PRODUCT INFORMATION



Begacestat

Item No. 27916

| CAS Registry No.: | 769169-27-9 |
|--|---|
| Formal Name: | 5-chloro-N-[(1S)-3,3,3-trifluoro-1- |
| | (hydroxymethyl)-2-(trifluoromethyl) propyl]-2-thiophenesulfonamide |
| Synonym: | GSI-953 0, 0 |
| MF: | $C_9H_8CIF_6NO_3S_2$ |
| FW: | 391.7 |
| Purity: | ≥98% CI(\] H CF ₃ |
| UV/Vis.: | λ _{max} : 262 nm |
| Supplied as: | A solid |
| Storage: | -20°C |
| Stability: | ≥4 years |
| Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis. | |

Description

Begacestat is an inhibitor of γ -secretase that inhibits cleavage of amyloid precursor protein (APP) to amyloid- β (1-40) (A β 40; Item No. 21617) *in vitro* (IC₅₀ = 15 nM).¹ It is 14-fold selective for γ -secretase over Notch-1. Begacestat (5 mg/kg) reduces brain levels of A β 40 and A β 42 (Item No. 20574) in the Tg2576 transgenic mouse model of Alzheimer's disease. It also increases contextual fear conditioning freezing time, indicating reversal of contextual memory deficits, in Tg2576 mice in a dose-dependent manner.²

References

- 1. Mayer, S.C., Kreft, A.F., Harrison, B., et al. Discovery of begacestat, a Notch-1-sparing γ -secretase inhibitor for the treatment of Alzheimer's disease. J. Med. Chem. 51(23), 7348-7351 (2008).
- 2. Martone, R.L., Zhou, H., Atchison, K., et al. Begacestat (GSI-953): A novel, selective thiophene sulfonamide inhibitor of amyloid precursor protein γ -secretase for the treatment of Alzheimer's disease. J. Pharmacol. Exp. Ther. 331(2), 598-608 (2009).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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