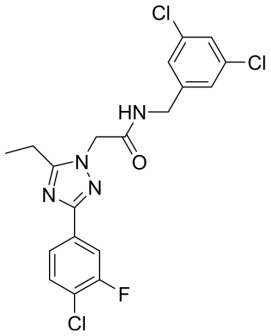
MR-L2

Cat. No.:	HY-128358
CAS No.:	2374703-19-0
Molecular Formula:	$C_{19}H_{16}Cl_3FN_4O$
Molecular Weight:	441.71
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (188.65 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2639 mL	11.3196 mL	22.6393 mL
	5 mM	0.4528 mL	2.2639 mL	4.5279 mL
	10 mM	0.2264 mL	1.1320 mL	2.2639 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MR-L2 is a reversible and noncompetitive allosteric activator of long-isoform phosphodiesterase-4 (PDE4), activates representative PDE4 long-isoform variants (PDE4A4, PDE4B1, PDE4C3, PDE4D5). MR-L2 suppresses PGE2-induced MDCK cell cyst formation with an EC_{50} of 1.2 μM[1].

IC_{50} & Target

PDE4

In Vitro

MR-L2 (0.3-10 μM; 1 h) significantly suppresses cAMP elevation and cysts formation in MDCK cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Madin-Darby Canine Kidney (MDCK) cell line

Concentration:	0.3, 1, 3 and 10 μM
Incubation Time:	1 hour
Result:	Suppressed cAMP elevation but not enhanced cAMP excretion in MDCK cells. Suppressed cysts formation in MDCK cells with an EC_{50} value of 1.2 μM. Suppressed the number of cysts formation in 3D culture for both unstimulated and vasopressin-treated culture condition while showed no effect on cell viability.

REFERENCES

[1]. Omar F, et al. Small-molecule allosteric activators of PDE4 long form cyclic AMP phosphodiesterases. Proc Natl Acad Sci U S A. 2019 Jul 2;116(27):13320-13329.

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