Varespladib sodium

Cat. No.: HY-13402A

CAS No.: 172733-42-5

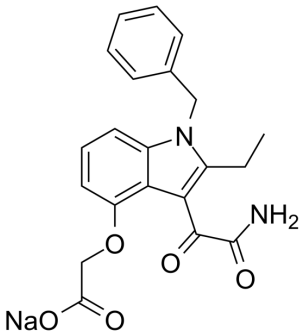
Molecular Formula: $C_{21}H_{19}N_2NaO_5$

Molecular Weight: 402.38

Target: Phospholipase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (124.26 mM; ultrasonic and warming and heat to 160°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4852 mL	12.4261 mL	24.8521 mL
	5 mM	0.4970 mL	2.4852 mL	4.9704 mL
	10 mM	0.2485 mL	1.2426 mL	2.4852 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 (6.21 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.21 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.21 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Varespladib sodium (LY315920 sodium) is a potent and selective group IIA, secretory phospholipase A_2 ($sPLA_2$) inhibitor with an IC_{50} of 9 nM. Varespladib sodium 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 sodium (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 sodium (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, 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, 48 hours
Result:	Significantly inhibited RA-induced MUC16 expression by 100% at 24 hours and 99% at 48 hours.

In Vivo

Varespladib sodium 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 sodium 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 sPLA2 activity in BAL fluid was observed. Reduced the human sPLA2-induced generation of TXA2 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. 1

[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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