

Product Data Sheet

Product Name: GNE-272

Cat. No.: GC32747

Chemical Properties

Cas. No. 1936428-93-1

SMILES CC(N1CCC2=C(C(NC3=C(F)C=C(C4=CN(C)N=C4)C=C3)=NN2[C@@H]5COCC5)C1)=O

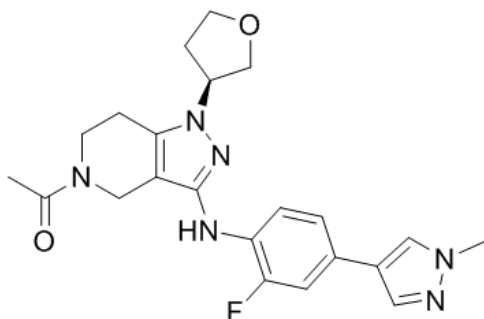
Formula $C_{22}H_{25}FN_6O_2$ M.Wt 424.47

Solubility DMSO : ≥ 150 mg/mL (353.38 mM) 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



Protocol

Cell experiment [1]:

Cell lines Human cancer cell lines (MOLM-16, HL-60, LP-1, KMS-34, Pfeiffer, DOHH-2 cells)

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

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Preparation Method Cells were treated for 4h with 5 μ M GNE-272 or DMSO control. MYC expression was then determined by RT-PCR and Western blot.

Reaction Conditions 5 μ M; 4h

Applications GNE-272 repressed MYC expression at both the RNA and protein level.

Animal experiment [1]:

Animal models SCID beige mice

Preparation Method MOLM-16 AML xenografts were established in SCID beige mice. Mice are given 0 (vehicle, 0.5% methylcellulose; 0.2% Tween-80), 12.5, 25, and 50mg/kg of GNE-272 by gavage, twice daily (BID) for 21 days in a volume of 100 μ L. Tumor volumes are measured in two dimensions (length and width) using Ultra CallV calipers.

Dosage form 12.5, 25, and 50mg/kg; twice daily (BID) for 21 days; p.o.

Applications GNE-272 has anti-tumor effects in vivo, tumor growth inhibition (%TGI) was 46%, 65%, and 102% at 12.5, 25, and 50mg/kg, respectively.

References:

[1] Crawford T D, Romero F A, Lai K W, et al. Discovery of a potent and selective in vivo probe (GNE-272) for the bromodomains of CBP/EP300[J]. Journal of medicinal chemistry, 2016, 59(23): 10549-10563.

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Background

GENE-272 is a potent and selective inhibitor of cyclic AMP response element binding protein (CBP), an inhibitor of E1A binding protein p300 (EP300), with IC₅₀ values of 0.02 and 0.03 μM, respectively. It is also an in vivo probe of CBP/EP300 bromodomains^[1, 2]. GENE-272 can be used to study acute myeloid leukemia, multiple myeloma and inflammatory diseases^[3, 4].

In vitro, GENE-272 (5 μM) treatment of human cancer cell lines (MOLM-16, HL-60, LP-1, KMS-34, Pfeiffer, DOHH-2 cells) for 4h significantly inhibited the expression of the proto-oncogene MYC at both RNA and protein levels^[1].

In vivo, GENE-272 (12.5, 25, 50mg/kg) was orally treated with MOLM-16 cell xenograft mice for 21 days and exerted antitumor effects in mice in a dose-dependent manner, with tumor growth inhibition rates (%TGI) of 46%, 65%, and 102% at 12.5, 25, and 50mg/kg, respectively^[1].

References:

- [1] Crawford T D, Romero F A, Lai K W, et al. Discovery of a potent and selective in vivo probe (GENE-272) for the bromodomains of CBP/EP300[J]. Journal of medicinal chemistry, 2016, 59(23): 10549-10563.
- [2] Romero F A, Murray J, Lai K W, et al. GENE-781, a highly advanced potent and selective bromodomain inhibitor of cyclic adenosine monophosphate response element binding protein, binding protein (CBP)[J]. Journal of Medicinal Chemistry, 2017, 60(22): 9162-9183.
- [3] Gosselé K, Latino I, Laul E, et al. Development of a novel class of CBP/EP300 bromodomain inhibitors which block TNF-α induced NFκB signaling[J]. 2024.
- [4] Masci D, Puxeddu M, Silvestri R, et al. Targeting CBP and p300: Emerging Anticancer Agents[J]. Molecules, 2024, 29(19): 4524.

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