

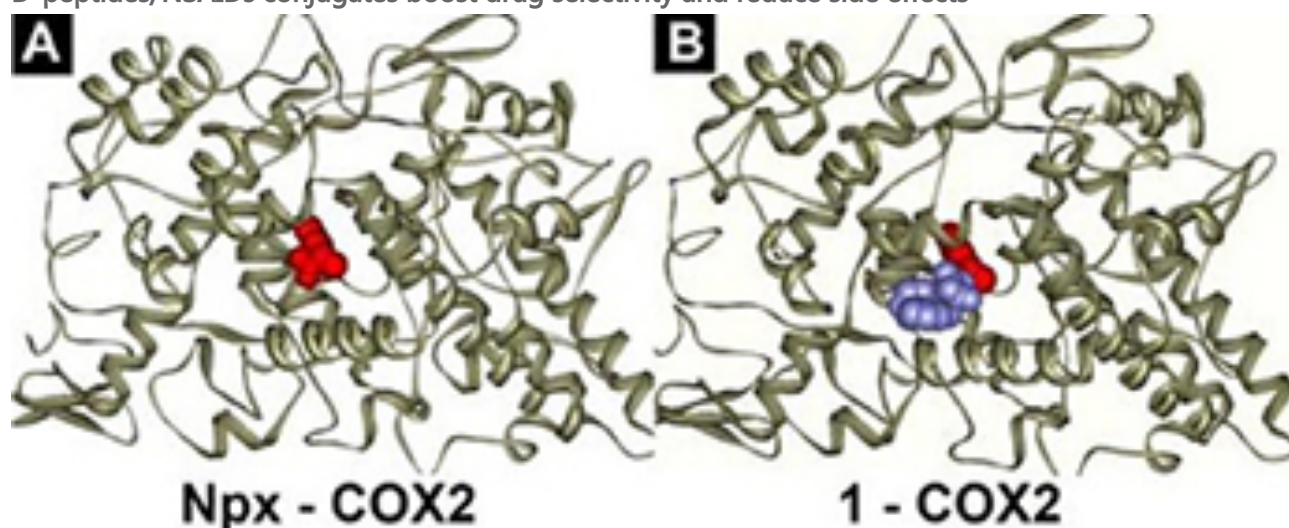
Therapeutic Hydrogels of Nonsteroidal Anti-Inflammatory Drugs

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Technology description

Therapeutic Hydrogels of Nonsteroidal Anti-Inflammatory Drugs:

D-peptides/NSAIDs conjugates boost drug selectivity and reduce side effects



Background:

Nonsteroidal anti-inflammatory drugs (NSAIDs) are widely and systematically used in high doses for the treatment of acute or chronic pains and inflammations. NSAIDs effectively relieve pain and treat inflammation by binding to the cyclooxygenase-2 (COX-2) enzyme. However, NSAIDs also inhibit COX-1, which causes adverse drug effects (ADRs) such as: gastrointestinal ulceration, stomach bleeding, renal failure, and cardiovascular risks. ADRs not only limit the use of otherwise effective drugs, but also lead to the attrition of new drugs in clinical trials.

Until now, no simple and general approach for reducing “off-target” effects of NSAIDs has existed. This invention employs novel multifunctional supramolecular hydrogelators made of unnatural amino acids or peptides (D-amino acids or D-peptides) and an NSAID as a new approach for delivering therapeutic agents by biostable, target specific, and potent hydrogels. These therapeutic hydrogels are stable scaffolds for long-term drug release and significantly reduce ADRs, boosting the selectivity for COX-2 over COX-1 by more than 20 times. These compounds may be functionalized with other active agents, such as anticancer therapeutic agents, anti-HIV drugs or imaging agents, therefore fulfilling multiple biomedical roles.

Summary

- Oligopeptides comprising D-amino acids to replace L-amino, produce protease resistant motifs
- The D-peptidic precursors can be functionalized with bioactive agents for therapeutic purposes
- Enzymatic self-assembly of D-peptidic conjugates offers bio stable and biocompatible hydrogel
- Supramolecular hydrogel comprising D-peptide and NSAID may topically treat arthritic condition

Publication

· "D-Amino Acids Boost the Selectivity and Confer Supramolecular Hydrogels of a Nonsteroidal Anti-Inflammatory Drug (NSAID)." J. Am. Chem. Soc. 2013, 135, 542–545. DOI: 10.1021/ja310019x

Advantages

Bio stable and biocompatible supramolecular hydrogels of D-peptides and therapeutic small molecules increase target selectivity and decrease adverse drug reactions

The invention confers proteolytic resistance and preserves drug activity for sustained release

Administered as topical gels or creams to use for relieving pain induced by local inflammation

The therapeutic hydrogels serve as a cheap, safe, and effective carrier for drug to reduce ADRs

The synthetic route for said peptidic conjugate is facile, well established, and easy to scale up

Institution

[Brandeis University](#)

Inventors

[Jiayang Li](#)

[Bing Xu](#)

[Yi Kuang](#)

联系我们



叶先生

电话：021-65679356

手机：13414935137

邮箱：yeyingsheng@zf-ym.com