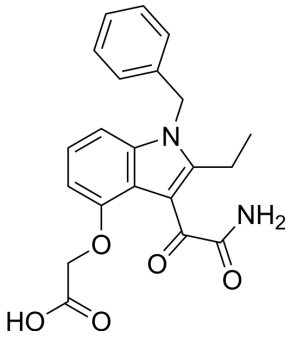
Varespladib

Cat. No.:	HY-13402
CAS No.:	172732-68-2
Molecular Formula:	$C_{21}H_{20}N_2O_5$
Molecular Weight:	380.39
Target:	Phospholipase
Pathway:	Metabolic Enzyme/Protease
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 (262.89 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6289 mL	13.1444 mL	26.2888 mL
	5 mM	0.5258 mL	2.6289 mL	5.2578 mL
	10 mM	0.2629 mL	1.3144 mL	2.6289 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 (6.57 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 17% Polyethylene glycol 12-hydroxystearate in saline
   Solubility: 1.5 mg/mL (3.94 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Varespladib (LY315920) is a potent and selective group IIA, secretory phospholipase A_2 ($sPLA_2$) inhibitor with an IC_{50} of 9 nM. Varespladib exhibits the significant inhibitory effect on sPLA2 activity in serum from various species including rat, rabbit, guinea pig and human with IC_{50}s of 8.1 nM, 5.0 nM, 3.2 nM and 6.2 nM, respectively[1].

IC_{50} & Target

sPLA2

9 nM (IC_{50})

In Vitro

Varespladib (10 μM; 24 and 48 hours; HCjE cells) treatment results in complete inhibition of the RA-induced increase in MUC16 protein detected in cell lysates at both time points[2].

Varespladib (10 μM; 24 and 48 hours; HCjE cells) treatment significantly inhibits RA-induced MUC16 expression by 100% at 24 hours and 99% at 48 hours[2].

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

Western Blot Analysis[2]

Cell Line:	HCjE cells
Concentration:	10 μM
Incubation Time:	24 hours and 48 hours
Result:	Significantly inhibited the RA-induced MUC16 protein expression at both time points.

RT-PCR[2]

Cell Line:	HCjE cells
Concentration:	10 μM
Incubation Time:	24 hours and 48 hours
Result:	Significantly inhibited RA-induced MUC16 expression by 100% at 24 hours and 99% at 48 hours.

In Vivo

Varespladib treatment inhibits human $sPLA_2$-induced release of thromboxane A_2 (TXA_2) from isolated guinea pig lung bronchoalveolar lavage cells with an IC_{50} of 0.79 μM. And the ED_{50} for Varespladib is 16.1 mg/kg[1].

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

Animal Model:	Male Hartley guinea pigs (300-500 g)[1]
Dosage:	3 mg/kg, 10 mg/kg, and 30 mg/kg
Administration:	Intravenous injection (Pharmacokinetic study)
Result:	Consistent inhibition of $sPLA_2$ activity in BAL fluid was observed. Reduced the human $sPLA_2$-induced generation of TXA_2 on BAL cells from guinea pigs.

CUSTOMER VALIDATION

- Cell Metab. 2022 Mar 10;S1550-4131(22)00083-3.
- Toxicon. 18 June 2022.
- Korean J Physiol Pharmacol. 2021 Mar 1;25(2):159-166.

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REFERENCES

[1]. Snyder DW, et al. Pharmacology of LY315920/S-5920, [[3-(aminooxoacetyl)-2-ethyl-1- (phenylmethyl)-1H-indol-4-yl]oxy] acetate, a potent and selective secretory phospholipase A2 inhibitor: A new class of anti-inflammatory drugs, SPI. J Pharmacol Exp Ther. 1999 Mar;288(3):1117-24.

[2]. Hori Y, et al. Effect of retinoic acid on gene expression in human conjunctival epithelium: secretory phospholipase A2 mediates retinoic acid induction of MUC16. Invest

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