
Product Data Sheet

Product Name: E 2012
 Cat. No.: GC35921

Chemical Properties

Cas. No. 870843-42-8

SMILES O=C(N([C@H](C1=CC=C(C=C1)F)C)CCC(=O)C2=C\C3=CC=C(N4C=NC(C)=C4)C(OC)=C3

Formula $C_{25}H_{26}FN_3O_2$ M.Wt 419.49

Solubility DMSO: ≥ 50 mg/mL (119.19 mM) Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available sizes: ship with RT, or blue ice upon request.

Structure

Background

E2012 is a modulator of γ -secretase.¹ It selectively inhibits APP intracellular signaling domain (AICD) cleavage to amyloid- β ($A\beta$) over Notch cleavage to its signaling effector Notch intracellular domain (NICD), processes both mediated by γ -secretase, when used at a concentration of $1 \mu M$ in luciferase assays.² E2012 also inhibits the activity of the cholesterol synthesis enzyme 3β -hydroxysterol 24 -reductase (DHCR24) in primary rat hepatocytes and HepG2 cells (IC_{50} s = 11 and 15 nM, respectively).³ It reduces $A\beta$ (1-42) ($A\beta_{42}$) production in primary rat embryonic cerebral cortex neurons with an IC_{50} value of 220 nM.⁴ E2012 (100 mg/kg) also decreases $A\beta_{42}$ levels in guinea pig brain and cerebral spinal fluid (CSF).⁴

1. Hahn, S., Brüning, T., Ness, J., et al. Presenilin-1 but not amyloid precursor protein mutations present in mouse models of Alzheimer's disease attenuate the response of cultured cells to γ -secretase modulators regardless of their potency and structure]. *Neurochem.* 116(3):385-395 (2011)
 2. Dimitrov, M., Alattia, J.-R., Lemmin, T., et

Caution: Product has not been fully validated for medical applications. For research use only.

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al.Alzheimer's disease mutations in APP but not γ -secretase modulators affect epsilon-cleavage-dependent A β production Nat. Commun.4:2246(2013) 3.Nakano-Ito, K., Fujikawa, Y., Hihara, T., et al.E2012-induced cataract and its predictive biomarkers Toxicol. Sci.137(1)249-258(2014) 4.Kimura, T., Kawano, K., Doi, E., et al.Cinnamide compound(2005)

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