RGH Pharmacy E-Bulletin

Volume 23 (1): July 24, 2006

A joint initiative of the Patient Services Section and the Drug and Therapeutics Information Service of the Pharmacy Department, Repatriation General Hospital, Daw Park, South Australia. The RGH Pharmacy E-Bulletin is distributed in electronic format on a weekly basis, and aims to present concise, factual information on issues of current interest in therapeutics, drug safety and cost-effective use of medications.
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Caffeine

Caffeine is a methylxanthine compound that is similar in structure to theophylline. Caffeine inhibits the enzyme phosphodiesterase and has an antagonistic effect at central adenosine receptors. It is a CNS stimulant and can produce a condition of wakefulness and increased mental activity. It also has some weak effects on the respiratory centre to increase rate and depth of respiration.

Caffeine is found in a variety of sources including coffee, black tea, green tea, oolong tea, cola nut, guarana, and mate. Apart from these natural sources, caffeine is found in many commercially available over the counter and prescription products. It is becoming increasingly popular as an appetite suppressant in many weight reduction products.

The amount of caffeine in coffee varies but is of the magnitude of around 100 mg per cup (range 40-180 mg). As doses reach 250-300 mg per day, chronic use can lead to tolerance and psychological dependence. The fatal oral dose is estimated to be 10-14 gram but serious toxicity can occur at lower doses.

Caffeine is absorbed readily after oral administration and is widely distributed in the body. It crosses the placenta and achieves low concentrations in breast milk. Caffeine is almost completely metabolised in the liver and has an elimination half life of 3-7 hours in adults and up to 100 hours in neonates (due to hepatic metabolism not being fully developed).

Caffeine can be used for the effective management of headache, including migraine headache and post-operative headache. Other indications that have been advocated with varying degrees of evidence include enhancement of mental alertness, asthma, diabetes, gallbladder disease, hypotension, neonatal apnea, acute respiratory depression, increased length of seizure with electroconvulsive therapy, Parkinson's disease, postdural puncture headache, postprandial hypotension, weight loss and enhancing athletic performance. There is limited evidence for the use of caffeine in attention deficit-hyperactivity disorder.

Some of the more common adverse effects associated with caffeine include insomnia, nervousness, gastric irritation, nausea, vomiting, tachycardia, increased respiration rate, tremors, delirium, convulsions and diuresis. Other effects include headache, anxiety, agitation, tinnitus, hypokalemia, respiratory alkalosis, chest pain, premature ventricular contractions and arrhythmia. Withdrawal abruptly from caffeine can cause irritability, lethargy and headache.

There are many interactions with caffeine and other herbal/ conventional medications. Caffeine is often combined with ephedra (Ma huang) in weight loss and athletic supplement preparations and can cause hypertension, myocardial infarction, stroke, seizures and death. Drugs that reduce caffeine's clearance through inhibition of metabolism include cimetidine, disulfiram, fluconazole, fluvoxamine, mexilitine, oral contraceptives, quinolone antibiotics, terbinafine and verapamil. Drugs that caffeine reduces the clearance of include clozapine and theophylline.

Acknowledgment – This E-Bulletin is based on work by Scott Boerth, Clinical Pharmacist, RGH

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