

## 1,3-Dipolar Cycloaddition Approach to Kaitocephalin Synthesis

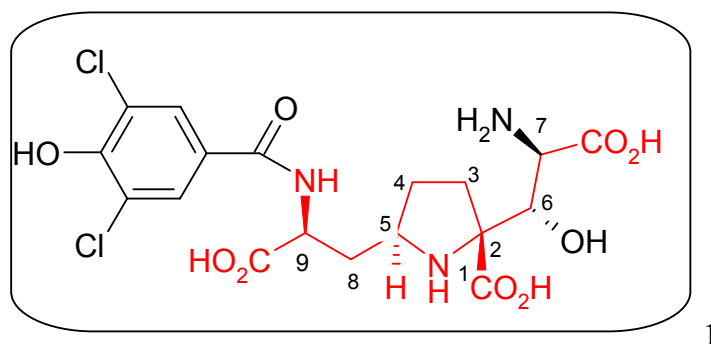
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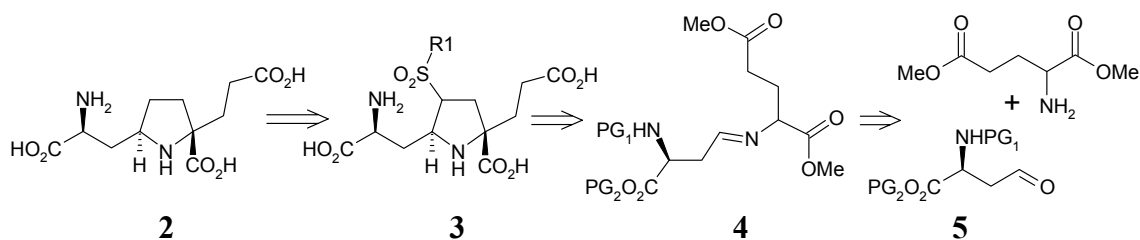
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Kaitocephalin **1** is the first naturally occurring AMPA-kainate receptors antagonist [1]. Several synthetic studies have been aimed on its total synthesis [2, 3].



We have concentrated our research on the synthesis of 2,2,5-trisubstituted chiral pyrrolidine core **2** by 1,3-dipolar cycloaddition of vinyl sulfone to azomethine **4** derived from optically pure aldehyde **5**.



1. Shin-ya, K.; Kim, J.-S.; Furihata, K.; Hayakawa, Y.; Seto, H. *Tetrahedron Lett.* **1997**, 38, 7079–7082.
2. Ma, D.; Yang, J. *J. Am. Chem. Soc.* **2001**, 123, 9706–9707.
3. Okue, M.; Kobayashi, H.; Shin-ya, K.; Furihata, K.; Hayakawa, Y.; Seto, H.; Watanabe, H.; Kitahara, T. *Tetrahedron Lett.* **2002**, 43, 857–860.