

5th International Conference on
**Medicinal Chemistry &
Computer Aided Drug Designing and Drug Delivery**
December 05-07, 2016 Phoenix, USA

Design of novel potent and selective agonists at the melanocortin 1 receptor

Minying Cai, Saghar Mowlazadeh Haghighi, Yang Zhou, Jixun Dai, Johnathan Sawyer and Victor J Hruby
The University of Arizona, USA

Melanoma is a lethal form skin cancer which causes more than 10,000 deaths in the United States annually. Skin pigmentation, which is regulated by the melanocortin 1 receptor (MC1R), is an effective protection against melanoma. However, the endogenous MC1R agonists and some of its analogues lack selectivity to MC1R and can have side effects through other melanocortin receptors. Here we report the development of potent and selective hMC1R agonists using state of computational chemistry combined with chimeric receptor studies. We successfully developed a potent selective hMC1R selective agonist with at least 100/300/15-fold selectivity towards hMC3R/hMC4R/hMC5R respectively. The binding affinity for the novel peptides is 25 nM. Key interactions between this peptide and hMC1R were identified through NMR studies and molecular docking studies. The bioavailability studies reveal that this novel peptide is an ideal peptide ligand for the melanoma prevention.

Biography

Minying Cai is currently a research professor in the Department of Chemistry and Biochemistry at the University of Arizona. She has been working in the Chemistry & Biochemistry department for more than 16 years and has more than 100 publications in the area of novel drug discovery for obesity, diabetes, cancer and pain. She received the Ph.D. at the University of Arizona in Biochemistry and Molecular Biophysics in 2004. Before that, she had been working in Shanghai Institute of Materia Medica; Shanghai Research Center of Biotechnology in Chinese Academy of Sciences.

mcai@email.arizona.edu

Notes: