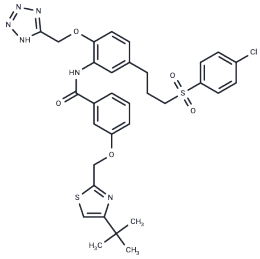
Data Sheet (Cat.No.T10501)

TargetMol

YM158 free base

Chemical Properties



CAS No. : 179102-65-9

Formula: C32H33ClN6O5S2

Molecular Weight: 681.22

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	YM158 free base is a potent and selective antagonist of the TXA2 and LTD4 receptors (pA2 values of approximately 8.81 and 8.87).
Targets(IC50)	Others,Leukotriene Receptor,Prostaglandin Receptor
In vitro	YM158 exhibits competitive dual antagonism of LTD4 and TXA2 receptor-mediated contraction of isolated guinea pig tracheae (pA2s: about 8.87 and 8.81). Its antagonistic activity for the LTD4 receptor is approximately 6.5 times less potent than that of Montelukast, and that for the TXA2 receptor is 2.5 times more potent than that of Seratrodast. YM158 also inhibits PGD2- and PGF2α -induced tracheal contractions. YM158 antagonizes the stable TXA2 analog U46619-induced aggregation of both guinea pig and human platelets and inhibits the LTD4-induced contraction of guinea pig ileum. YM158 produces a concentration-dependent inhibition of guinea pig ileum contraction induced by 1 nM LTD4 (IC50: 0.58 nM) [1].
In vivo	Because the inhibitory effects of YM158 on an increase in the airway resistance induced by LTD4 or U46619 are shown to be dose-dependent when p.o. administered 1 h before LTD4 or U46619 injection, with ED50 values of 8.6 and 14 mg/kg, respectively, the antagonistic activities of p.o. YM158 for LTD4 and TXA2 receptors are exhibited at the same dose range. Oral YM158 shows significant effects, approximately the same as the combination of Pranlukast and Daltroban on antigen-induced response under various conditions; namely, where LTD4 is predominant, TXA2 is predominant. In groups not treated with Indomethacin, administration of Daltroban (10 mg/kg), a combination of Pranlukast (30 mg/kg) and Daltroban (10 mg/kg), or YM158 (30 mg/kg) significantly prolongs the onset time for asthmatic response and significantly suppresses symptoms [2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.468 mL	7.3398 mL	14.6795 mL
5 mM	0.2936 mL	1.468 mL	2.9359 mL
10 mM	0.1468 mL	0.734 mL	1.468 mL
50 mM	0.0294 mL	0.1468 mL	0.2936 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Arakida Y, et al. In vitro pharmacologic profile of YM158, a new dual antagonist for LTD
Arakida Y, et al. Effects of lipid mediator antagonists on predominant mediator-controlled asthmatic reactions in passively sensitized guinea pigs. J Pharmacol Exp Ther. 1999 Sep;290(3):1285-91.