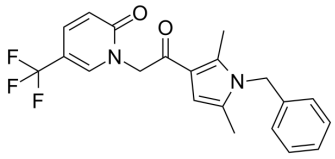
CYM-5478

Cat. No.:	HY-111253
CAS No.:	870762-83-7
Molecular Formula:	$C_{21}H_{19}F_3N_2O_2$
Molecular Weight:	388.38
Target:	LPL Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CYM-5478 is a potent and highly selective $S1P_2$ agonist with an EC_{50} of 119 nM in a TGFα-shedding assay. CYM-5478 protects neural-derived cell lines against Cisplatin toxicity[1][2].
IC_{50} & Target	S1PR2: 119 nM (EC50); S1PR1: 1690 nM (EC50); S1PR3: 1950 nM (EC50); S1PR4: >10 μM (EC50); S1PR5: >10 μM (IC_{50})
In Vitro	CYM-5478 activates $S1P_2$ with an EC_{50} of 119 nM, has less than 25% efficacy and shows 10-fold lower potency against the other S1P receptor subtypes (EC_{50} of 1690 nM, 1950 nM, >10 μM, >10 μM for $S1P_1$, $S1P_3$, $S1P_4$, $S1P_5$, respectively)[1]. CYM-5478 (1, 10, 100, 1000, 10000 nM) induces a statistically significant increase in the viability of C6 cells in a dose dependent manner at concentrations above 100 nM under nutrient-deprivation stress produced by serum-starvation. This effect was absent in the presence of 10% fetal bovine serum[1]. CYM-5478 (10 μM) causes a statistically significant, 3-fold increase in the EC_{50} of Cisplatin-mediated reduction in the viability of C6 glioma cells. CYM-5478 also attenuated Cisplatin-induced caspase 3/7 activity[1]. CYM-5478 (10 μM) causes significantly attenuated the increase of ROS in C6 cells exposed to Cisplatin (20 μM; for 24 hours)[1]. CYM-5478 (20 μM) protects neural cells but not breast cancer cells against Cisplatin toxicity (C6 glioma cells: EC_{50}=4.54 μM; GT1-7: EC_{50}=17 μM; SK-N-BE2: EC_{50}=7.44 μM; CLU188: EC_{50}=5.54 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CYM-5478 (1 mg/kg/day; ip) protects against Cisplatin-mediated (3 mg/kg; i.p.; once a week for 3 week) ototoxicity in rats[2]. CYM-5478 (20 μM) treatment results in near-complete protection from cisplatin-mediated loss of neuromast viability. CYM-5478 protects against loss of hair cell viability in a zebrafish model for ototoxicity[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Deron R Herr, et al. Sphingosine 1-phosphate receptor 2 (S1P2) attenuates reactive oxygen species formation and inhibits cell death: implications for otoprotective therapy. Sci Rep. 2016 Apr 15;6:24541.

[2]. Wei Wang, et al. Sphingosine 1-Phosphate Receptor 2 Induces Otoprotective Responses to Cisplatin Treatment. Cancers (Basel). 2020 Jan 15;12(1):211.

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