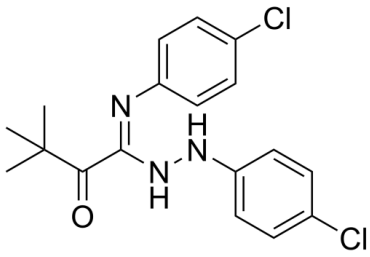
Data Sheet

Product Name:	TY-52156
Cat. No.:	CS-5460
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
Solubility:	DMSO : ≥ 100 mg/mL (274.52 mM)



BIOLOGICAL ACTIVITY:

TY-52156 is a potent and selective **$S1P_3$** receptor antagonist with a **K_i** value of 110 nM[1]. IC50 & 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].

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

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay (Western Blot) [1] S1P1-, S1P2-, and S1P3-CHO (2.0 × 105 cells) were plated on six-well plates and cultured with Nutrient Mixture F-12 Ham containing 1% fetal bovine serum for 4 h before the experiments. The cells were treated with vehicle, TY-52156 (10 μM), VPC23019 (10 μM), or JTE013 (1.0 μM) for 10 min and then with vehicle or S1P (0.1 μM) for 5 min at 37°C. The cells were lysed in CelLytic M containing Protease Inhibitor Cocktails and Phosphatase Inhibitor Cocktails for 10 min at 4°C. The lysate was centrifuged at 13,000g for 15 min at 4°C, and supernatant was transferred to a fresh tube. The protein concentration was determined using the Bradford method. Equal amounts of proteins were resuspended in 4× sample buffer, boiled for 5 min, and separated by 10% SDS-polyacrylamide gel electrophoresis. After being transferred to a polyvinylidene difluoride membrane, the membranes were blocked in Block Ace and immunoblotted with antibodies of phospho-p44/p42 MAPK or p44/p42 MAPK (1:1000). The signals were visualized by an Amplified Alkaline Phosphatase Goat Anti-Rabbit Immuno-Blot Assay Kit. Quantitative analyses of immunoblots were performed using Quantity One version 4.2.2 software. The relative percentage compared with the vehicle was calculated and expressed as the mean ± S.E.M. Animal administration [1] Male SD rats were collected from the jugular vein at 1, 2, 4, 6, 8, and 24 h after the start of the administration of TY-52156-HCl. Samples were placed into sodium-heparinized tubes and subjected to centrifugation at 14,000g for 10 min at 4°C to separate the plasma. Plasma concentrations were quantified by an API 4000 LC/MS/MS System. The mean peak plasma concentration (Cmax) and time to reach Cmax (Tmax) were estimated from actual measurements. The half-life (t1/2) was calculated with WinNonlin version 2.1 software.

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.

CAIndexNames:

Butanimidic acid, N-(4-chlorophenyl)-3,3-dimethyl-2-oxo-, 2-(4-chlorophenyl)hydrazide

SMILES:

ClC1=CC=C(/N=C(NNC2=CC=C(Cl)C=C2)/C(C(C)(C)C)=O)C=C1

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA