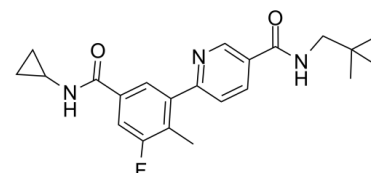


Data Sheet

Product Name:	Losmapimod
Cat. No.:	CS-3235
CAS No.:	585543-15-3
Molecular Formula:	C ₂₂ H ₂₆ N ₃ O ₂
Molecular Weight:	383.46
Target:	Autophagy; p38 MAPK
Pathway:	Autophagy; MAPK/ERK Pathway
Solubility:	DMSO : ≥ 58 mg/mL (151.25 mM)



BIOLOGICAL ACTIVITY:

Losmapimod is a selective, potent, and orally active **p38 MAPK** inhibitor with **pK_i**s of 8.1 and 7.6 for p38α and p38β, respectively. IC₅₀ & Target: pK_i: 8.1 (p38α), 7.6 (p38β) **In Vivo:** In the spontaneously hypertensive stroke-prone rat (SHR-SP), chronic treatment with GSK-AHAB significantly and dose-dependently improves survival, endothelial-dependent and -independent vascular relaxation, and indices of renal function, and it attenuates dyslipidemia, hypertension, cardiac remodeling, plasma renin activity (PRA), aldosterone, and interleukin-1β (IL-1β)^[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: ^[1]Male SHR-SPs (n=70) are randomly assigned according to body weight into five groups (n=14 per group): normal diet controls (ND), high salt-fat diet controls (SFD), SFD + GSK-AHAB (1.2 mg/kg/day), and SFD + GSK-AHAB (12 mg/kg/day) and SFD + MK 966 (18 mg/kg/day). All drugs are administered in the diet by mixing with the SFD. A subgroup of animals from each group (n=6 per group) are anesthetized and surgically instrumented with radiotelemetry units for the conscious measurement of mean arterial blood pressure and heart rate. These animals are allowed to recover for at least 7 days before the start of the study.

References:

- [1]. Willette RN, et al. Differential effects of p38 mitogen-activated protein kinase and cyclooxygenase 2 inhibitors in a model of cardiovascular disease. *J Pharmacol Exp Ther.* 2009 Sep;330(3):964-70.
- [2]. Zhang XM, et al. Suppression of mitochondrial fission in experimental cerebral ischemia: The potential neuroprotective target of p38 MAPK inhibition. *Neurochem Int.* 2015 Nov;90:1-8.

CAIndexNames:

3-Pyridinecarboxamide, 6-[5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N-(2,2-dimethylpropyl)-

SMILES:

CC1=C(C2=NC=C(C(NCC(C)(C)C)=O)C=C2)C=C(C(NC3CC3)=O)C=C1F

Caution: Product has not been fully validated for medical applications. For research use only.

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