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FAST FACTS AND CONCEPTS #53

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Background

The preferred route of administration of analgesics for most patients in pain is oral (PO). Soluble tablets of morphine are available for sublingual (SL) administration in patients who are unable to swallow oral analgesics. The advantage of using SL morphine over intermittent IV boluses is a longer duration of action. An IV bolus may last only 1-2 hours, whereas SL morphine may provide relief for up to 4 hours.

Pharmacology

Sublingual administration of morphine is often used to treat breakthrough pain in an attempt to hasten analgesic onset and peak; however, available data do not support more rapid absorption of morphine through the sublingual mucosa when compared with the oral route (1-3). Indeed, a number of clinical studies have found no substantial advantage to the use of SL morphine over oral morphine (4-6).

- Mean time to maximum concentration has been shown to be shorter following PO morphine (0.8 + 0.35hr) compared with SL (1.75 + 1.30 hr), indicating that SL morphine is likely swallowed and absorbed gastrointestinally rather than through the oral mucosa (3).
- The bioavailability (amount of drug eventually made available to the systemic circulation) of SL morphine is only 9% compared with 23.8% after an oral solution (however, the PO and SL doses should be considered equianalgesic when calculating doses).
- Agents are most readily absorbed through the oral mucosa when they are potent, non-ionized at physiological pH, and lipid soluble (see Fast Fact #103). Morphine has a relatively low potency for an opioid, is 90% ionized at the pH of the mouth, and is one of the least lipid soluble opioids with a partition coefficient of 0.00001, providing an explanation for its low bioavailability and poor choice as a SL or buccal medication.

Formulation and Dosing

There are several forms of short acting PO morphine available on the market. However, only the soluble tablets or the concentrated oral solution are suitable for SL use. Nonsoluble morphine sulfate immediate release (MSIR) tablets will not work because they are not soluble and will not liquefy under the tongue.

A usual starting dose for an opioid naive patient is 5-30mg PO or SL every 3-4 hours. PO and SL doses are considered equianalgesic. The equianalgesic ratio of IV to PO morphine is 1:3 (10mg of IV morphine is approximately equianalgesic to 30mg PO/SL morphine).

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