

## Breaking News on Pharmaceutical Technology

Previous page : [Abuse-resistant oxycodone primed for clinical trials](#)

# Abuse-resistant oxycodone primed for clinical trials

By Pete Mansell

30/03/2008- **IntelliPharmaCeutics is ready to move into full-scale clinical trials with a once-daily oral formulation of oxycodone based on the company's ReXista abuse- and alcohol-resistant technology.**

A drug delivery specialist based in Toronto, Canada, IntelliPharmaCeutics has successfully completed a pilot clinical study with its controlled-release version of oxycodone, the notoriously abuse-prone opioid analgesic whose appeal to addicts has earned it the monicker "hillbilly heroin".

The study found that the ReXista formulation of oxycodone was bioequivalent in a single dose to two doses of the reference drug, Purdue Pharma's Oxycontin.

While oxycodone is a controlled substance, subject to restrictions on prescribing and explicit label warnings, in the US and other markets, there have been increasing problems with diversion and abuse or misuse of the drug.

Last September a report in the journal Archives of Internal Medicine, which looked at serious adverse events reported to the US Food and Drug Administration (FDA) between 1998 and 2005, found that oxycodone and the hormone oestrogen were the two drugs most often associated with fatal and non-fatal serious events.

IntelliPharmaCeutics' ReXista formulation of oxycodone is designed to resist abuse by oral ingestion when the drug is crushed or chewed, by injection when it is combined with solvents, or by nasal application when oxycodone is crushed or powdered.

It also guards against the entire daily dose of oxycodone being released when the drug is taken with alcohol "in any quantity", IntelliPharmaCeutics notes. This problem is "so serious with some opioid drugs such as hydromorphone that their use has been limited or curtailed by the FDA", the company adds.

The ReXista formulation has undergone "rigorous" in-vitro testing and has met its design criteria for dissolution profiles and in-vitro resistance to simulated abuse, IntelliPharmaCeutics says. Based on these initial results, the product is expected to be effective for once-daily dosing in pain management while offering the abuse- and accidental misuse-resistant benefits detailed above.

The goal of the pilot clinical trial was to compare the pharmacokinetics of ReXista oxycodone in a single 40mg dosage form with Oxycontin 20mg given twice daily under fasted conditions.

The outcome was that the ReXista product demonstrated sustained-release pharmacokinetic activity, with blood plasma concentrations at clinically significant levels over a 24-hour period, IntelliPharmaCeutics reported.

Moreover, the bioavailability of a single dose of the ReXista formulation, measured in terms of Cmax (the maximum concentration of a drug in the systematic circulation after dosing) and AUC (area under the curve) terms, was comparable to that of two doses of Oxycontin given at 12-hour intervals, the company added.

"Both Cmax and AUC were in the 80 per cent to 125 per cent range as compared to Oxycontin, demonstrating effective bioequivalence with the branded product," it said.

IntelliPharmaCeutics plans to release detailed results of the pilot trial after further study and analysis. "With these results in hand, we will certainly move rapidly to advance the development into a full clinical trial programme," commented chief executive officer Dr Isa Odidi.

"We will also now apply the ReXista technology to other narcotic analgesic agents in our development pipeline."

Founded in 1998, IntelliPharmaCeutics has a number of proprietary drug delivery technologies that employ 'intelligent' polymers, beads and pellets for pulsatile delivery, and other platforms. The company's core Hypermatrix technology is designed for site-specific as well as time- and rate-controlled release of active ingredients in response to the multivariate external environment of the human gastrointestinal tract.

The company could not supply any further details on its ReXista system or how it relates to these other technologies, other than to say that the oxycodone is delivered in capsule form. More information should be available in the next two to three weeks, noted John Allport, vice-president, legal affairs and licensing, who said IntelliPharmaCeutics was "actively looking" for a partner for abuse-resistant oxycodone.

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