METHYLPHENIDATE
(Trade Names: Ritalin- (IR, LA, and SR), Concerta, Metadate- (CD and ER), Methylin- (IR and ER) and Focalin- (IR and ER))

Introduction:
Methylphenidate (methyl-alpha-phenyl-2-piperidine-acetate hydrochloride) is a central nervous system (CNS) stimulant that has been marketed in the United States since the 1950s. For many years, Ritalin® (immediate release (IR) product), was the only brand-name product available. In recent years, other IR, extended release (ER), and long acting (LA) methylphenidate products have entered the market. These products are primarily prescribed to children for the treatment of attention deficit hyperactivity disorder (ADHD).

Domestic and worldwide use of methylphenidate has increased dramatically since 1990. According to the United Nations International Narcotic Control Board (INCB) report, the United States is the main consumer of methylphenidate accounting for about 69 percent of the global medical use of methylphenidate in 2011.

Licit Use:
Methylphenidate is used almost exclusively for the treatment of ADHD. There is a considerable body of literature on the short-term efficacy of methylphenidate pharmacotherapy for the treatment of ADHD. However, attentional improvement is not diagnostic of ADHD. There is no diagnostic test that can confirm an ADHD diagnosis.

Recent data suggests that some children may continue to have significant ADHD-symptoms into adulthood. As a consequence, the prescription of methylphenidate for individuals 18 and older is the methylphenidate market. The IMS Health™ reported approximately significant ADHD-symptoms into adulthood. As a consequence, the ADHD. There is no diagnostic test that can confirm an ADHD diagnosis.

Chemistry/Pharmacology:
Methylphenidate is a CNS stimulant and produces a number of effects including appetite suppression, increased alertness and increases in blood pressure, heart rate, respiration, and body temperature. Almost complete absorption of IR methylphenidate occurs after oral administration with peak plasma levels in about 2 hours. It is extensively metabolized and about 80% of the dose is excreted in the urine as ritalinic acid. Only 20% of the administered oral dose is bioavailable due to extensive first-pass metabolism.

Biochemically, methylphenidate enhances the release and blocks the reuptake of dopamine (DA) and norepinephrine (NE) in mammalian brain. Pharmacologically, methylphenidate is most closely related to cocaine. In human subjects, methylphenidate binds to the same receptor sites as cocaine in the brain and produces effects that are indistinguishable from cocaine.

Illicit Use:
Like other potent stimulants, methylphenidate is abused for its “feel good” stimulant effects. The occasional abuser may use methylphenidate as a study aid to increase attention and stay awake. Others may use methylphenidate recreationally and combine it with alcohol or some other depressant to feel more alert or less drunk. Serious methylphenidate abusers often snort or inject methylphenidate for its intense euphoric effects or to alleviate the severe depression and craving associated with a stimulant withdrawal syndrome.

Illicit Distribution:
Unlike other potent stimulants, there is no clandestine production of methylphenidate and diverted pharmaceutical products are the only source for abuse purposes. Methylphenidate is obtained from fraudulent prescriptions, doctor shopping, pharmacy theft and from friends or associates who have obtained the drug through a prescription.

Control Status:
Methylphenidate is a Schedule II substance under the Controlled Substances Act.

Comments and additional information are welcomed by the Office of Diversion Control, Drug and Chemical Evaluation Section. Fax 202-353-1263, Telephone 202-307-7183, or Email ODE@usdoj.gov.