



MYC-Targeting Inhibitors Generated From A New Method To Synthesize Stereo-Diversified Bicyclic Libraries

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BACKGROUND

MYC is a nuclear transcription factor that is constitutively and aberrantly expressed in over 70% of human cancers. The abnormal over-expression of MYC is frequently observed in some tumors, including carcinomas of the breast, colon, and cervix, as well as small-cell lung cancer, osteosarcomas, glioblastomas, and myeloid leukemias. Although its exact mechanism of action is under debate, MYC has long been one of the most sought-after oncology drug targets. Bicyclic peptides targeting MYC may be a new mode of cancer therapy.

BRIEF DESCRIPTION

Professor Min Xue and colleagues from the University of California, Riverside have developed a new method of construction of a bicyclic peptide library featuring a novel stereo-diversified structure and a simplified construction strategy. MYC inhibitors were synthesized to demonstrate this method. The method works by using a tandem ring-opening metathesis (ROM) and ring-closing metathesis (RCM) reaction (ROM-RCM) to cyclize the linear peptide library in a single step. This technology is advantageous because the resulting bicyclic peptide may be easily linearized for MS/MS sequencing with a one-step chemistry procedure.

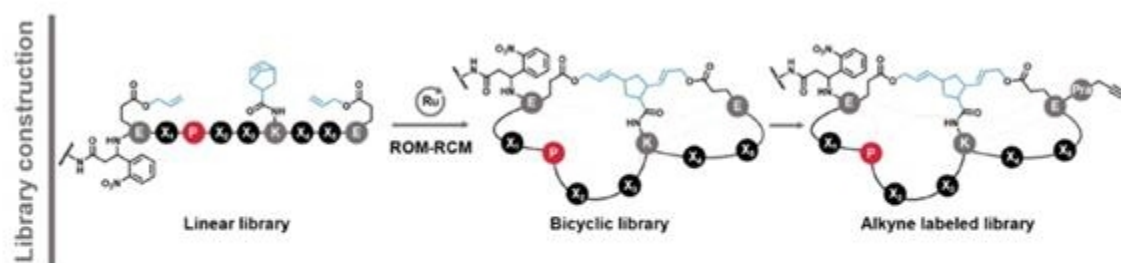


Fig 1: Bicyclic peptide library construction using the UCR method.

APPLICATIONS

- ▶ For possible targeted delivery of MYC drugs to tumors, including carcinomas of the breast, colon, and cervix, as well as small-cell lung cancer, osteosarcomas, glioblastomas, and myeloid leukemias.
- ▶ Methods to generate a bicyclic stereo-diversified peptide library to target different diseases.

PATENT STATUS

Patent Pending

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OTHER INFORMATION

KEYWORDS

Peptide, Bicyclic peptide library, metathesis, cMyc inhibitor

CATEGORIZED AS

- ▶ **Medical**
 - ▶ Disease: Cancer
 - ▶ Research Tools
 - ▶ Screening

RELATED CASES

2024-709-0

RELATED MATERIALS

► Li, Zhonghan, et al. "Myc-targeting inhibitors generated from a stereodiversified bicyclic peptide library." *Journal of the American Chemical Society*, 2024, 146, 2, 1356–1363 - 01/03/2024

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