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Transdermal Buprenorphine

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Persistent pain requires continuous analgesia, and sustained-release formulations of strong opioids are the mainstay in current treatment modalities. One of the most potent opioids is buprenorphine, a partial agonist at μ-opioid receptors. Its broad application in pain management was in the past restricted by the fact that buprenorphine is subject to a high first-pass metabolism, reducing its bioavailability after oral administration. Until now, buprenorphine was only available in a sublingual and various parenteral (intavenous, intramuscular and subcutaneous) preparations.

Recently, a transdermal therapeutic system containing buprenorphine was introduced into pain management. Transdermal buprenorphine works like a sustained-release formulation, but the active substance enters circulation by permeation through the skin, thus avoiding gastrointestinal passage and first-pass metabolism.

Continuous slow release of buprenorphine at a defined rate (35, 52.5, 70 μg/h) from its polymer matrix into the blood ensures that effective plasma levels are reached within 12–24 hours and are kept at a constant level for a time period of 72 hours. Because this method of drug delivery slowly increases buprenorphine plasma levels, adverse events sometimes brought about by sudden plasma peaks from fast-acting oral formulations are avoided.

Clinical studies on transdermal buprenorphine have confirmed its efficacy and safety, and our own and others' clinical experiences with this patch further substantiate its analgesic efficacy and tolerability in a variety of pain conditions. From the practical side, the most useful features of this new system include its continuous analgesia over three days (leaving the patient free of constantly thinking of the "next pill"), the availability of buprenorphine in a sublingual preparation to treat breakthrough pain, and easy handling of the patch, contributing to high patient compliance rates. ▲