

# BEYOND THE BASICS

## Over-the-counter Drugs

### **What are over-the-counter drugs?**

Over-the-counter drugs are medications sold directly to consumers through pharmacies, grocery and convenience stores without a prescription. The availability of remedies is as ubiquitous as the conditions for which consumers may seek assistance and are offered in the form of pharmaceutically produced and naturally occurring (herbal) products.

Over-the-counter formulations available in Canada have been deemed safe for public use as directed by the manufacturer for the specific requirement of conditions identified; however, some of these drugs have abuse potential.

The most significant potential for abuse exists in medications containing the following drug classes:

- dissociative substances and sedatives:<sup>1</sup>
  - dimenhydrinate: an antiemetic available in Gravol®.
  - diphenhydramine: available in antihistamine medications, such as Benadryl®, and sleep-aids, such as Tylenol® PM and Excedrin PM®.
  - dextromethorphan (DXM or DM): the active cough suppressant in most over-the-counter cough and cold remedies, such as Robitussin®, Vicks Nyquil®, Vicks Formula 44® and Coricidin®.
- stimulants:<sup>1</sup>
  - ephedra, ephedrine and pseudoephedrine: nasal decongestants in products such as Robitussin®.

While pseudoephedrine is not generally a drug of choice for recreational purposes, it does cause a rapid heart rate, high blood pressure and an excitable, hyperactive feeling. This is a state that has attracted use by some body builders to obtain a “pumped” feeling prior to competition. Other athletes abuse this drug for its ability to enhance energy and aggression. People may also use pseudoephedrine for its ephedra-like effects in an attempt to lose weight. Of significant concern is this drug’s use in the manufacture of methamphetamine.<sup>2</sup>

Additional drug classes have the potential for abuse or dependence in terms of seeking a euphoric state, attaining weight loss, obtaining a revved up feeling or managing pain. These are: dietary supplements and diet aids; stomach preparations; laxatives; steroids; analgesics, including acetaminophen, ibuprofen and acetylsalicylic acid (ASA); and herbal supplements.

### **Medical Use**

Over-the-counter medications are available for any number of symptoms a person may be experiencing, including nausea and vomiting, cough, cold, allergies, insomnia, constipation, diarrhea, mild pain and weight issues.

These medications are intended for relatively minor, self-limiting conditions that can be safely managed without a diagnosis by a doctor or other health care professional.

### **Prevalence of Use**<sup>3,4</sup>

Data specific to use and abuse of over-the-counter drugs is limited in Canada and in the United States; however, it is generally understood abuse of these drugs is a growing concern. This is due, in part, to

the ease with which they can be obtained and the relatively low cost associated with purchasing them.

The research suggests young people, primarily teens, are most likely to abuse these easily accessed drugs. This is supported by a proliferation of websites targeting teens with the intent to provide information about which over-the-counter drugs (among others) will produce the best high, how much of the drug to take, cautions they should consider and how to do so without getting caught (identification of side effects they will need to hide).

According to the U.S. government’s National Institute on Drug Abuse website, a survey conducted in 2008 of children in grades 8, 10 and 12 revealed that 3.6 % of 8th grade students, 5.3% of 10th grade students and 5.5 % of 12th

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grade students had used cough medicine containing DXM in the past year to get high.<sup>3</sup>

Other groups at risk of abusing over-the-counter drugs, particularly dimenhydrinate, appear to be individuals with a history of a psychiatric disorders, such as schizophrenia, depression, substance abuse and personality disorders. A significant challenge is the difficulty in identifying the chronic consumption of dimenhydrinate because symptoms of the dependence resemble the symptoms of some psychiatric disorders.<sup>4</sup>

### **Pharmacokinetics**

Over-the-counter drugs are provided in a variety of formulations, including liquids, tablets or gel capsules. To experience a “high,” an individual must generally consume excessive amounts of the drug. For example, abusers of dimenhydrinate may take as many as 16 tablets, equivalent to 800 mg of dimenhydrinate, as this is understood to be the standard dose for a “high.” Dimenhydrinate is a combination of diphenhydramine and the methylxanthine, 8-chlorotheophylline. Many researchers suggest diphenhydramine, the antihistaminergic component of dimenhydrinate, is responsible for the reinforcing effect of the drug.<sup>4</sup>

Diphenhydramine, readily absorbed through the intestinal tract, is widely distributed throughout the body and easily crosses the blood-brain barrier.<sup>5</sup>

Dextromethorphan is rapidly absorbed from the gastrointestinal tract, where it enters the bloodstream and crosses the blood-brain barrier.<sup>5</sup>

Ephedra alkaloids are well absorbed in the intestine.<sup>6</sup>

### **Pharmacodynamics**

Dimenhydrinate is an antiemetic associated with antagonism of the H1 histamine receptor.<sup>4</sup> Diphenhydramine, the most likely active component of dimenhydrinate, is a competitive antagonist at the H1 histamine receptor in both the peripheral and central nervous systems (CNS). Antagonism of CNS H1 receptors account for its sedative properties.<sup>4</sup>

At high doses, it has been suggested that dextromethorphan acts as a glutamate and NMDA antagonist<sup>9</sup> and affects similar sites in the brain as ketamine and phencyclidine (PCP).<sup>3,5</sup>

The major alkaloids in ephedra, ephedrine and pseudoephedrine are non-selective sympathomimetic agents that stimulate both alpha- and beta-receptors.<sup>6</sup>

### **Short-term Effects**

At recommended dosages, medications containing dimenhydrinate and/or diphenhydramine or dextromethorphan may cause an individual to feel drowsy, dizzy and experience blurred vision. At this level, concentration and motor coordination may also be impaired. An individual may experience side effects that include dry mouth, ringing in the ears, tremors, excitation and nervousness. An individual may also experience feelings of well-being, relaxation and euphoria.<sup>8</sup>

These same medications, when taken in excess of recommended dosages (generally a package at a time by abusers), may manifest symptoms of sluggishness, paranoia, agitation, memory loss, increased blood pressure and heart rate, and difficulty swallowing or speaking.<sup>8</sup>

Misuse may also result in dissociation and hallucinations. Misuse of dextromethorphan creates both depressant and mildly hallucinogenic effects that have been reported as “plateaus.” Plateaus range from mild stimulation with distorted visual perceptions to complete dissociation from the user’s body at high doses.<sup>3,9</sup>

When an individual ingests dosages in excess of 1250 mg (25 tablets) of dimenhydrinate on a single occasion, confusion and violence can result.<sup>4</sup>

Initially, short-term use of ephedra, ephedrine or pseudoephedrine will dilate the bronchial tubes, increase blood pressure and heart rate, boost energy and suppress appetite. An individual might also experience sleeplessness, restlessness, irritability, headache, nausea, vomiting and urinary disorders.<sup>10</sup>

Many of the over-the-counter preparations can have significantly more serious side effects when combined with alcohol.

### **Long-term Effects**

Sleep aids containing diphenhydramine that are abused repeatedly can result in narcolepsy, or a disruption of regular sleep patterns.<sup>11</sup> Daytime drowsiness, psychomotor impairment and learning impairment are known to occur with chronic abuse of diphenhydramine.

Excessive use of dimenhydrinate (Gravol® specifically) over an extended period of time is known to create problems for the user that include depression, confusion, loss of energy, vomiting, urine retention and difficulty thinking and socializing.<sup>8</sup> More recently, reports have emerged of dimenhydrinate abuse masquerading as psychiatric disorders (primarily depression that is often resistant to treatment) among adolescents.<sup>4</sup>

Extended use of ephedrine can lead to tolerance and dependence.

## **Toxic Effects**

Dimenhydrinate taken at extreme levels resulting in overdose can manifest as confusion, irrational behaviour, loss of muscle coordination, high fever and convulsions. As well, serious heart and breathing issues may occur and can be followed by coma. Children will generally experience these symptoms at lower doses than an adult. Overdoses can be fatal.<sup>8</sup>

Dextromethorphan, when combined with decongestants (which is often the case in cold medications), has on rare occasions caused hypoxic brain damage as a result of severe respiratory depression and a lack of oxygen to the brain.<sup>3</sup>

Dextromethorphan-based cough remedies contain other ingredients (i.e. acetaminophen, Tylenol®) that, if used excessively, can cause serious health effects, including liver damage.<sup>12</sup>

Ephedrine, caffeine and phenylpropanolamine are just some of the dangerous substances found in diet pills. All of these substances act as stimulants to the central nervous system and can have serious and potentially fatal side effects.<sup>13</sup>

Ephedrine's toxic effects include hypertension and tachyarrhythmias and potentially death. This medication is particularly dangerous when combined with heart drugs, such as digitalis, blood pressure medication, monoamine oxidase (MAO) inhibitors and ergot-based migraine drugs. Symptoms of overdose include severe sweating, enlarged pupils, spasms and increased body temperature.<sup>10</sup>

## **Tolerance and Dependence**

Chronic use of dimenhydrinate can result in tolerance and dependence. Tolerance will occur within only a few days.<sup>8</sup>

Chronic use of ephedrine can lead to tolerance and dependence.<sup>10</sup>

## **Withdrawal**

Dimenhydrinate (Gravol®) users who have been abusing the drug or using for an extended period of time will experience a range of withdrawal symptoms that include excitability, agitation, weakness, clumsiness, discomfort, poor appetite, stomach cramps, nausea and hostility.<sup>4,8</sup>

## **Legal Issues**

In Canada, over-the-counter drugs are regulated by Good Manufacturing Process (GMP) to ensure the overall quality and consistency of marketed drug products. Health Canada is in the process of adopting a more extensive approach to management of over-the-counter drugs that include active pharmaceutical ingredients. This approach will hold manufacturers accountable for specific drug formulations and the resulting proprietary mixtures.<sup>14</sup>

In Manitoba, certain formulations containing Class III drugs must be physically located close to the pharmacist to ensure appropriate and considered supervision of the purchase of these formulations.<sup>15</sup>

Certain pharmacies in Manitoba have made the decision to place specific products from Class III drugs behind the counter despite there not being a requirement to do so. This may occur when the demographic profile of their customer base indicates potential for misuse of these products.

Other products are voluntarily placed behind the counter to ensure closer monitoring of their use to help prevent abuse.

## **Risks & Other Harms**

Abusers who inject the drug expose themselves to additional risks, including contracting human immunodeficiency virus (HIV), hepatitis B and C and other blood-borne viruses.<sup>8</sup>

As is the case in any abuse of licit and illicit drugs, there are potential adverse consequences related to the law, a person's financial situation, family relationships, and generally putting oneself at risk by participating in unsafe behaviours while under the influence of the drug.<sup>5</sup>

## **Pregnancy & Lactation<sup>16</sup>**

Cough medicines and decongestants: The vast majority of research relevant to over-the-counter drugs as they relate to pregnancy is in terms of risk of malformations. Generally speaking, if women need to use a very specific medication to treat cold symptoms during pregnancy, there is no significant evidence of harm to the fetus; however, any use of over-the-counter medications should be of limited use for a short duration of time.

Consultation with a health care professional should precede use of any medication during pregnancy or lactation.

Use of any over-the-counter medication that is in excess of the recommended dosage or is used for an extended period of time has the potential to cause difficulties and should be avoided without the specific recommendation of a doctor or other health care professional.

## **Interventions**

When drug abuse involves over-the-counter medications, health care professionals such as doctors and pharmacists may be in an ideal position to provide information and referrals for treatment. Good communication is an essential risk management tool for all patients. A comprehensive medical history puts physicians and other health care professionals in the best position to determine appropriate clinical interventions.<sup>1</sup>

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A rigorous approach to determining a person's relationship to all drug classes involves:

- asking questions at the initial and subsequent appointments about prescription, over-the-counter, herbal and illicit drug use regardless of a presumption someone misusing drugs might not be honest in their responses.
- providing appropriate containers where patients can dispose of unused medications, both prescription and over-the-counter varieties.
- referring patients who have drug misuse issues to appropriate programs.<sup>1</sup>

Treatment can involve detoxification, including rapid detoxification techniques, and traditional behaviour-oriented therapies, such as individual counselling, group or family therapy, contingency management and cognitive-behavioural therapies.<sup>2</sup>

Any treatment strategy used with those abusing over-the-counter drugs must take into account the specific needs of the individual, as well as the particular substance being abused. This principle is the same for treatment of those who abuse both legal and illegal substances.

## Substance Use & Mental Health

- Substance use and mental health problems can often occur together. This is commonly referred to as a co-occurring disorder.
- Substance use may increase the risk of mental health problems.
- People with mental health problems are at higher risk of developing substance abuse problems:
  - Sometimes they use alcohol and other drugs in an attempt to relieve themselves from mental health symptoms.
  - For most people alcohol and other substance use only covers up the symptoms and may make them worse.

**Remember:** A person's experience with any drug can vary. Here are a few of the many things that may affect the experience: the amount and strength of the drug taken, the setting, a person's mood and expectations before taking the drug, gender, overall health, past experience with that drug and whether more than one drug is being used at the same time. Using alcohol and other drugs at the same time can also be dangerous.

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The Addictions Foundation of Manitoba (AFM) offers a broad range of prevention and treatment services for alcohol, other drugs and gambling. These are designed to meet the needs of all Manitobans and include harm reduction and abstinence-based programs.

For more information, contact your local AFM office or visit our website: [www.afm.mb.ca](http://www.afm.mb.ca).

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