PRODUCT INFORMATION



LY315920

Item No. 18267

CAS Registry No.: 172732-68-2

Formal Name: 2-[[3-(2-amino-2-oxoacetyl)-2-

ethyl-1-(phenylmethyl)-1H-indol-

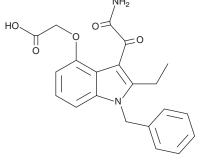
4-yl]oxy]-acetic acid

Synonym: Varespladib MF: $C_{21}H_{20}N_2O_5$ FW: 380.4 Purity:

 λ_{max} : 217, 256, 331 nm UV/Vis.: Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

LY315920 is supplied as a crystalline solid. A stock solution may be made by dissolving the LY315920 in the solvent of choice, which should be purged with an inert gas. LY315920 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of LY315920 in these solvents is approximately 3 and 2 mg/ml, respectively.

LY315920 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LY315920 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LY315920 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LY315920 is an inhibitor of human group IIA (hGIIA) secretory phospholipase A_2 (sPLA₂; IC₅₀ = 9 nM).¹ It also potently inhibits human GIIE and GX isoforms, as well as the corresponding mouse isoforms, but poorly inhibits other PLA_2 enzymes.¹⁻⁴ LY315920 inhibits $sPLA_2$ -induced release of thromboxane A_2 from isolated guinea pig lung bronchoalveolar lavage cells ($IC_{50} = 0.79 \mu M$).² Intravenous or oral administration of LY315920 to transgenic mice expressing human ${\rm sPL}\widetilde{\rm A_2}$ inhibits serum ${\rm sPLA_2}$ activity in a dose-related manner over four hours.2

References

- 1. Draheim, S.E., Bach, N.J., Dillard, R.D., et al. Indole inhibitors of human nonpancreatic secretory phospholipase A₂. 3. Indole-3-glyoxamides. J. Med. Chem. 39(26), 5159-5175 (1996).
- Snyder, D.W., Bach, N.J., Dillard, R.D., 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. 288(3), 1117-1124 (1999).
- Oslund, R.C., Cermak, N., and Gelb, M.H. Highly specific and broadly potent inhibitors of mammalian secreted phospholipases A2. J. Med. Chem. 51(15), 4708-4714 (2008).
- Smart, B.P., Pan, Y.H., Weeks, A.K., et al. Inhibition of the complete set of mammalian secreted phospholipases A₂ by indole analogues: A structure-guided study. Bioorg. Med. Chem. 12(7), 1737-1749 (2004).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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