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ARTICLE

Cu(I) mediated Kinugasa Reactions of α,β-unsaturated Nitrones: A Facile, Diastereoselective Route to 3-(Hydroxy/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones

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Yogesh Kumar,[a] Prabhpreet Singh[b] and Gaurav Bhargava[a*]

The manuscript describes a facile and highly diastereoselective synthesis of *cis*-3-(Hydroxy/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones by copper (I) mediated Kinugasa reactions of previously unexplored functionalized α,β–unsaturated nitrones. A variety of functionalized acetylenes and α,β-unsaturated nitrones were studied to yield *cis*-3-(hydroxy/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones in good yields (82%).

β-lactams are widely recognized as one of the most significant heterocyclic scaffold[1] and has maintained a high level of curiosity, both in academia and in industry. The β-lactam ring is the common structural characteristic of number of broad spectrum β-lactam antibiotics, such as penicillin, cephalosporins, carbapenems, nocardicins, monobactams, clavulanic acid as well as inhibitors of HIV-1 protease.[2] In recent years, renewed interests has been paying attention on the synthesis and modification of β–lactam ring to obtain compounds with different pharmacological activities like cholesterol absorption inhibitory activity, human tryptase, thrombin and chymase inhibitory activity, vasopressin V1a antagonist activity, antimalarial, antidiabetic, antitubercular, anti-inflammatory, anti-parkinsonian and anti-HIV activity.[3-4] β-lactams are also increasingly being used as useful synthon for the synthesis of variety of natural products *via* β-lactam synthon methodology.[5] The lactam have also been explored as well recognized for the variety of non-protein amino acids, oligopeptides, peptidomimetics, and nitrogen-heterocycles,[6,7] as well as biologically active natural and unnatural products of medicinal interest.[8, 9]

The common methodologies for synthesis of functionalized β-lactam includes ketene-imine [2+2] cycloaddition reactions[10] and metallo-ester enolate-imine cyclocondensations.[11] However, these traditional synthetic routes are mostly intolerant to acid or base sensitive moieties. After the advent of Kinugasa reaction, functionalized lactams were synthesized which were previously in-accessible using traditional synthetic methodologies.[12] The Kinugasa reactions use readily available substrates *viz.* nitrones and acetylenes, and are mild and can tolerate different functional groups (Figure 1).[13] Kinugasa cascade provides an access to numerous β-lactam compounds with distinct configuration and potential biological activity.[14]

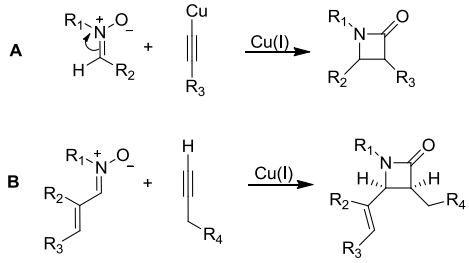

Figure 1. **A**: Previous work on Kinugasa reactions; **B**: Present work on Kinugasa reactions of α,β-unsaturated nitrones

An early investigation by different research groups on Kinugasa reactions examined the generation of functionalized β-lactams using aliphatic or aromatic substituted nitrones. These Kinugasa reactions are initiated by [3+2] cycloadditions of nitrones with Cu acetylide. These [3+2] cycloadducts **(I)** usually follow two different pathways: pathway A[17] and pathway B[12b] (Figure 1). Both pathways **A** & **B** have revealed the presence intermediates β-aminoketene **(II)** & oxaziridinium **(III)** respectively, which eventually, collapse to *cis/trans*-β-lactams. The Kinugasa reactions generally afford β-lactams as mixtures of racemic diastereoisomers, however, various stereoselective variants of such transformation with an appropriate chiral auxiliaries or chiral Cu(I) ligands have been reported.[13,14]

[a] *I. K. Gujral Punjab Technical University, Kapurthala, Punjab-144603, India (Formerly known as Punjab Technical University, Kapurthala) Fax: Tel.: (+91)-1822-255504, E-mail: gaurav@ptu.ac.in.*
[b] *Department of Chemistry, Guru Nanak Dev University, Amritsar, Punjab 143005, India..*

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Electronic Supplementary Information (ESI) available: [details of any supplementary information available should be included here]. See DOI: 10.1039/x0xx00000x

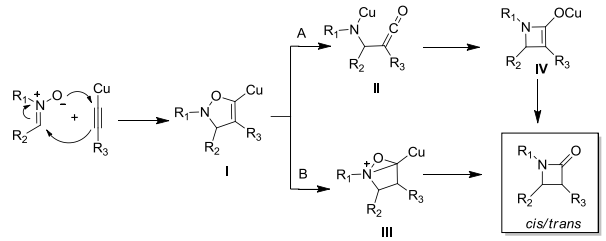
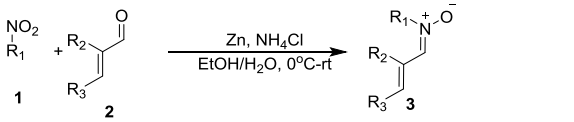


Figure 2. Proposed mechanisms for Kinugasa reaction. **Path A**: *via* β-aminoketene intermediate **II**; **Path B**: *via* oxaziridinium intermediate **III**.

Although, in principle, Kinugasa reaction would provide efficient access to styryl substituted lactam at the C-4 position, to the best of our knowledge no examples of such a process have been reported (Figure 1). In view of previous reports and our ongoing interests in heterocyclic chemistry,[15] we have turned our attention to the exploration of Kinugasa reactions, ideally with α,β-unsaturated nitrones derived from variety of α,β-unsaturated cinnamaldehydes. Herein we demonstrate a copper catalyst mediated Kinugasa reactions for the synthesis of β–lactams containing diverse substituents at the C4-position with very good stereoselectivity.

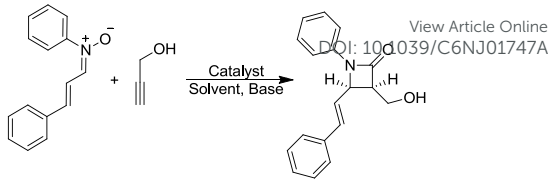
The starting α,β-unsaturated nitrones **3** used in Kinugasa reactions were prepared by reported methods[16] using nitrobenzene **1** and different conjugated aldehydes **2** *viz*. cinnamaldehyde, α-methyl- cinnamaldehyde and *p*-methoxy-cinnamaldehyde. (Scheme-1)



3a; $R_1 = C_6H_5$; $R_2 = H$; $R_3 = C_6H_5$
3b; $R_1 = C_6H_5$; $R_2 = CH_3$; $R_3 = C_6H_5$
3c; $R_1 = C_6H_5$; $R_2 = H$; $R_3 = 4\text{-}OMeC_6H_5$
3d; $R_1 = 2\text{-}MeC_6H_5$; $R_2 = H$; $R_3 = C_6H_5$
3e; $R_1 = 2\text{-}MeC_6H_5$; $R_2 = CH_3$; $R_3 = C_6H_5$
3f; $R_1 = 2\text{-}MeC_6H_5$; $R_2 = H$; $R_3 = 4\text{-}OMeC_6H_5$

Scheme 1. Preparation of α,β-unsaturated nitrones **3**.

The α,β-unsaturated nitrones **3**, were, initially, investigated for the Kinugasa reactions in different solvents *viz*. dimethyl sulfoxide (DMSO), *N,N*-dimethylformamide (DMF), MeCN and THF (Scheme 2). The reaction gave best yield and selectivity of product in DMF as solvent using CuI (3.3 eq.) and triethylamine (3.3 eq.). In acetonitrile, the formation of lactam was significantly low. When the Kinugasa reaction was attempted in DMSO, only traces of the product were formed (Table 1). These reactions were also tested in different bases *viz*. triethylamine, DIPEA and K_2CO_3. But, only traces of product were observed when DIPEA and K_2CO_3 were used as base in these Kinugasa reactions.



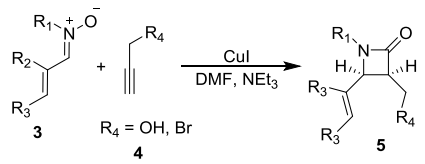
Scheme 2. Kinugasa reaction of α,β-unsaturated nitrone **3**.

Table 1. Optimization of reaction conditions for Kinugasa reaction.

Entry	Solvent[a]	Base	Catalyst	Yields[b] (%)
1	DMSO	NEt_3	CuI	traces
2	DMF	NEt_3	CuI	82
3	MeCN	NEt_3	CuI	35
4	THF	NEt_3	CuI	20
5	DMF	NEt_3	-	0
6	MeCN	NEt_3	-	0
7	THF	NEt_3	-	0
8	DMF	DIPEA	CuI	traces
9	MeCN	DIPEA	CuI	0
10	THF	DIPEA	CuI	0
11	DMF	K_2CO_3	CuI	traces

[a]Reactions was conducted under Argon, [b]Isolated yields after purification

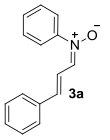
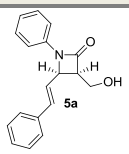
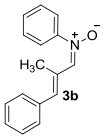
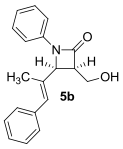
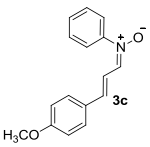
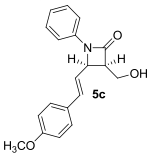
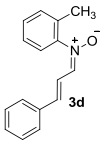
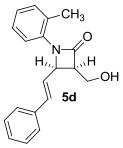
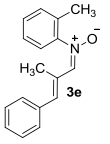
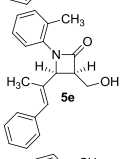
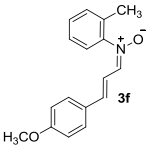
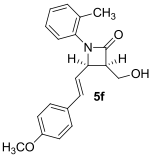
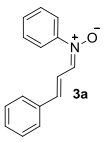
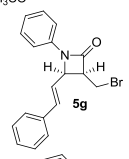
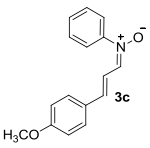
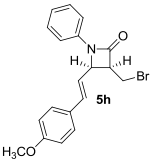
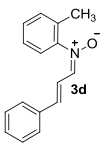
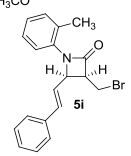
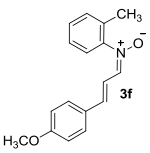
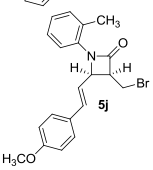
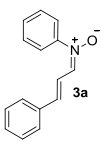
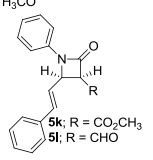
After the optimization of the reaction conditions, these variedly substituted α,β-unsaturated nitrones **3** were investigated for their Kinugasa reactions using CuI in DMF. The reactions were carried out under inert atmosphere to avoid the competitive Glaser coupling. The reactions led to the formation of regio- and diastereomerically pure 3-methyl-1-aryl-4-(-styryl)azetidin-2-one in good yields (Scheme-3).



Scheme 3. Kinugasa reaction of α,β-unsaturated nitrones **3**.

The reaction of nitrones **3a** and **3c** gave comparatively better yield of 3-methyl-1-aryl-4-(-styryl)azetidin-2-ones 5 (Table 2, entries 1 and 3). However, the nitrones **3d** and **3f** gave comparatively less yield of *cis*-3-methyl-1-aryl-4-(-styryl)azetidin-2-ones (Entries 4 & 6). The reactions were also studied with propargyl bromide, however, yield was comparatively low and there was not much change in the yield of **5g-j** with the change in the nitrones **3**. The reactions of nitrone with methyl propiolate and propiolaldehyde did not succeed even using high amount of Cu(I) or use of different base. Moreover, the kinugasa reactions of α,β-unsaturated nitrones derived form 2-nitro cinnamaldehyde were not successful even under different reaction conditions. It was worth noting that the complete *cis* selection was observed during the synthesis of β-lactams 5a-j.[18, 19]

Table 2. Percentage yield of *cis*-3-methyl-1-aryl-4-(-styryl)azetidin-2-ones **5**

Entry	Nitrone	Alkyne	Product[a]	Yields[b] (%)
1				82
2				75
3				80
4				70
5				65
6				70
7				45
8				45
9				40
10				42
11				0[c]

[a]Reaction time 18-24 hrs, [b]Isolated yields after purification, [c]Starting was consumed but no useful product was isolated

The diastereomerically pure, functionalized *cis*-3-(hydroxyl/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-one **5** (Figure 3), thus obtained was characterized on the basis of analytical data and spectral evidences. The detailed information is described in supporting information while the salient features are discussed here. The compound, *cis*-3-(hydroxymethyl)-1-phenyl-4-styrylazetidin-2-one **5a** for example, analyzed for $C_{18}H_{17}NO_2$, mass spectrum showed molecualr peaks at 280 (M+1). The high resolution ^{1}H NMR (500MHz) spectrum showed a characteristic doublet of doublet at δ 4.86 having J = 6.0, 8.5 Hz corresponding to H^4, multiplet at δ 6.03 corresponding to H^3, a doublet at δ 6.84 (J = 16.0 Hz) assigned to H^6, and a doublet of doublet at δ 6.53 (J = 8.5, 16.0 Hz) assigned to H^4. The ^{13}C NMR has shown the presence of one carbonyl carbon at δ 165.4 and at δ 57.9 corresponding to CH_2 of the side chain at C-3 position. The *cis* stereochemistry at CH^3-CH^4 of **5a** was also confirmed by NOE studies.[18,19]

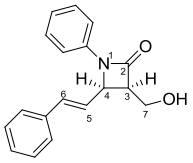


Figure 3. 3-(Hydroxymethyl)-1-phenyl-4-styrylazetidin-2-one **5a**.

In conclusion, we have explored a highly diastereoselective Kinugasa reactions of a variety of *α,β*-unsaturated nitrones with different acetylenes to produce *cis*-3-(hyroxy/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones in good yields. The methodology provides a new route to 3-substituted-styryl lactams from variedly substituted *α,β*-unsaturated nitrones which are exigent to access by traditional methods. The reactions are highly diastereoselective and only *cis*-3-(hyroxy/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones were observed. The current methodology for the formation of *cis*-3-(hyroxy/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones is an important in terms of their potential biological application as well as their usefulness as organic synthon.

Acknowledgements

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Notes and references

‡ Footnotes relating to the main text should appear here. These might include comments relevant to but not central to the matter under discussion, limited experimental and spectral data, and crystallographic data.

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etc.

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Cu (I) mediated Kinugasa Reactions of α,β–unsaturated Nitrones: A Facile, Diastereoselective Route to 3-(Hydroxy/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones

Yogesh Kumar[a], Prabhpreet Singh[b], Gaurav Bhargava[a]*

[a]Department of Applied Sciences, I. K. Gujral Punjab Technical University, Kapurthala, Punjab-144603, India

Fax: Tel.: (+91)-1822-255504, E-mail: gaurav@ptu.ac.in.

[b]Department of Chemistry, Guru Nanak Dev University, Amritsar, Punjab 143005, India

Abstract: The manuscript describes a facile and highly diastereoselective synthesis of *cis*-3-(hydroxyl/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones by copper (I) mediated Kinugasa reactions of previously unexplored functionalized α,β–unsaturated nitrones. A variety of functionalized acetylenes and α,β-unsaturated nitrones were studied to yield *cis*-3-(hydroxyl/bromo)methyl-1-aryl-4-(-styryl)azetidin-2-ones in good yields (82%).