

Product Data Sheet

Product Name: MS2928
Cat. No.: GC79161

Chemical Properties

Cas. No.

Formula $C_{21}H_{28}N_6O_3$ M.Wt 412.49

Solubility 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

MS2928 is a selective SETD8 inhibitor with an IC_{50} of 0.14 μ M against SETD8 methyltransferase activity. MS2928 reduces cellular H4K20 me1 levels and inhibits proliferation of SETD8-overexpressing multiple myeloma cells. MS2928 inhibits tumor growth in xenograft mouse models of SETD8-overexpressing multiple myeloma. MS2928 can be used for the study of SETD8 biological functions and multiple myeloma [1].

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

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In Vivo, MS2928 (compound 3) (25 mg/kg; i.p.; twice daily; 14 days) significantly inhibits JJN-3 multiple myeloma xenograft tumor growth in female NSG mice with no overt toxicity^[1]. MS2928 (25 mg/kg; i.p.; twice daily; 16 days) significantly inhibits OPM-2 multiple myeloma xenograft tumor growth in female NSG mice, reduces tumor cell proliferation and SETD8-mediated H4K20 monomethylation, and is well tolerated^[1].

In Vitro, MS2928 (compound 3) potently inhibits purified human SETD8 methyltransferase activity with an IC₅₀ of 0.14 μ M^[1]. MS2928 (20 μ M; 1 h) covalently modifies wild-type human SETD8 at the C311 residue, as no covalent adduct forms with the SETD8C311S mutant^[1]. MS2928 (1 μ M) is a selective inhibitor of SETD8, showing no significant inhibition of 20 other human methyltransferases at 1 μ M^[1]. MS2928 (2.5-5.0 μ M; 12 h) reduces H4K20me1 levels in SETD8-overexpressing JJN-3 and OPM-2 human multiple myeloma cells in a concentration-dependent manner after 12 h of treatment^[1]. MS2928 (serial dilutions; 72 h) potently inhibits the viability of SETD8-overexpressing JJN-3 and OPM-2 human multiple myeloma cells after 72 h of treatment, with IC₅₀ values of 1.06 μ M and 0.54 μ M, respectively^[1]. MS2928 (0.1-10 μ M; 16-24 h) only reduces H4K20me1 levels at higher concentrations in SETD8-low expressing human multiple myeloma cells (MM.1S, KMS20, EJM) and normal human cells (PBMC, PNT2, MCF10A) after 16-24 h of treatment^[1]. MS2928 (0.06-15 μ M; 72 h) does not significantly inhibit the viability of SETD8-low expressing human multiple myeloma cells (MM.1S, KMS20, EJM) or normal human cells (PBMC, PNT2, MCF10A) at concentrations up to 15 μ M after 72 h of treatment^[1].

References:

[1]. Chen H, et al. Discovery of a Potent, Selective, and In Vivo Efficacious Covalent Inhibitor for Lysine Methyltransferase SETD8. J Med Chem. 2026;69(4):4255-4269.

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