

Poster Presentation

Inter-Disciplinary Explorations in Chemistry (I-DEC 2018)

"Asymmetric Total Synthesis of Lysergine and Isolysergine"

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Abstract: Pharmacologically important clavine (**1a-c**) alkaloids are subclass of ergot alkaloids (**2a-e**) and are produced mainly by fungi of the families *Clavicipitaceae*.¹ Most ergot alkaloid structures contain a tetracyclic ergoline ring system (**1b-c**, **2a-e**, and **3a-b**), except cycloclavine (**1a**), which is sharing a pentacyclic framework with a vicinal all-carbon stereogenic centers.^{1c} Reportedly, members of this family possess a broad spectrum of pharmacological activities, which include modulation of blood pressure, control of the secretion of pituitary hormones, migraine prevention, and dopaminergic and neuroleptic activities.^{1b-c} Because of the varied and powerful biological activities of several congeners of this family, these alkaloids have long attracted the interests of synthetic chemists.

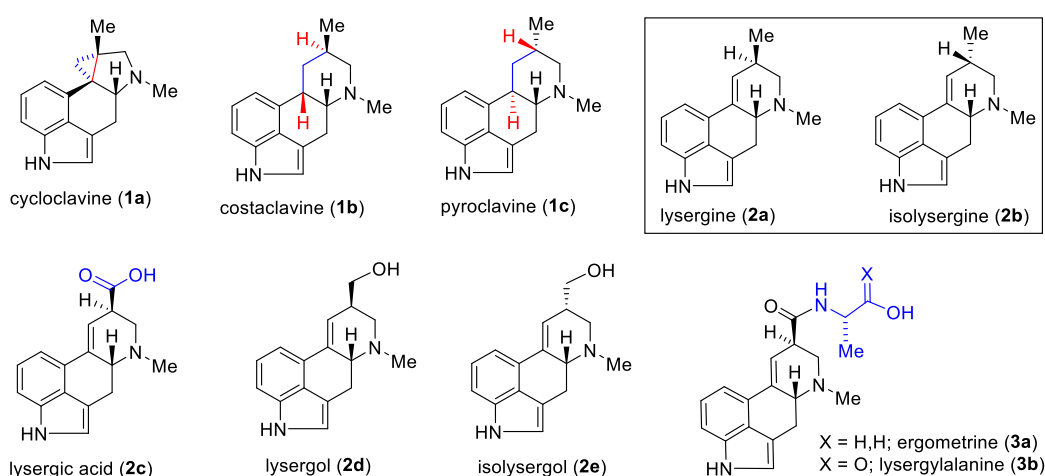


Figure. Selected naturally occurring clavine alkaloids (**1a-c**), lysergine alkaloids (**2a-e**) and **3a-b**.

Although few approaches to the total syntheses of this class of alkaloids have been reported,² however, majority of them are in racemic form. In this context, development of a unified asymmetric approach to synthesize majority the congeners remains still challenging.³ Due to their immense biological activities, our group undertook in developing unified strategy for the total syntheses of clavine (**1a-c**) and lysergine (**2a-e**) subclasses of ergot alkaloids (Figure). In this poster, I will be discussing an unprecedented highly diastereoselective intramolecular Heck cyclization of an enantioenriched α,β -unsaturated ester to set vicinal stereocenters required for these ergot alkaloids.^{4b} The advanced intermediate of intramolecular Heck cyclization has been achieved via a catalytic enantioselective α -aminoxylation of aldehyde using L-proline as catalyst.⁵

References and Notes:

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