



Product Introduction

Avagacestat (BMS-708163)

Avagacestat (BMS-708163) is a potent, selective, orally bioavailable γ -secretase inhibitor of $A\beta$ 40 and $A\beta$ 42 with IC_{50} of 0.3 nM and 0.27 nM, demonstrating a 193-fold selectivity against Notch. Phase 2.

Technical Data:

Molecular Weight (MW):	520.88	
Formula:	C ₂₀ H ₁₇ ClF ₄ N ₄ O ₄ S	
Solubility (25°C)	DMSO 104 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months-80°C in DMSO	
CAS No.:	1146699-66-2	

Biological Activity

BMS-708163 exhibits weaker selectivity for inhibition of Notch processing with 193-fold IC_{50} value. ^[1]
Oral administration of BMS-708163 significantly reduces $A\beta$ 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]
Appears to be more "notch sparing" than semagacestat (LY450139).

Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

References

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



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