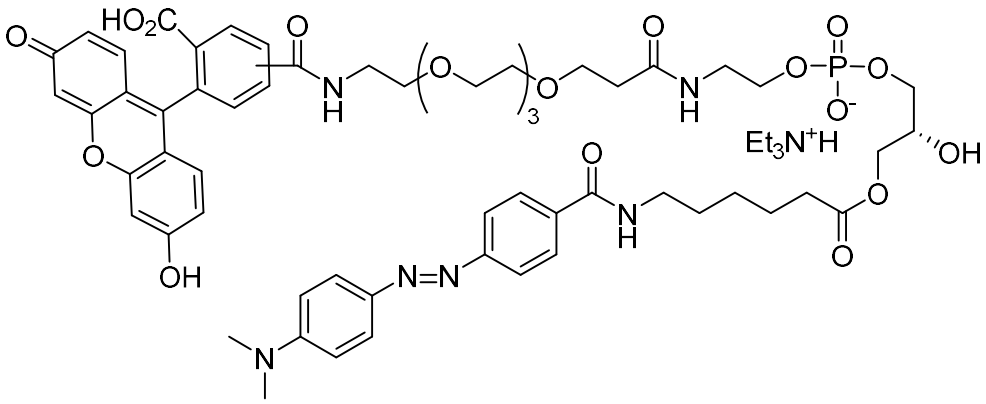
Echelon Biosciences Inc.

FS-3 (LysoPLD/ATX substrate)

Catalog number: L-2000



Molecular Formula: $C_{64}H_{84}N_7O_{19}P$

MW: 1286.4

CAS: 889132-42-7

Solubility: 5 mg/mL in H_2O or MeOH

Storage and Handling: Store dry at -20 °C protected from light. Stock solutions should be stored frozen (-20 °C or below). Reconstitute with water or neutral pH, buffered salt solutions, i.e. PBS, TBS, etc. Storage in basic (pH > 9) or acidic (pH < 4) buffers s may cause decomposition. Do not store reconstituted at 4°C for more than 2-3 days

Background: Autotaxin which has lysophospholipase D (lysoPLD) activity, cleaves choline from lysophosphatidylcholine forming lysophosphatidic acid (LPA), a potent mitogen that has been implicated in the pathophysiology of ovarian cancer. LysoPLD/ATX has been demonstrated to increase cell motility, neovascularization, proliferation, and aggressiveness of tumors and is upregulated in numerous cancer lineages (non-small cell lung, glioma, mammary carcinoma, renal cell carcinoma, hepatocellular carcinoma). In addition, dysregulation of the ATX/LPA pathway is central to the pathophysiology of idiopathic pulmonary fibrosis, rheumatoid arthritis, and other inflammatory diseases. Modulation of ATX activity through small-molecules is a currently underexplored target, but one with high potential for novel cancer and anti-inflammatory therapeutics.

Application: The substrate can be used in the typical conditions for *in vitro* Autotaxin assays (e.g. 50 mM Tris, 140 mM NaCl, 5 mM KCl, 1 mM $CaCl_2$, 1 mM $MgCl_2$, pH 8.0). Substrate concentrations can vary from 0.5-5 μM and incubation times (37°C) can vary from a few hours to overnight depending on enzyme activity and concentration. When using the substrate with biological samples (e.g. plasma, serum, ascites…), it is advisable to dilute said sample 2-10X in PBS. The excitation and emission spectra of FS-3 are typical of fluorescein, with maxima at about 494 nm and 520 nm respectively. At higher substrate concentrations, it may be necessary to use shorter excitation and longer emission wavelengths.

References: 1) Ferguson, C. G., C. S. Bigman, et al. (2006). "Fluorogenic phospholipid substrate to detect lysophospholipase D/autotaxin activity." Org Lett 8(10): 2023-6.
2) Hoeglund, A. B., H. E. Bostic, et al. (2010). "Optimization of a pipemidic acid autotaxin inhibitor." J Med Chem 53(3): 1056-66.
See website for additional references.

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