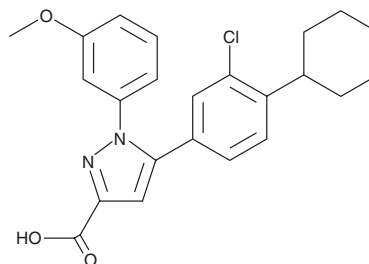


PRODUCT INFORMATION



TC LPA₅ 4
Item No. 17719

CAS Registry No.: 1393814-38-4
Formal Name: 5-(3-chloro-4-cyclohexylphenyl)-1-(3-methoxyphenyl)-1H-pyrazole-3-carboxylic acid
Synonym: TC Lysophosphatidic Acid Receptor 5 4
MF: C₂₃H₂₃ClN₂O₃
FW: 410.9
Purity: ≥98%
Supplied as: A 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

TC LPA₅ 4 is supplied as a solid. A stock solution may be made by dissolving the TC LPA₅ 4 in the solvent of choice, which should be purged with an inert gas. TC LPA₅ 4 is soluble in acetonitrile and DMSO.

Description

TC LPA₅ 4 is an antagonist of lysophosphatidic acid receptor 5 (LPA₅; IC₅₀ = 0.8 μM in McA-RH7777 cells expressing the human receptor).¹ It selectively inhibits the aggregation of washed isolated human platelets induced by 16:0 alkyl-LPA (1-palmitoyl LPA; Item Nos. 10010094 | 10010290) over collagen or thrombin receptor activating peptide (TRAP; IC₅₀s = 0.8, >10, and >10 μM, respectively). TC LPA₅ 4 also inhibits the histone-lysine N-methyltransferase NSD2 (IC₅₀ = 8.5 μM) and 29 other methyltransferases (IC₅₀s = 0.33-71 μM).² It reduces tumor volume in a CGTH-W-3 thyroid cancer mouse xenograft model when administered at a dose of 10 mg/kg.³ TC LPA₅ 4 (10 mg/kg, i.p.) prevents brain tissue loss and neurological deficits, as well as increases survival, neurogenesis in the subventricular zone, and angiogenesis in the penumbra, in a mouse model of ischemic stroke induced by transient middle cerebral artery occlusion (MCAO).⁴

References

1. Kozian, D.H., Evers, A., Florian, P., *et al.* Selective non-lipid modulator of LPA5 activity in human platelets. *Bioorg. Med. Chem. Lett.* **22(16)**, 5239-5243 (2012).
2. Coussens, N.P., Kales, S.C., Henderson, M.J., *et al.* High-throughput screening with nucleosome substrate identifies small-molecule inhibitors of the human histone lysine methyltransferase NSD2. *J. Biol. Chem.* **293(35)**, 13750-13765 (2018).
3. Zhao, W.-J., Zhu, L.-L., Yang, W.-Q., *et al.* LPAR5 promotes thyroid carcinoma cell proliferation and migration by activating class IA PI3K catalytic subunit p110β. *Cancer Sci.* **112(4)**, 1624-1632 (2021).
4. Sapkota, A., Park, S.J., and Choi, J.W. Inhibition of LPA5 activity provides long-term neuroprotection in mice with brain ischemic stroke. *Biomol. Ther. (Seoul)* **28(6)**, 512-518 (2020).

WARNING

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

SAFETY DATA

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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