



# SZABO SCANDIC

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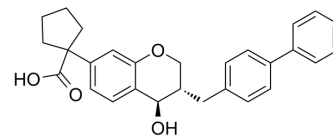
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## CP-105696

Cat. No.:	HY-19193
CAS No.:	158081-99-3
Molecular Formula:	C <sub>28</sub> H <sub>28</sub> O <sub>4</sub>
Molecular Weight:	428.52
Target:	Leukotriene Receptor
Pathway:	GPCR/G Protein
Storage:	<div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> <div>In solvent</div> <div>-80°C 6 months</div> <div>-20°C 1 month</div>



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (233.36 mM; Need ultrasonic)					
	Preparing Stock Solutions	<div><div>Solvent</div><div>Concentration</div></div>	Mass	1 mg	5 mg	10 mg
		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
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution					

### BIOLOGICAL ACTIVITY

Description	CP-105696 is a potent and selective Leukotriene B <sub>4</sub> Receptor antagonist, with an IC <sub>50</sub> of 8.42 nM.
IC <sub>50</sub> & Target	LTB <sub>4</sub> 8.42±0.26 nM (IC <sub>50</sub> )
In Vitro	CP-105696 is a structurally novel, selective and potent LTB <sub>4</sub> receptor antagonist. In vitro, CP-105696 inhibits [ <sup>3</sup> H]LTB <sub>4</sub> (0.3 nM) binding to high-affinity LTB <sub>4</sub> receptors on human neutrophils with an IC <sub>50</sub> value of 8.42±0.26 nM. Scatchard analyses of [

<sup>3</sup>H]LTB<sub>4</sub> binding to these high-affinity receptors indicate that CP-105696 acts as a noncompetitive antagonist. CP-105696 inhibits human neutrophil chemotaxis mediated by LTB<sub>4</sub> (5 nM) in a noncompetitive manner with an IC<sub>50</sub> value of 5.0±2.0 nM. Scatchard analyses of [<sup>3</sup>H]LTB<sub>4</sub> binding to low-affinity receptors on neutrophils indicate that CP-105696 acts as a competitive antagonist at this receptor, and inhibition of LTB<sub>4</sub>-mediated CD11b upregulation on human neutrophils is competitively inhibited by CP-105696 (pA<sub>2</sub>=8.03±0.19). CP-105696 at 10 μM does not inhibit either human neutrophil chemotaxis or CD11b upregulation mediated through alternate (i.e., C5a, IL-8, PAF) G-protein coupled chemotactic factor receptors. In isolated human monocytes, LTB<sub>4</sub> (5 nM)-mediated Ca<sup>2+</sup> mobilization is inhibited by CP-105696 with an IC<sub>50</sub> value of 940±70 nM<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

At a dose of 50 mg/kg/day (28 days), B10.BR (H2k) allografts transplanted into C57Bl/6 (H2b) recipients are significantly protected, as reflected by the mean survival time versus control grafts (27±20 days [n=10] vs. 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 (33±23 days [n=9]; P=0.0026), but CP-105696 at 10 mg/kg/day does not (18±16 days [n=8]; P=0.1433). Syngeneic grafts survive indefinitely (n=11). Immunohistological evaluation of allografts at rejection reveals a mononuclear cell infiltrate composed primarily 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 demonstrate a selective reduction in β2-integrin (Mac-1) expression on monocytes/macrophages, as demonstrated by CD11b staining density compared with allograft controls<sup>[2]</sup>.

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## PROTOCOL

#### Animal Administration <sup>[2]</sup>

Mice<sup>[2]</sup>

Allogeneic donor hearts are harvested after intravenous heparinization of donor B10.BR mice (H2k) and are preserved via retrograde perfusion with cold cardioplegia solution into the left ventricle. Recipient C57Bl/6 mice (H2b) are prepared by ligating the lumbar vessels and isolating the abdominal aorta and vena cava; donor hearts are sutured in place by microvascular anastomoses of the donor aorta and pulmonary artery to the recipient aorta and inferior vena cava, respectively. CP-105696 is evaluated in a 28-day treatment protocol (50 mg/kg/day), a high-dose (100 mg/kg/day) induction protocol (day -1 to day 3), and a low-dose (10 mg/kg/day) induction protocol (day -1 to day 3). In all study groups, drug is administered orally in a 0.5% methylcellulose vehicle. In parallel studies, treatment of C57Bl/6 (H2b) recipients bearing B10.BR (H2k) cardiac allografts given FK506 (2 mg/kg/day for 28 days), our standard control immunosuppressant, significantly prolongs allograft survival (mean survival time [MST], 40±18 days [n=9]; P=0.0002)<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## REFERENCES

- [1]. Showell HJ, et al. The in vitro and in vivo pharmacologic activity of the potent and selective leukotriene B<sub>4</sub> receptor antagonist CP-105696. *J Pharmacol Exp Ther.* 1995 Apr;273(1):176-84.
- [2]. Weringer EJ, et al. Antagonizing leukotriene B<sub>4</sub> receptors delays cardiac allograft rejection in mice. *Transplantation.* 1999 Mar 27;67(6):808-15.

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

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