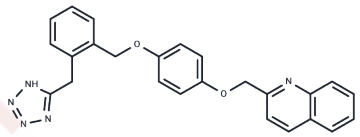
Data Sheet (Cat.No.T16739)

TargetMol

RG-12525

Chemical Properties



CAS No. : 120128-20-3

Formula: C25H21N5O2

Molecular Weight: 423.47

Storage: Store at low temperature
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	RG-12525(NID 525) is an orally available, selective and competitive leukotriene D (LTD) antagonist that inhibits LTC4, LTD4 and LTE4-induced contraction of guinea pig thin-walled bands, with IC50 values of 2.6 nM, 2.5 nM, and 7 nM, respectively.RG-12525 inhibits CYP3A4, with a Ki value of 0.5 μM. RG-12525 is a novel and potent PPAR-γ agonist (IC50 value of about 60 nM) with species specificity for the study of asthma.
Targets(IC50)	Cytochromes P450,Leukotriene Receptor,PPAR
In vitro	RG-12525 competitively inhibits 3H-LTD4 binding to lung membranes (Ki = 3.0 +/- 0.3 nM) and antagonizes the spasmogenic activity of LTC4, LTD4, and LTE4 on lung strips in a competitive manner (KB values = 3 nM), displaying greater than 8000-fold selectivity [1]. RG-12525 (2.5 μM or 25 μM) inhibits the microsomal activity of CYP2C9 and -3A4 but does not significantly inhibit CYP1A2, -2A6, -2C19, or -2D6. Additionally, at 25 μM concentration, RG-12525 causes substantial inhibition at the 5 and 10 μM midazolam concentrations[3].
In vivo	Orally, RG-12525 inhibits LTD4-induced wheal formation with an ED50 of 5 mg/kg and a half-life (t1/2) of 10 hours at 9 mg/kg. It also inhibits LTD4-induced bronchoconstriction with an ED50 of 0.6 mg/kg and anaphylactic death with an ED50 of 2.2 mg/kg and a half-life (t1/2) of 7 hours at 10 mg/kg. Additionally, RG-12525 inhibits antigen-induced bronchoconstriction with an ED50 of 0.6 mg/kg[1]. In the systemic anaphylaxis model, RG-12525 inhibits antigen-induced mortality with an ED50 (95% confidence interval) of 2.2 (0.8-6.4) mg/kg. Furthermore, RG-12525 protects against LTD4-induced bronchoconstriction in a model measuring changes in pulmonary function, with an ED50 of 0.6 (0.4-1.0) mg/kg[2].

Solubility Information

Solubility	DMSO: 10 mg/mL (23.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.36 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3614 mL	11.8072 mL	23.6144 mL
5 mM	0.4723 mL	2.3614 mL	4.7229 mL
10 mM	0.2361 mL	1.1807 mL	2.3614 mL
50 mM	0.0472 mL	0.2361 mL	0.4723 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

Van Inwegen RG, et al. Antagonism of peptidoleukotrienes and inhibition of systemic anaphylaxis by RG 12525 in guinea pigs. Life Sci. 1989;44(12):799-807.
Carnathan GW, et al. The effect of RG 12525 on leukotriene D4-mediated pulmonary responses in guinea pigs. Agents Actions. 1989 Jun;27(3-4):316-8.
Fayer JL, et al. Lack of correlation between in vitro inhibition of CYP3A-mediated metabolism by a PPAR-gamma agonist and its effect on the clinical pharmacokinetics of midazolam, an in vivo probe of CYP3A activity. J Clin Pharmacol. 2001 Mar;41(3):305-16.