

Product Data Sheet

Product Name: EZM8266

Cat. No.: GC79159

Chemical Properties

Cas. No. 2140164-84-5

Formula $C_{19}H_{27}N_5O_3$ M.Wt 373.45

Solubility DMSO: 104 mg/mL (278.48 mM; Need ultrasonic) Storage Store at 4°C, away from moisture

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

EZM8266 is an orally active and selective G9a (EHMT2) histone methyltransferase inhibitor with a

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human EHMT2 IC₅₀ of 1 pM. EZM8266 reduces repressive H3K9me2 marks at immune-stimulatory gene and endogenous retroviral element promoters. EZM8266 reduces colony formation, migration, and invasion of cancer cells. EZM8266 enhances IFN- γ response, increases MHC class I expression, and enhances CXCL10-mediated T cell recruitment in cancer cells. EZM8266 can be used for the research of hepatocellular carcinoma [1] [2].

In Vivo, EZM8266 (Compound 5R) (300 mg/kg; p.o.; daily) significantly reduces tumor growth and increases intratumoral CD8+ and CD4+ T cell infiltration in an orthotopic murine HCC model[1]. EZM8266 (300 mg/kg; p.o.; 5 days per week; 4 weeks) monotherapy significantly reduces tumor burden and incidence in an orthotopic murine HCC model, and combination with anti-PD1 further enhances antitumor efficacy, normalizes serum liver enzyme levels, and increases intratumoral CD8+ and CD4+ T cell infiltration[1]. EZM8266 (300 mg/kg; p.o.; 5 days per week; 4 weeks) monotherapy significantly reduces tumor burden in a MYC/ β -catenin-driven murine HCC model, and combination with anti-PD1 enhances antitumor efficacy and reshapes the tumor microenvironment to a less immunosuppressive state[1].

In Vitro, EZM8266 (Compound 5R) (0.5-10 μ M; ~15 days) potently inhibits colony formation of human PLC/PRF/5 HCC cells[1]. EZM8266 (0.5-10 μ M; 3 weeks) dose-dependently inhibits anchorage-independent growth of human PLC/PRF/5 HCC cells[1]. EZM8266 (1-5 μ M; 24 h) dose-dependently inhibits migration of human HuH7 HCC cells[1]. EZM8266 (1-5 μ M; 24 h) dose-dependently inhibits invasion of human HuH7 HCC cells[1]. EZM8266 (compound 5a) (5 μ M; 48 h) induces broad transcriptional reprogramming in human PLC/PRF/5 HCC cells, upregulating immune-related pathways including innate immune response, interferon signaling, and dsRNA processing[1]. EZM8266 (5 mM; 24 h pre-treatment, 48 h single agent treatment) enhances IFN- γ -mediated upregulation of immune-related genes (including chemokines, MHC class I components, and interferon response genes) in murine PM299L HCC cells when used alone or in combination with IFN- γ [1]. EZM8266 (1 μ M; 24 h pre-treatment, 48 h single agent treatment) synergizes with IFN- γ to significantly increase CXCL10 secretion in murine PM299L and human HuH7 HCC cells when used in combination[1]. EZM8266 (5 mM; 24 h pre-treatment, 48 h single agent treatment) enhances IFN- γ -mediated upregulation of MHC class I surface expression in murine PM299L and human HuH7 HCC cells when used alone or in combination with IFN- γ [1]. EZM8266 (48 h) induces significant

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accumulation of intracellular double-stranded RNA in murine and human HCC cells^[1].

References:

- [1]. Adan-Villaescusa E, et al. Histone methyl-transferase G9a inhibition boosts the efficacy of immune checkpoint inhibitors in experimental hepatocellular carcinoma. *Cell Rep Med*. 2026;7(4):102717.
- [2]. Campbell JE, et al. Amine-substituted heterocyclic compounds as ehmt2 inhibitors, salts thereof, and methods of synthesis thereof. United States, WO2019079540 A. 2017-10-18.

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