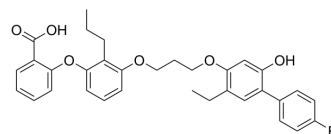


Etalocib

Cat. No.:	HY-13628		
CAS No.:	161172-51-6		
Molecular Formula:	C ₃₃ H ₃₃ FO ₆		
Molecular Weight:	544.61		
Target:	Leukotriene Receptor; Apoptosis		
Pathway:	GPCR/G Protein; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (183.62 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
	Preparing Stock Solutions	1 mM	5 mM	10 mM
		1.8362 mL	9.1809 mL	18.3618 mL
		0.3672 mL	1.8362 mL	3.6724 mL
		0.1836 mL	0.9181 mL	1.8362 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (4.59 mM); Suspended solution; Need ultrasonic 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.59 mM); Clear solution			

BIOLOGICAL ACTIVITY

Description	Etalocib (LY293111), an orally active leukotriene B ₄ receptor antagonist, inhibits the binding of [³ H]LTB ₄ , with a K _i of 25 nM. Etalocib (LY293111) prevents LTB ₄ -induced calcium mobilization with an IC ₅₀ of 20 nM. Etalocib (LY293111) induces apoptosis ^{[1][2][3]} .
IC₅₀ & Target	LTB ₄
In Vitro	Etalocib (LY293111) elicits a concentration-dependent inhibition of LTB ₄ induced CD11b up-regulation ^[1] . Etalocib (LY293111) is an extremely potent and selective antagonist of human neutrophil function in vitro ^[2] . Etalocib (LY293111, 250 and 500 nM, 24-72 h) induces apoptosis and inhibits proliferation in human pancreatic cancer cells ^[3] .

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

Cell Proliferation Assay^[3]

Cell Line:	MiaPaCa-2 and AsPC-1 human pancreatic cancer cells. ^[3]
Concentration:	500 nM.
Incubation Time:	24, 48, and 72 h.
Result:	Caused both a concentration-dependent and time-dependent inhibition of thymidine incorporation in both MiaPaCa-2 and AsPC-1 human pancreatic cancer cells.

Apoptosis Analysis^[3]

Cell Line:	MiaPaCa-2 and AsPC-1 human pancreatic cancer cells.
Concentration:	250 and 500 nM.
Incubation Time:	24 h.
Result:	Induced apoptosis in human pancreatic cancer cells.

In Vivo

Etalocib (LY293111) produces a dose-related inhibition of acute leukotriene B₄-induced airway obstruction when administered i.v. (ED₅₀=14 µg/kg) or p.o. (ED₅₀=0.4 mg/kg)^[2].

Etalocib (LY293111, 10 mg/kg) inhibits A23187-induced lung inflammatory changes at 1 h^[2].

Etalocib (LY293111, 250 mg/kg/day, orally) inhibits growth of human pancreatic cancer xenografts in athymic mice^[3].

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

Animal Model:	Guinea pigs ^[2] .
Dosage:	1-10 mg/kg.
Administration:	Orally once.
Result:	A single 1 mg/kg oral dose inhibited excised lung gas volume increases by 76.7±7.1% (n=4, P<0.002) when given 8 h prior to leukotriene B ₄ challenge, and 28.6±20.3% (n=4, NS) when given 24 h before challenge. Had no effect (10 mg/kg) on pulmonary gas trapping at 1 h or 2 h after A23187 challenge. However, at 4 h, the pulmonary gas trapping response was significantly less than that of vehicle-treated controls and not different from sham values. The 10 mg/kg dose inhibited A23187-induced lung inflammatory changes at 1 h, but was without effect at 2 h or 4 h after challenge.

REFERENCES

- [1]. P Marder, et al. Blockade of Human Neutrophil Activation by 2-[2-propyl-3-[3-[2-ethyl-4-(4-fluorophenyl)-5-Hydroxyphenoxy]propoxy]phenoxy]benzoic Acid (LY293111), a Novel Leukotriene B₄ Receptor Antagonist. *Biochem Pharmacol.* 1995 May 26;49(11):1683-90.
- [2]. S A Silbaugh, et al. Pharmacologic Actions of the Second Generation Leukotriene B₄ Receptor Antagonist LY29311: In Vivo Pulmonary Studies. *Naunyn Schmiedebergs Arch Pharmacol.* 2000 Apr;361(4):397-404.
- [3]. Wei-Gang Tong, et al. Leukotriene B₄ Receptor Antagonist LY293111 Inhibits Proliferation and Induces Apoptosis in Human Pancreatic Cancer Cells. *Clin Cancer Res.* 2002 Oct;8(10):3232-42.

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

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