

HA130 (Autotaxin Inhibitor)

Catalog number: B-0701

Molecular Formula: $C_{24}H_{19}BFNO_5S$

MW: 463.29

CAS: 1229652-21-4

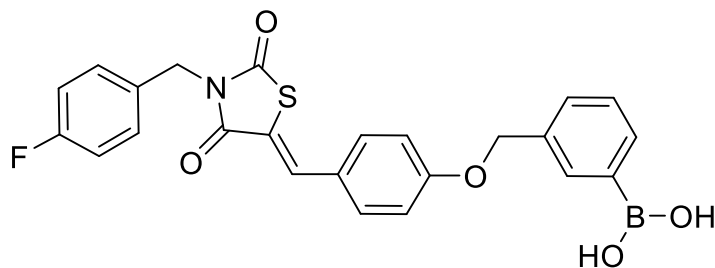
Alternate Name: *B*-[3-[[[4-[[[3-[(4-Fluorophenyl)methyl]-2,4-dioxo-5-thiazolidinylidene]methyl]phenoxy]methyl]-phenyl]-boronic acid

Solubility: DMSO (>5 mg/mL)

Storage and Handling: Store dry at -20 °C. Stock solutions should be stored frozen (-20 °C or below).

Background: HA130 is a boronic acid inhibitor of the lysoPLD activity of autotaxin (ATX). HA130 is a potent ($IC_{50} = 28$ nM), reversible inhibitor which interacts with the oxygen in active site residue T210. HA130 is selective against ATX and does not show any activity against NPP1, alkaline phosphatase, phosphodiesterase, at concentrations up to 10 μ M. In addition, proteosomal chymotryptic, caspase, and typtic activities were not affected. It also showed minimal toxicity against A2058, HEK293T, and HepG2 cell lines ($TD_{50} = 105, 83, \& 2056$ μ M respectively). Plasma ATX activity was inhibited and circulating LPA levels decreased when administered to mice.

References: 1) H.M.H.G. Albers *et al.* "Boronic acid-based inhibitor of autotaxin reveals rapid turnover of LPA in the circulation" *Proc. Natl. Acad. Sci. USA*, 2010, *107*, 7257-7262. 2) H.M.H.G. Albers *et al.* "Discovery and Optimization of Boronic Acid Based Inhibitors of Autotaxin" *J. Med. Chem.*, 2010, *53*, 4958-4967.



Hazardous Properties and Cautions: The toxicological and pharmacological properties of this compound are not fully known. For further information see the MSDS on request. This product is manufactured and shipped only in small quantities, intended for research and development in a laboratory utilizing prudent procedures for handling chemicals of unknown toxicity, under the supervision of persons technically qualified to evaluate potential risks and authorized to enforce appropriate health and safety measures. As with all research chemicals, precautions should be taken to avoid unnecessary exposures or risks.

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