Progranulin modulator-1

Cat. No.: HY-153690

CAS No.: 2641013-11-6

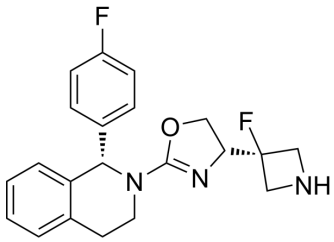
Molecular Formula: $C_{21}H_{21}F_2N_3O$

Molecular Weight: 369.41

Target: Others

Pathway: Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Progranulin modulator-1 (Compound 60) is an orally active enhancer of progranulin (PGRN) secretion. Progranulin modulator-1 enhances the potency of BV-2 cell to increase PGRN levels, has inhibitory effect on hERG and Low cytotoxicity, the PGRN EC_{50} and hERG IC_{50} were 83 and 3100 nM, respectively[1].

IC_{50} & Target

EC50: 83 nM (PGRN); IC50: 3100 nM (hERG)

In Vitro

Progranulin modulator-1 (Compound 60) has an efflux ratio (ER) value <2, indicating that it is not a substrate for MDR and shows high brain tissue binding and high metabolic stability[1].
Progranulin modulator-1 In vitro ADME properties for selected molecules[1]

Progranulin modulator-1□□□ADME□□[1]

MDCK-MDR1 $P_{appA\to B}$ [ER]	Protein Binding□$_{Fu}$	Brain Tissue Binding□$_{Fu}$	Hepatocytes $t_{1/2}$, CL_{int}
0.53 [1.11]	3.1 (m); 5.5 (h)	0.9 (m)	>120, <68.2 (m); >120, <14.7 (h)

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Progranulin modulator-1 (Compound 60) (i.v.: 1 mg/kg, p.o.: 10 mg/kg) has good mouse PK profiles, with high bioavailability and half-life, oral availability up to 99% and shows K_{puu} > 1, indicating very favorable enrichment of in brain at 8 h post dosing, achieve a progranulin modulating effect with a relatively low dosage of Progranulin modulator-1[1].
Pharmacokinetic Parameters for Progranulin Modulator-1 in Mouse. [1]

□□□□Progranulin Modulator-1 □□□□□□□□□[1]

PO $t_{1/2}$ (h)	IV $t_{1/2}$ (h)	$C_{max,u}$ (nM)	K_{puu} @ 8 h	F (%)	CL	V_{dss}
4.8	7.4	62	2.91	99	2.08	15.2

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REFERENCES

[1]. Peng X, et al. Discovery of oxazoline enhancers of cellular progranulin release. Bioorg Med Chem Lett. 2023 Jan 15;80:129048.

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