is approximately 10 mg/ml.

(±)-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.

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.<sup>1</sup> Enantiomerically pure (+)-JQ1 binds to BRD4 bromodomain 1 and BRD4 bromodomain 2 with K<sub>d</sub> values of ~ 50 and 90 nM, respectively, whereas the (-)-JQ1 stereoisomer has no appreciable affinity to BET bromodomains.<sup>1</sup> In a bromodomain-peptide displacement assay, (±)-JQ1 inhibits BRD2 and BRD4 binding with IC<sub>50</sub> values of 18 and 77 nM, respectively.<sup>2</sup> It has been used as a chemical probe to investigate the role of BET bromodomains in the transcriptional regulation of oncogenesis.<sup>1,3-5</sup>

## References

1. Filippakopoulos, P., Qi, J., Picaud, S., *et al.* Selective inhibition of BET bromodomains. *Nature* **468**(7327), 1067-73 (2011).
2. Philpott, M., Yang, J., Tumber, T., *et al.* Bromodomain-peptide displacement assays for interactome mapping and inhibitor discovery. *Mol. BioSyst.* **7**(10), 2899-2908 (2011).
3. Delmore, J.E., Issa, G.C., Lemieux, M.E., *et al.* BET bromodomain inhibition as a therapeutic strategy to target c-Myc. *Cell* **146**(6), 904-917 (2011).
4. Bertz, J.A., Conery, A.R., Bryant, B.M., *et al.* Targeting MYC dependence in cancer by inhibiting BET bromodomains. *Proc. Natl. Acad. Sci. USA* **108**(40), 16669-16674 (2011).
5. Dawson, M.A., Prinjha, R.K., Dittmann, A., *et al.* Inhibition of BET recruitment to chromatin as an effective treatment for MLL-fusion leukaemia. *Nature* **478**, 529-533 (2011).

## Related Products

For a list of related products please visit: [www.caymanchem.com/catalog/10741](http://www.caymanchem.com/catalog/10741)

**WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

### MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

### WARRANTY AND LIMITATION OF REMEDY

Cayman Chemical Company makes **no warranty or guarantee** of any kind, whether written or oral, expressed or implied, including without limitation, any warranty of fitness for a particular purpose, suitability and merchantability, which extends beyond the description of the chemicals hereof. Cayman warrants only to the original customer that the material will meet our specifications at the time of delivery.

Cayman will carry out its delivery obligations with due care and skill. Thus, in no event will Cayman have any obligation or liability, whether in tort (including negligence) or in contract, for any direct, indirect, incidental or consequential damages, even if Cayman is informed about their possible existence.

This limitation of liability does not apply in the case of intentional acts or negligence of Cayman, its directors or its employees.

Buyer's **exclusive remedy** and Cayman's sole liability hereunder shall be limited to a **refund** of the purchase price, or at Cayman's option, the **replacement**, at no cost to Buyer, of all material that does not meet our specifications.

Said refund or replacement is conditioned on Buyer giving written notice to Cayman within thirty (30) days after arrival of the material at its destination. Failure of Buyer to give said notice within thirty (30) days shall constitute a waiver by Buyer of all claims hereunder with respect to said material.

For further details, please refer to our Warranty and Limitation of Remedy located on our website and in our catalog.

Copyright Cayman Chemical Company, 01/11/2012

## Cayman Chemical

### Mailing address

1180 E. Ellsworth Road  
Ann Arbor, MI  
48108 USA

### Phone

(800) 364-9897  
(734) 971-3335

### Fax

(734) 971-3640

### E-Mail

[custserv@caymanchem.com](mailto:custserv@caymanchem.com)

### Web

[www.caymanchem.com](http://www.caymanchem.com)