

National Alliance of Methadone Advocates

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Basic Pharmacology: How Methadone Works? The Basics of Pharmacology Introduction to a Three Part Series

by

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The Lack of Education

Ignorance about methadone abounds (Zweben and Sorensen, 1988). Stigma and prejudice have kept accurate education about methadone treatment being taught in medical and schools of higher education. The primary source of information about methadone comes from the sensationalized media. Thus, professionals working in the field, supportive services to methadone treatment, law enforcement, health professionals, employers and the public know very little about methadone at all, and what they do know is probably wrong. Even worse is the fact that they don't know that they don't know. And, at the bottom of this is the methadone patient who must bear the brunt of the prejudice and stigma and with no where to turn to.

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Methadone patients read the denigrating newspaper articles and television reports that disparage methadone maintenance treatment and malign methadone patients – and they believe it. There is no one to reinforce the many accomplishments of methadone patients or to celebrate the many contributions that methadone patients make to their communities. Methadone patients do it alone, frightened and in spite of the opposition against them.

Academia

Professionals working in the field receive very little, or no training at all about the very medication that they will be administering. The only training that physicians receive while in medical school consists of about one hour spent on the topic of addiction, which includes alcoholism. The disease model of opiate addiction is not presented or discussed and therefore physicians do not see opiate addiction as a condition under the domain of medicine. Their education regarding methadone is usually on its use in withdrawing an individual from opiates while its best properties, that of maintenance, are neglected.

And the few interns who do come into contact with methadone patients are usually on the detox wards with the dysfunctional patients. They have never seen or come into contact, at least that they know about, with the typical working methadone patients. Knowing this it is not wonder that methadone patients are treated so badly by the health and medical professions.

Counselors, social workers and psychologists know even less than the medical professions. They usually receive very little education in basic science and even less about the biology of behavior, or the functioning of the brain. Very often their graduate training is anti-medication as 'just a substitute.' Thus, both medical and counseling professionals have been taught to approach addiction as a character disorder with very little understanding about the biology of addiction.

With such a deficiency within higher education added to the public's misunderstanding about addiction it is not surprising that myths about methadone thrive. For thirty years there has been a conspiracy of silence about methadone maintenance treatment. Accurate and scientific information about methadone is rarely presented. The basic education about methadone treatment that professionals receive comes from the same source that the average citizen receive it -- the media which has distorted and sensationalized the majority of methadone information.

Therapeutic Communities

Of course, there is an additional source in this equation of misinformation about methadone and that from therapeutic communities. They were the first to attack methadone because they know the initial successful outcome reports which when compared to their outcome data would be impossible for them to achieve. They were fearful of a loss of funding and mounted an attack against methadone maintenance treatment almost from the very beginning. The primary impact of these attacks had been to hard the esteem and well being of methadone patients. Throughout methadone's thirty year existence several therapeutic communities have launched attacks at methadone treatment. Although today these attacks are more subdued they remain.

Clients of drug free modalities receive a propaganda campaign against methadone which is unfortunate since the majority will relapse to heroin use and would probably be excellent candidates for methadone maintenance. Many states agencies which oversee drug treatment funding are controlled by abstinence oriented modalities. Only New York State, which has a large methadone system that treats about one-fifth of all methadone patients in the United States has a state agency that is supportive of methadone.

Education Empowers Methadone Patients

With such misunderstanding about methadone the only way for methadone patients to deal with it and to insure adequate health care and supportive services is to educate themselves. In this way methadone patients can educate others -- the providers, supportive services and

health care professionals who should know about heroin addiction and methadone treatment, but don't. That is the purpose of this paper and although some of the topics are very technical it is not important that you understand every word. Do not allow the fact that this is science to scare you off. Instead try to get just a basic understanding of everything and keep this paper for future reference. When you must go somewhere where you will probably have to divulge your status as a methadone patients review this paper and then go over in your head what you will say to the doctor, social worker or counselor. If you present yourself as a methadone patient then you must behave as a role model -- no matter how badly they behave or mistreat you, and we all know how difficult this can be. Your behavior should demand respect and if you are not treated with dignity then go to their supervisor and demand that adequate training about methadone be given to the institutions employees. Write a letter to the president of the hospital, the director of the program, the person in charge and demand a change in the way that methadone patients are treated. Gripping for thirty years and expecting others to do it has done nothing more than to make the problem worst. We must it for our dignity -- to receive the adequate health care we deserve from hospitals, clinics and physicians and to be treated with respect from all the helping professions.

The purpose of this paper is to provide accurate information about the pharmacology of methadone and to debunk the any myths that flourish about methadone. The next time you hear something "crazy" about methadone ask that person for the scientific proof. Ask for references and publications. You will discover that usually they have none. Myths and misinformation rely on the "everybody knows" method of science! Challenge and question and you cannot go wrong.

Methadone Patients as Health Consumers

Methadone patients must learn to be health care consumers when it comes to their medical care. New medications are being placed on the market every day and many doctors may not realize that it can effect your methadone. Pain medications are the search for one with a low addiction potential is one class of medication that all methadone patients should ask about to make sure that they are not getting a mixed agonist-antagonist (see Part 2, Agonists and Antagonists; Part 3, Narcotic Antagonists and Agonist-Antagonists Drugs). So it is up to you to ask the doctor and to make sure than none of the medications that have been prescribed for you will interact with your methadone. As health care consumers, methadone patients must insure that the health care they receive is the quality that they deserve.

Table 1 Routes of Administration		
Method of Administration	Advantages	Disadvantages
Oral	Easy.	1. Occasional vomiting. 2. Bloodstream absorption differs from person to person. 3. Some drugs are not absorbed well (i.e., heroin) Rectal absorption is often irregular.
Rectum	Easy, especially for children.	
Drug	Despite our knowledge about the rapid absorption of gases through the lungs, very little is known about the pulmonary absorption of drugs other than those administered as gases.	
Injection		
Intravenous	Avoids all disadvantages of oral. More control of dosage and drug placed in the circulation with minimum delay.	Most dangerous because speed of onset. Mild allergic reactions to oral administration of some drugs can be severe by injection.
Intramuscular	Rapid Absorption.	Same as intravenous.
Subcutaneous	Rapid Absorption.	Same as intravenous. Irritating drugs should be avoided.

Table 1. The Routes of Administration. There are five ways that drugs are commonly administered: 1) orally, 2) rectally, 3) parenterally (injection), 4) the membranes of the mouth or nose, and 5) by inhalation. From Gilman, Rail, Niles, Taylor, **Goodman and Gilman's The Pharmacological Basis of Therapeutics** (1990).

Where To Get Information

Pharmacological information about methadone and other psychoactive drugs can be found in The Pharmacologists Bible, or Goodman and Gillman's The Pharmacological Basis of Therapeutics. Goodman and Gillman is far superior to the reference book, The Physician's Desk Reference (PDR) that most go to for information because it gives not only clinical information as the PDR, but pharmacology, metabolism and the recent research findings.

NAMA produces an Education Series and provides scientific publications. Another source is the National Clearinghouse for Alcohol and Drug Information (1-800-SAY-NO-TO(DRUGS)) that will do a literature search and send either a bibliography for you to choose from or send publications directly. Sometimes the later choice cannot be done because of the vast amount of literature. So beware of myth-makers and "everybody knows science." Methadone is one of the safest and most effective procedures that I know of, yet it is constantly denigrated by nay sayers who do not understand methadone maintenance or heroin addiction.

Challenge the nay sayers! Ask them for proof, real science!

Basic Pharmacology

Pharmacology is the study (ology) of drugs (pharmacy). Psychopharmacology is the study of (ology) drugs (pharmacology/y) that produce their effects on the mind or brain (psycho or psyche). There are five basic classes of psycho-active drugs: 1) the opioids (i.e.,

heroin and methadone), 2) the stimulants (i.e., cocaine, nicotine), 3) the depressants (i.e., tranquilizers, antipsychotics, alcohol), 4) hallucinogens (i.e., LSD), and 5) marijuana and hashish (Cooper, Bloom and Roth, 1991).

Most compounds, including opioids exist in two forms, one form in active and one inactive -- that are distinguished by levo or dextro preceding the compound's name (Goldstein, 1994). Sometimes just the first letter, *l* or *d* is used to indicate the form of the compound.

left handed = levo-methadone = *l*-methadone = methadone
right handed = dextro-methadone = *d*-methadone

Generally speaking the active form is usually the -levo form and very often levo- is dropped from the compound's name completely. The best way to think of these two forms is your two hands. Both the right and left hand have the same structures (i.e., one thumb and four fingers) but they are mirror images of one another. And like hands, the levo and dextro form are very different from one another, one active, one inactive, yet similar -- the same basic three dimensional structure.

Administration

An important factor in how a psychoactive drug exerts its effects is how it is administered. Administration refers to the mechanisms by which drugs are transported from the point of entry into the bloodstream. Drugs are commonly administered in five ways: 1) orally, 2) rectally, 3) parenterally (injection), 4) the membranes of the mouth or nose, and 5) by inhalation. Each method of

administration has its advantages and disadvantages (see Table 1) (Cooper, Bloom and Roth, 1991).

After a drug is administered the next important determinant in the drug's ability to exert its effect is how the drug is distributed throughout the body (Barchas, Berger, Ciaranello and Elliot, 1977). Once the drug reaches the bloodstream it is distributed throughout the body. However, it must be able to pass across various barriers in order to reach the site of action. Only a very small portion of the total amount of a drug in the body at any one time is in direct contact with the specific cells that produce the pharmacological effect of the drug. Most of the drug is found in areas of the body that are remote from the drug's site of action. In the case of psychoactive drugs, most of the drug is to be found outside of the brain and is therefore not directly contributing to the psychopharmacological effect. Four types of membranes are most important in the way a drug is distributed throughout the body (Barchas, Berger, Ciaranello and Elliot, 1977). These are: 1) cell walls, 2) walls of capillary vessels of the circulatory system, 3) the blood-brain barrier (BBB), and 4) the placental barrier.

Cell Membranes: In order to be absorbed from the intestine or gain access to the interior of a cell, a drug must be able to penetrate the cell membranes (Spence and Mason, 1979). The characteristic feature of cell membranes are fat molecules coated by a protein layer on each surface. Like a bimolecular sandwich the fat molecules (cheese) are sandwiched between two layers of protein (the bread). Only drugs that are soluble in fat are permeable and can pass through the cell membrane. The cell membrane also contains small pores that allow water-soluble molecules to pass through. Most drugs are too large to pass through the pores and, thus, most water-soluble, fat-insoluble drugs cannot pass through the cellular barrier.

Blood Capillaries: Within a minute or so of a drug entering the bloodstream, it is distributed fairly evenly through the circulatory system (Cooper, Bloom and Roth, 1991). However, most drugs are not confined to the bloodstream and are readily exchanged back and forth across the blood capillaries. The capillary walls contain pores that are large enough for most drugs to pass through, therefore it does not matter whether a drug is fat-soluble or insoluble for it to pass through.

Blood-Brain Barrier (BBB): For drugs to enter the central nervous system (CNS) they must be able to penetrate the BBB (Cooper, Bloom and Roth, 1991). The BBB increases the permeability of the capillary membranes thus protecting the brain from various substances that would otherwise be harmful (Spence and

Mason, 1979). Capillaries of the brain are tightly joined making them smaller and more difficult to traverse. But, a second barrier protects the CNS. The outer cell walls are covered by a foot-like sheaf structure that arises from a nearby cell called an astrocyte. Thus, for a substance to enter the brain it must traverse not only the capillary wall but also the membranes of the astrocytes in order to reach their target cells.

Placental Barrier: Among all the membrane systems of the body, the placenta is unique: it separates two distinct human beings with differing genetic compositions, physiological responses, and sensitivities to drugs (Barchas, Berger, Ciaranello and Elliot, 1977). The fetus obtains essential nutrients and eliminates metabolic waste products through the placenta without depending on its own organs, many of which are not yet functioning. This dependence of the fetus on the mother places it at the mercy of the placenta when foreign substances appear in the mother's blood.

Bibliography List

Understanding pharmacology can be difficult for the person without a scientific background. This does not mean that one should ignore this area of methadone because it is important for patients to have some basic knowledge in order to know about their dose. Below are some classic texts with information about pharmacology. Some are more difficult than others and you should first look through a text prior to purchasing it to insure that you have the correct information.

Brecher, E.M., 1972. Licit and Illicit Drugs. The Consumers Union Report on Narcotics, Stimulants, Depressants, Inhalants, Hallucinogens, and Marijuana. Boston: Little, Brown and Company.

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Number 1. Methadone Maintenance and Patient Self Advocacy by Arlene Ford. (March, 1991).

Number 2. Drug Policy in the Age of AIDS: The Philosophy of Harm Reduction by Rod Sorge (April, 1991).

Number 3. Myths About Methadone by Emmett Velten (March, 1992).

Number 4. Methadone, HIV Infection and Immune Function by Herman Joseph (August, 1994).

Number 5.1. The Basics of Pharmacology, Basic Pharmacology: How Methadone Works? by J.T. Payte, Jeffrey Smith and Joycelyn Woods (February, 2001 Revised).

Number 5.2. The Pharmacology of Opioids, Basic Pharmacology: How Methadone Works? by J.T. Payte, Jeffrey Smith and Joycelyn Woods (February, 2001 Revised).

Number 5.3. Drugs and Conditions That Impact On the Action of Methadone, Basic Pharmacology: How Methadone Works? by J.T. Payte, Jeffrey Smith and Joycelyn Woods (February, 2001 Revised).

Number 6. Starting A Patient Run Program (Not available in revision).

Number 7. Managed Care, Medicaid, Medicare and Private Insurance: Who Will Pay? (Not available in revision).

Number 8. Methadone Does Not Work Bibliography (October, 1995).

Number 9. The Methadone Maintained Patient and the Treatment of Pain by J. Thomas Payte, Elizabeth Khuri, Herman Joseph and Joycelyn Woods (January, 1999).

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