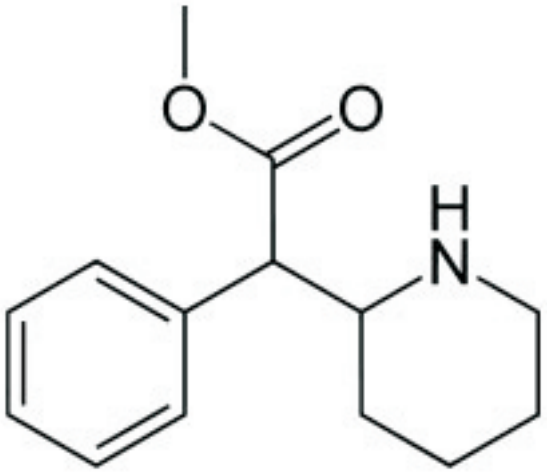
Taj Pharmaceuticals Ltd.

Methylphenidate

CAS No. 113-45-1

Systematic (IUPAC) name
methyl phenyl(piperidin-2-yl)acetate
Identifiers
CAS number 113-45-1
ATC code N06BA04
PubChem 4158
DrugBank APRD00657
ChemSpider 4015

Chemical data
Formula C14H19NO2
Mol. mass 233.31 g/mol
SMILES eMolecules & PubChem
Pharmacokinetic data
Bioavailability 11–52%
Protein binding 30%
Metabolism Liver
Half life 2–4 hours
Excretion Urine
Therapeutic considerations
Pregnancy cat. C
Routes Oral, Transdermal, IV, Nasal



Methylphenidateis the most commonly prescribed psychostimulant and is indicated in the treatment of attention-deficit hyperactivity disorder, Postural Orthostatic Tachycardia Syndrome and narcolepsy, although off-label uses include treating lethargy, depression, neural insult, and obesity. In North America it is most commonly known as the brand name Ritalin, which is an instant-release racemic mixture, although a variety of brand names and formulations exist.Methylphenidate is a potent central nervous system stimulant derived from amphetamine, and is thought to exert its effect by increasing dopaminergic stimulation in the brain.

History

Methylphenidate was patented in 1954 by the CIBA pharmaceutical company (now Novartis) as a potential cure for Mohr's disease Beginning in the 1960s, it was used to treat children with ADHD or ADD, known at the time as hyperactivity or minimal brain dysfunction (MBD). Today methylphenidate is the most commonly prescribed medication to treat ADHD around the world.Production and prescription of methylphenidate rose significantly in the 1990s, especially in the United States, as the ADHD diagnosis came to be better understood and more generally accepted within the medical and mental health communities.

Attention deficit hyperactivity disorder Methylphenidate is approved by the FDA for the treatment of attention-deficit hyperactivity disorderThe addition of behavioural modification therapy (e.g. CBT) has additional benefits on treatment outcomeThere is a lack of evidence of the effectiveness in the long term of beneficial effects of methylphenidate with regard to learning and academic performance.A meta analysis of the literature concluded that methylphenidate quickly and effectively reduces the signs and symptoms of ADHD in children under the age of 18 in the short term but found that this conclusion may be biased due to the high number of low quality clinical trials in the literature. There have been no placebo controlled trials investigating the long term effectiveness of methylphenidate beyond 4 weeks thus the long term effectiveness of methylphenidate has not been scientifically demonstrated.

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Serious concerns of publication bias regarding the use of methylphenidate for ADHD has also been noted.A diagnosis of ADHD must be confirmed and the benefits and risks and proper use of stimulants as well as alternative treatments should be discussed with the parent before stimulants are prescribed.The dosage used can vary quite significantly from individual child to individual child with some children responding to quite low doses whereas other children require the higher dose range. The dose therefore should be titrated to an optimal level which achieves therapeutic benefit and minimal side effectsTherapy with methylphenidate should not be indefinite. Weaning off periods to assess symptoms are recommended.

Pregnancy Implications

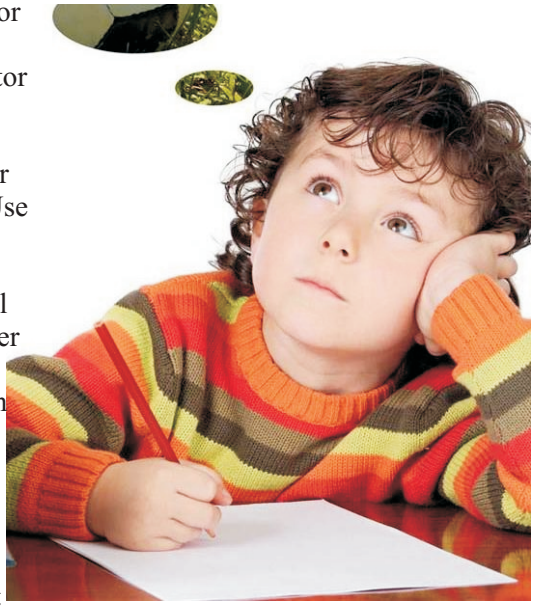
There are no well-controlled studies establishing safety in pregnant women. Animal studies have shown teratogenic effects to the fetus. Do not use in women of childbearing age unless the potential benefit outweighs the possible risk.

Lactation

Excretion in breast milk unknown/use caution

Contraindications

Hypersensitivity to methylphenidate, any component of the formulation, or idiosyncrasy to sympathomimetic amines; marked anxiety, tension, and agitation; glaucoma; use during or within 14 days following MAO inhibitor therapy; Tourette's syndrome or tics



Warnings/Precautions:

Has demonstrated value as part of a comprehensive treatment program for ADHD. Safety and efficacy in children <6 years of age not established. Use with caution in patients with bipolar disorder, diabetes mellitus, cardiovascular disease, hyperthyroidism, seizure disorders, insomnia, porphyria, or hypertension. Use caution in patients with history of ethanol or drug abuse. May exacerbate symptoms of behavior and thought disorder in psychotic patients. Do not use to treat severe depression or fatigue states. Potential for drug dependency exists - avoid abrupt discontinuation in patients who have received for prolonged periods. Visual disturbances have been reported (rare). Stimulant use has been associated with growth suppression. Growth should be monitored during treatment. Stimulants may unmask tics in individuals with coexisting Tourette's syndrome. should not be used in patients with esophageal motility disorders or pre-existing severe gastrointestinal narrowing (small bowel disease, short gut syndrome, history of peritonitis, cystic fibrosis, chronic intestinal pseudo-obstruction, Meckel's diverticulum).

Stability

Immediate release tablet: Do not store above 30°C (86°F); protect from light Extended release capsule: Store in dose pack provided at 25°C (77°F) Sustained release tablet: Do not store above 30°C (86°F); protect from moisture Osmotic controlled release tablet Store at 25°C (77°F); protect from humidity

Mechanism of Action

Mild CNS stimulant; blocks the reuptake mechanism of dopaminergic neurons; appears to stimulate the cerebral cortex and subcortical structures similar to amphetamines

Methylphenidate is a medication prescribed for individuals (usually children) who have attention-deficit hyperactivity disorder (ADHD), which consists of a persistent pattern of abnormally high levels of activity, impulsivity, and/or inattention that is more frequently displayed and more severe than is typically observed in individuals with comparable levels of development.The pattern of behavior usually arises between the ages of 3 and 5, and is diagnosed during the elementary school years due to the child's excessive locomotor activity, poor attention, and/or impulsive behavior.

Most symptoms improve during adolescence or adulthood, but the disorder can persist or present in adults. It has been estimated that 3–7 percent of school-age children have ADHD. Methylphenidate also is occasionally prescribed fortreating narcolepsy.

Health Effects

Methylphenidate is a central nervous system (CNS) stimulant. It has effects similar to, but more potent than, caffeine and less potent than amphetamines. It has a notably calming and "focusing" effect on those with ADHD, particularly children.

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The Controlled Substances Act (CSA) was enacted into law by the Congress of the United States as Title II of the Comprehensive Drug Abuse Prevention and Control Act of 1970.[1] The CSA is the federal U.S. drug policy under which the manufacture, importation, possession, use and distribution of certain substances is regulated. The Act also served as the national implementing legislation for the Single Convention on Narcotic Drugs.

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