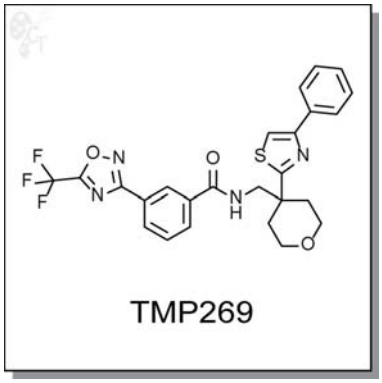
Cellagen Technology

Product Specification Sheet

Product Name: TMP269

Catalog Number: C8626



Technical information:

Chemical Formula:	$C_{25}H_{21}F_3N_4O_3S$
CAS #:	1314890-29-3
Molecular Weight:	514.52
Purity:	> 99%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 50 mM
Chemical Name:	N-((4-(4-phenylthiazol-2-yl)tetrahydro-2H-pyran-4-yl)methyl)-3-(5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl)benzamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.194mL of DMSO for each mg of TMP269.
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

TMP269 is a highly potent and selective class IIa HDAC inhibitor identified, with IC50s of 126 nM, 80 nM, 36 nM and 19 nM for HDAC4, HDAC5, HDAC7 and HDAC9 respectively. TMP269 has an unprecedented metal-binding group, trifluoromethyloxadiazole (TFMO). The co-crystal structure of TMP 269 and HDAC7 revealed that bulky TFMO and U-shaped confirmation conferred potent and selective class IIa HDAC inhibition. [1]

In contrary to other HDAC inhibitors (TSA or SAHA), TMP269 showed no effect at the acetylation level of histone H3-K9, no signifcant effects (2-fold) in gene expression on T-cells and no cytotoxicity in T cell expansion assay. [1] However, inhibition of class IIa HDACs affected gene expression of CD14+ monocytes under PHA stimulation. This indicates the unique cellular activities of class IIa HDACs. The discovery of TMP269 and related compounds provides an alternative design for targeting metalloenzymes than the conventional chelating metal-binding group, and suggests a therapeutic potential for class IIa HDAC inhibitors distinct in mechanism and application compared to traditional HDAC inhibitors (e.g. TSA).

Reference:

1. Lobera M, et al. Selective class IIa histone deacetylase inhibition via a nonchelating zinc-binding group. (2013) Nat Chem Biol. 9(5):319-25. Pubmed ID: 23524983

To reorder: http://www.cellagentech.com/TMP269/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.