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Total Synthesis of Amino-Functionalized Calphostin Analogs as Potent and Selective Inhibitors of Protein Kinase C (PKC)

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Abstract

As potential protein kinase C (PKC) inhibitors and photodynamic agents, the novel amino-functionalized calphostin analog **1**, 1,2-bis((benzoylamino)methyl)-3,10-perylenequinone was successfully prepared by dimerization of the key intermediate 3-(benzoylamino)methyl-1,2-naphthoquinone **9**, which was synthesized by an efficient and relatively short synthetic sequence (eight steps) with satisfactory overall yield. The naturally occurring form of perylenequinone **12** was prepared by consecutive methylation and demethylation reactions. In our synthetic strategy, it was beneficial that the amino functionality of 1,2-naphthoquinone **9** could be easily introduced at an early synthetic

stage and subsequently dimerized to prepare various potentially bioactive perylenequinones.

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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.creat  
eElement('script');p.async='async';p.src='//rum-  
static.pingdom.net/prum.min.js';s.parentNode.insertBefore(p,s);})();
```