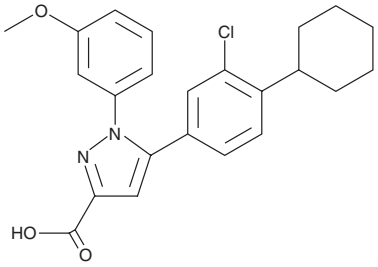
PRODUCT INFORMATION

TC LPA_5 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:	$C_{23}H_{23}ClN_2O_3$
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 LPA_5 4 is supplied as a solid. A stock solution may be made by dissolving the TC LPA_5 4 in the solvent of choice, which should be purged with an inert gas. TC LPA_5 4 is soluble in acetonitrile and DMSO.

Description

TC LPA_5 4 is an antagonist of lysophosphatidic acid receptor 5 (LPA_5; IC_{50} = 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; IC_{50}s = 0.8, >10, and >10 µM, respectively). TC LPA_5 4 also inhibits the histone-lysine N-methyltransferase NSD2 (IC_{50} = 8.5 µM) and 29 other methyltransferases (IC_{50}s = 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 LPA_5 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM