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Lieferung & Zahlungsart

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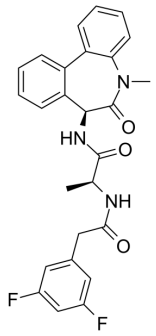
YO-01027

Cat. No.:	HY-13526
CAS No.:	209984-56-5
Molecular Formula:	$C_{26}H_{23}F_2N_3O_3$
Molecular Weight:	463.48
Target:	Notch; γ-secretase
Pathway:	Neuronal Signaling; Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 71.43 mg/mL (154.12 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1576 mL	10.7880 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

YO-01027 (Dibenzazepine;DBZ) is a potent γ-secretase inhibitor with IC_{50} values of 2.92 and 2.64 nM for Notch and APPL cleavage, respectively.

IC_{50} & Target

IC50: 2.92±0.22 (Notch), 2.64±0.30 (APPL) nM[1]

In Vitro

Increasing concentrations of DBZ 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]. The molecular targets of CE and DBZ are the N-terminal fragment of presenilin 1 within the γ-secretase complex[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

DBZ blocks activated Notch1 signaling in abdominal aortic aneurysm (AAA) tissue from both Ang II-infused Apo $E^{-/-}$ mice and human undergoing AAA repair. DBZ markedly prevents Ang II-stimulated accumulation of macrophages and CD4+ T cells,

	and ERK-mediated angiogenesis, simultaneously reverses Th2 response, in vivo[3]. Administration of DBZ markedly attenuates renal fibrosis and expression of fibrotic markers, including collagen 1α1/3α1, fibronectin, and α-smoothmuscle actin. DBZ significantly inhibits ureteral obstruction -induced expression of transforming growth factor (TGF)- β, phosphorylated Smad 2, and Smad 3[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	DBZ (0.1, 1, 2.5, 5, 7.5, 10, 25, 50, 100, 250 nM) are added to the S2 cell medium upon induction of Notch or APPL expression, 6 h before protein harvesting. For each sample, the same inhibitor is also included at the corresponding concentration in the lysis buffer for protein extraction and immunoblot analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice: Male wild-type (WT) C57BL/6J and Apo $E^{-/-}$ mice are used in the study. Ang II-treated mice are received an intraperitoneal injection of either saline vehicle or γ-secretase inhibitor, dibenzazepine (DBZ) (1 mg/kg/d, dissolved in saline) 1 day before mini-pump implantation, and the treatment continued daily for 4 weeks. The blood pressure is measured in conscious mice using a computerized tail-cuff system. All mice are anesthetized. The aortic tissues are removed and prepared for further histological and molecular analysis[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Genet. 2023 Apr;55(4):651-664.
- FASEB J. 2023 Feb;37(2):e22743.
- Med Oncol. 2021 Mar 17;38(4):41.

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REFERENCES

[1]. Groth C, et al. Pharmacological analysis of Drosophila melanogaster gamma-secretase with respect to differential proteolysis of Notch and APP. Mol Pharmacol. 2010 Apr;77(4):567-74.

[2]. Fuwa H, et al. Divergent synthesis of multifunctional molecular probes to elucidate the enzyme specificity of dipeptidic gamma-secretase inhibitors. ACS Chem Biol. 2007 Jun 15;2(6):408-18.

[3]. Zheng YH, et al. Notch γ-secretase inhibitor dibenzazepine attenuates angiotensin II-induced abdominal aortic aneurysm in ApoE knockout mice by multiple mechanisms. PLoS One. 2013 Dec 16;8(12):e83310.

[4]. Xiao Z, et al. The Notch γ-secretase inhibitor ameliorates kidney fibrosis via inhibition of TGF-β/Smad2/3 signaling pathway activation. Int J Biochem Cell Biol. 2014 Oct;55:65-71.

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