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CPI-203

Bromodomain-containing protein 4 (BRD4) inhibitor; JQ1 analog

Catalog #100-1644 5 mg

Product Description

CPI-203 is a JQ1 analog and an inhibitor of bromodomain-containing protein 4 (BRD4; IC_{50} = 26 nM). BRD4 is a protein in the bromodomain and extra-terminal (BET) family involved in transcriptional regulation. CPI-203 inhibits BRD4-mediated phosphorylation of serine 2 on the C-terminal domain of RNA polymerase II (Devaiah et al.), impacting the expression of key oncogenes and anti-apoptotic proteins (Filippakopoulos & Knapp).

Alternative Names: CPI-267203

CAS Number: 1446144-04-2

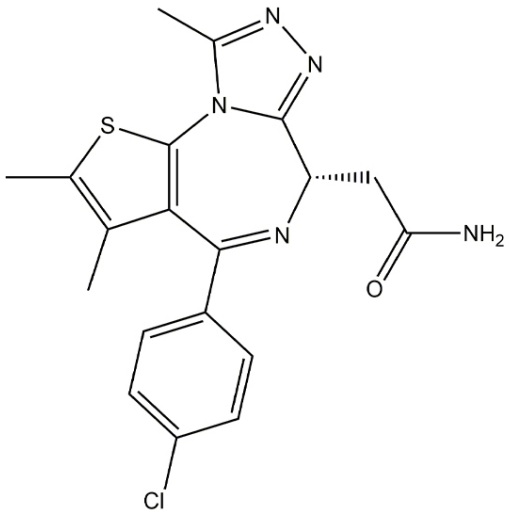
Chemical Formula: $C_{19}H_{18}ClN_5OS$

Molecular Weight: 399.9 g/mol

Purity: ≥ 98%

Chemical Name: (S)-2-(4-(4-Chlorophenyl)-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-6-yl) acetamide

Structure:



Properties

Product Format: A white to off-white powder

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

- DMSO ≤ 60 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 250 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

DIFFERENTIATION

- Represses Myc expression, resulting in hematopoietic stem and progenitor cells (HSPCs) maintenance in a mouse model (Knudsen et al.).
- Promotes expansion of human umbilical cord blood HSPCs and increases proportion of megakaryocyte differentiation (Hua et al.).

CANCER RESEARCH

- Enhances the effect of immunotherapy in a mouse model of liver cancer by inhibiting programmed death receptor 1 expression (Niu et al.).

References

Devaiah BN et al. (2012) BRD4 is an atypical kinase that phosphorylates serine2 of the RNA polymerase II carboxy-terminal domain. Proc Natl Acad Sci U S A 109(18): 6927–32.
Filippakopoulos P & Knapp S. (2014) Targeting bromodomains: epigenetic readers of lysine acetylation. Nat Rev Drug Discov 13(5): 337–56.
Hua P et al. (2020) The BET inhibitor CPI203 promotes ex vivo expansion of cord blood long-term repopulating HSCs and megakaryocytes. Blood 136(21): 2410–15.
Knudsen KJ et al. (2015) ERG promotes the maintenance of hematopoietic stem cells by restricting their differentiation. Genes Dev 29: 1915–29.
Niu X et al. (2022) CPI-203 improves the efficacy of anti-PD-1 therapy by inhibiting the induced PD-L1 overexpression in liver cancer. Cancer Sci 113(1): 28–40.

Related Products

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.