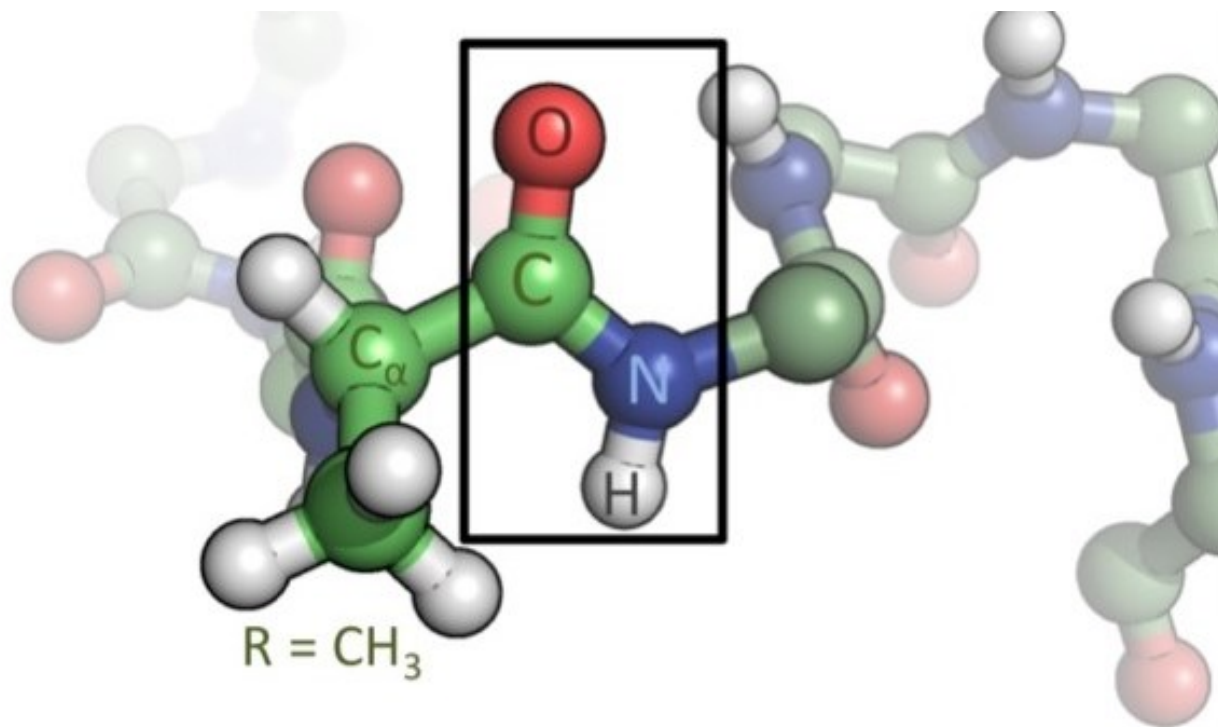


BICYCLIC PEPTIDES FOR TARGET THERAPY AGAINST CANCER AND INFLAMMATORY DISEASES



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KEYWORDS:

Inhibitors

Bicyclic peptides

Cancer therapy

Rheumatoid arthritis

Urokinase



Ca' Foscari
University
of Venice



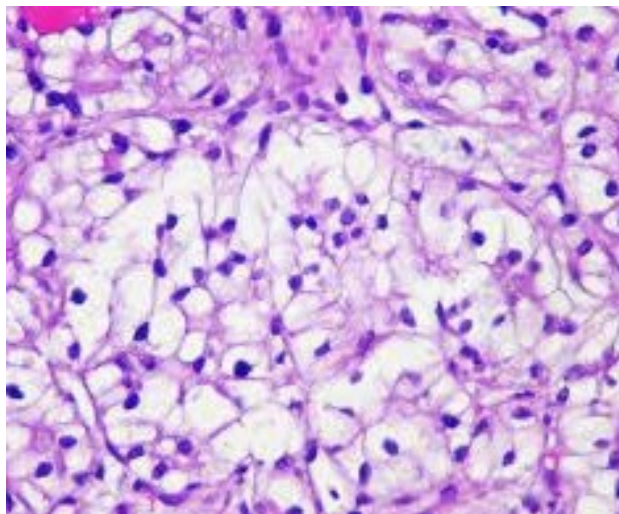
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The urokinase human enzyme (uPA) stimulates cancer **cell motility** and has been identified as a major player in various stages of **cancer**, particularly in cancer metastasis, and in **inflammatory diseases**, such as rheumatoid arthritis. The **bicyclic peptides** there patented can detect selectively the hUPA and inhibit its activity, with higher effectiveness than currently marketed **inhibitors**.



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DESCRIPTION:

Bicyclic peptides are next generation therapeutic molecules that exhibit properties typical of monoclonal antibodies (high **target affinity** and specificity) and small molecules (high plasma stability and good tissue penetration). The herein invented compounds can **detect and inhibit the enzyme uPA** that is involved in extracellular matrix degradation, and may therefore be useful in the treatment of pathological conditions effectively counteracted by huPA inhibition, particularly primary or metastatic forms of **cancer and inflammatory diseases**, such as rheumatoid arthritis. Bicyclic peptides have in general low toxicity and immunogenicity and can be formulated in pharmaceutical compositions for parenteral administration, also in combination with other active ingredients.



ADVANTAGES:

- High binding affinity ($K_i = 4 \text{ nM}$)
- Greater specificity in recognizing the target protein (uPA)
- Increased tissue penetration
- High plasma stability
- Tunable half-life
- Low toxicity

APPLICATIONS:

- Cancer treatments, ev. combined with other active ingredients
- Rheumatoid arthritis treatment, ev. combined with other active ingredients
- Pharmaceutical compositions for parenteral administration