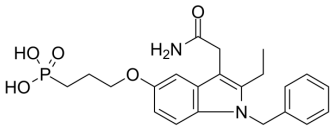
LY-311727

Cat. No.:	HY-107393
CAS No.:	164083-84-5
Molecular Formula:	$C_{22}H_{27}N_2O_5P$
Molecular Weight:	430.43
Target:	Phospholipase
Pathway:	Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description	LY-311727 is a potent secretory non-pancreatic phospholipase A_2 ($sPLA_2$) inhibitor (IC_{50} <1 μM for group IIA $sPLA_2$). $sPLA_2$ is an important proinflammatory enzyme[1][2].
IC_{50} & Target	$sPLA_2$[1]
In Vitro	LY-311727 (0.1-10 μM) suppresses the contractile responses induced by human non-pancreatic secretory phospholipase A_2 (hnps-PLA_2), in a concentration related manner[1]. LY-311727 nearly abolishes the hnps-PLA_2 responses at 1 μM, while it failed to suppress porcine pancreatic PLA_2 concentration response curves at the same concentration[1]. LY-311727 displays 1,500-fold selectivity when assayed against porcine pancreatic s-PLA_2[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	LY-311727 (3-30 mg/kg; i.v.) dramatically suppresses the circulating enzyme activity in mice with metallothionein promoter-human secretory PLA_2 minigene (Mt-$sPLA_2$) transgenic the intravenous (i.v.) administration[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6J mice, Mt-$sPLA_2$ transgenic mice model[2]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Intravenous injection
Result:	Significantly and dose dependently suppressed the PLA_2 activity in the serum.

REFERENCES

[1]. R W Schevitz, et al. Structure-based design of the first potent and selective inhibitor of human non-pancreatic secretory phospholipase A2. Nat Struct Biol. 1995 Jun;2(6):458-65.

[2]. N Fox, et al. Transgenic model for the discovery of novel human secretory non-pancreatic phospholipase A2 inhibitors. Eur J Pharmacol. 1996 Jul 18;308(2):195-203.

[3]. M Murakami, et al. The functions of five distinct mammalian phospholipase A2S in regulating arachidonic acid release. Type IIa and type V secretory phospholipase A2S are functionally redundant and act in concert with cytosolic phospholipase A2. J Biol Che

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