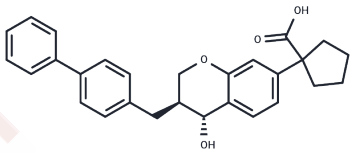
Data Sheet (Cat.No.T15002)

TargetMol

CP-105696

Chemical Properties



CAS No. : 158081-99-3

Formula: C28H28O4

Molecular Weight: 428.52

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	CP-105696 is a potent and selective leukotriene B4 (LTB4) receptor antagonist used to study allograft rejection.
Targets(IC50)	LTR,Leukotriene Receptor
In vitro	CP-105696 is a structurally novel, selective, and potent LTB4 receptor antagonist. In vitro, it inhibits [3H]LTB4 (0.3 nM) binding to high-affinity LTB4 receptors on human neutrophils with an IC50 of 8.42±0.26 nM and acts as a noncompetitive antagonist. It noncompetitively inhibits LTB4 (5 nM)-mediated human neutrophil chemotaxis with an IC50 of 5.0±2.0 nM. For low-affinity receptors on neutrophils, CP-105696 acts as a competitive antagonist according to Scatchard analyses. Additionally, it competitively inhibits LTB4-mediated CD11b upregulation on human neutrophils (pA2=8.03±0.19). At 10 μM, CP-105696 does not inhibit human neutrophil chemotaxis or CD11b upregulation mediated by other G-protein coupled receptors (e.g., C5a, IL-8, PAF). In isolated human monocytes, it inhibits LTB4 (5 nM)-mediated Ca2+ mobilization with an IC50 of 940±70 nM[2].
In vivo	At a dose of 50 mg/kg/day for 28 days, B10.BR (H2k) allografts transplanted into C57Bl/6 (H2b) recipients show significant protection, with mean survival time of 27±20 days (n=10) compared to control grafts' 12±6 days (n=14); P=0.0146. Using an induction protocol (day -1 to day 3), CP-105696 at 100 mg/kg/day significantly prolongs allograft survival to 33±23 days (n=9; P=0.0026), whereas at 10 mg/kg/day, it does not (18±16 days; n=8; P=0.1433). Syngeneic grafts exhibit indefinite survival (n=11). Immunohistological evaluation of allografts at rejection reveals a mononuclear cell infiltrate primarily composed of CD3+ and CD11b+ (Mac-1+) cells, which are infrequent in syngeneic grafts. Allografts from mice treated with CP-105696 at 50 or 100 mg/kg/day show a selective reduction in β2-integrin (Mac-1) expression on monocytes/macrophages, as indicated by CD11b staining density compared with allograft controls[1].

Solubility Information

Solubility	DMSO: 80 mg/mL (186.69 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: 3.3 mg/mL (7.7 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 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	2.3336 mL	11.6681 mL	23.3361 mL
5 mM	0.4667 mL	2.3336 mL	4.6672 mL
10 mM	0.2334 mL	1.1668 mL	2.3336 mL
50 mM	0.0467 mL	0.2334 mL	0.4667 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

Weringer EJ, et al. Antagonizing leukotriene B4 receptors delays cardiac allograft rejection in mice. Transplantation. 1999 Mar 27;67(6):808-15.

Showell HJ, et al. The in vitro and in vivo pharmacologic activity of the potent and selective leukotriene B4 receptor antagonist CP-105696. J Pharmacol Exp Ther. 1995 Apr;273(1):176-84.