

3rd World Congress on

NATURAL PRODUCTS CHEMISTRY AND RESEARCH & 12th WORLD PHARMA CONGRESS

October 16-18, 2017 Budapest, Hungary



Peyman Salehi

Shahid Beheshti University, Iran

Synthesis of novel norsufentanil analogues via a four-component Ugi reaction and *in vivo*, docking, and QSAR studies of their analgesic activity

Novel pseudo peptide tethered norsufentanil derivatives were synthesized by the four-component Ugi reaction. Norsufentanil was reacted with succinic anhydride to produce the corresponding carboxylic acid. The resulting carboxylic acid has undergone a multicomponent reaction with different aldehydes, amines, and isocyanides to produce a library of the desired compounds (Scheme 1). In all cases, amide bond rotation was observed in the NMR spectra. *In vivo* analgesic activity of the synthesized compounds was evaluated by a tail flick test. Very encouraging results were obtained for a number of the synthesized products. Some of the synthesized compounds such as 5a, 5b, 5h, 5j and 5r were found to be more potent than sufentanil, sufentanil citrate, and norsufentanil. Binding modes between the compounds and mu and delta opioid receptors were studied by molecular docking method. The relationship between the molecular structural features and the analgesic activity was investigated by a Quantitative Structure-Activity Relationship (QSAR) model. The results of the molecular modeling studies and the *in vivo* analgesic activity suggested that the majority of the synthesized compounds were more potent than sufentanil and norsufentanil.

Biography

Peyman Salehi received his BSc in Chemistry in 1987 from Ferdowsi University, Mashhad, Iran. Then he moved to Shiraz University where he received his MSc in 1990 and PhD in 1995 in Organic Chemistry. He started his academic work at Razi University, Kermanshah as an Assistant Professor in 1995. After five years, he moved to Shahid Beheshti University as an Associate Professor. Since 2005, he works as a Professor in the Department of Phytochemistry. He has published more than 160 papers in peer reviewed international journals.

p-salehi@sbu.ac.ir

Notes: