SL-10. Purine-based Nucleosides

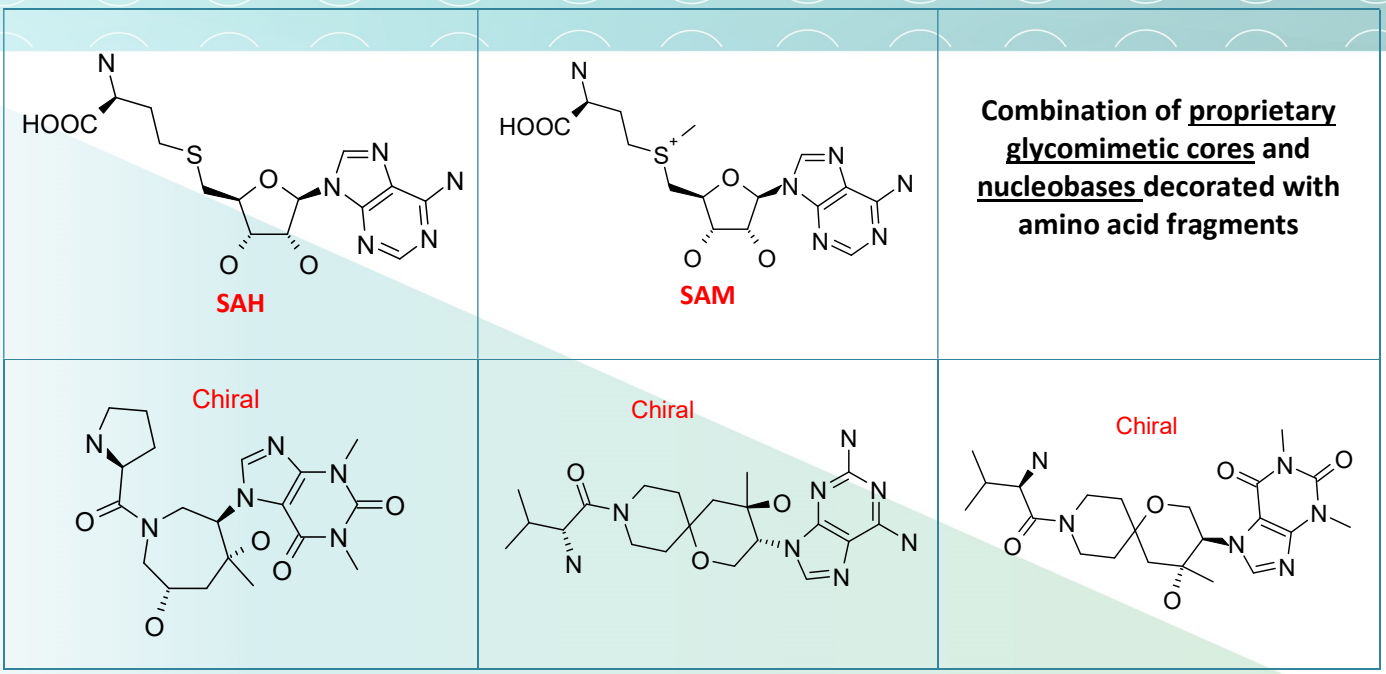
ASINEX
Signature Libraries

The enzyme co-factor SAM/SAH is found in all branches of life, widely exploited by protein methyltransferases for the transfer of a methyl group to various molecules of biological significance. A number of these enzymes are drug targets in the field of epigenetics [1].

There is also wide-ranging interest in SAM/SAH-dependent enzymes beyond epigenetics, in the fields of anti-bacterials, anti-virals, anti-parasitics, oncology (which includes both epigenetic and non-epigenetic mechanisms), agrochemicals, and in industrial chemical processing.

Compounds targeting the SAM/SAH site of these enzymes offer great potential, yet very few such molecules are currently described in literature or commercially available in compound collections.

To address this market need, we have used a modular approach to synthesize a library of purine-based nucleosides. The main challenge was to develop stereo- and enantio-selective methods for the synthesis of nucleotide-like cores which both mimic adenosine and are metabolically stable.



Signature Library 10

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#10_PurineNucleoside_04-16.sdf

References:

1. *ACS Chem Biol.* 2012 Mar 16;7(3):443-63. doi: 10.1021/cb200519y.

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SL-52. Purine-based Nucleosides-2

ASINEX
Signature Libraries

The enzyme co-factor SAM/SAH is found in all branches of life, widely exploited by protein methyltransferases for the transfer of a methyl group to various molecules of biological significance. A number of these enzymes are drug targets in the field of epigenetics [1].

There is also wide-ranging interest in SAM/SAH-dependent enzymes beyond epigenetics as SAM/SAH plays an important role in many research areas: anti-bacterials, anti-virals, anti-parasitics, oncology (which includes both epigenetic and non-epigenetic mechanisms), agrochemicals, and industrial chemical processing.

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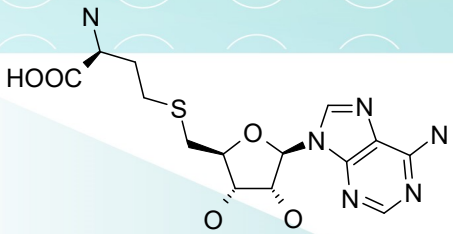
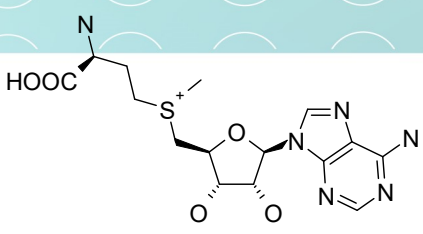
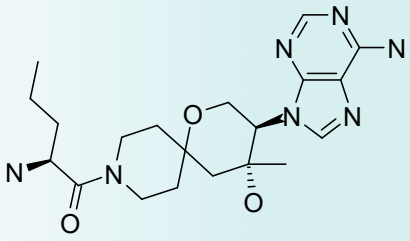


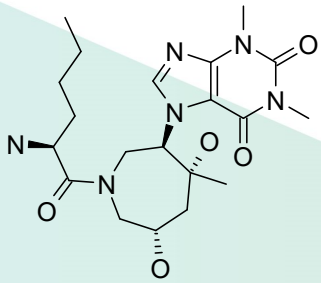
SAH

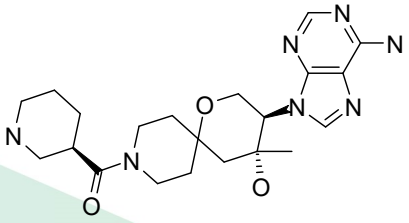


SAM

Combination of proprietary glycomimetic cores and nucleobases decorated with amino acid fragments







Signature Library 52

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#52_Purines-2.sdf

References:

1. *ACS Chem Biol.* 2012 Mar 16;7(3):443-63. doi: 10.1021/cb200519y.

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SL-98. Purine-based Nucleosides-3

The enzyme co-factor SAM/SAH is exploited by protein methyltransferases for the transfer of a methyl group to various substrates of biological significance. A number of these enzymes are drug targets in the field of epigenetics [1].

There is also wide-ranging interest in SAM/SAH-dependent enzymes beyond epigenetics as SAM/SAH plays an important role in many research areas: anti-bacterials, anti-virals, anti-parasitics, oncology (which includes both epigenetic and non-epigenetic mechanisms), agrochemicals, and industrial chemical processing.

Compounds targeting the SAM/SAH site of these enzymes offer great potential, yet very few such molecules are currently described in literature or commercially available in compound collections.

To address this market need, we have used a modular approach to synthesize a library of purine-based nucleosides. The main challenge was to develop stereo- and enantio-selective methods for the synthesis of nucleotide-like cores which mimic adenosine.

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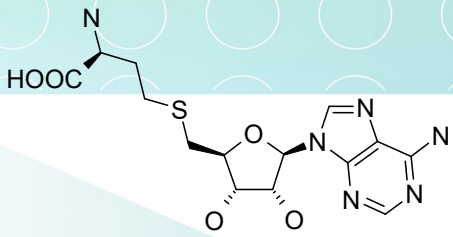
<td> 
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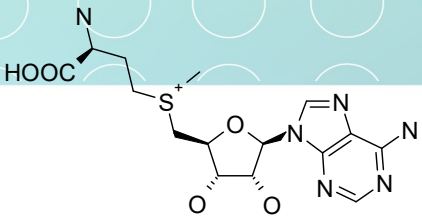
<td> 
SAM</td>
<td>Combination of <u>proprietary glycomimetic cores</u> and <u>nucleobases</u> decorated with amino acid fragments</td>
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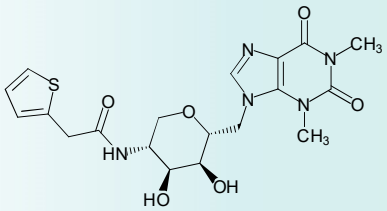
<td> 
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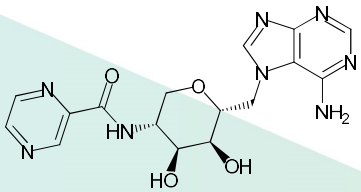
<td> 
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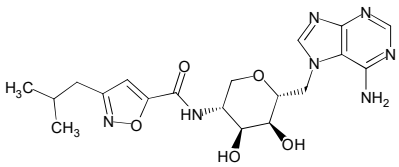
<td> 
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<u>Signature Library 98</u>

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#98_Purines_3.sdf

<u>References</u>:

1. *ACS Chem Biol.* 2012 Mar 16;7(3):443-63. doi: 10.1021/cb200519y.

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