Compound E

γ-Secretase inhibitor

γ-Secretase is a multimeric and transmembrane aspartyl protease constituted of four subunits: presenilin, nicastrin, Aph-1, and Pen-2. Presenilin is the catalytic subunit of γ-secretase. The carboxyl-terminal fragments (CTFs) of Amyloid precursor protein (APP) and of Notch are two well-known substrates of γ-secretase. Aberrant cleavage of these substrates by γ-secretase is associated with Alzheimer's disease and cancer, respectively. g-Secretase is, therefore, a promising drug target. Compound E is a cell permeable, potent, selective, and non-competitive inhibitor of γ-secretase. It inhibits the cleavage of both APP and Notch CTFs (IC_{50}=0.3nM for total β-amyloid). At higher concentrations (20-400μM), It only weakly affects the activity of presenilin. Compound E was shown to impede ovarian folliculogenesis, promotes neuronal differentiation, and down-regulate thymocyte development.

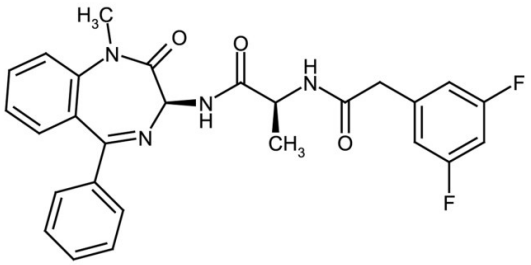
Citations: 44 View Online »

Ordering Information Order Online »

ALX-270-415-M001	1mg
ALX-270-415-C250	250μg

Manuals, SDS & CofA View Online »

- Cell-permeable, potent, and non-competitive selective inhibitor of γ-secretase and Notch pathway
- Inducer of neuronal differentiation
- Active in vitro and in vivo


H3C
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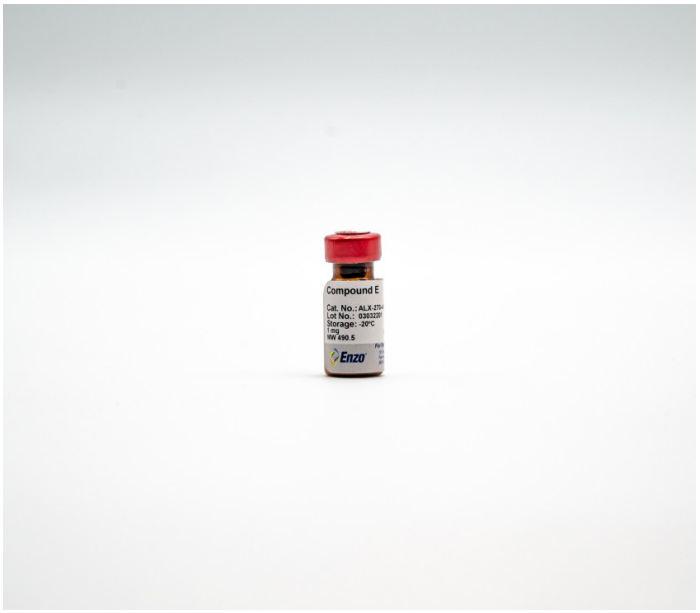
Compound E
Enzo

Handling & Storage

Use/Stability	As indicated on product label or CoA when stored as recommended. Stock solutions in DMSO are stable for at least 1 month when stored at -20°C.
Handling	Keep under inert gas.
Short Term Storage	+4°C
Long Term Storage	-20°C
Shipping	Ambient Temperature

Regulatory Status

RUO - Research Use Only

Product Details

Alternative Name	(2S)-2-{[(3,5-Difluorophenyl)acetyl]amino}-N-[(3S)-1-methyl-2-oxo-5-phenyl-2,3-dihydro-1H-1,4-benzodiazepin-3-yl]propanamide, γ-Secretase Inhibitor, GSI
Appearance	White to off-white powder.
CAS	209986-17-4
Couple Target	Secretase
Couple Type	Inhibitor
Formula	$C_{27}H_{24}F_2N_4O_3$
Identity	Identity determined by MS and NMR.
MW	490.5
Purity	≥95% (HPLC)
Solubility	Soluble in DMSO (50 mg/ml).

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