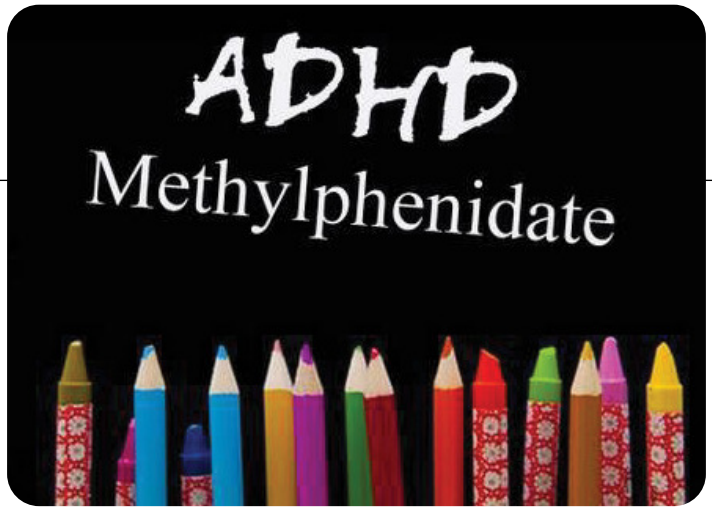
Methylphenidate Related New Psychoactive Substances

BMF 78 - Methylphenidate Related New Psychoactive Substances

Methylphenidate (Ritalin) is a prescription only medication used for the treatment of attention deficit hyperactivity disorder (ADHD). It also has an unlicensed application in the treatment of narcolepsy. Due to its stimulant effects it is under International control, appearing in Schedule II of the Convention on Psychotropic Substances of 1971 (Green list). The recommended dosage for children between 6 and 18 years is a maximum of 60mg daily in 2-3 divided daily doses. Tablets are available as 5, 10 or 20mg. Serum therapeutic concentrations of methylphenidate are between 5-60ng/mL and toxicity has been observed with concentrations exceeding 500ng/mL.

Methylphenidate's mode of action is to inhibit reuptake of the neurotransmitters noradrenaline and dopamine, resulting in increases in the synaptic cleft. It enables users to counter the inattentiveness, hyperactivity and impulsiveness associated with ADHD. Reasoning, organisation, problem solving, and planning are enhanced whilst on methylphenidate therapy. It also increases alertness, helps combat fatigue, and improves attention. The psychostimulant effect of methylphenidate has caught the attention of those in search of 'cognitive enhancement' or 'smart drugs'. The attractiveness of such compounds has been highlighted by recent blockbusters such as Limitless and Lucy.



Ethylphenidate, the ethyl homologue of methylphenidate, is formed in vivo when methylphenidate is ingested in combination with ethanol, similar to the formation of cocaethylene when cocaine is co-ingested with ethanol. It is likely to contribute to the overall drug effect. In 2011 the commercial availability and recreational use of ethylphenidate, was reported for the first time to the European Monitoring Centre for Drugs and Drug Addiction (EMCDDA) by the UK. Drug forum discussions describing its use date back to 2010. In approximate order of appearance it has since been reported in Sweden, Finland (2012), Denmark, France, Spain, Lithuania, Hungary (2013), Slovenia, Croatia, Luxembourg and Italy (2014). Ethylphenidate follows the same trend as many other NPS in crossing over the boundary from scientific research literature to commercial on-line availability.

Ethylphenidate is/was retailed on-line as a research chemical, or sold under the brand names Nopaine and Gogaine among others. As the names imply it is promoted as an alternative to cocaine. On International internet forums users describe their experiences with the drug as, pleasurable, bringing about stimulation, euphoria and cognitive enhancement. Indecisiveness and anxiety were reported as unwanted side effects. Physical manifestations included elevated temperature, heart rate and blood pressure as well as chest pain, palpitations, nasal pain and irritation, bruxism, and abdominal pain.

Ethylphenidate is available as powder, crystals or pellets (50mg) and has been found in combination with Methiopropamine (MPA), 5,6-Methylenedioxy-2-aminoindane (MDAI), 2-Aminoindane (2-AI) and 5'-methoxy-N,N-diallyltryptamine (5-MeO-DALT). Phenyethylamine, ephedrine, caffeine, lidocaine, benzocaine and mannitol have also been reported. Nasal insufflation appears to be the most common route of administration but, injecting is popular among certain populations. Compulsive re-injecting and other high risk practices are a particular concern among drug injecting users. Smoking has also been described. Addiction and rapid tolerance following repeated dosing are common features.

Analytically confirmed case reports for ethylphenidate are still sparse. Kruegar et al. reported the first detection in post mortem samples in 2014. Femoral blood concentrations of 110ng/mL and 23ng/mL were measured in two different cases, and ethylphenidate was detected in all other matrices sampled (liver, pericardium fluid, liver, urine, stomach contents and hair). In the absence of comparative data ethylphenidate concentrations were compared to reference levels for methylphenidate and were estimated to be below the lethal range. More recently Bailey et al. reported three cases of toxicity following recreational use and admission to the emergency department. Ethylphenidate was detected at a concentration of 240ng/mL in the blood of one the admissions. Both authors reported detection of methylphenidate and ritalinic acid as a result of de-ethylation and subsequent metabolism. Analytical monographs for ethylphenidate have been published in the Microgram Journal and the SWGDRUG website.

Ethylphenidate was the first, and is the most common of the methylphenidate related compounds to have emerged so far. This was followed by 3,4-dichloromethylphenidate (3,4-DCMP/3,4-CTMP) in 2013, methylnaphthidate (HDMP-28) in 2014 and both isopropylphenidate (IPPD) and propylphenidate in 2015 (see table 1). These compounds are retailed on-line for as little as €30 per gram. Information on the neurochemical properties of these compounds is limited.

Ethylphenidate is controlled in several European countries; Austria, Germany, Denmark, Sweden, Hungry, Turkey and Portugal and is captured by analogue legislation in the US and Australia. On the 10th April 2015 the UK controlled these five methylphenidate related compounds under a Temporary Class Drug Order (TCDO), pending a 12 month review of their harms. Following the announcement of the TCDO, which restricts sale but not possession, 50-70% discounted stock clearances followed and two new compounds, not captured by the legislation; Ethylnapthidate (HDEP-28) and 4-Methylmethylphenidate (4-MeTMP) emerged (see figures 1 and 2). Many more analogues are possible and fluorinated versions appear to be the next logical step. It is unclear whether these are proactive responses to regulation or whether these compounds would have appeared regardless. The sceptics may argue that law makers are driving the cycle.

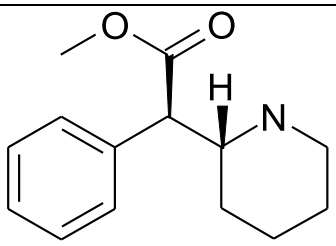
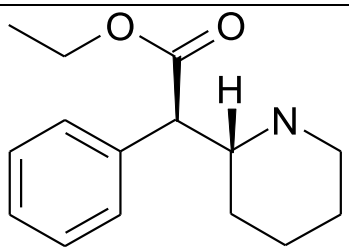
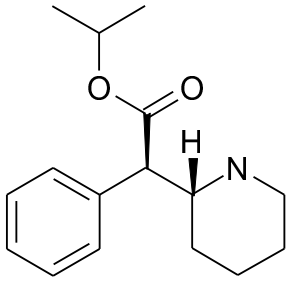
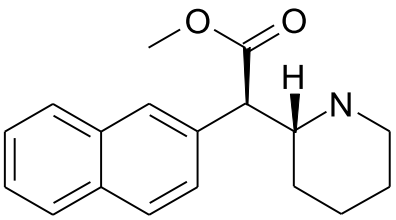
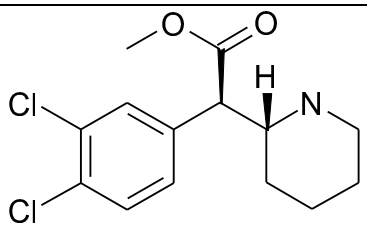
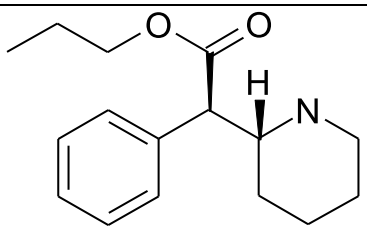
METHYLPHENIDATE	ETHYLPHENIDATE	ISOPROPYLPHENIDATE
		
METHYLNAPHTHIDATE	3,4-DICHLOROMETHYLPHENIDATE	PROPYLPHENIDATE
		

Table 1. Chemical structure of methylphenidate and analogues under TCDO.

UK TEMP BAN ON PHENIDATES STARTS FRIDAY 10th

The Home Office today have made an announcement that a temporary ban (TCDO) on Ethylphenidate, Isopropylphenidate, HDMP-28 and 3,4-CTMP will be introduced.

IT WILL START AT 00.01 HOURS ON THIS FRIDAY 10TH APRIL 2015.

According to the Home Office, possession of a TCDO substance is not an offense, but making, supplying or importing is an offense.

The above does not constitute legal advice from us, it is just information from the Home Office Website

Links

Home Office Press Release

Legislation.gov.uk

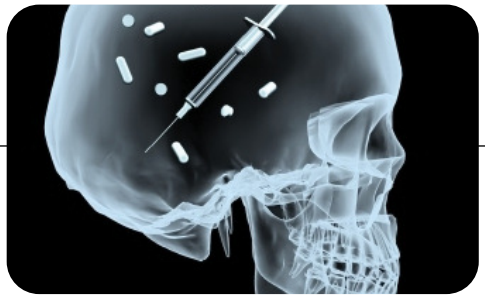
*Credit for stolen text (in a rush sorry brc) : BRC-FINECHEMICALS.COM

TAKE ADVANTAGE OF THE GREAT OFFERS WE HAVE ON Ethylphenidate, Isopropylphenidate, HDMP-28 and 3,4-CTMP WITH OVER 60-70% OFF!! LAST DISPATCH WILL BE AT 3PM TOMORROW (THURSDAY 9th 2015)

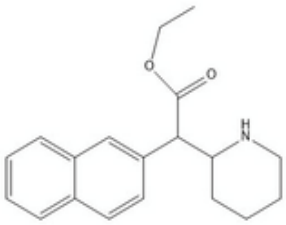
Analysis
Category 1
New Products
News
Stock Updates

Recent Posts
NEW PRODUCT! Ethylnaphthidate (HDEP-28)
UK TEMP BAN ON PHENIDATES STARTS FRIDAY 10th
Synthacaine Rock Back in Stock!
HDMP-28 NEW PRODUCT ANALYSIS
New UK LAWS come into place 7th January 2015

Figure 1. Flash sale of compounds under pending TCDO alongside new, uncontrolled replacement.



NEW PRODUCT! Ethylnaphthidate (HDEP-28)



Ethylnaphthidate (HDEP-28)

ethyl 2-(naphthalen-2-yl)-2-(piperidin-2-yl)acetate

Ethylnaphthidate Analysis (PDF)

The IUPAC name of this research compound is is ethyl 2-(naphthalen-2-yl)-2-(piperidin-2-yl)acetate

Ethylnaphthidate is the latest development from purechemicals.net, a pure white powder, with research results expected to be similar to the recently banned (TCDO) phenidates: (Ethylphenidate, Isopropylphenidate, HDMP-28 and 3,4-CTMP and propylphenidate)

Purechemicals are the first to stock this compound and little is known about its effects, please take caution when handeling this new novel research chemical.

IUPAC: ethyl 2-(naphthalen-2-yl)-2-(piperidin-2-yl)acetate
CAS#: N/A
Exact Mass: 297.40,
Molecular Weight: 297.40
Formula: C19H23NO2,
Formulation A crystalline solid
Purity ≥98%

Buy Ethylnaphthidate (HDEP-28) Now!

Figure 2. On-line sale of replacement compound which evade the UK TCDO.

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Chiron offer the following analytical standards for methylphenidate and related compounds.

Catalogue No.	Description (Abbreviation)	Cas No.	Concentration	Solvent	Pack
3,4-Dichloromethylphenidate (3,4-CTMP)					
10537.14-K-ME	3,4-Dichloromethylphenidate threo, racemic	214149-42-5	1000µg base/mL	methanol	1mL
10537.14-10MG			neat	neat	10mg
Ethylnaphthidate (HDEP-28)					
10993.19-K-ME	Ethylnaphthidate threo, racemic	N/A	1000µg/mL	methanol	1mL
10993.19-10MG			neat	neat	10mg
Ethylphenidate					
10478.15-100-ME	Ethylphenidate hydrochloride threo, racemic	214149-46-9	100µg base/mL	methanol	1mL
10478.15-K-ME			1000µg base/mL	methanol	1mL
10478.15-10MG			neat	neat	10mg
Isopropylphenidate					
10896.16-100-ME	Isopropylphenidate hydrochloride	1262795-94-7	100µg base/mL	methanol	1mL
10896.16-K-ME			1000µg base/mL	methanol	1mL
10896.16-10MG			neat	neat	10mg
Methylnaphthidate (HDMP-28)					
10964.18-K-ME	Methylnaphthidate threo, racemic	231299-82-4	1000µg/mL	methanol	1mL
10964.18-10MG			neat	neat	10mg
Methylphenidate (Ritalin)					
9409.14-K-ME	Methylphenidate hydrochloride threo, racemic	23655-65-4	1000µg base/mL	methanol	1mL
9409.14-10MG			neat	neat	10mg
9410.14-100-ME	Methylphenidate-d9 hydrochloride erythro+threo, racemic	1219904-02-0 (for unspecified stereochemistry)	100µg base/mL	methanol	1mL
9410.14-10MG			neat	neat	10mg
Propylphenidate (PPH)					
10944.16-K-ME	Propylphenidate hydrochloride threo, racemic	99088-50-3 (free base)	1000µg base/mL	methanol	1mL
10944.16-10MG			neat	neat	10mg
Ritalinic acid					
9411.13-100-ME	Ritalinic acid threo, racemic	5463-24-2 (free base)	100µg base/mL	methanol	1mL
9411.13-10MG			neat	neat	10mg
9411.13-200MG			neat	neat	200mg
10268.13-100-ME	Ritalinic acid hydrochloride threo, racemic	1382859-13-3	100µg base/mL	methanol	1mL
10268.13-10MG			neat	neat	10mg
10268.13-200MG			neat	neat	200mg
10175.13-100-ME	Ritalinic acid erythro, racemic	783256-74-6	100µg base/mL	methanol	1mL
10175.13-10MG			neat	neat	10mg
10680.13-10MG	Ritalinic acid hydrochloride erythro, racemic	783256-74-6 (free base)	neat	neat	10mg
10681.13-10MG	Ritalinic acid hydrochloride erythro+threo, racemic	19395-40-5	neat	neat	10mg
9412.13-100-ME	Ritalinic acid-d9 hydrochloride erythro+threo, racemic	127197-13-7 (for unspecified stereochemistry)	100µg base/mL	methanol	1mL
9412.13-5MG			neat	neat	5mg

For products, pack sizes and presentations not listed, please contact sales@chiron.no

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