

METHYLPHENIDATE

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

Introduction:

Methylphenidate is a central nervous system (CNS) stimulant that has been marketed in the United States since the 1950s. For many years, Ritalin (the 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 become available. 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 report, the United States is the main consumer of methylphenidate, accounting for about 69% of the global medical use of methylphenidate in 2011.

Licit Uses:

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 suggest that some children may continue to have significant ADHD symptoms into adulthood. Consequently, the prescription of methylphenidate for individuals 18 and older is the most rapidly growing market. Longer acting products, primarily Concerta, have gained a significant share of the total methylphenidate market. According to the IQVIA National Prescription Audit™, total prescriptions for methylphenidate or dexamethylphenidate dispensed in the United States were approximately 21.8 million in 2022, 23.7 million in 2023, and 24.5 million in 2024.

Chemistry:

Methylphenidate is chemically known as methyl- α -phenyl-2-piperidine-acetate hydrochloride. The CAS number for methylphenidate is 113-45-1. The molecular weight is 233.31 g/mol, with a molecular formula of $C_{14}H_{19}NO_2$. The chemical structure of methylphenidate is shown below:



Pharmacology:

Methylphenidate is a CNS stimulant that produces numerous 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. Methylphenidate is extensively metabolized; 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 and norepinephrine in mammalian brain. Pharmacologically, methylphenidate is most closely related to cocaine. In human subjects, methylphenidate binds to the same receptor sites in the brain as cocaine and produces effects that are indistinguishable from cocaine.

Illicit Uses:

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 stimulant withdrawal syndrome.

America’s Poison Centers reported that in 2022, methylphenidate was associated with 9,260 case mentions and 6,104 were single exposures; of these exposures, 4,766 were unintentional and 1,188 were intentional.

User Population:

A wide spectrum of the population has abused methylphenidate products. The primary abusers are individuals younger than 25 years of age, who often obtain methylphenidate from a friend or classmate to use this drug as a study aid or to party.

The 2024 Monitoring the Future Survey reported that 0.7% of 8th graders, 0.9% of 10th graders, and 1.1% of 12th graders reported nonmedical use of Ritalin in the past year.

The National Survey on Drug Use and Health estimated that in 2023, 381,000 people (aged 12 years of older) reported using methylphenidate products in the previous year for nonmedical purposes.

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.

The Drug Enforcement Administration’s National Forensic Laboratory Information System (NFLIS) Drug database collects scientifically verified data on drug items and cases submitted to and analyzed by participating federal, state, and local forensic drug laboratories. NFLIS-Drug received 2,469 reports of methylphenidate in 2013, which have since declined to 811 in 2022, 717 in 2023, and 454 in 2024 (reports still pending).

Control Status:

Methylphenidate is controlled in schedule II of the Controlled Substances Act.

Comments and additional information are welcomed by the Drug and Chemical Evaluation Section; Fax 571-362-4250, Telephone 571-362-3249, or Email DPE@dea.gov.