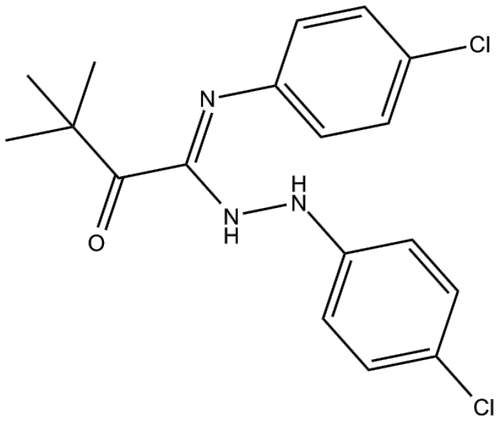
Product Data Sheet

Product Name: TY 52156
Cat. No.: GC13720

Chemical Properties

Cas. No.	934369-14-9		
Chemical Name	N-(4-chlorophenyl)-3,3-dimethyl-2-oxobutanimidic acid, 2-(4-chlorophenyl)hydrazide		
SMILES	CC(C)(C)C(/C(NNC1=CC=C(Cl)C=C1)=N/C2=CC=C(Cl)C=C2)=O		
Formula	$C_{18}H_{19}Cl_2N_3O$	M.Wt	364.3
Solubility	≤15mg/ml in ethanol;25mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

TY 52156 (1-(4-chlorophenylhydrazono)-1-(4-chlorophenylamino)-3,3-dimethyl-2-butanone) is a novel S1P3 receptor antagonist [1].

Sphingosine 1-phosphate (S1P) is a bioactive lysophospholipid mediator mainly released from

activated platelets and implicated in many biological responses, such as angiogenesis, vascular development, and cardiovascular function [1].

In vitro: TY-52156 preferentially inhibited the S1P-induced increase in [Ca2+]i in S1P3-CHO cells. TY-52156 competitively inhibited the dose-dependent [Ca2+]i increase elicited by S1P in S1P3-CHO with the Ki value of ～110 nM for S1P3 receptor. TY-52156 showed submicromolar potency and a high degree of selectivity for S1P3 receptor. TY-52156 (10 μM) inhihbited 24 GPCRs and three ion channels by 〈30%. S1P dose-dependently decreased CF (Coronary Flow) in perfused rat heart. S1P dose-dependently induced vasoconstriction in isolated canine cerebral arteries. In HCASMCs, TY-52156 inhibited S1P-induced Rho activation.

In vivo: in SD rats, oral bioavailability of TY-52156 was ～70.9%. In rats, pretreatment with TY-52156 significantly attenuated FTY-720-induced bradycardia, a broad agonist of S1P receptors. Pretreatment with TY-52156 prevented the FTY-720-P-induced increase in [Ca2+]i in a dose-dependent manner.

Reference:
[1] Murakami A, Takasugi H, Ohnuma S, et al. Sphingosine 1-phosphate (S1P) regulates vascular contraction via S1P3 receptor: investigation based on a new S1P3 receptor antagonist[J]. Molecular pharmacology, 2010, 77(4): 704-713.