

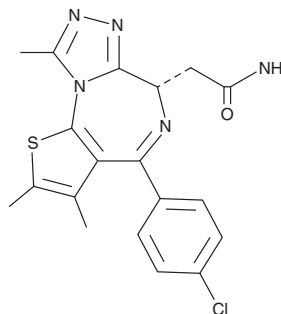
# PRODUCT INFORMATION



## CPI-203

Item No. 15479

**CAS Registry No.:** 1446144-04-2  
**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-acetamide  
**MF:** C<sub>19</sub>H<sub>18</sub>ClN<sub>5</sub>OS  
**FW:** 399.9  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 255 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

CPI-203 is supplied as a crystalline solid. A stock solution may be made by dissolving the CPI-203 in the solvent of choice, which should be purged with an inert gas. CPI-203 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CPI-203 in these solvents is approximately 20, 25, and 30 mg/ml, respectively.

CPI-203 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CPI-203 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CPI-203 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

(+)-JQ1 (Item No. 11187) binds to the acetyl-lysine recognition pocket of bromodomains 1 and 2 on BRD4 with K<sub>d</sub> values of ~50 and 90 nM, respectively.<sup>1</sup> This displaces BRD4 from nuclear chromatin in cells, inducing differentiation and growth arrest in midline carcinoma cells.<sup>1</sup> CPI-203 is a primary amide analog of (+)-JQ1 which has shown superior bioavailability with oral or i.p. administration.<sup>2</sup> It is comparable or superior to (+)-JQ1 in inhibiting BRD4 binding and action *in vitro* or in cells.<sup>2,3</sup> CPI-203 arrests the growth of leukemic T cells *in vitro* (EC<sub>50</sub> = 91 nM) and rapidly suppresses leukemia burden in mice.<sup>2</sup>

## References

1. Filippakopoulos, P., Qi, J., Picaud, S., *et al.* Selective inhibition of BET bromodomains. *Nature* **468**(7327), 1067-1073 (2010).
2. King, B., Trimarchi, T., Reavie, L., *et al.* The ubiquitin ligase FBXW7 modulates leukemia-initiating cell activity by regulating MYC stability. *Cell* **153**(7), 1552-1566 (2013).
3. Devaiah, B.N., Lei, B.A., Cherman, N., *et al.* BRD4 is an atypical kinase that phosphorylates serine 2 of the RNA polymerase II carboxy-terminal domain. *Proc. Natl. Acad. Sci. USA* **109**(18), 6927-6932 (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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