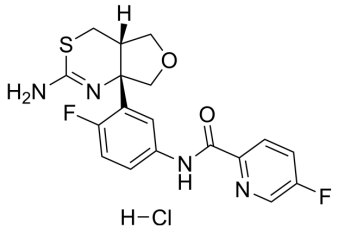
LY2886721 hydrochloride

Cat. No.:	HY-13240A
CAS No.:	1262036-49-6
Molecular Formula:	$C_{18}H_{17}ClF_2N_4O_2S$
Molecular Weight:	426.87
Target:	Beta-secretase
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	LY2886721 hydrochloride is a potent, selective and orally active beta-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor with an IC_{50} of 20.3 nM for recombinant human BACE1. LY2886721 hydrochloride is selectivity against cathepsin D, pepsin, and renin, but lacking selectivity against BACE2 (IC_{50} of 10.2 nM). LY2886721 hydrochloride can across blood-brain barrier and has the potential for Alzheimer's disease treatment[1].
IC_{50} & Target	IC50: 20.3 nM (Beta-site amyloid precursor protein cleaving enzyme 1 (BACE1)); 10.2 nM (BACE2)[1]
In Vitro	Overnight exposure of HEK293Swe cells to increasing concentrations of LY2886721 hydrochloride shows a concentration-dependent decrease in the amount of Aβ secreted into the condition medium. Consistent with a mechanism of BACE inhibition, the EC_{50}s for inhibition of $Aβ_{1-40}$ and $Aβ_{1-42}$ are essentially identical, 18.5 and 19.7 nM, respectively[1]. Overnight exposure of PDAPP neuronal cultures to an increasing concentration of LY2886721 hydrochloride produces a concentration-dependent decrease in Aβ production. As observed in HEK293Swe cells, the EC_{50}s for inhibition of $Aβ_{1-40}$ and $Aβ_{1-42}$ are comparable in PDAPP neuronal cultures at ⊠10 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	LY2886721 hydrochloride (3-30 mg/kg; oral administration; PDAPP mice) treatment significantly reduces the hippocampal and cortical levels of $Aβ_{1-x}$. LY2886721 hydrochloride treatment results in significant reduction of brain parenchymal levels of C99 and sAPPβ[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Rep. 2020 Jun 2;31(9):107719.
- FASEB J. 2021 May;35(5):e21445.

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REFERENCES

[1]. May PC1, et al. The potent BACE1 inhibitor LY2886721 elicits robust central Aβ pharmacodynamic responses in mice, dogs, and humans. J Neurosci. 2015 Jan 21;35(3):1199-210.

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