Methods of Modifying Addiction: Agonist and Antagonist Substitution

Methadone – Agonist Substitution
An agonist binds to the post-synaptic receptor and activates that receptor to produce a response that imitates the action of another substance (e.g. heroin).

Methadone is used to treat addiction to opioid drugs like heroin. Methadone is a long-lasting synthetic opiate that is used as a maintenance treatment.

The aim is that by giving heroin users methadone as a substitute it will reduce cravings and prevent withdrawal symptoms. It has some of the same effects as heroin but does not give people the same “high”. The dosage of methadone should be reduced over time (detoxification) until the individual stops using it completely (abstinence).

NICE in the UK suggests an initial dose of 10-40 mg each day, which is increased by 10 mg daily until no signs of withdrawal or intoxication are seen. The individual will reach a maintenance dose of 60-120 mg a day. It is usually given orally as a green liquid (helps avoid injections), although it is available in injection and tablet form. Supervision for the first three months avoids addiction.

It is also recommended that methadone maintenance treatment is given alongside other psychological support, such as family therapy, which is essential to recovery.

Naltrexone – Antagonist Substitution
An antagonist binds to the post-synaptic receptor and blocks the usual function of substance.

Naltrexone is used in the abstinence stage of recovery from addiction (i.e. drug alone is not enough).

Methadone
NICE assessed 31 reviews of the effectiveness of methadone including 27 randomised controlled trials. They found higher levels of retention and lower rates of illicit opioids use for people using methadone than a placebo or no treatment.

A 2006 meta-analysis of studies into the effectiveness of a range of treatments concluded that as long as the dosage is adequate then methadone is effective as a maintenance treatment.

Comparing Methadone and Buprenorphine (Agonist)
Buprenorphine is a milder alternative to methadone. It has both agonist and antagonist properties. A study analysed data for a five-year period concluded that buprenorphine is 6x safer than methadone as there is less risk of an overdose due to its “ceiling effect”. However, methadone remains the preferred treatment option in the UK (buprenorphine is expensive).

Naltrexone
NICE reviewed 17 studies concerning the effective use of naltrexone for heroin addiction. Overall, they found conflicting results, with many randomised controlled trials showing no significant difference between naltrexone and a control treatment for retention on the treatment programme. However, naltrexone was associated with a reduction in relapse rates, particularly in those that were highly motivated and where patients were closely monitored and offered extra support.

- Good if motivated and support → drug alone is not enough

Lahti (2010) tested the effectiveness of naltrexone on a small sample of gamblers who were instructed to take it before gambling or when they felt the urge to gamble. They found a significant decrease in gambling levels,