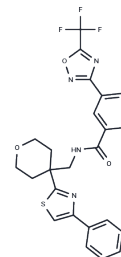


TMP269

Chemical Properties

| | |
|-------------------|---|
| CAS No. : | 1314890-29-3 |
| Formula: | C ₂₅ H ₂₁ F ₃ N ₄ O ₃ S |
| Molecular Weight: | 514.52 |
| Storage: | Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA. |



Biological Description

| | |
|----------------------------|--|
| Description | TMP269 is an effective, specific class IIa HDAC inhibitor for HDAC4 (IC ₅₀ : 157 nM), HDAC5 (IC ₅₀ : 97 nM), HDAC7 (IC ₅₀ : 43 nM), and HDAC9 (IC ₅₀ : 23 nM), respectively. |
| Targets(IC ₅₀) | HDAC |
| In vivo | TMP269 (10 μM) does not affect mitochondrial activity and/or the activity of human CD4+ T cells and can be used to identify endogenous substrates of class IIa HDAC enzymes. In IEC-18 small intestinal epithelial cells, TMP269 inhibits cellular processes, DNA synthesis, and proliferation induced by the activation of G protein-coupled receptor/PKD1 signaling. |
| Kinase Assay | HDAC selectivity assays: Dose-response studies are done with ten concentrations in a threefold dilution series from a maximum final compound concentration of 100 μM in the reaction mixture and are conducted at Reaction Biology Corp. All assays are based on the same principle as the HDAC9 assay described above: the deacetylation of acetylated or trifluoroacetylated lysine residues on fluorogenic peptide substrates by HDAC. HDAC1, HDAC2, HDAC3, HDAC6, HDAC10 and HDAC11 used a substrate based on residues 379-382 of p53 (Arg-His-Lys-Lys(Ac)). For HDAC8, the diacetylated peptide substrate, based on residues 379-382 of p53 (Arg-His-Lys(Ac)-Lys(Ac)), is used. HDAC4, HDAC5, HDAC7 and HDAC9 assays used the class IIa HDAC-specific fluorogenic substrate (Boc-Lys(trifluoroacetyl)-AMC). All reactions are done with 50 μM HDAC substrate in assay buffer (50 mM Tris-HCl, pH 8.0, 137 mM NaCl, 2.7 mM KCl, 1 mM MgCl ₂ , 1 mg/mL BSA) containing 1% DMSO final concentration; incubated for 2 h at 30 °C; and developed with trichostatin A and trypsin. |
| Cell Research | Human CD4+ T cells are isolated from whole blood via negative selection according to manufacturer's instructions (RosetteSep Human CD4+ T cell enrichment kit), re-suspended in T-cell culture medium (10% FBS, 2 mM L-glutamine, 1 mM pyruvate, 10 mM HEPES, 10 U/10 mg penicillin/streptomycin, 0.5% DMSO in RPMI) and plated at 50,000 cells/well with IL-2 (10 BRMP units/mL) and 100,000 human T-expander Dynabeads for 72 h. Determination of mitochondrial function or cell viability is done according to manufacturer's instructions (Cell Proliferation Assay Kit I (MTT)) and is represented as a percent of control (no inhibitor) wells.(Only for Reference) |

Solubility Information

A DRUG SCREENING EXPERT

| | |
|---------------------|---|
| Solubility | DMSO: 225 mg/mL (437.3 mM),Sonication is recommended. Ethanol: 2 mg/mL (3.89 mM),Heating is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: < 10 mg/mL (19.44 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Corn oil: 10 mg/mL (19.44 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (19.44 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% (20% SBE- β -CD in Saline): < 10 mg/mL (19.44 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|------------|
| 1 mM | 1.9436 mL | 9.7178 mL | 19.4356 mL |
| 5 mM | 0.3887 mL | 1.9436 mL | 3.8871 mL |
| 10 mM | 0.1944 mL | 0.9718 mL | 1.9436 mL |
| 50 mM | 0.0389 mL | 0.1944 mL | 0.3887 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lobera M, et al. Nat Chem Biol. 2013, 9(5), 319-325.

Sinnett-Smith J, et al. Am J Physiol Cell Physiol. 2014, 306(10), C961-971.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286

E_mail:info@targetmol.com

Address:34 Washington Street,Wellesley Hills,MA 02481