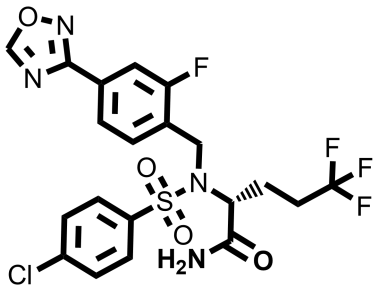
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

γ-Secretase Inhibitor BMS-708163 (Avagacestat)

Chemical Name: (R)-2-(4-chloro-N-(2-fluoro-4-(1,2,4-oxadiazol-3-yl)benzyl)phenylsulfonamido)-5,5,5-trifluoropentanamide



Molecular Weight:	520.88
Formula:	$C_{20}H_{17}ClF_4N_4O_4S$
Purity:	≥98%
CAS#:	1146699-66-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

BMS-708163 (Avagacestat) is a potent, selective and orally bioavailable γ-secretase inhibitor with an IC_{50} of 0.3 nM for APP cleavage. It is 190-fold more selective for APP than Notch, having an IC_{50} of 58 nM for Notch. Phase I clinical trial studies showed that in humans, BMS-708163 decreased CSF Aβ40 and Aβ42 approximately 30% following daily dose of 100 mg after 28 days and by 60% at daily dose of 150 mg.

How to Use:

In vitro: BMS-708163 was used at 1-10 μM in vitro.

In vivo: BMS-708163 was dosed orally in female rats at 10 and 100 mg/kg once per day, and could significantly reduced both plasma and brain Aβ40 levels relative to control for the entire dosing interval.

Reference:

1. Gillman K, et al. Discovery and Evaluation of BMS-708163, a Potent, Selective and Orally Bioavailable γ-Secretase Inhibitor. (2010) ACS Med. Chem. Lett., 1 (3), pp 120–124
2. Mitani Y, et al. Differential effects between γ-secretase inhibitors and modulators on cognitive function in amyloid precursor protein-transgenic and nontransgenic mice. (2012) J Neurosci. 32(6):2037-50.