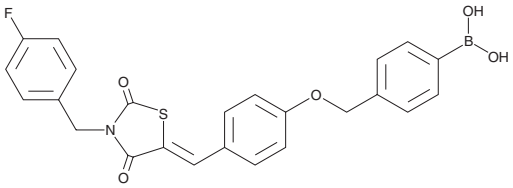
PRODUCT INFORMATION

HA-155

Item No. 11034

CAS Registry No.: 1229652-22-5
Formal Name: B-[4-[[4-[[3-[(4-fluorophenyl) methyl]-2,4-dioxo-5-thiazolidinylidene]methyl]phenoxy] methyl]phenyl]-boronic acid
Synonym: Autotaxin Inhibitor IV
MF: $C_{24}H_{19}BFNO_5S$
FW: 463.3
Purity: ≥95% (mixture of isomers)
UV/Vis.: λ_{max}: 224, 347 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

HA-155 is supplied as a crystalline solid. A stock solution may be made by dissolving the HA-155 in the solvent of choice, which should be purged with an inert gas. HA-155 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of HA-155 in these solvents is approximately 30 and 50 mg/ml, respectively.

HA-155 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Autotaxin converts lysophosphatidylcholine to lysophosphatidic acid (LPA), which can mediate changes in cell proliferation, angiogenesis, and cytokine secretion. HA-155 is a boronic acid-based compound that inhibits autotaxin (IC_{50} = 5.7 nM) by selectively binding to its catalytic threonine.[1,2] It has been shown to dose-dependently block thrombin-induced LPA secretion in platelets.[3]

References

1. Hausmann, J., Kamtekar, S., Christodoulou, E., *et al.* Structural basis of substrate discrimination and integrin binding by autotaxin. *Nat. Struct. Mol. Biol.* **18(2)**, 198-204 (2011).
2. Albers, H.M.H.G., Hendrickx, L.J.D., van Tol, R.J.P., *et al.* Structure-based design of novel boronic acid-based inhibitors of autotaxin. *J. Med. Chem.* **54(13)**, 4619-4626 (2011).
3. Fulkerson, Z., Wu, T., Sunkara, M., *et al.* Binding of autotaxin to integrins localizes lysophosphatidic acid production to platelets and mammalian cells. *J. Biol. Chem.* **286(40)**, 34654-34663 (2011).

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