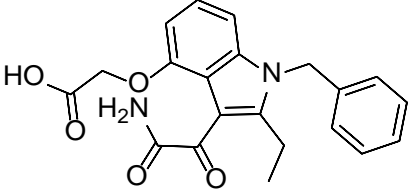


Product data sheet



MedKoo Cat#: 522365 Name: Varespladib CAS#: 172732-68-2 Chemical Formula: C ₂₁ H ₂₀ N ₂ O ₅ Exact Mass: 380.1372 Molecular Weight: 380.40	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Varespladib, also known as LY315920, is a secreted phospholipase A2 (sPLA2) inhibitor (IC₅₀ = 50 - 750 nM for a range of sPLA2 isoforms). Varespladib reduces atherosclerosis and aneurysm formation in ApoE^{-/-} mice and in guinea pigs. Varespladib significantly reduces the post-ACS inflammatory response in those with and without diabetes. Varespladib may be potentially useful for treatment of coronary artery disease.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	37.0	97.27
DMSO:PBS (pH 7.2) (1:1)	0.50	1.31
DMF	2.0	5.26
Ethanol	1.0	2.63

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.63 mL	13.14 mL	26.29 mL
5 mM	0.53 mL	2.63 mL	5.26 mL
10 mM	0.26 mL	1.31 mL	2.63 mL
50 mM	0.05 mL	0.26 mL	0.53 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. De Luca D, Minucci A, Trias J, Tripodi D, Conti G, Zuppi C, Capoluongo E; Study Group on Secretory Phospholipase in Pediatrics. Varespladib inhibits secretory phospholipase A2 in bronchoalveolar lavage of different types of neonatal lung injury. *J Clin Pharmacol.* 2012 May;52(5):729-37. doi: 10.1177/0091270011405498. Epub 2011 May 20. PMID: 21602519.

In vivo study

1. Fraser H, Hislop C, Christie RM, Rick HL, Reidy CA, Chouinard ML, Eacho PI, Gould KE, Trias J. Varespladib (A-002), a secretory phospholipase A2 inhibitor, reduces atherosclerosis and aneurysm formation in ApoE^{-/-} mice. *J Cardiovasc Pharmacol.* 2009 Jan;53(1):60-5. doi: 10.1097/FJC.0b013e318195bfbc. PMID: 19129734.

7. Bioactivity

Biological target: Varespladib (LY315920) is a secretory phospholipase A2 (sPLA2) inhibitor with an IC₅₀ of 9 nM.

Product data sheet



In vitro activity

Varespladib was tested in vitro against pancreatic sPLA2 mixed with different albumin concentration. Varespladib was able to inhibit sPLA2 in the types of neonatal lung injury investigated. sPLA2 activity was reduced in hyaline membrane disease ($P < .0001$), infections ($P = .003$), and meconium aspiration ($P = .04$) using $40 \mu\text{M}$ varespladib; $10 \mu\text{M}$ was able to lower enzyme activity ($P = .001$), with an $\text{IC}(50)$ of $87 \mu\text{M}$. An inverse relationship existed between protein level and activity reduction ($r = 0.5$; $P = .029$).

Reference: J Clin Pharmacol. 2012 May;52(5):729-37. <https://accp1.onlinelibrary.wiley.com/doi/abs/10.1177/0091270011405498>

In vivo activity

The effects of A-002 (Varespladib) on atherosclerosis was evaluated in 2 ApoE mouse models. In a high-fat diet model, A-002 (30 and 90 mg/kg twice a day for 16 weeks) reduced aortic atherosclerosis by 50% ($P < 0.05$). Plasma total cholesterol was decreased ($P < 0.05$) by 1 month and remained lowered throughout the study. In an accelerated atherosclerosis model, with angiotensin II-induced aortic lesions and aneurysms, A-002 (30 mg/kg twice a day) reduced aortic atherosclerosis by approximately 40% ($P < 0.05$) and attenuated aneurysm formation ($P = 0.0096$).

Reference: J Cardiovasc Pharmacol. 2009 Jan;53(1):60-5.

https://journals.lww.com/cardiovascularpharm/Abstract/2009/01000/Varespladib_A_002_a_Secretory_Phospholipase_A2.9.aspx

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.