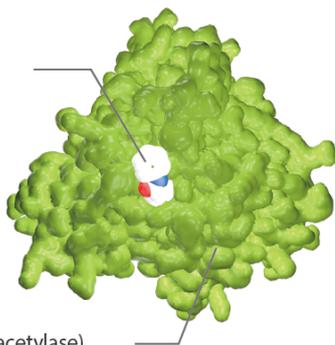


GPR109A

HM74A;PUMA-G;HCA2;HCAR2

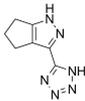
HDAC Inhibitor:
Vorinostat (SAHA)



HDAC (Histone deacetylase)

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 Inhibitors & Modulators

MK-0354 Cat. No.: HY-13008	MK-6892 Cat. No.: HY-10680
<p>Bioactivity: 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.</p> <p>Purity: 98.03%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 	<p>Bioactivity: MK-6892 is a potent, selective, and full agonist for the high affinity nicotinic acid (NA) receptor GPR109A, K_i and GTPyS EC_{50} of MK-6892 on the Human GPR109A is 4 nM and 16 nM, respectively.</p> <p>Purity: 98.73%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 