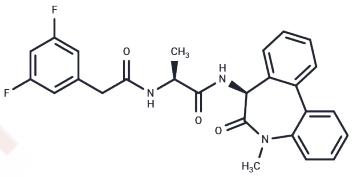
Data Sheet (Cat.No.T6135)

TargetMol

YO-01027

Chemical Properties



CAS No. : 209984-56-5

Formula: C26H23F2N3O3

Molecular Weight: 463.48

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	YO-01027 (DBZ) is a potent γ-secretase inhibitor.
Targets(IC50)	Gamma-secretase
In vitro	YO-01027 interacts directly with theγ-secretase complex and targets the N-terminal Presenilin fragment. Increasing concentrations of YO-01027 administered to APPL- or Notch-expressing cells leads to the progressive accumulation of APPL CTF fragments and a decrease in NICD production in a strictly dose-dependent manner. [1] 10 μM of YO-01027 reduces breast cancer stem cells (BCSC) number and activity. [2] A recent research indicates YO-01027 impairs mucin protein MUC16 biosynthesis in a concentration-dependent manner in undifferentiated cells at both preconfluent and confluent stages through Notch inhibition, but not in postmitotic stratified cells. [3]
In vivo	YO-01027, which is delivered 1 mg/mL by i.p. injection on the day of cell injection and every subsequent 3 days, YO-01027 significantly, decreases MCF7 but not MDA-MB-231 tumors and increases latency compared with control mice (18-28 days). YO-01027-treated MCF7 tumors that did form had significantly reduced tumor volumes. [2] Treatment of YO-01027 into C57BL/6 mice inhibits epithelial cell proliferation and induces goblet cell differentiation in intestinal adenomas in a dose-dependent manner. [4]
Kinase Assay	Pharmacological Inhibition of γ-secretase Activity: For YO-01027, pilot experiments are performed with different drug concentrations ranging from 0.1 nM to 250 nM to determine the effective linear range and maximal inhibition dose for YO-01027. YO-01027 is added at the required concentrations to the S2 cell medium upon induction of Notch or APPL expression, 6 hours before protein harvesting. For each sample, YO-01027 is also included at the corresponding concentration in the lysis buffer for protein extraction and immunoblot analysis.
Cell Research	Cells are resuspended at ≤1 × 106 in 100 μL sorting buffer (PBS containing 0.5% bovine serum albumin, 2 mM EDTA) and incubated with preconjugated primary antibodies BEREP4-FITC (1:10), CD44-APC (1:20), and CD24-PE (1:10) for 10 minutes at 4 °C. The cells are washed in PBS and centrifuged at 800 × g for 2 minutes. For analysis, cells are resuspended in 500 μL of sorting buffer and fluorescence is measured using FACSCalibur and analyzed using WinMIDI 2.8. For sorting, cells are resuspended in 1× HBSS after incubation with the primary antibodies. Cells are sorted, with HBSS as sheath fluid, at 16

Cell Research	p.s.i. using FACSAria. The CD24low cell population gated by FACS is the lowest quintile of CD24-positive cells plus all the CD24-negative cells.(Only for Reference)

Solubility Information

Solubility	DMSO: 46.4 mg/mL (100.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.32 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1576 mL	10.788 mL	21.5759 mL
5 mM	0.4315 mL	2.1576 mL	4.3152 mL
10 mM	0.2158 mL	1.0788 mL	2.1576 mL
50 mM	0.0432 mL	0.2158 mL	0.4315 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

Groth C, et al, Mol Pharmacol, 2010, 77(4), 567-574.
Liu L, Deng P, Liu S, et al.Enhancer remodeling activates NOTCH3 signaling to confer chemoresistance in advanced nasopharyngeal carcinoma.Cell Death & Disease.2023, 14(8): 513.
Harrison H, et al, Cancer Res, 2010, 70(2), 709-718.
Xiong L, et al, Invest Ophthalmol Vis Sci, 2011, 52(8), 5641-5646.
Van Es JH, et al, Nature, 2005, 435(7044), 959-963.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481