Abstract

This Bachelor's thesis deals with preparation of fluorescently labeled opiates, which

allow detection of μ -opioid receptors and study of their interaction with ligands, using

fluorescent techniques.

As substrates designated for chemical modifications were chosen semi-synthetic opiates,

naloxone and naltrexone. Their conversion to corresponding C-6 hydrazones allowed further

modifications of these substances and tracking, if any changes to their ability to interact with

μ-opioid receptors ocurr.

Firstly, known adducts of these hydrazones with fluorescein isothiocyanate were

prepared. Then, we inserted linkers of different lengths between the opiate fragment and the

fluorescent tag, systematically. Mentioned linkers were prepared from tetraethyleneglycole

derivatives with aim to study binding constants of modified μ -opioid receptor ligands.

In the end, we decided to prepare opiate conjugate with particular linkers of length

corresponding, approximately, to decaethyleneglycole. Two different procedures were

proposed for the preparation of this linker. One of them used click chemistry concept and the

other was based on classic amide coupling.

Both conjugates were converted to adducts with fluorescein to verify their specific

binding to μ -opioid receptors. They were also provided to co-operating group, which will use

them for preparation of synthetic antibody for μ -opioid receptors.

Key words: fluorescent tag, opiates, synthesis