MACROCYCLIC COMPOUNDS FOR CHALLENGING TARGETS

Macrocycle Library

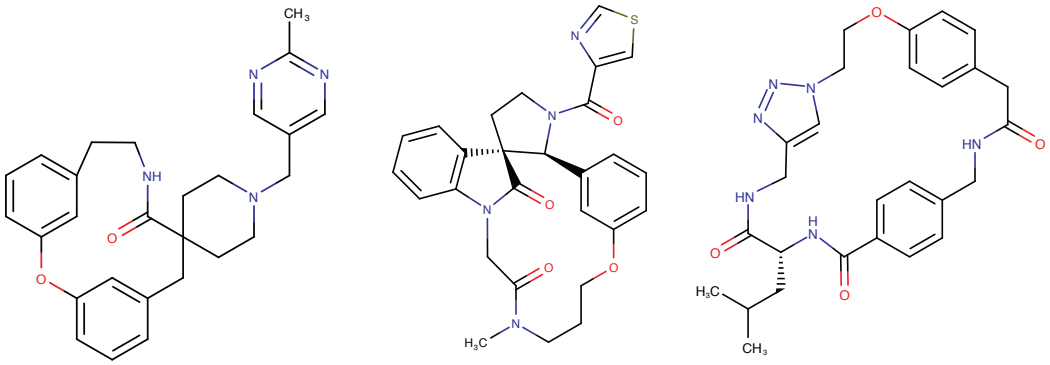
Introduction

The use of synthetic macrocycles is becoming a well-recognized and successful approach for low druggability targets such as antimicrobial, antiviral and protein-protein interaction. Topologically, macrocycles have the unique ability to span large surface areas while remaining conformationally restricted compared to acyclic molecules of equivalent molecular weight. Macrocyclization reduces overall polarity and enhances membrane penetration. Taken together these attributes make macrocycles a powerful approach for any lead discovery program against challenging targets. Macrocycles are typically defined as a carbo- or, more likely, a heterocycle comprising 12 or more heavy atoms and are often perceived as difficult to synthesize and challenging to incorporate chemical diversity. Through focusing on solutions to the synthetic challenges the chemists at ChemBridge® have produced a diverse library of over 6,000 macrocycles that are in stock and available for purchase.

Characteristics

ChemBridge has developed a diverse, easily modifiable collection of macrocycles intended to be accessible to both industry and academic researchers. The Macrocycle Library compounds are based on a collection of novel synthetic macrocyclic scaffolds with some based on natural products. ChemBridge chemists developed synthetic strategies for the synthesis and functionalization of macrocyclic compounds and to date have developed over 70 core macrocyclic scaffolds.

Example Macrocycle Library compounds include:

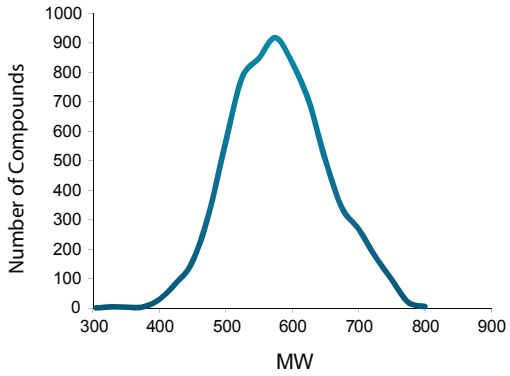


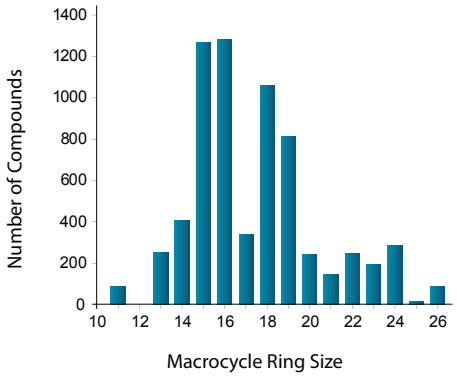
The current set of more than 6,000 macrocycles represents initial work; the Macrocycle Library will be further expanded to incorporate new core macrocyclic scaffolds leading to new, diverse macrocyclic compounds.

Characteristics
continued

General characteristics of compounds in the ChemBridge Macrocycle Library include:

- Molecular weight range up to 800
- Primary ring size ranging from 11 to 27 atoms
- Heterocyclic primary rings
- Scaffolds with and without peptidic backbone elements as part of the macrocyclic ring
- Scaffolds with and without fused rings as part of the primary macrocyclic ring
- Scaffolds derived from natural products





Format

- Download structures and custom select Macrocycle Library compounds
- Compounds can be provided in 96-well and 384-well format
- Compounds are available as DMSO solutions or dry films
- Minimum purchase amount of 1 micromole

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