## Abstract

Methadone, a synthetic opioid created in the 1940s is a potent mu opioid receptor agonist. Opioid receptors form a sub-group of the GPCR super-family. Their most significant role is the inhibition of neural pathways by regulating the activity of ionic channels and effector proteins. μ-opioid receptors are the site of action of heroin, methadone and other classical opioid agonists. Due to the opioid receptors distribution in both the central nervous system and peripheral tissues, methadone affects a wide variety of functions in the organism. Methadone induces many of the effects of classical opioids including analgesia, respiratory suppression, sedation, euphoria. While originally being developed as an analgesic it had soon shown potential for other therapeutic methods. Methadone maintenance therapy was introduced in 1963, by professor Vincent P. Dole and his team. It quickly became clear that methadone substitution therapy is indeed very effective and shows the highest ability to retain patients. Thanks to its high oral bioavailability, higher intrinsic efficacy and long terminal half-life methadone is the first choice drug for opioid substitution therapy. Methadone, used in appropriate doses produces only mild adverse effects and has the ability to normalize physiological homeostasis disrupted by heroin abuse and slash drug-craving.

Keywords: methadone, opioid receptors, heroin, maintenance therapy