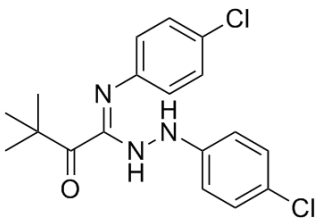
TY-52156

Cat. No.:	HY-19736
CAS No.:	934369-14-9
Molecular Formula:	$C_{18}H_{19}Cl_2N_3O$
Molecular Weight:	364.27
Target:	LPL Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (274.52 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7452 mL	13.7261 mL	27.4522 mL
5 mM	0.5490 mL	2.7452 mL	5.4904 mL
10 mM	0.2745 mL	1.3726 mL	2.7452 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TY-52156 is a potent and selective $S1P_3$ receptor antagonist with a K_i value of 110 nM[1].

IC_{50} & Target

Ki: 110 nM ($S1P_3$)[1]

In Vitro

TY-52156 inhibits the $S1P_3$ receptor-dependent increase in $[Ca^{2+}]_i$[1].

TY-52156 shows submicromolar potency and a high degree of selectivity for $S1P_3$ receptor[1].

TY-52156 (10 μM; 10 min) inhibits S1P-induced p44/p42 MAPK phosphorylation[1].

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

Western Blot Analysis[1]

Cell Line:	Chinese hamster ovary K1 cells
Concentration:	10 μM
Incubation Time:	10 min
Result:	Inhibited S1P-induced p44/p42 MAPK phosphorylation.

In Vivo

TY-52156 (10 mg/kg, 30 mg/kg; p.o.) suppresses $S1P_3$ receptor-induced bradycardia after oral administration in vivo[1].

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

Animal Model:	Male SD rats (290–340 g)[1]
Dosage:	10 mg/kg, 30 mg/kg
Administration:	Oral administration
Result:	Inhibited $S1P_3$ receptor-induced bradycardia after oral administration in vivo.

CUSTOMER VALIDATION

- EBioMedicine. 2019 Feb;40:210-223.
- Exp Ther Med. 2018 Jun;15(6):5007-5016.

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REFERENCES

[1]. Murakami A, et al. Sphingosine 1-phosphate (S1P) regulates vascular contraction via S1P3 receptor: investigation based on a new S1P3 receptor antagonist. Mol Pharmacol. 2010 Apr;77(4):704-13.

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