



THE FAMILY PHARMACIST

A QUICK READ FOR YOUR OTC NEED!

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EXTERNALLY APPLIED MEDICINE FOR THE TREATMENT OF PAIN

Many people suffer from chronic pain like arthritis or nerve pain. Temporary pain can be caused by strains (when muscle fibers stretch or tear), sprains (when a ligament is stretched, twisted, or torn), tendonitis, and arthritis. When we have pain, we might first think of popping a pain-reliever like Advil® or Tylenol®. But a safer alternative may be available, one that you can apply to the skin. Both chronic and temporary pain are reasons you might look for an externally applied medicine at the drugstore. Sometimes referred to as liniments, balms, and rubs, these pharmaceuticals make up a confusing array of products to choose from because products with the same brand name may contain different *active ingredients* and strengths.

Pain rubs are popular with people who don't like taking pills, or who have had bad reactions to oral pain medicine. Or you may want to take an occasional "drug holiday" from oral pain medicine and switch to an externally applied one to minimize side effects. Oddly enough, studies have shown that it seems easier to remember to apply medicine to the skin than to take an oral drug for the same medical condition.

Products that are applied externally to the skin are called *topical medicines*. The practice of applying drugs topically has been common throughout history, but only in modern times have there been considerable advances in understanding how drugs penetrate the skin to alleviate pain. This has led to the development of many new products.

Both over-the-counter (OTC) and prescription medicines are available to treat local pain. Products that are applied directly to the skin are available as gels, creams, lotions, sprays, or patches. Some methods of application might be better for a particular type of pain than others. For example, patches are usually used for back, shoulder, and neck pain while rubs are used everywhere else.

The most common OTC ingredients approved by the FDA for topical pain relief are *menthol*, *camphor*, *capsaicin*, *salicylates*, *lidocaine*, and *histamine dihydrochloride*. In February 2020 the FDA approved *diclofenac*, a non-steroidal anti-inflammatory drug (NSAID), for OTC topical use.

With so many products to choose from, the question is: Do the ingredients in the products found on drug store shelves really do what they claim?

Counterirritants. This is one of the FDA categories of ingredients used in topical pain relievers. You will see *counterirritant ingredients* in almost all of the OTC brand-name products intended as "muscle rubs" for strains and sprains. A counterirritant causes local irritation and slight inflammation at the site where it is applied. While it may seem counterintuitive, this surface irritation is thought to alter or offset pain in the underlying muscle or joints that are served by the same nerves. This process is not completely understood. Some suggest that when you apply a counterirritant, the sensation the drug produces "crowds out" the experience of the pain around it. The result is that the brain's pain-sensing mechanism is distracted, and the area where you applied the product feels better. *Menthol*, *camphor*, *capsaicin* (a derivative from chili peppers), and *histamine dihydrochloride* are all counterirritants. These ingredients (listed below) have been used in topical pain relievers for over 40 years. *Counterirritant ingredients* may provide temporary relief of muscle and ligament soreness, but they don't penetrate deep enough to be a good choice for osteoarthritis pain.

Menthol is a naturally occurring counterirritant extracted from plant oils in the mint family and also made synthetically. According to the FDA, menthol can be used in topical products up to 16%. *Menthol* is mildly anesthetic and also causes the blood vessels in the skin to dilate. The expanded blood vessels bring more blood and warmth to the surface of the skin, lessening the discomfort from sore muscles and joints.

Camphor is similar to *menthol* in that it is a plant derivative that is found naturally in certain trees and herbs and works the same way that *menthol* does to dilate blood vessels in the skin. The FDA allows *camphor* to be used in concentrations as high as 11%. *Camphor* can be dangerous because it is poisonous when swallowed and should not be used if there are small children in the household. It is safe for use in adults when applied topically according to directions.

Capsaicin is an ingredient originally derived from chili pepper extract. It seems to have been studied more than any other counterirritant and is available in OTC products and as a prescription-only medicine (Qutenza®). The prescription drug is a much higher strength than what is available OTC and is primarily used to treat diabetic nerve pain of

the feet and post-shingles nerve pain (neuropathic pain). OTC products, like Capzasin-HP®, are recommended for muscle strains, sprains, bruises, and cramps. Package instructions for *capsaicin* rubs usually suggest that you wear gloves to apply them to the skin. This is because (chili pepper) *capsaicin* stays in the skin of the hands even after washing. It's impossible to avoid putting your hands on your face or rubbing your eyes shortly afterward and *capsaicin* is strongly irritating to mucous membranes. Avoid this extreme discomfort by wearing disposable gloves.

Histamine dihydrochloride, found in Australian Dream®, when applied topically to the skin causes local blood vessels to dilate, similar to other counterirritants. This allows more blood to reach the area, supposedly enabling a muscle injury to heal more effectively.

Anti-inflammatory Topicals. These are non-steroidal anti-inflammatory drugs (NSAIDs). They work differently than counterirritants. These medicines work by blocking the production of naturally occurring hormone-like chemicals called prostaglandins that are believed to be associated with inflammation and pain. This action occurs at the site of the pain and a minimum amount of the drug gets into the bloodstream. Some of these common ingredients are listed below.

Methyl salicylate is the chemical name for oil of wintergreen and is used in high concentrations in numerous OTC products, like Salonpas® Patch and IcyHot® Original Balm. Also, *trolamine salicylate* is available as Aspercreme® Pain Relief Cream. These aspirin-like ingredients provide a combination effect of a counterirritant and an anti-inflammatory and can temporarily relieve pain from sore muscles and joints. *Salicylate* ingredients, if ingested by small children, are poisonous. Store properly and keep out of the reach of children.

Diclofenac is also a non-steroidal anti-inflammatory drug. Voltaren® Gel and numerous generics of *diclofenac* have recently been approved for OTC use in the US. They are specifically approved for osteoarthritis pain in smaller joints, like the hands, knees, elbows, wrists, and feet. The US package insert for *diclofenac* states that the

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product has only been approved for the topical treatment of osteoarthritis. However, available studies indicate that *diclofenac* shows promise for the treatment of sprains, strains, and bruises. It is approved in Canada and other countries for acute musculoskeletal pain (sprains, strains, etc.). Flector[®] is a *prescription patch* available in the US for acute pain (sprains, etc.). But studies have shown that topical NSAIDs have not proven effective in treating chronic low back pain and neuropathic pain.

There are a couple of advantages to using an NSAID for arthritis pain. Topical NSAIDs may work as well as oral NSAIDs for both osteoarthritis and acute sports injuries. *Diclofenac*, for example, produces a high concentration of medicine in muscle, cartilage, and areas near arthritic joints. Topical NSAIDs get into the blood much less than oral medicine. This has the advantage of minimizing side effects on other internal organs, which are common with NSAIDs. The packaging for *diclofenac* has the same NSAID warnings as the oral NSAIDs, so caution is still advised. And always use the lowest dose for the shortest possible time.

Anesthetics. Some OTC products for local pain relief use *lidocaine*, the same drug your dentist and doctor use to numb a body area before a minor dental or medical procedure. *Lidocaine* is the active ingredient in Aspercreme[®] Relief Roll-On and Aspercreme[®] Lidocaine Spray. The effectiveness of *lidocaine* in OTC products for pain is not very good. That's why they sometimes add ingredients like *menthol*

(IcyHot[®] Max). Even the higher-strength of *lidocaine* in the prescription patch doesn't get good marks for effectiveness.

Botanical and homeopathic products. OTC products intended for topical pain relief may contain *inactive ingredients* like *hemp seed oil* (which is not psychoactive even though it comes from the Cannabis plant). Homeopathic products contain herbs and plant ingredients in very small amounts. A product may state on the label "joint and muscle therapy" (Penetrex[®] Intensive Concentrate Cream) without directly claiming that it can be used for muscle strains, sprains, bruises, etc. Evidence that these products can relieve arthritis and muscle soreness is lacking. Sometimes ingredients that may provide only cosmetic benefit in moisturizing the skin are displayed as if they are the *active ingredient* for pain. For example, Blue-Emu[®] Hemp Pain Relief Cream and Hempvana[®] Maximum Strength Pain Relief Cream both contain an FDA-approved pain relief ingredient, *trolamine salicylate*, which is on the safe and effective list, but not because of the prominent "Hemp" on the label which is an *inactive cosmetic ingredient*. Arnicare[®] Gel is an example of a homeopathic product containing the herb, *Arnica montana*. While it may provide some temporary relief for a minor sprain or strain, there is weak data to support using this plant derivative for osteoarthritis. The manufacturer states on the package that its "claims are based on traditional homeopathic practice," and "have not been evaluated by the Food and Drug Administration."

Cautions with all topical pain drugs.

There are cautions when using any topical pain drug. Topical pain relievers should only be applied to unbroken skin at the site of the pain. You should avoid combining topical and oral NSAIDs because of the potential for increased risk of adverse events, like stomach bleeding, which is a problem with all NSAIDs. The label on Voltaren[®] Gel, Aleve[®] Gel, and generics caution about using for periods longer than 21 days without consulting your physician.

Ingestion of any of these products by small children is a reason to call the National Poison Help Line at 800-222-1222.

Never use heating pads or hot towels after applying topical pain relievers, especially patches. In 2012 the FDA warned that *rare* instances of serious burns have occurred with the use of OTC topical muscle and joint pain relievers. This is more likely when used with any heating device. Some patches have metallic backings which can lead to burns if the patch is not removed before getting an MRI scan. Read the labels on these products carefully and follow application directions closely.

Summary. The bottom line is that the products explained in this newsletter may provide temporary relief of pain when used as directed for the treatment of simple strains, sprains, and small joint osteoarthritis. One to three weeks is enough time to determine if the product helped. If not, then it's time to see your doctor.

Category Examples for OTC Topical Pain Relievers		
Brand Name:	Active Ingredient:	Example Of:
Aleve [®] Pain Relieving Spray	<i>camphor</i> 5.5%, <i>menthol</i> 16%	Counterirritant combination
Aleve [®] Arthritis Pain Gel	<i>diclofenac</i> 1%	Recently approved for OTC. These show the best evidence for small joint pain relief.
Arnicare [®] Gel	<i>Arnica montana</i> herb	Homeopathic medicine
Aspercreme [®] Pain Relief Cream	<i>lidocaine</i> 4%	Anesthetic only
Australian Dream [®] Arthritis Pain Relief Cream	<i>histamine dihydrochloride</i> 0.025%	Single-ingredient counterirritant
Bengay [®] Cream	<i>camphor</i> 4%, <i>menthol</i> 10%, <i>methyl salicylate</i> 30%	Combination of counterirritant and NSAID <i>cream</i>
Biofreeze [®]	Gel: <i>menthol</i> 4%; Spray: <i>menthol</i> 10.5%	Cooling counterirritant
Blu-Emu [®] Hemp Pain Relief Cream	<i>trolamine salicylate</i> 10%	NSAID active ingredient (hemp on the label as a pain reliever is misleading).
Capzasin-HP [®]	<i>capsaicin</i> 0.1%	Chili pepper derivative
IcyHot [®] Max Cream	<i>lidocaine</i> 4%, <i>menthol</i> 1%	Anesthetic and counterirritant combination
Salonpas [®] Pain Relieving Patch	<i>menthol</i> 3%, <i>methyl salicylate</i> 10%	Combination of counterirritant and NSAID <i>patch</i>
ThermaCare [®] heat wraps	Chemically activated thermal release for non-drug heat therapy to the affected area	Heat release only, no drugs. Never combine with topical pain rubs or patches.
Voltaren [®] Gel, other brands, and numerous generics	<i>diclofenac</i> 1%	Recently approved for OTC. These show the best evidence for small joint pain relief.