
Preface

Buprenorphine is a semisynthetic opioid derivative, closely related to morphine and obtained from thebaine after a seven-step chemical procedure. At low doses, buprenorphine is a powerful analgesic, 25–40 times more potent than morphine, with mixed agonist/antagonist activity on opioid receptors. The drug is a partial μ receptor agonist and a κ receptor antagonist. It shows very slow dissociation from opiate receptors, which is one of the reasons for its long duration of action.

Buprenorphine is characterized by a weak oral bioavailability and, owing to its high lipid solubility, by low therapeutic concentrations.

Under the tradename Temgesic® at dosages of 0.2 mg, buprenorphine has been widely prescribed for about 20 years for the treatment of moderate to severe pain as well as in anesthesiology for premedication and/or anesthetic induction.

More recently, it also has been recognized as a medication of interest for the substitutive management of opiate-dependent individuals. Under the tradename Subutex®, a high-dosage formulation (0.4-, 2-, and 8-mg tablets for sublingual use) has been available in France since February 1996 in this specific indication. Today, this drug is largely used in France for the treatment of about 70,000 heroin addicts but can also be easily found on the black market.

The fatality risks incurred by the misuse of buprenorphine seem to arise through a combination of two practices: (1) association with other psychotropics, especially benzodiazepines and neuroleptics, and (2) improper use of the tablet form for intravenous administration or massive oral doses.

Special thanks must go to all the authors who accepted our request to write a chapter of what, we hope, is a worthwhile contribution to the literature. It was our intention to cover both theoretical and practical aspects of buprenorphine therapy in order to provide a reference book. As will be seen

by the readers, pharmacology, controlled studies, clinical observations and experience, drug delivery, analytical challenges and postmortem forensic toxicology were reviewed by the different authors. We believe these chapters will provide readers not only with a comprehensive and well-documented survey of what other investigators have reported, but also with each author's critical evaluation of current knowledge in each of the areas surveyed.

Pascal Kintz, PharmD, PhD

Pierre Marquet, MD, PhD



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Kintz, P.; Marquet, P. (Eds.)

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