

Over-the-counter pain relief

Your back is aching. Your head is hurting. Your joints are sore--whatever. You are in need of some pain relief, so you head to the medicine cabinet or to your local drug store. What to pick? At the drug store you will have several options: the choices can seem a little daunting. This article provides a brief guide to over-the-counter pain medications. But as always, if you have questions or specific health concerns, you should consult your physician; prolonged or acute pain should always be addressed by a health care provider--be sure to follow common sense!

Let's assume for the moment that you have already had your problem checked out, or you know that it is nothing serious. Now you can gather some information about the right choice for you. This will not be an exhaustive review of pain medications, and I will not discuss opioid medications such as hydrocodone. I will focus on the most widely available over-the-counter oral medications for pain: aspirin, acetaminophen, ibuprofen, and naproxen. Each of these medications comes with slightly different risks and safety profiles depending on one's medical conditions, so it is best to become informed on some basics.

It is a good idea to take the minimum dose necessary of these drugs to reduce the chance of adverse reactions. You can try starting with the minimum recommended dosage and increase the dosage if you are still in pain. This should go without saying, but you should definitely NOT take more than the recommended amount; if the bottle says not to exceed six doses in 24 hours, you should heed this; just because these medications are available without a prescription does not mean they are without potential problems, especially if taken at higher than recommended dosages!

In some cases it can be a little tricky to know how much of a given medication you are actually taking. Products for sinus, flu, cough, and cold may contain pain medication as well; it is crucial to look at the label so you know exactly what you are taking. As an example, Robitussin Cold and Flu™ contains acetaminophen, as does Sudafed PE Sinus Headache™ and many other medications. It is important to also consider prescription medications. For example, Percocet™ is a combination drug containing the opioid based drug oxycodone in addition to acetaminophen. You want to consider the recommended total dose for all the drugs you are taking within a given period. The majority of cases of severe reaction to drugs happen when taking higher than recommended dosages of these drugs, and in many cases this is done accidentally.

The choice for a particular over-the-counter pain reliever should be made thoughtfully, taking into consideration known health problems and the possible risks

associated with each choice. Some people have had known adverse reactions to certain drugs and should obviously avoid them in the future. Certain drugs may require more frequent dosing and thus may be less convenient; for example, the pain relieving effects of naproxen tend to last longer than those of ibuprofen. For most people there is more than one fine option for over-the-counter pain relief. Unfortunately, there is quite a bit of individual variability in the response to these drugs; some people require higher doses of a drug to achieve a therapeutic effect, and the response to one pain reliever versus another is often highly idiosyncratic, even within drugs of the same class. Experimentation may be required: don't give up if the first medication you try does not give you as much relief as you would like.

Let's begin with a brief description of aspirin, otherwise known as acetylsalicylic acid, or ASA. You may know that aspirin is a type of "NSAID", short for nonsteroidal anti-inflammatory drugs. (Ibuprofen and naproxen, which I will discuss shortly, are also NSAIDs.) All NSAIDs work by inhibiting the production of molecules known as prostaglandins. Prostaglandins are signaling molecules integral to the production of pain, swelling and fever. NSAIDs work by inhibiting an enzyme central to prostaglandin production: cyclooxygenase, or "cox" for short. Unfortunately, prostaglandins have effects in the body other than these, and their inhibition may cause side effects; for example, decreasing the chemicals that help protect the integrity of the stomach lining, or slightly decreasing the flow of blood through the kidneys. The inhibition of cyclooxygenase has effects on the production of other chemicals as well: the thromboxanes and prostacyclins, both of which have effects on the aggregation of platelets and the relative constriction of blood vessels. This is what is responsible for aspirin's rather well known effect of slower blood clotting time, which is why one is often instructed to cease its use prior to surgery.

Though aspirin's effects on bleeding time are well known, it is less widely known that all NSAIDs have such an effect, though much milder; the difference is that aspirin's effects on platelets last much longer than that of naproxen, ibuprofen or other NSAIDs. On the plus side, this can help prevent blood clots leading to stroke or heart attack, which is why aspirin is sometimes prescribed for individuals at risk for these conditions, and why it is given in the emergency department during a suspected heart attack. On the minus side, its effects on bleeding time in combination with its effects on gastric secretions cause the increased rate of ulcers and gastrointestinal bleeding seen with aspirin use. The risk of this can be somewhat decreased by acid reducing drugs such as omeprazole (Prilosec™), so doctors may prescribe these drugs additionally if they feel a patient is at high risk for a bleed. Aspirin can also cause an upset stomach, which may be mitigated by using a buffered aspirin such as Bufferin™, taking the drug with food, and decreasing alcohol use. Like many drugs, in some cases it may cause severe hypersensitivity type reactions with rash or rapid swelling beneath the skin, and other reactions can occur, such as ringing in

the ears. Some individuals with asthma are also counseled to avoid aspirin and other NSAIDs, as perhaps three to five percent of people with asthma experience a dramatic worsening of their asthma right after taking one of these drugs. Aspirin should also be avoided by people with gout, as it can worsen this condition. Aspirin should never be given to children due to the risk of Reye syndrome, a rare but dangerous condition associated with aspirin use in children. Aspirin and other NSAIDs can be problems for individuals with underlying kidney disease as well, and have occasionally been known to cause increased blood pressure.

It's important to contrast aspirin's pluses and minuses with other NSAIDs such as naproxen (Aleve™) or ibuprofen (Advil™). Though all NSAIDs have effects on bleeding, aspirin's effects are more profound, so another NSAID might be a better bet in an individual at risk for bleeding issues. Other NSAIDs cause less stomach discomfort in some individuals. They carry many of the risks of severe reactions seen in aspirin, and should also probably be avoided by patients with asthma or underlying kidney disease. Like aspirin, the other NSAIDs may cause elevated blood pressure in some people. Though aspirin is known for its heart protective effects, it has become apparent fairly recently that some NSAIDs other than aspirin may actually increase the risk of heart attack and stroke, though the research on this is ongoing, and moderate usage of NSAIDs may not cause an increased risk. You may have heard of the drug Vioxx™ (rofecoxib), which was removed from the market a few years ago because of increased risk of heart attack and stroke in long term users. This drug is a specific type of NSAID known as a "cox-2" inhibitor. It turns out, the cyclooxygenase enzyme inhibited by NSAIDs comes in a couple of different subtypes expressed differently in different tissue types; NSAIDs work on the different subtypes to different extents. The full physiology is too detailed to discuss in full, but in sum, it was thought that a drug targeted to a specific type of the enzyme, the "cox-2", might be more effective in relieving pain and inflammation without causing some of the side effects of traditional NSAIDs, most importantly, increased risk of ulcers and intestinal bleeding. Though the cox-2 inhibitors have shown some potential to cause less risk of bleeding and less stomach discomfort than some other NSAIDs, this is not yet definitive. The main point is that these studies helped promote research that revealed that older drugs such as ibuprofen and naproxen may also slightly increase the risk of heart attack and stroke as well, though the case for this has not completely been made, and with the exception of aspirin, no one NSAID appears to be safer than any others when it comes to risk of heart attack and stroke. (As of this writing, no selective Cox-2 inhibitors are available over-the-counter, though one may purchase celecoxib (Celebrex™) with a prescription.)

Acetaminophen, commonly known as Tylenol,™ is in a different class of drugs; although a fine drug for relieving pain and fever, it does not have the same anti-inflammatory effects as the NSAIDs. Acetaminophen appears to also block the production

of prostaglandins in the central nervous system by inhibiting the “cox” enzymes, but it appears to lack effects in the peripheral nervous system, unlike the NSAIDs. Acetaminophen does not appear to increase bleeding times, and thus might be more appropriate for people at higher risk of ulcers; it also does not carry the same risks of high blood pressure, or the same problems for people with chronic kidney disease or asthma. Like the NSAIDs, it can cause allergic reactions with skin eruptions, swelling, difficulty breathing, or other rare side effects. And of course, acetaminophen can cause liver damage in large quantities, even causing liver failure or death. Not surprisingly, the risks of liver damage are greater in those with known liver problems, or in those who consume alcohol excessively. However, acetaminophen is generally safe for individuals without known liver problems, as long as it is not taken in quantities above the recommended dosages; it can be a very effective drug, without some of the risks associated with NSAIDs. However, because it is not helpful at reducing inflammation, it may be less suitable for some conditions than the NSAIDs. As discussed previously, it is crucial to know that one is not exceeding the recommended doses for acetaminophen (sometimes listed as APAP), as contained in ALL of the over-the-counter and prescription drugs that one is taking.

The above is not intended as a substitute for medical advice. All of the drugs discussed are generally safe at the recommended dosages, but all have rare side effects, and some have known risks associated with them. These drugs may also cause changes to the effectiveness of other medications. If taking large doses of the medicines, or if you have other medical conditions, it is always best to discuss your medications with your health care provider.

Dr. Ruth Jessen, Oct 2011

