Noncrushable/Nonabusable Pill Formulations

Tech ID: 29023 / UC Case 2017-459-0

SUMMARY

UCLA researchers in the Department of Chemistry & Biochemistry have developed novel abuse-deterrent opioid formulations from elastomers that resist crushing at room temperature and upon heating or cooling. The formulation also contains a dual-enzyme responsive system whereby sequential digestion by two separate enzymes found in the stomach/intestines together cleave the peptide linkage allowing the drug to be fully released.

BACKGROUND

Abuse of opioid analgesic alkaloids, such as oxycodone, is a public health epidemic in the United States. Many individuals seeking to abuse opioid analgesics starts with altered routes of administration of prescription medicines, which comes with an increased risk of overdose. There is a strong impetus to develop formulations that prevent and deter misuse, while simultaneously preserving access to vital medications for individuals with legitimate medical needs.

Currently, there are three approaches that are used in the formulation of opioids for abuse prevention including physical/chemical barriers, release of an antagonist, or release of a repellent. While many pharmaceutical companies are working on or have distributed pills with technologies to prevent opioid abuse, abusers have found ways around most current market formulations by dissolving in solutions found in the home or subjecting the pills to extreme temperatures in microwaves to melt the materials. Thus, new formulations of these opioids are needed that resist crushing at different temperatures and dissolving in household products.

INNOVATION

Researchers at UCLA have designed novel abuse-deterrent opioid formulations that prevent illegal use of prescription drugs in two ways. Pills are formulated from elastomers that remain non-crushable at room temperature as well as upon heating or cooling. Additionally, this formulation contains a unique enzymatically degradable opioid delivery system in that it requires two enzymes found in the stomach or intestines for fast opioid release. The opioid is covalently conjugated to the elastomer through a peptide degradable linkage. The drug is enzymatically released only by two enzymes found in the intestines, thus preventing undesirable use of the opioid by injection or nasal infusion.

APPLICATIONS

Abuse-deterrent drug formulations

ADVANTAGES

- Two-step abuse-deterrent system, combining physical barriers to prevent crushing with a unique enzymatic degradation technology
- Elastomeric polymer is not compromised by heat or freezing treatment, shaving down with a razor, or other techniques often used by abusers to obtain a powder for nasal inhalation
- Provides an additional layer of safety that will render the entire system extremely difficult to abuse
- Requires sequential digestion by two enzymes in the stomach/intestine for fast release

STATE OF DEVELOPMENT

The dual-enzyme degradation system has demonstrated sequences that are responsive to trypsin/chymotrypsin.

PATENT STATUS

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OTHER INFORMATION

KEYWORDS

Opioid, oxycodone, abuse-deterrent formulation, drug delivery, enzyme responsive system, biodegradation, trypsin, chymotrypsin, elastomer, polymer, noncrushable

CATEGORIZED AS

- **Medical**
  - Delivery Systems
  - Disease: Substance Abuse

RELATED CASES

2017-459-0

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	11,541,125	01/03/2023	2017-459
European Patent Office	Published Application	3554548	10/23/2019	2017-459

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- PolyProtek: Platform for Delivering and Stabilizing Therapeutic Biologics, Vaccines, and Industrial Enzymes
- Dual-Enzyme Responsive Peptides
- A Novel Basic Fibroblast Growth Factor Conjugate for Broad Therapeutic Application
- Update To Degradable Trehalose Glycopolymers
- Trehalose Hydrogels For Stabilization And Delivery Of Proteins
- A Novel Glycopolymer to Enhance Protein Stability

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