GPR109A

HM74A; PUMA-G; HCA2; HCAR2

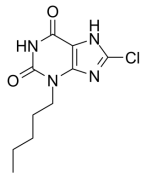
GPR109A is a G-protein-coupled receptor for nicotinate but recognizes butyrate with low affinity. GPR109A is expressed in the lumen-facing apical membrane of colonic and intestinal epithelial cells and that the receptor recognizes butyrate as a ligand. The expression of GPR109A is silenced in colon cancer in humans, in a mouse model of intestinal/colon cancer, and in colon cancer cell lines. The tumor-associated silencing of GPR109A involves DNA methylation directly or indirectly. Reexpression of GPR109A in colon cancer cells induces apoptosis, but only in the presence of its ligands butyrate and nicotinate. Butyrate is an inhibitor of histone deacetylases, but apoptosis induced by activation of GPR109A with its ligands in colon cancer cells does not involve inhibition of histone deacetylation. The primary changes in this apoptotic process include down-regulation of Bcl-2, Bcl-xL, and cyclin D1 and up-regulation of death receptor pathway. In addition, GPR109A/butyrate suppresses nuclear factor-kappaB activation in normal and cancer colon cell lines as well as in normal mouse colon. These studies show that GPR109A mediates the tumor-suppressive effects of the bacterial fermentation product butyrate in colon.

GPR109A Agonists

GSK256073

Cat. No.: HY-119222

GSK256073 is a potent, selective and orally active **GPR109A** agonist and a long-lasting and non-flushing **HCA2** full agonist with a **pEC_{50}** of 7.5 (human HCA2).

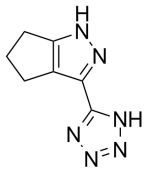


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg, 250 mg

MK-0354

Cat. No.: HY-13008

MK-0354 is a partial agonist of GPR109a receptor, for hGPR109a/ mGPR109a with EC50 of 1.65/1.08 μM, showed no activation of GPR109b.

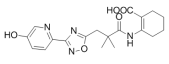


Purity: 98.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

MK-6892

Cat. No.: HY-10680

MK-6892 is a potent, selective, and full agonist for the high affinity nicotinic acid (NA) receptor **GPR109A**. K_i and GTPγS **EC_{50}** of MK-6892 on the Human GPR109A is 4 nM and 16 nM, respectively.

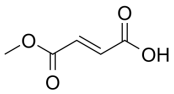


Purity: 99.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Monomethyl fumarate

Cat. No.: HY-103252

Monomethyl fumarate, an active metabolite of Dimethyl fumarate (DMF), is a potent GPR109A agonist. Monomethyl fumarate has the potential for multiple neuroprotective pathways and other models of retinal disease.



Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg