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5 - And 6 - Membered Ring Compounds From (E)-ß-Iodo(vinyl)sulfones

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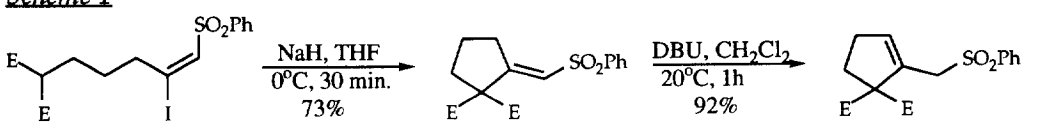
Summary: Appropriately functionalized alkynes are converted to (E)-ß-iodo(vinyl)sulfones which, in turn, furnish cyclic products on treatment with base.

We have previously described the synthesis of oxazoles and thiazoles *via* an intramolecular addition-elimination strategy.[1] In this Letter, we report that this protocol is general for the preparation of small rings. (E)-ß-(Iodo)vinylsulfone (**2**) conveniently prepared from 4-pentynol mesylate (**1**), was found to cyclize in basic media to the cyclopentane (**3**). This, in turn, could be isomerized in high yield to the cyclopentene (**4**) (Scheme 1).[2]

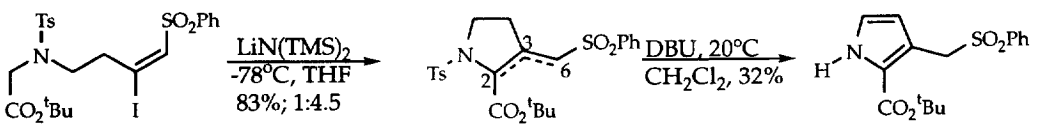
Scheme 1



2 : E= CO_2Et **3** **4**

Further investigations led us to prepare sulfonamide (**5**).[3] As before, basic treatment initiated ring closure, yielding both pyrrolidine (**6**) and pyrroline (**7**) (Scheme 2). Attempts to interconvert (**6**) and (**7**) failed. Interestingly, treatment of (**6**) with DBU led to pyrrole (**8**).

Scheme 2

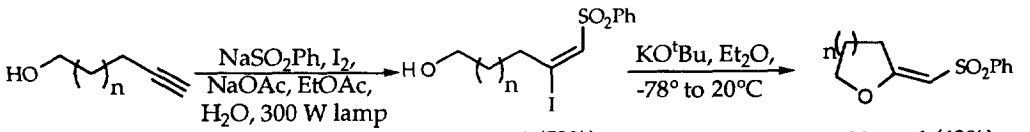


5 $6 : \Delta^{3,6} + 7 : \Delta^{2,3}$ **8**

We also prepared heterocycles **11** and **12** (Scheme 3) which complements the recent studies unveiled by the Padwa group.[4] In conclusion, we have shown that the addition-elimination protocol can be used to construct

representative congeners from the following ring classes; cyclopentenes, pyrrolines, tetrahydrofurans and tetrahydropyrans.[4,5] Further disclosures illustrate this procedure in the construction of carbapenem antibiotics.[6]

Scheme 3

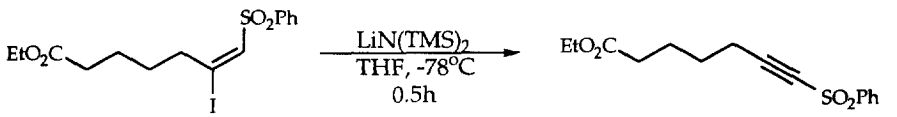


9 : n=1 (52%)
10 : n=2 (48%)

11 : n=1 (43%)
12 : n=2 (80%)

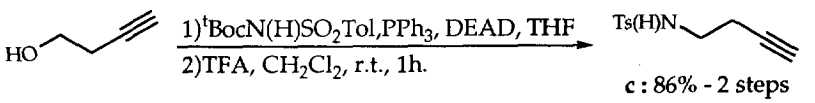
References and Notes

1. Short, K.M.; Ziegler, C.B., Jr.; *Tetrahedron Lett.*, **1993**, *34*, 71, 75.
2. We attempted to repeat the sequence with the monoactivated system (**a**), available *via* 6-heptynoic acid. Only the alkyne (**b**) resulted, presumably through a simple dehydroiodination process.



a **b** : 97%

3. Prepared from alkynylsulfonamide (**c**) as usual.[5] This, in turn, was made available from 3-butynol using a modified Gabriel protocol.[7]



c : 86% - 2 steps

4. Padwa, A.; Austin, D.J.; Ishida, M.; Muller, C.L.; Murphree, S.S.; Yeske, P.E., *J. Org. Chem.* **1992**, *57*, 1161.
5. A representative procedure is as follows: To a solution (0°C) of the sodium salt of alkyne (**c**) (NaH, DME, 30 min.) was added tert-butyl bromoacetate. After 90 minutes, aqueous work-up, standard extraction and purification gave the required amino acid derivative (84%). This was then added to a rapidly stirred mixture of sodium benzenesulfinate (2 eq.), sodium acetate (1.5 eq.) and iodine (1.05 eq.) in an ethyl acetate/water (2 : 1) system, and illuminated with a 300 W lamp for 30 minutes. After cooling, a $Na_2S_2O_3$ (1 M.) quench yielded sulfone (**5**, 86%) following the usual extractive and chromatographic procedures. To a THF solution (-78°C) of **5** (0.1 M) was added $LiN(SiMe_3)_2$ (1.2 eq.). After 45 minutes, the mixture was quenched (1% HCl) and extracted as usual. Chromatographic purification initially furnished pyrroline **7** (15%), followed by pyrrolidine **6** (68%), both as colorless oils.
6. Ziegler, C.B., Jr.; Curran, W.V.; Feigelson, G.B.; Bitha, P.; Fabio, P.; Strohmeyer, T.; Short, K.; Lin, Y-i.; *Tetrahedron* **1994**, *50*, 12085.
7. Henry, J.R.; Marcin, L.R.; McIntosh, M.C.; Scola, P.M.; Harris, G.D., Jr.; Weinreb, S.M.; *Tetrahedron Lett.* **1989**, *30*, 5709.

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