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Contents

P	reface	3
1	Introduction	5
	1.1 Designer Drugs Definition	5
	1.2 Terminology	5
	1.3 New Trends of Drug Abuse	5
	1.4 Geographic Distribution of Abuse and Manufacture of the Designer Drugs	6
	1.5 Estimation of a Potential Number of the Designer Drugs	7
	1.6 Sources of Information about the Designer Drugs	7
	1.7 General References	8
2	Description of the Designer Drugs	9
	2.1 Psychotomimetic Phenethylamines 2.1.0 General References 2.1.1 MDMA and its Derivatives 2.1.1.1 General References 2.1.1.2 Data Sheets: MDMA and its Derivatives 2.1.2 Substitutes of MDMA 2.1.2.1 General References 2.1.2.2 Data Sheets: Substitutes of MDMA 2.1.3 Occasional MDMA Substitutes 2.1.3.1 General References 2.1.3.2 Data Sheets: Occasional MDMA Substitutes 2.1.4 Other Psychotomimetic Phenethylamines 2.1.4.1 General References 2.1.4.2 Data Sheets: Other Psychotomimetic Phenethylamines	11 13 14 15 25 26 27 43 44 45 53 55
	2.2 LSD Analogues 2.2.1 General References 2.2.2 Data Sheets: LSD Analogues	79 79 81
	2.3 Psychotomimetic Indolealkylamines2.3.1 General References2.3.2 Data Sheets: Psychotomimetic Indolealkylamines	83 84 87
	2.4 Synthetic Cannabinoids 2.4.1 General References	99 100

2.4.2 Data Sheets: Synthetic Cannabinoids	101
2.5 Phencyclidine and its Congeners2.5.1 General References2.5.2 Data Sheets: Phencyclidine and its Congeners	105 107 109
2.6 Deliriants2.6.1 General References2.6.2 Data Sheets: Deliriants	123 124 127
2.7 CNS Stimulants2.7.1 General References2.7.2 Data Sheets: CNS Stimulants	129 132 133
2.8 Synthetic Opiates2.8.1 General References2.8.2. Data Sheets: Synthetic Opiates	147 151 153
2.9. Metaqualone and its Analogues2.9.1 General References2.9.2 Data Sheets: Metaqualone and its Analogues	177 178 179
2.10 GHB 2.10.1 General References 2.10.2 Data Sheets: GHB	185 185 186
Subject Index	187
Street Names Index	201
Abbreviations	 209
Glossary	211

Contents

P	reface	3
1	Introduction	5
	1.1 Designer Drugs Definition	5
	1.2 Terminology	5
	1.3 New Trends of Drug Abuse	5
	1.4 Geographic Distribution of Abuse and Manufacture of the Designer Drugs	6
	1.5 Estimation of a Potential Number of the Designer Drugs	7
	1.6 Sources of Information about the Designer Drugs	7
	1.7 General References	8
2	Description of the Designer Drugs	9
	2.1 Psychotomimetic Phenethylamines 2.1.0 General References 2.1.1 MDMA and its Derivatives 2.1.1.1 General References 2.1.1.2 Data Sheets: MDMA and its Derivatives 2.1.2 Substitutes of MDMA 2.1.2.1 General References 2.1.2.2 Data Sheets: Substitutes of MDMA 2.1.3 Occasional MDMA Substitutes 2.1.3.1 General References 2.1.3.2 Data Sheets: Occasional MDMA Substitutes 2.1.4 Other Psychotomimetic Phenethylamines 2.1.4.1 General References 2.1.4.2 Data Sheets: Other Psychotomimetic Phenethylamines	11 13 14 15 25 26 27 43 44 45 53 55
	2.2 LSD Analogues 2.2.1 General References 2.2.2 Data Sheets: LSD Analogues	79 79 81
	2.3 Psychotomimetic Indolealkylamines2.3.1 General References2.3.2 Data Sheets: Psychotomimetic Indolealkylamines	83 84 87
	2.4 Synthetic Cannabinoids 2.4.1 General References	99 100

2.4.2 Data Sheets: Synthetic Cannabinoids	101
2.5 Phencyclidine and its Congeners2.5.1 General References2.5.2 Data Sheets: Phencyclidine and its Congeners	105 107 109
2.6 Deliriants2.6.1 General References2.6.2 Data Sheets: Deliriants	123 124 127
2.7 CNS Stimulants2.7.1 General References2.7.2 Data Sheets: CNS Stimulants	129 132 133
2.8 Synthetic Opiates2.8.1 General References2.8.2. Data Sheets: Synthetic Opiates	147 151 153
2.9. Metaqualone and its Analogues2.9.1 General References2.9.2 Data Sheets: Metaqualone and its Analogues	177 178 179
2.10 GHB 2.10.1 General References 2.10.2 Data Sheets: GHB	185 185 186
Subject Index	187
Street Names Index	201
Abbreviations	209
Glossary	211

Preface

This book is intended to serve as a quick reference handbook on so called designer drugs. These new, mainly synthetic compounds are also often referred to as analogues of controlled substances. These powerful drugs represent a considerable danger to public health because they are usually easily prepared from readily available, inexpensive chemicals in clandestine laboratories and marketed by unscrupulous dealers.

This class of drugs of abuse is rapidly growing in variety and number of the compounds. Careful analysis shows that about 1000 potent substances can be reasonably prepared in an average clandestine laboratory and this number rises to a potential of several thousands of different drugs if a use of

more sophisticated chemical procedures is taken in account.

Fortunately, only a fraction of this enormous potential has appeared in the illicit traffic. Identification, toxicology and other properties have been thoroughly investigated for several compounds, known for their widespread abuse but their analogues and derivatives remain poorly documented. Moreover, the data on these compounds are scattered in the literature so that they are not rapidly available. A huge amount of data on designer drugs, becoming often from clandestine sources can also be found on the Internet. A critical overview of all these facts has become urgent.

Consequently, the book provides the essential information on 107

designer drugs which is separated in two main sections.

The first part describes briefly some aspects of designer drugs manufacture (its advantages, and its geographic distribution), new trends of their abuse, sources of information on these substances and the employed terminology in this book.

In the second, descriptive section, these drugs are classified into ten main categories according to their chemical structures and their prevalent pharmacological action. Each category of the designer drugs is described in a separate chapter. Each chapter is then followed by a set of corresponding data sheets providing basic data on each particular drug (its computer generated IUPAC chemical name, using Autonom 1.1 software, chemical structure, Chemical Abstracts registry number, Chemical Abstracts chemical name) together with its street names and eventual synonyms. Basic toxicological data (human active dose, duration and type of action, toxic effects and toxicity), short notes on history of a particular drug as well as the most pertinent bibliographic references are also included, if available.

The book is thoroughly indexed. Along with the usual Subject Index it contains the Street Names Index, listing more than 230 street names of the described designer drugs. Of course, a list of employed abbreviations and a short allower are also provided.

short glossary are also provided.

Due to the rapid evolution in this field, this directory can hardly be exhaustive, but a considerable effort has been made to make it as complete as possible.

I hope that the reader will find this book helpful and easy to use.

Jean-Claude Landry Director of the Institute of Ecotoxicology (ECOTOX), Geneva, Switzerland

1 Introduction

1.1 Definition of Designer Drugs

A rapidly growing number of synthetic drugs have appeared on the clandestine drug scene in the last decade. The term « designer drug » was coined for this class of drugs of abuse in 1985 [1]. According to Henderson [2], this term should be reserved only for those drugs which are synthesised from readily available precursors, marketed under attractive « trade-marks » and not subjected to legal control as substances of abuse.

This recommendation has not been followed and the term is actually

applied to practically all synthetic drugs of clandestine origin.

These new drugs are also referred to as controlled substances analogues. The latter designation is of slightly narrower scope because there are several designer drugs which cannot be reasonably considered as analogues of any controlled substance.

1.2 Terminology

Designer drugs can be classified into several categories according to their chemical structure and their prevalent pharmacological action.

While their chemical classification is rather straightforward, the pharmacological classification is more complicated due to the multiplicity of pharmacological categories. Thus, there are about 10 different terms only for drugs commonly known as hallucinogens.

For this reason, the names of the pharmacological classes used in this publication (in bold) are listed here along with their sometimes very

approximative synonyms.

Psychotomimetics (psychedelics, hallucinogens, psychodysleptics, eidetics, phantastica, psychotogens, entheogens). The scope of this term (meaning « psychosis mimicking ») is larger than that of hallucinogens, because many substances in this class do not produce hallucinations in man.

Consequently, if a given psychotomimetic also shows pronounced hallucinogenic action in man, this property will be further defined as follows: Psychotomimetic, hallucinogen.

Deliriants (centrally active anticholinergics, hallucinogenic glycolates, atropine-like hallucinogens)

CNS Stimulants (psychoanaleptics, psychostimulants)

1.3 New Trends of Drug Abuse

Rapid evolution in the field of designer drugs has brought about new behaviour patterns in drug abuse touching many levels of society.

Thus, a particular drug is absorbed as an « aesthetic enhancer » to improve visual and auditive perception before going to a concert, a theatre or an

exhibition of paintings. In this case the most frequently abused drugs are psychotomimetic phenethylamines such as 2,5-dimethoxy-4-bromophenethylamine (2CB) or 2,5-dimethoxy-4-methylamphetamine (DOM) in small doses. Another type of drug is taken during «Rave parties» to produce euphoria, enhance empathy and communication among participants, particularly 3,4-methylenedioxymethamphetamine (MDMA), its derivatives and etryptamine. There are also several drugs which are absorbed before making love because of stimulation of sexual pleasure and desire (2CB, 2CI) or removing inhibitions, such as metaqualone, its analogues and sodium oxybate (GHB).

Use of several designer drugs (MDA, MDMA in small doses) to promote meditation, particularly in Zen Buddhism, has also been reported [3]. Some of these drugs even initiated the creation of various philosophic trends (e.g. Ketamine).

Designer drugs have also been misused in a very irresponsible way to intoxicate innocent participants of a party or a concert. In these cases, the drugs (psychotomimetics, phencyclidines or deliriants) are usually added to various soft drinks [4].

The mode of administration of these drugs has also considerably evolved. Although the drug is still mostly injected, swallowed or drunk in a solution, intranasal, sublingual and transdermal (particularly for opiates) administrations are also current.

Absorption of a mixture of two or even more drugs, known for their synergism, seems more and more popular. These synergetic substances may also be taken in a precise time interval to enhance or modify the action of these drugs (« priming », see Glossary).

For instance, a dose of MDMA as the « primer » is followed by a dose of

2CB to obtain a new and unpredictable effect.

Similarly, a small dose of a drug may serve as a «booster», if it is absorbed shortly after the absorption of the principal portion of the same drug. This is a frequent way to prolong the effect of a relatively short acting drug (e.g. MDMA).

Pre-treatment by inhibitors of monoamine oxidase, usually for several days before a drug intake to amplify the drug's action, is also a quite

frequent, very hazardous and potentially lethal practice.

Often, a true cocktail of drugs is absorbed, particularly due to the presence of drug mixtures in the clandestine market. These mixtures are produced to replace a drug which is momentarily out of stock.

When in turn a consumer takes such a mixture with another drug, serious and

complicated intoxication may occur.

1.4 Geographic Distribution of Abuse and Manufacture of the Designer Drugs

About twelve years ago the problem of designer drugs was practically limited to the US territory.

Today, the situation is very different, particularly due to political changes in the world in the late 1980s. Practically all countries of Europe, Australia

and Canada are confronted with the problem of abuse and manufacture of

designer drugs [5].

New centres of clandestine production of these drugs have appeared in the countries of Eastern Europe, mainly due to the absence of control of various precursors, insufficient legislature, chaotic industry and unemployment of qualified chemists.

Interestingly, clandestine laboratories in Hungary, Czech Republic, Lithuania and Estonia seem to specialise in the production of amphetamines while those in Russia produce synthetic opiates such as 3-methylfentanyl [5].

Thus, 70 amphetamine producing clandestine laboratories were discovered in the Czech Republic in 1994. Some of them were under Dutch financial control and produced MDMA for the Dutch and German markets. A similar case, involving 50 kg of MDEA, was recently reported in Hungary [6].

1.5 Estimation of the Potential Number of Designer Drugs

This class of drugs of abuse is rapidly growing in the variety and number of compounds. Careful analysis, based on the structure-activity relationships and the technical feasibility shows that at least 1000 potent substances can be reasonably easily prepared in an average clandestine laboratory.

This number rises to a potential of several thousands of different drugs if the use of more sophisticated chemical procedures is taken into account.

Indeed, recent research in the USA has shown that these techniques are no longer out of reach of a clandestine manufacturer. The estimated potential number of powerful designer drugs in each category is given below.

Psychotomimetic phenethylamines 250

Psychotomimetic indolealkylamines 250-300

LSD analogues 10

Synthetic canabinoids 10

Phencyclidines 50

Deliriants 50

CNS stimulants 100

Opiates 500-4000 (1400 fentanyls)

1.6 Sources of Information about Designer Drugs

The open literature represents only a part of the information on these substances. The rapid evolution in the field of clandestine drug abuse and manufacture can be also observed on the Internet where a great number of sites contains pages on various designer drugs.

An intense exchange of information concerning these drugs in several specialised Newsgroups [7] is also very interesting.

It is almost impossible to list all the addresses of these sites, particularly because of their frequent change. Fortunately, today they can be found and

updated easily using the extremely powerful searching computer at Alta Vista of Digital (http://www.altavista.digital.com)

Various publications about clandestine manufacture of different drugs of

abuse have also been consulted.

Of course, these data, coming mainly from clandestine sources, have been

treated with due precaution.

In this case, a particular designer drug has been taken into consideration only if its behavioural action in man, showing a high abuse potential, and its easy synthesis were clearly described. In addition, the description has been compared to the data obtained from other sources. Several such substances have been considered as « emerging » designer drugs and included in the directory. Also, in spite of only limited evidence of their abuse, a couple of compounds found in the open literature and showing a particularly high abuse potential have also been included in the text.

1.7 General References

[1] Baum, R.: Chemical and Engineering News, 9, pp.7-16, (1985)

[2] Henderson, G.L.: J. Forensic Sci., 33, p.569, (1988)

[3] Watson, L.; Beck, J.: J. of Psychoactive Drugs, 23(3), p.261, (1991)

[4] Ragan, F.A.; Hite, S.A.; Samuels, M.S.; Garey, R.E.: J. Analyt. Toxicol., 9, p.91, (1985)

[5] Report of the International Narcotic Control Board, (1995)

[6] Korosi, A.; Nagy, J; Nagy, G.; Gal, T.; Veress, T. Microgram, XXVII, (2), p.21, (1994)

[7] Newsgroups: alt.consciousness; alt.consciousness.mysticism; alt.consciousness.near-death-exp; alt.culture.zippies; alt.drugs; alt.drugs.chemistry; alt.drugs.culture; alt.drugs.hard; alt.drugs.pot; alt.drugs.pot.cultivation alt.drugs.psychedelics; alt.hemp; alt.hemp.politics; alt.hemp.recreational; alt.law-enforcement; alt.personals.psychedelic; alt.psychoactives; alt.religion.shamanism; bionet.neuroscience; clari.news.drugs; fido7.drugs; rec.drugs.cannabis; rec.drugs.chemistry; rec.drugs.misc; rec.drugs.psychedelics; sdnet.hemp

Definition

2 Description of Designer Drugs

The designer drugs can be classified, according to their chemical structures and pharmacological profiles, into the following categories:

- 2.1 Psychotomimetic phenethylamines
- 2.2 LSD analogues
- 2.3 Psychotomimetic indolealkylamines
- 2.4 Synthetic cannabinoids
- 2.5 Phencyclidine and its congeners
- 2.6 Deliriants
- 2.7 CNS Stimulants
- 2.8 Synthetic opiates
- 2.9 Metaqualone and its analogues
- 2.10 GHB

In the following chapters the most important designer drugs are described and their active doses (as hydrochloride salts, if not specified otherwise) in non-tolerant man are given, if available. These data have been obtained from all accessible literature and they are presented here only for information.

More detailed facts, concerning each particular drug, can be found in the data sheets attached to each chapter. The toxic manifestations listed in the data sheets are those which are likely to be observed in an overdose by a particular drug.

2.1 Psychotomimetic Phenethylamines

The majority of the psychotomimetic phenethylamines has been synthesised since 1960. More than a hundred of these substances have been tested and found active in humans [1,2]. Most of them may be prepared relatively easily in an average chemical laboratory. Hence, they represent synthetic drugs of choice to a clandestine manufacturer.

This class of drugs may be further divided into two subgroups.

The first subgroup contains phenethylamines having, in man, communication enhancing and euphoriant properties. Their effects are practically free of visual distortions and hallucinations. This subgroup has received particular attention here because it includes 3,4-methylene-dioxymetamphetamine (MDMA), its derivatives and their substitutes which are the most important drugs of abuse among psychotomimetic phenethylamines.

The second subgroup includes phenethylamines showing a human pharmacological profile similar to mescaline (but up to 400 times more potent) with prominent visual illusions, hallucinations, altered perceptions of body image, colours, sounds, space and time. Further psychic effects may include euphoria, depersonalisation, emotional lability, anxiety, fear, hostility and impaired judgement. These substances are usually referred to as classical hallucinogens.

This pharmacological class is still evolving and new psychotomimetic phenethylamines appear in the literature. Several excellent reviews on their chemistry and pharmacology have been published [1,3,4,5]. Anyone interested in phenethylamines must read a remarkable book [2] on these compounds since one of its authors is one of the world's authorities in psychotomimetics.

2.1.0 General References:

- [1] Glennon, R.A.: Classical Hallucinogens. In: Pharmacological Aspects of Drug Dependence, Handb. Exp. Pharm., 118; Eds.: Schuster, C.R.; Kubar, M.J.; Springer Verlag, pp.343-371, (1995)
- [2] Shulgin, A.T. and Shulgin, A.: PIHKAL, Transform Press, Inc., P.O.Box 13675, Berkeley, CA (1991)
- [3] Shulgin, A.T.: Psychotomimetic drugs: Structure-Activity Relationships. In: Handbook of Psychopharmacology, Eds. Iversen, L.L.; Iversen, S.D.; Snyder, S.H., Plenum Press, New York, pp.243-333, (1978)
- [4] Clare, B.W.: J. Med. Chem., 33, p.687, (1990)
- [5] Nichols, D.E.: Medicinal Chemistry and Structure-Activity Relationships of Amphetamines. In: Amphetamine and its analogs; Cho, A.K. and Segal, D.S. (eds.); Academic Press, pp.3-41, (Chapter 1), (1994)