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Libraries from Libraries: A Series of Sulfonamide Linked Heterocycles Derived from the Same Scaffold

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Title

Libraries from Libraries: A Series of Sulfonamide Linked Heterocycles Derived from the Same Scaffold

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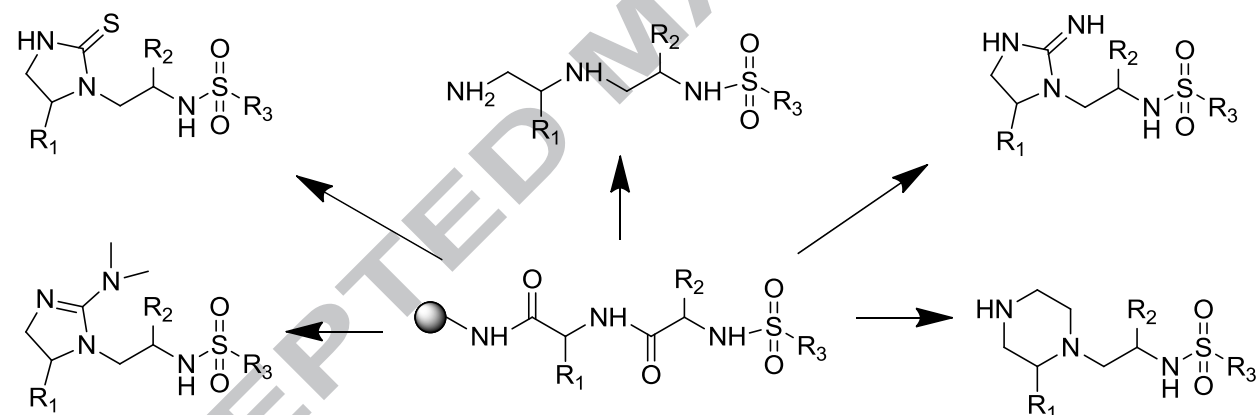
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Abstract

A libraries from libraries approach is described for the synthesis of five different sulfonamide linked scaffolds. Four of the scaffolds are sulfonamides linked to heterocycles; piperazine, thiourea, cyclic guanidine, and dimethyl cyclic guanidine. The fifth scaffold is a polyamine linked sulfonamide. Three different diversity positions were effectively incorporated into each scaffold providing a number of different compounds with good yields and purity.

Graphical AbstractKeywords

piperazine; positional scanning; sulfonamide; urea; guanidine; libraries from libraries

The innovation of mixture-based combinatorial chemistry has proven to be a valuable tool in drug discovery. In particular positional scanning synthetic combinatorial libraries (PS-SCL) allow for the rapid biological evaluation of thousands to trillions of compounds from hundreds of samples in order to identify active compounds for a variety of biological targets<sup>1-3</sup>. PS-SCL that are comprised of oligonucleotides, peptides, low molecular weight acyclic and heterocyclic compounds offer a diversity of structures for high-throughput screening while simultaneously requiring exponentially fewer samples to screen<sup>4-5</sup>.

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