

# Overcoming opioid blockade from depot naltrexone (Prodetoxon<sup>®</sup>)

E. M. Krupitsky<sup>1</sup>, A. M. Burakov<sup>1</sup>, M. V. Tsoy<sup>1</sup>, V. Y. Egorova<sup>1</sup>, T. Y. Slavina<sup>1</sup>, A. Y. Grinenko<sup>1</sup>,  
E. E. Zvartau<sup>1</sup> & G. E. Woody<sup>2</sup>

St Petersburg Regional Center for Research in Addiction and Psychopharmacology affiliated with Pavlov State Medical University, St Petersburg, Russia<sup>1</sup> and University of Pennsylvania, Philadelphia, USA<sup>2</sup>

---

## ABSTRACT

**Aim** To describe a situation in which an opioid-dependent patient overcame naltrexone blockade. **Design, case report, setting** Addiction treatment center in St Petersburg, Russia. **Participant** Patient with naltrexone implant. **Intervention** Detoxification. **Measurements** Clinical observations. **Conclusions** It is possible, but very difficult, to overcome naltrexone blockade by using large doses of heroin.

**Keywords** Heroin addiction, naltrexone, opioid blockade, overdose, relapse.

*Correspondence to:* George Woody, University of Pennsylvania, Philadelphia, USA. E-mail: woody@tresearch.org

Submitted 13 November 2006; initial review completed 19 December 2006; final version accepted 27 December 2006.

---

Theoretically, it is possible to overcome naltrexone blockade with large doses of opioids because it is a competitive antagonist. Here we describe a patient who relapsed to heroin while being treated with Prodetoxon, a sustained-release naltrexone preparation approved in the Russian Federation for preventing relapse to opioid dependence. Prodetoxon is a composite subcutaneous implant prepared in a cylinder that is 18 mm in length by 8.5 mm in diameter. It contains 1000 mg naltrexone and blocks opioid effects for 8–10 weeks (<http://www.prodetocon.ru>). It is similar in concept to an implant being used (but not approved) in Australia; however, that implant is reported to block opioid effects for 6 months (<http://www.staplefordcentre.co.uk/naltrexone-implants.htm>). It differs from the depot forms of naltrexone that are approved (Vivitrex; Alcohol Dependence) or being tested (Depotrex) in the United States, in that they are injections that block opioid effects for 1 month.

The patient is a 31-year-old male who was admitted for in-patient detoxification and rehabilitation at the Leningrad Region Center of Addictions. The patient began injecting opioids in 1997 after being severely injured during military service. He began by injecting a home-brewed concoction made from poppy straw, then switched to heroin in 2000. He had a forced detoxification while incarcerated from 2000 to 2002, and then relapsed and was detoxified several times between 2002

and 2006. Following detoxification in January 2006 he was implanted with Prodetoxon and remained in remission for the next 10 weeks. He tested the naltrexone blockade by injecting his usual 250 mg heroin packet 7 days after implantation, but experienced no effects and did not inject again for the next 3 months. Heroin is priced according to the milligram weight of the packet; the amount of heroin is never actually known, but injecting drug users report that it does not vary greatly.

In April 2006 he received a second implant and in early May he was approached by a drug dealer and offered a job delivering heroin to a local prison by throwing it over the wall at a prearranged time and place that was unlikely to be detected. The drug dealer chose him because he had had the implant, and was considered reliable and unlikely to use the heroin that he delivered. He received 3 g of heroin-containing packets (12 packets) as payment for each delivery, which he planned to sell. After accumulating a large amount of unsold heroin he decided to try to overcome the blockade by injecting double his usual dose—two packets—but experienced no effect. The next day he injected four packets and the following day eight, all without any effect. Finally, he injected 12 packets and had an 'overdose' during which he became cyanotic, foamed at the mouth and lost consciousness for 10–15 minutes, according to reports from associates who were with him at the time. When he

awoke he realized that the blockade had been overcome and began injecting 12 packets once a day for the next 2 weeks, supplemented by injections of smaller amounts every 8–10 hours to suppress withdrawal.

By the end of 2 weeks he had used his extra heroin, began having opioid withdrawal symptoms, and sought readmission to the Leningrad Regional Center of Addictions. At admission he was experiencing rhinorrhoea, lacrimation, diarrhoea, generalized aches and affective and sleep disturbances. He was detoxified with the usual course of clonidine, non-steroidal analgesics and benzodiazepines (opioid agonists are not permitted by law) and all withdrawal symptoms resolved within 14 days. He

was discharged to his local addiction psychiatrist (narcologist) on oral naltrexone, but dropped out within a few weeks, relapsed, and had contacted his narcologist at around the time this report was written, with the intent of resuming treatment.

This case shows that sustained-release naltrexone blockade can be overcome with very large amounts of heroin. Such events seem unlikely, as few individuals have access to such large quantities and even if they occur, maintaining the relapse would be difficult due to the amount of heroin that is needed, as seen in this case.

Written patient consent was obtained prior to publication of this report.