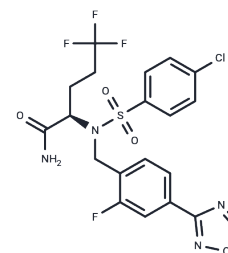


Avagacestat

Chemical Properties

CAS No. :	1146699-66-2
Formula:	C ₂₀ H ₁₇ ClF ₄ N ₄ O ₄ S
Molecular Weight:	520.89
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Avagacestat (BMS-708163) (BMS-708163) is a potent, selective, orally bioavailable γ -secretase inhibitor of A β 40 and A β 42 with IC ₅₀ of 0.3 nM and 0.27 nM, demonstrating a 193-fold selectivity against Notch. Phase 2.
Targets(IC ₅₀)	Gamma-secretase
In vitro	BMS-708163 exhibits weaker selectivity for inhibition of Notch processing with 193-fold IC ₅₀ value. [1]
In vivo	Oral administration of BMS-708163 significantly reduces A β 40 levels for sustained periods in brain, plasma, and cerebrospinal fluid in rats and dogs. BMS-708163 has no dose-limiting effects in dogs (3 mg/kg during 6 months), with a high brain to plasma ratio (2.4). [1]
Cell Research	BMS-708163 is dissolved in DMSO. The cell viability is assessed using a tetrazolium salt (WST-8)-based colorimetric assay from the Cell Counting Kit 8 (CCK-8). The cells are seeded into 96-well plates at an initial density of 5×10 ³ cells/well and cultured for 24?h, after which the cells are cultured with DMSO, increased concentrations of gefitinib or BMS708163, BIBW2992, or the combination of BMS708163 and BIBW2992 for an additional 48?h. The A450 is measured in a microplate reader after 10?μL of CCK-8 solution is added and incubated for 1?h. The percentage of growth is shown relative to untreated controls.

Solubility Information

Solubility	H ₂ O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 96 mg/mL (184.3 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 4 mg/mL (7.68 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9198 mL	9.599 mL	19.1979 mL
5 mM	0.384 mL	1.9198 mL	3.8396 mL
10 mM	0.192 mL	0.9599 mL	1.9198 mL
50 mM	0.0384 mL	0.192 mL	0.384 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

Gillman KW, et al. Med Chem Lett, 2010, 1 (3), 120-124.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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