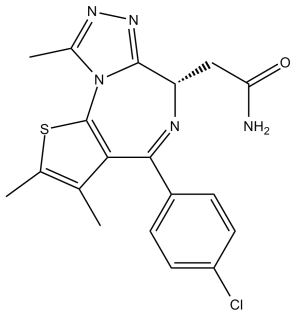
PROTAK™ CPI-203

E31P14699

Catalog Number: E31P14699-1, E31P14699-2
Product Name: PROTAK™ CPI-203
Amount: 5mg
CAS No.: 1446144-04-2
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
Molecular Structure:



Purity: >98.00%
Formula: C19H18ClN5OS
M.W.: 399.9
Solubility: ≥40mg/mL in DMSO
Storage/Stability: Store at -20° C
Background: CPI-203 is a potent, selectivie and competitive small molecule inhibitor of BET bromodomain with a mean GI50 value of 0.23 μM in MCL cell lines [1].

As an inhibitor of BET proteins, CPI-203 inhibits BRD4 in vitro and in cells. It inhibits the specific Ser2 phosphorylation of both endogenous BRD4 and exogenous mutant BRD4 (BRD4 FEE-AAA) in vivo, thus blocking the recruitment of BRD4 to chromatin. CPI-203 is shown to suppress cell growth of 9 MCL cell lines. And in REC-1 cells, treatment of CPI-203 causes the effects of IRF4 expression. CPI-203 marginally activates the apoptotic program in these cells. The CPI-203-lenalidomide combination is reported to be a promising strategy in MCL cases refractory to proteasome inhibition [1, 2].

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