



Methylphenidate - Appraisalment of Clinical Indications and Contraindications

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Case Report

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Abstract

Introduction: The prescription of methylphenidate still generates controversies regarding the safety and risk.

Objectives: To discuss the current use of methylphenidate, being under medical supervision or not.

Methods: For this literature review, scientific articles were used as a basis, from sites such as SCIELO, PUBMED in the English and Portuguese languages, from the 1990s to the year 2020.

Conclusions: Although some risks with their ingestion are reported, these end up not exceeding the benefits that the drug can provide in the treatment of people are reported with attention deficit and hyperactivity disorder.

Keywords: Methylphenidate; Amphetamine; Attention deficit hyperactivity disorder; Psychological; Subtypes Mild

Introduction

The questions involved in the administration of methylphenidate still generate controversies regarding the safety and risk of its consumption. The possibility of side effects, as well as the inability to guarantee the expected results is not decisive factors in preventing consumption, which indicates that the universality of risk performance is consistent with current values [1].

We intended to discuss the current use of methylphenidate, being under medical supervision or not. The possible side effects and benefits of using this famous medicine are analyzed.

Methodology

For this literature review, scientific articles were used as a basis, from sites such as SCIELO, PUBMED in the English and Portuguese languages, from the 1990s to the year 2020.

Clinics

Methylphenidate increases the dopaminergic signal by blocking dopamine transporters. Its acute form reduces the intrinsic functional connectivity between the thalamus and the prefrontal lateral cortex based on regional differences and the expression of dopamine transport.

Methylphenidate is an amphetamine derivative, having been used in the 1950s to treat chronic fatigue and narcolepsy [2]. At that time, its use was not related to psychiatric syndromes. It was mainly employed for weight loss, improving athletic performance and as self-medication to improve intellectual activities [3]. Its effects begin from 20 to 60 minutes after injection, with a peak of action around 2 hours lasting from three to five hours [4].

Methylphenidate pharmacokinetics: after oral administration its active substance (methylphenidate hydrochloride) is rapidly and almost completely absorbed.

Intake with food has no relevant effects on absorption. Biotransformation occurs through the fast and extensive carboxylesterase CES1A1. Methylphenidate is eliminated from the plasma with a half-life of 2 hours. After oral administration, 78 to 97% of the dose is excreted in the urine and 1 to 3% in the feces via metabolites [4].

In Brazil, according to the ordinance updated by ANVISA resolution 18/2003, the drug is described as a psychotropic substance of international control, subject to a special notification type, which signals it as a narcotic “substance that can determine physical or psychological dependence listed as such in the lists approved by the Single Convention on Narcotic Drugs [5].

Like any kind of medication, methylphenidate does not bring only benefits, as it can cause risks to the patient's health depending on its dose and time of use. Some effects can be seen, not as dependent on the medication, but on the underlying disease. Clinical complaints such as anxiety, sadness and stare are reported. The most frequent effects related to the use of the drug are insomnia and loss of appetite [6].

Table with the main side effects caused by methylphenidate:

Frequency of the appearance of fallouts in patients using methylphenidate with low dose (0,3 mg/kg), high dose (0,5 mg/kg) and placebo.

Fallouts	Placebo	Low dose	High dose
Reduction of appetite	15	52	56
Insomnia	40	62	68
Abdominal pain	18	39	35
Headache	11	26	21
Propensity of cry	49	59	54
Twitcher	18	18	28
Giddiness	4	10	7
Anxiety	58	58	52

Table 1: Table with the main side effects caused by methylphenidate.

Modified of Barkley RA, MC Murray MB, Edelbrock CS, et al. Side effects methylphenidate in children with attention deficit hyperactivity disorder a systemic placebo-controlled evaluation pediatrics 1990 86(2): 184-192.

Systemic effects are assed in several studies. It is mentioned that its abusive use leads to shots of apoptotic

casades, which can cause brain deficiency. In its therapeutic application, this drug reduces motor restlessness, improves status of concentration, attention and memory [7-10]. In relation to the central nervous system, it increases the state of wakefulness, reduces fatigue, raises the state of mind and promotes a slight euphoria [11].

Its main application is focused on people with attention deficit hyperactivity disorder (ADHD) [12]. The disorder was first described as a transient and childhood disorder, which did not reach adolescence. However, studies have shown that ADHD is currently described as a psychiatric disorder that can last for the entire life of the patient, requiring continuous treatment [13].

The psychiatric diagnosis of ADHD is very common in childhood [14]. As many as 3 to 5% of children in school age presented this diagnosis [15-17]. Males have more incidence of ADHD: 1.5 boys to 1 girl. However, a considerable difference is noticed when comparing sex with the demand for care in child psychiatric outpatient clinics. In this case, the rate of 9 boys to 1 girl can be observed. It is admitted that this discrepancy is due to the more aggressive behavior related to the male gender [17-21]. Treatment with methylphenidate in ADHD has been associated with improvement in two executive functions, related to the prefrontal cortex, and memory deficits dependent on hippocampal activity [10,11,14,16-20,22-26]. Most scientific publications, which address ADHD, confirm the existence of advantages in using methylphenidate, which is considered indispensable while treating this disorder. It has been confirmed that the use of methylphenidate causes a remission of the symptoms of ADHD, improvement in academic and academic performance, good tolerance to medication and its “anti-addiction” effect by reducing the risks of drug abuse in youth [19,27].

Discussion

Methylphenidate was synthesized by the Swiss Leandro Panizzon and gradually began to be commercialized in several countries as a psychostimulant [28]. Ritalin (commercial form of methylphenidate) in the 1950s was mainly indicated for elderly people to improve fatigue [3]. In the year 1960, psychiatrist Leon Eisenberg published a study on the use of methylphenidate in learning disorders, as soon as it began to be understood that psychostimulants can reduce agitation in the so-called agitated children [29].

The third edition of the American Psychiatric Society book (DSM-III- 1980) considered the diagnosis of attention deficit as a psychiatric category with this new description, methylphenidate has increasingly reached the first-line treatment space. When the fourth edition of the book (DSM-IV) was launched in 1994, the diagnosis of attention deficit

was changed to attention deficit hyperactivity disorder [23,29]. Three subtypes were described: the predominantly inattentive, the predominantly hyperactive and the combined [23]. The fifth edition (DSM-V -2013) established criteria for the diagnosis of ADHD in adults with the subtypes mild, moderate and severe. Currently, one evident increase in use was observed for the purpose of improving cognitive performance, with satisfactory results [30]. Ritalin is also used improperly by young people in order to reduce hunger, residual fatigue and to enhance physical and mental performance [1]. Currently, the sale of this medication is illegal, without a medical prescription, which helps to harm the health of many people in our country [31-48].

Conclusions

Since methylphenidate was synthesized, its use has increased until reaching the place of the most widely used psychostimulant in the world. Although there are risks with their ingestion, these end up not exceeding the benefits that the drug can provide in the treatment of people with attention deficit and hyperactivity disorder. The widest problem related to this drug is the indiscriminate use, which can lead people without any medical indication to acquire drug dependence, with serious damage to their physical and mental health.

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