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Solid-phase Total Synthesis of Amide Analogues of Coibamide A: Azacoibamide A and O⁶-Desmethyl Azacoibamide A

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Abstract

Solid-phase total syntheses of highly methylated cyclic azacoibamide A and its O-desmethyl analogue were achieved. Two ester linkages of natural coibamide A were replaced by amide bonds to improve its pharmacokinetic properties. The synthetic strategy consists of the key on-resin macrocyclization, *N,N*-dimethylation, and final solution phase O-methylation reactions. Compared to the naturally occurring coibamide A, azacoibamide A and its O-desmethyl analogue displayed low micromolar activities against tested cancer cell lines.

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if(window.__satellite) { __satellite.pageBottom(); }
```

```
var __prum=[[ 'id','59e8fecb3847311aab7b23c6'],[ 'mark','firstbyte',(new Date()).getTime()]]; (function(){var s=document.getElementsByTagName('script')[0],p=document.createElement('script');p.async='async';p.src='//rum-static.pingdom.net/prum.min.js';s.parentNode.insertBefore(p,s);})();
```