

Product Data Sheet

Product Name: CPI-637
Cat. No.: GC10382

Chemical Properties

Cas. No. 1884712-47-3

Chemical Name (S)-4-methyl-6-(1-methyl-3-(1-methyl-1H-pyrazol-4-yl)-1H-indazol-5-yl)-4,5-dihydro-1H-benzo[b][1,4]diazepin-2(3H)-one

SMILES O=C1NC2=CC=CC(C3=CC4=C(N(C)N=C4C5=CN(C)N=C5)C=C3)=C2N[C@@H](C)C1

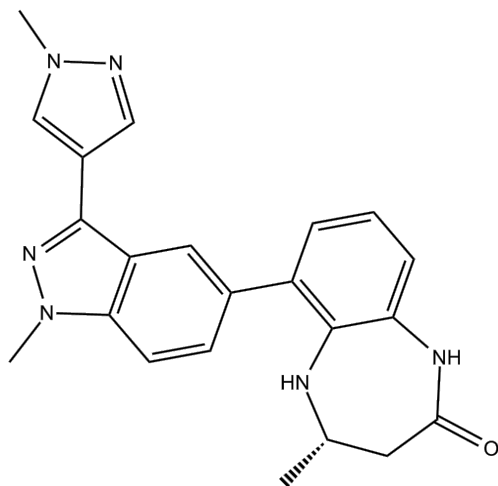
Formula $C_{22}H_{22}N_6O$ M.Wt 386.45

Solubility $\geq 19.3\text{mg/mL}$ in DMSO with gentle warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

IC₅₀: 0.03 and 11.0 μM for CBP/EP300 and BRD4, respectively.

Caution: Product has not been fully validated for medical applications. For research use only.

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CPI-637 is a CBP/EP300 bromodomain inhibitor.

It has been reported that among bromodomain-containing proteins implicated in various disease pathways, cyclic-AMP response element binding protein (CBP) and adenoviral E1A binding protein of 300 kDa (EP300), which is a highly homologous pair of bromodomain-containing transcriptional coactivators, are of great interest as potential drug targets due to their reported involvement in a variety of disease states.

In vitro: Previous study found that CPI-637 was potent against EP300, and its opposite enantiomer showed a over 200-fold loss in potency. Moreover, the biochemical potency of CPI-637 translated well into cells with CBP BRET EC₅₀ of 0.3 μ M, and CPI-637 demonstrated a more than 700-fold selectivity over the BET family of bromodomains. In addition, CPI-637 was also highly selective against other bromodomains, showing substantial biochemical activity only against BRD9. Furthermore, it was found that CPI-637 was able to inhibit the expression of MYC with an EC₅₀ of 0.60 μ M in a cellular assay,. [1].

In vivo: So far, there is no animal in vivo data reported.

Clinical trial: Up to now, CPI-637 is still in the preclinical development stage.

Reference:

[1] Taylor, A. M. et al. Fragment-Based Discovery of a Selective and Cell-Active Benzodiazepinone CBP/EP300 Bromodomain Inhibitor (CPI-637). ACS medicinal chemistry letters 7, 531-536, doi:10.1021/acsmedchemlett.6b00075 (2016).

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