Loperamid – An Unexpected Drug of Abuse

Loperamide (Imodium®) is a synthetic opioid that binds to the mu opioid receptors in the gut to slow GI motility and inhibit peristalsis. This medicine is available over the counter to treat diarrhea. It causes minimal CNS effects in therapeutic doses because the P-glycoprotein (P-gp) transporter prevents it from crossing the blood brain barrier. Therapeutic dosing of the drug is 4 mg (two tablets) initially, followed by one tablet after each loose stool, up to 16 mg/day. Loperamide is not recommended for use in children under the age of 2 years.

Although loperamide is claimed to lack abuse potential, it is gaining attention as an easily accessible opioid agonist. Abusers may also co-ingest P-gp inhibitors (i.e.: amiodarone, macrolide antibiotics, ketoconazole, quinidine, and verapamil) to increase its penetration into the CNS. In addition to its abuse, some individuals use it for self-treatment of opioid withdrawal symptoms. It has been referred to as “poor man’s methadone.”

Even in therapeutic doses, patients may experience mild to severe toxicity, including paralytic ileus. Abusers may chronically take hundreds of milligrams a day. The FDA released a safety alert in 2016 warning that loperamide misuse can cause heart problems that can lead to death. These dangerous effects include wide QRS, QTc prolongation, ventricular arrhythmias, recurrent Torsades de Pointes, syncope and cardiac arrest. Opioid naive individuals may exhibit miosis, CNS and respiratory depression, bradycardia and hypotension. Young children may be more susceptible because drugs can more easily cross their blood brain barrier.

In addition to good supportive care, management of loperamide toxicity includes naloxone for CNS and respiratory depression. Sodium bicarb can be used to treat QRS widening. Follow potassium, calcium, and magnesium, as low levels of these electrolytes increase the risk of QTc prolongation and Torsades de Pointes. If Torsades occurs, give magnesium 1-2 g IV.

Loperamide overdoses can be difficult to manage due to the combination of opioid effects and cardiotoxicity. The IPCC toxicologist is available for consult 24/7 by calling 1-800-222-1222.

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