

Product Data Sheet

Product Name: MRK 560

Cat. No.: GC16431

Chemical Properties

Cas. No. 677772-84-8

Chemical Name N-((1s,4s)-4-((4-chlorophenyl)sulfonyl)-4-(2,5-difluorophenyl)cyclohexyl)-1,1,1-trifluoroethanesulfonamide

SMILES O=S(C(F)(F)F)(N[C@@H]1CC[C@](C2=CC(F)=CC=C2F)(S(=O)(C3=CC=C(Cl)C=C3)=O)CC1)=O

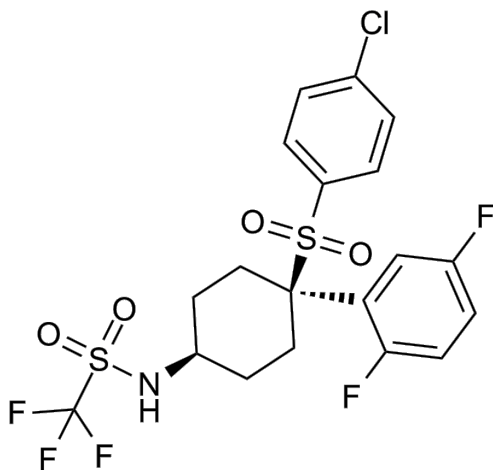
Formula $C_{19}H_{17}ClF_5NO_4S_2$ M.Wt 517.92

Solubility <51.79mg/ml in DMSO; <25.9mg/ml in ethanol Storage Store at 4°C

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

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

Structure



Background

IC50: 0.65 nM for both Aβ(40) and Aβ(42)

MRK-560 is a novel γ-secretase inhibitor.

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

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γ -Secretase is a member of the I-CLiP protease family and is reported to cleave a number of additional intramembrane substrates, including Erb4, CD-44, Notch, E-cadherin, and the Notch ligands. γ -Secretase is responsible for the intramembraneous proteolytic cleavage of the C-terminal fragment of APP, resulting in mainly A β (40) or A β (42) production.

In vitro: In SH-SY5Y neuroblastoma cells, MRK-560 inhibited the production of A β (40) and A β (42) with similar in vitro IC₅₀ values in the range of 0.65 nM [1].

In vivo: MRK-560 was found to be able to reduce A β in the brain and cerebrospinal fluid (CSF) in the rat markedly, with ED₅₀s of 6 and 10 mg/kg, respectively. Time-course experiments demonstrated the reductions in A β could be maintained for 24 h. Comparable temporal reductions in rat brain and CSF further suggested that these two pools of A β were related. Such relationship between the brain and CSF A β was maintained when MRK-560 was dosed once a day for 2 weeks. These results indicated that MRK-560 was a γ -secretase inhibitor with the ability to reduce A β peptide in the rat brain and CSF [1].

Clinical trial: N/A

Reference:

[1] Best JD, Jay MT, Otu F, Churcher I, Reilly M, Morentin-Gutierrez P, Pattison C, Harrison T, Shearman MS, Atack JR. In vivo characterization of Abeta(40) changes in brain and cerebrospinal fluid using the novel gamma-secretase inhibitor N-[cis-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexyl]-1,1,1-trifluoromethanesulfonamide (MRK-560) in the rat. J Pharmacol Exp Ther. 2006 May;317(2):786-90.

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