EuroSciCon

JOINT EVENT
7th Edition of International Conference on
Internal Medicine and Patient Care
&
6th Edition of International Conference on
Pain Management

March 26-28, 2018
Vienna, Austria

Christina Yuen Ki Leung, Int J Anesth Pain Med 2018, Volume 4
DOI: 10.21767/2471-982X-C1-002

UNDERSTANDING THE PHARMACOLOGY AND TOXICOLOGY PROPERTIES OF TRANSDERMAL BUPRENORPHINE AND FENTANYL TO ENSURE THE SAFETY AND EFFICACY OF DRUGS USE

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Buprenorphine and Fentanyl transdermal patches are used for the management of chronic intractable pain in both malignant and nonmalignant patients. Both buprenorphine and fentanyl are potent opioids, but they have different pharmacology and toxicology properties. It is important to understand the difference in these properties as this information is useful for clinicians and pharmacists to use the opioid patches safely and effectively. Opioid analgesics mimic endogenous opioid peptides by causing a prolonged activation of opioid receptors (usually μ receptor). This receptor medicates analgesia, respiratory depression, euphoria and sedation. Fentanyl is potent, highly lipid soluble, rapidly acting μ-opioid receptor full agonist. Buprenorphine is a highly lipophilic semisynthetic opioid. It has complex pharmacology which is different from Fentanyl. Buprenorphine is a partial μ-opioid receptor agonist which binds to and activates a receptor, but has only partial efficacy compared to a full agonist. This means that it may have ceiling effect and demonstrate both agonist and antagonist effects. In human studies using clinical effective analgesia doses, buprenorphine does not have a ceiling effect to analgesia. However, buprenorphine does have a ceiling effect for respiratory depression. Hence, higher doses can be given with fewer respiratory depression side effect compared with higher doses of fentanyl. The primary side effects of buprenorphine are similar to fentanyl (e.g. nausea, vomiting, and constipation), but the intensity of these side effects is reduced significantly compared to full agonist, fentanyl. The most severe and serious adverse reaction associated with opioid use is respiratory depression, the mechanism is behind fatal overdose. Buprenorphine behaves differently than fentanyl in this respect, as it shows a ceiling effect for respiratory depression. Buprenorphine has slowed off rate (half-life of association/dissociation is 2–5 hours). The slow dissociation from μ-receptor accounts for its prolonged therapeutic effect for treatment of pain. Respiratory depression is rare with buprenorphine, but if occurs, it can be reversed by Naloxone, often larger doses are required than fentanyl because buprenorphine dissociates slowly from the receptors. In conclusions, the pharmacology profile of buprenorphine is complex but unique, and contributes to its distinct safety and efficacy when it is used under appropriate clinical indications.

Biography

Christina Yuen Ki Leung completed two Bachelor's Degrees in England, BSc Management Sciences Degree followed by the BPharm Pharmacy Degree. Following the registration as a pharmacist in the UK, she worked in different London Teaching Hospitals, UK for 16 years. In the last 12 years in UK, she specialized in Pediatrics (especially in PICU and Paediatric Liver), Obstetrics and Gynaecology. She published two articles relating to drugs use in pediatric liver diseases in the UK Children Liver Diseases Magazine. She is also a Registered Pharmacist in Hong Kong. Since 2012, she has been working as the Senior Pharmacist (Clinical Pharmacy in Charge) at the HKU-SZH in China. She is also the Honorary Tutor at the University of Hong Kong, Hong Kong. She delivers lectures to the Master and Undergraduate Pharmacy students relating to drugs use in Pediatrics, Obstetrics and Gynaecology.

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