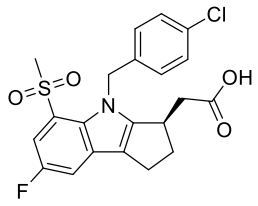
Product data sheet

MedKoo Cat#: 319908 Name: Laropiprant CAS#: 571170-77-9 Chemical Formula: $C_{21}H_{19}C_lFNO_4S$ Exact Mass: 435.0707 Molecular Weight: 435.89	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Laropiprant, aslo known as MK-0524, was a drug used in combination with niacin to reduce blood cholesterol (LDL and VLDL) that is no longer sold, due to increases in side-effects with no cardiovascular benefit. Laropiprant itself has no cholesterol lowering effect, but it reduces facial flushes induced by niacin. Laropiprant acts as a selective DP1 antagonist, reducing the vasodilation.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	20	45.88
DMF	20	45.88
DMSO:PBS (pH 7.2) (1:1)	0.5	1.45
Ethanol	5	11.47

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.29 mL	11.47 mL	22.94 mL
5 mM	0.46 mL	2.29 mL	4.59 mL
10 mM	0.23 mL	1.15 mL	2.29 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

Lauring B, Dishy V, Luo WL, Laterza O, Patterson J, Cote J, Chao A, Larson P, Gutierrez M, Wagner JA, Lai E. Laropiprant in combination with extended-release niacin does not alter urine 11-dehydrothromboxane B2, a marker of in vivo platelet function, in healthy, hypercholesterolemic, and diabetic subjects. J Clin Pharmacol. 2009 Dec;49(12):1426-35. doi: 10.1177/0091270009339593. Epub 2009 Oct 15. PMID: 19833861.

In vivo study

Ahmad AS, Mendes M, Hernandez D, Doré S. Efficacy of Laropiprant in Minimizing Brain Injury Following Experimental Intracerebral Hemorrhage. Sci Rep. 2017 Aug 25;7(1):9489. doi: 10.1038/s41598-017-09994-5. PMID: 28842638; PMCID: PMC5573370.

7. Bioactivity

Biological target:

MK 0524 is a potent, selective DP1 receptor antagonist

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In vitro activity

Following 7 days of multiple-dose administration, coadministration of laropiprant with ER niacin did not increase urinary 11-dTxB(2) levels compared to ER niacin alone in healthy, hypercholesterolemic, or diabetic subjects. In hypercholesterolemic and diabetic subjects, laropiprant did not increase urinary 11-dTxB(2) levels compared to placebo. These results demonstrate that laropiprant does not enhance in vivo platelet reactivity, either alone or in combination with niacin.

Lauring B, Dishy V, Luo WL, Laterza O, Patterson J, Cote J, Chao A, Larson P, Gutierrez M, Wagner JA, Lai E. Laropiprant in combination with extended-release niacin does not alter urine 11-dehydrothromboxane B2, a marker of in vivo platelet function, in healthy, hypercholesterolemic, and diabetic subjects. J Clin Pharmacol. 2009 Dec;49(12):1426-35. doi: 10.1177/0091270009339593. Epub 2009 Oct 15. PMID: 19833861.

In vivo activity

The putative effect of laropiprant on limiting hematoma expansion was tested by an in vivo tail bleeding cessation method and an ex vivo coagulation method. Finally, the roles of laropiprant on gliosis and iron accumulation were also investigated. A significant decrease in the injury volume was observed in DP1-/- as well as laropiprant-treated WT mice. The tail bleeding time was significantly lower in laropiprant group as compared with the vehicle group. Significantly lower Iba-1 and Perls' iron staining in DP1-/- and laropiprant-treated WT groups were observed. Altogether, the data suggest that laropiprant treatment post-ICH attenuates brain damage by targeting primary as well as secondary injuries.

Reference: Ahmad AS, Mendes M, Hernandez D, Doré S. Efficacy of Laropiprant in Minimizing Brain Injury Following Experimental Intracerebral Hemorrhage. Sci Rep. 2017 Aug 25;7(1):9489. doi: 10.1038/s41598-017-09994-5. PMID: 28842638; PMCID: PMC5573370.

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.