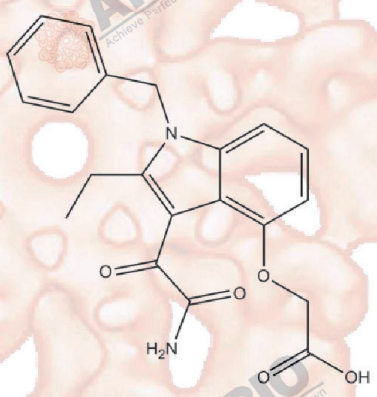
Varespladib (LY315920)

Cat. No.: A4356
CAS No.: 172732-68-2
Formula: C21H20N2O5
M.Wt: 380.39
Synonyms:
Target: Metabolism
Pathway: Phospholipase
Storage: Store at -20°C



Solvent & Solubility

In Vitro

insoluble in H2O; ⩾3.26 mg/mL in EtOH with gentle warming and ultrasonic; ⩾8.18 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
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.

Biological Activity

Shortsummary	HnsPLA inhibitor,potent and selective
IC_{50} & Target	7 nM (hnsPLA)

In Vitro

Cell Viability Assay

Cell Line:	BAL cells
Preparation method:	The solubility of this compound in DMSO is > 8.175 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	0.1 ~ 3 μM

	Applications:	In BAL cells stimulated with human sPLA2, Varespladib (0.1 ~ 3 μM) inhibited the formation of thromboxane in a concentration-dependent manner, with an IC50 value of approximately 8 × 10-7 M. In control experiments, Varespladib (3 μM) showed no effect on formyl-methionyl-leucyl-phenylalanine (a chemotactic peptide)-induced thromboxane release. Similarly, arachidonic acid-induced generation of thromboxane A2 was not inhibited by prior exposure to Varespladib.
In Vivo	**Animal experiment**	
	Animal models:	Transgenic mice expressing human sPLA2 protein
	Dosage form:	0.3 ~ 3 mg/kg; i.v. or p.o.
	Applications:	In transgenic mice expressing human sPLA2 protein, Varespladib (0.3 ~ 3 mg/kg, i.v.) inhibited serum sPLA2 activity. However, the inhibition effect of Varespladib gradually decreased over the 4-hr observation period. Oral administration of Varespladib to transgenic mice leaded to similar dose-dependent inhibition on serum sPLA2 activity.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Snyder DW, Bach NJ, Dillard RD, Draheim SE, Carlson DG, Fox N, Roehm NW, Armstrong CT, Chang CH, Hartley LW, Johnson LM, Roman CR, Smith AC, Song M, Fleisch JH. 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;288(3):1117-24.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt

of the product, follow the storage recommendations on the product data sheet.

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