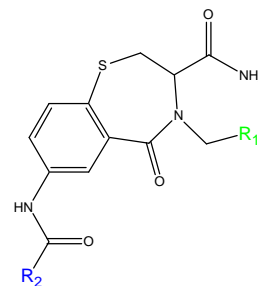


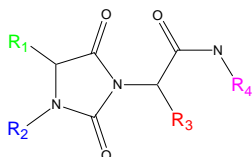
# HETEROCYCLES

Mixture Sciences Inc. has developed a technology for rapidly generating and screening millions of different heterocyclic compounds. Heterocyclic compounds offer a high degree of structural diversity and have proven to be broadly and economically useful as therapeutic agents. Mixture Sciences' heterocyclic libraries have been successfully used in a wide range of bioassays by both pharmaceutical companies and academic institutions in order to identify novel enzyme inhibitors, receptor agonists and antagonists, antimicrobial, antifungal, and antiviral compounds. This brochure illustrates representative Mixture Sciences' heterocyclic templates as well as the number of compounds contained in each of these libraries.<sup>1</sup>

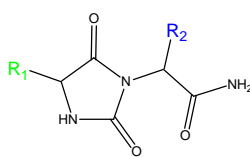
## Mixture Sciences Inc.



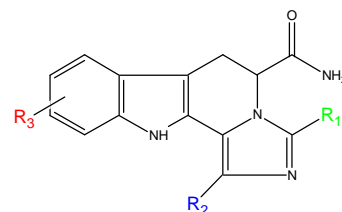
**Benzothiazepenes<sup>2</sup>**  
4,560 total compounds



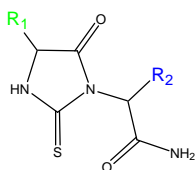
**Dialkylated Hydantoin<sup>1,3-4</sup>**  
32,400 total compounds



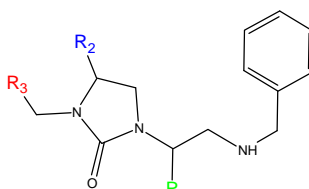
**1,4-Disubstituted Hydantoin<sup>1,5</sup>**  
6,120 total compounds



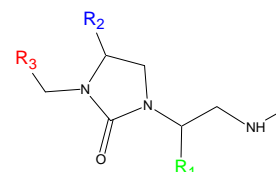
**Imidazol-pyrido-indoles<sup>4</sup>**  
25,300 total compounds



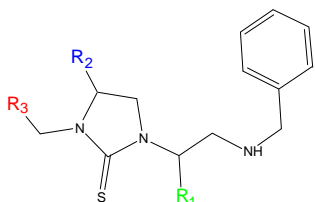
**Thiohydantoin<sup>5</sup>**  
6,525 total compounds



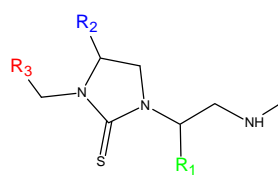
**N-Benzyl Aminocyclic Ureas<sup>1,3-4,6</sup>**  
118,400 total compounds



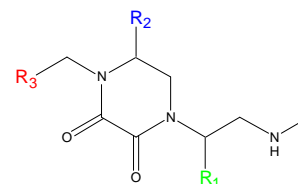
**N-Methyl Aminocyclic Ureas<sup>1,3-4,6</sup>**  
118,400 total compounds



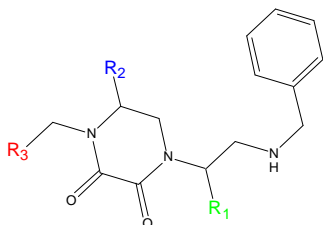
**N-Benzyl Aminocyclic Thioureas<sup>1,3-4,6</sup>**  
118,400 total compounds



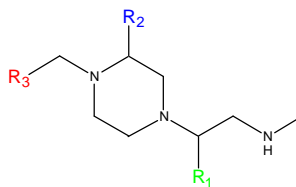
**N-Methyl Aminocyclic Thioureas<sup>1,3-4,6</sup>**  
118,400 total compounds



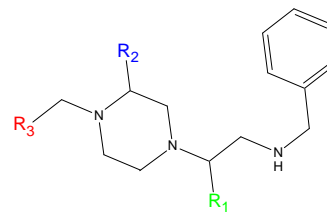
**N-Methyl Diketopiperazines<sup>7</sup>**  
31,320 total compounds



**N-Benzyl Diketopiperazines<sup>7</sup>**  
31,320 total compounds



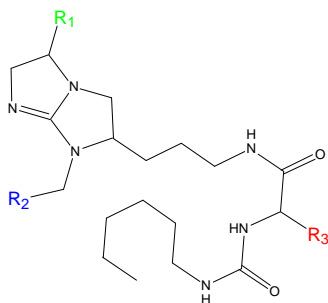
**N-Methyl Piperazines**  
31,320 total compounds



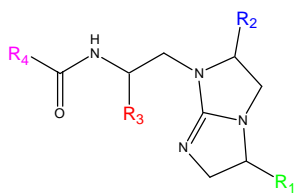
**N-Benzyl Piperazines**  
31,320 total compounds

# HETEROCYCLES

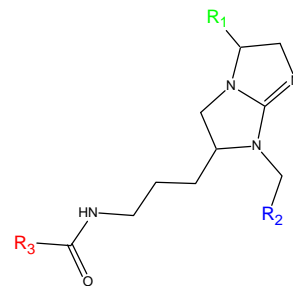
**Mixture  
Sciences Inc.**



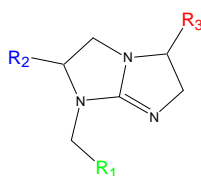
**Urea Linked Bicyclic Guanidines**<sup>9</sup>  
47,600 total compounds



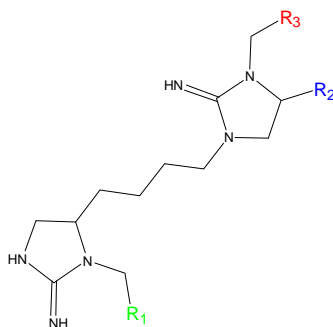
**N-6-Acylamino Bicyclic Guanidines**  
1,100,512 total compounds



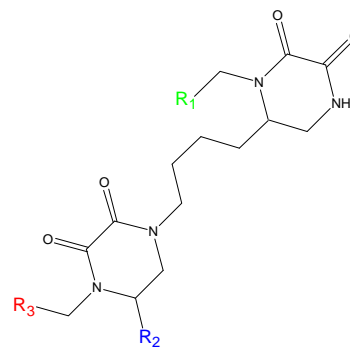
**C-5-Acylamino Bicyclic Guanidines**  
72,283 total compounds



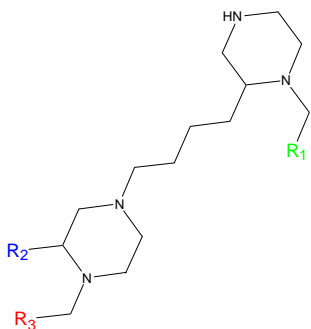
**Bicyclic Guanidines**<sup>1,3-4,8</sup>  
102,459 total compounds



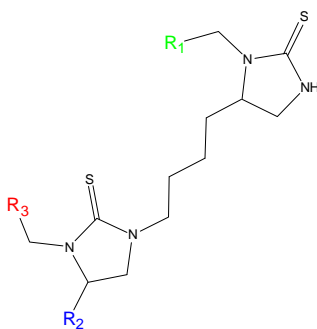
**Bis-cyclic Guanidines**  
45,864 total compounds



**Bis-diketopiperazines**<sup>10</sup>  
45,864 total compounds



**Bis-piperazines**<sup>10</sup>  
45,864 total compounds



**Bis-cyclic Thioureas**<sup>10</sup>  
45,864 total compounds

## Reference:

1. Houghten, R.A., et al. Mixture-based synthetic combinatorial libraries. *J. Med. Chem.* 42:3743-3778, **1999**.
2. Nefzi, A., et al. Solid phase synthesis of 1,4-benzothiazepin-5-one derivatives. *Tetrahedron Lett.* 40:4939-4942, **1999**.
3. Nefzi, A., et al. Combinatorial chemistry: from peptides and peptidomimetics to small organic and heterocyclic compounds. *Bioorgan. Med. Chem. Lett.*, 8:2273-2278, **1998**.
4. Blondelle, S.E., et al. Novel antifungal compounds derived from heterocyclic positional scanning combinatorial libraries. *Pure and Applied Chemistry* 70:2141, **1998**.
5. Houghten, R.A., et al. Drug and vaccine discovery using mixture-based synthetic combinatorial libraries. *Drug Discovery Today* 5:276-285, **2000**.
6. Nefzi, A., et al. Solid phase synthesis of trisubstituted 2-imidazolidones and 2-imidazolidinethiones. *J. Comb. Chem.* 1:195-198, **1999**.
7. Nefzi, A., et al. Solid-phase synthesis of substituted 2,3-diketopiperazines from reduced polyamides. *Tetrahedron* 56:3319-3326, **2000**.
8. Ostresh, J.M., et al. The solid phase synthesis of tri-substituted bicyclic guanidines via cyclization of reduced N-acylated dipeptides. *J. Org. Chem.* 63:8622-8623, **1998**.
9. Acharya, A. N., et al. Tethered libraries: solid-phase synthesis of substituted urea-linked bicyclic guanidines. *J. Comb. Chem.* 3: 189-195, **2001**.
10. Nefzi A., et al. Solid-phase synthesis of bis-heterocyclic compounds from resin-bound orthogonally protected lysine. *J. Comb. Chem.* 3, 68-70, **2001**.

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