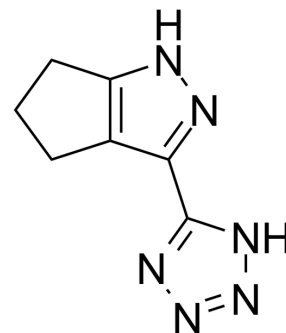


## MK-0354

Cat. No.:	HY-13008		
CAS No.:	851776-28-8		
Molecular Formula:	C <sub>7</sub> H <sub>8</sub> N <sub>6</sub>		
Molecular Weight:	176.18		
Target:	GPR109A		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 36 mg/mL (204.34 mM)  
 \* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		5.6760 mL	28.3801 mL	56.7601 mL
	5 mM		1.1352 mL	5.6760 mL	11.3520 mL
	10 mM		0.5676 mL	2.8380 mL	5.6760 mL

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (14.19 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.5 mg/mL (14.19 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

MK-0354 is a partial agonist of GPR109a receptor, for hGPR109a/ mGPR109a with EC<sub>50</sub> of 1.65/1.08 μM, showed no activation of GPR109b. IC<sub>50</sub> value: 1.65 μM (EC<sub>50</sub>, for hGPR109a), 1.08 μM (EC<sub>50</sub>, for mGPR109a) [1] Target: GPR109a in vitro: MK-0354 demonstrated clear and statistically significant partial agonism in the cAMP assays for both the mouse and human receptors with efficacy approximately 60-70% of that of either nicotinic acid or β-hydroxy butyrate, a putative physiologically relevant ligand for hGPR109a, in the same assay platform. In addition, MK-0354 showed no activation of GPR109b in the cAMP assay at any concentration up to 100 μM. Following these interesting observations, we then prepared a number of other 5,5-fused pyrazoles analogous to those that showed receptor activity in our earlier studies. MK-0354 appeared to be somewhat unique among the members of the pyrazole tetrazole series in having reasonable receptor activity.[1] in vivo: MK-0354 retained the plasma free fatty acid lowering effects in mice associated with GPR109a agonism, but did not induce vasodilation at the

maximum feasible dose. Moreover, preadministration of MK-0354 blocked the flushing effect induced by nicotinic acid but not that induced by PGD2. This profile made MK-0354 a suitable candidate for further study for the treatment of dyslipidemia.[1] MK-0354 is a GPR109A partial agonist that activates the antilipolytic pathway in adipocytes. The single-dose and multiple-dose pharmacokinetics and pharmacodynamics, as well as tolerability, of MK-0354 were examined in two Phase I studies conducted in healthy male volunteers. The lipid efficacy of MK-0354 was assessed in a Phase II study conducted in male and female patients with dyslipidemia.[2]

## CUSTOMER VALIDATION

- Nat Commun. 2023 Mar 27;14(1):1710.

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## REFERENCES

[1]. Semple G, et al. 3-(1H-Tetrazol-5-yl)-1,4,5,6-tetrahydro-cyclopentapyrazole (MK-0354): A Partial Agonist of the Nicotinic Acid Receptor, G-Protein Coupled Receptor 109a, with Antilipolytic but No Vasodilatory Activity in Mice. J. Med. Chem., 2008, 51 (16)

[2]. Lai E1, et al. Effects of a niacin receptor partial agonist, MK-0354, on plasma free fatty acids, lipids, and cutaneous flushing in humans. J Clin Lipidol. 2008 Oct;2(5):375-383.

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

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