

Product Data Sheet

Product Name: CDK8-IN-13

Cat. No.: GC73288

Chemical Properties

Cas. No. 918523-75-8

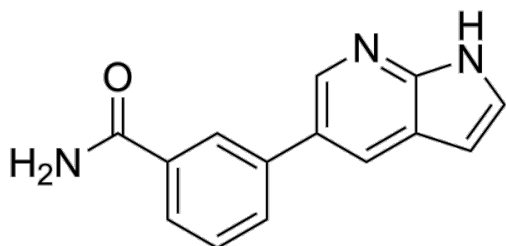
Formula $C_{14}H_{11}N_3O$ M.Wt 237.26

Solubility DMSO : 125 mg/mL (526.85 mM; Storage -20°C
Need ultrasonic)

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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Cell experiment [1]:

Cell lines HL-60 cells

Preparation Method HL-60 cells were cultured in RPMI 1640 medium containing 10% fetal bovine serum (FBS), 50U/ml penicillin, and 100mg/ml streptomycin at 37°C in the presence of 5% CO₂. HL-60 cells were seeded into 60 mm cultural dishes at a density of 2×10^6 cells per dish. After incubating for 24h, cells were treated with different concentrations of CDK8-IN-13 (0, 1, 5, and 10μM) for 48h. Subsequently, flow cytometry was used to analyze cell apoptosis.

Reaction Conditions 1, 2, 5, 7.5, 10μM; 48h

Applications CDK8-IN-13 treatment induced cell apoptosis in HL-60 cells in a dose-dependent manner.

Animal experiment [1]:

Animal models Balb/C mice

Preparation Method Thirty 6-week-old Balb/C mice were housed in temperature (23±2°C) and light-controlled (12:12-hour light-dark cycle) animal care facility. Mice were injected with 5×10^6 C1498 cells into the flanks. After the mean tumor volumes reached about 60mm³, the mice were randomly divided into 2 groups (N=10 per group). 0.9% saline solution (vehicle) and CDK8-IN-13 (80mg/kg/day) were administrated orally for 15 days. The tumor volume and body weight were recorded every 2 days. After 3 weeks, the mice were sacrificed, and the tumors were taken out for analysis.

Dosage form 80mg/kg/day for 15 days; p.o.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Applications CDK8-IN-13 treatment resulted in significant cessation of tumor growth and no significant weight loss in Balb/C mice with C1498 xenograft.

References:

[1] Zhang X X, Yan Y Y, Ma X, et al. Discovery of a novel oral type I CDK8 inhibitor against acute myeloid leukemia[J]. European Journal of Medicinal Chemistry, 2023, 251: 115214.

Background

CDK8-IN-13 is a potent, selective, and orally active CDK8 inhibitor with an IC₅₀ value of 51.9nM^[1]. CDK8-IN-13 has been widely used as a lead compound to analyze the binding mode with the active site of CDK8 in molecular docking experiments, and to develop a series of CDK8 inhibitors^[2].

In vitro, CDK8-IN-13 treatment (10μM) for 12 hours significantly inhibited the phosphorylation of STAT1 at S727 and STAT5 at S726 in HCT-116 cells^[1].

In vivo, CDK8-IN-13 treatment via oral administration at a dose of 80mg/kg/day for 15 days significantly inhibited tumor growth in the C1498 xenograft mouse model, without causing significant weight loss^[1].

References:

[1] Zhang X X, Yan Y Y, Ma X, et al. Discovery of a novel oral type I CDK8 inhibitor against acute myeloid leukemia[J]. European Journal of Medicinal Chemistry, 2023, 251: 115214.

[2] Chen Z, Wang Q, Yan Y Y, et al. Discovery of novel and potent CDK8 inhibitors for the treatment of acute myeloid leukaemia[J]. Journal of Enzyme Inhibition and Medicinal Chemistry, 2024, 39(1): 2305852.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA