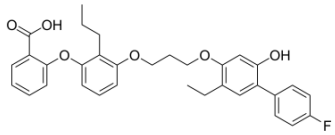
Etalocib

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:	Please store the product under the recommended conditions in the COA.



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].

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].

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 B_4-induced airway obstruction when administered i.v. (ED_{50}=14 μg/kg) or p.o. (ED_{50}=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].

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.

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