Research Profile

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Academic Background:

- **M.Sc.** (2000): Chemistry, from the Department of Chemistry, University of Pune
- **Ph.D.** (2006): National Chemical Laboratory (NCL), Pune
- **Post-Doctoral Fellowship** (2006-2008): University of Bologna, Italy

Broad Research Interests:

Asymmetric Organocatalysis; Development of synthetic methodologies
Synthesis of molecular scaffolds of significance and total synthesis.

Development of synthetic methodologies:

>> Investigating the Morita-Baylis-Hillman (MBH) reaction and applications of MBH adducts:

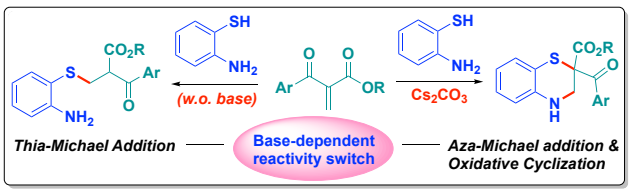
Here, our group works on exploring the MBH ketone, obtained by oxidation of the MBH adduct, in various transformations and toward the development of new synthetic methodologies.

Some of the novel transformations achieved in this regard include:

(i) Insertion of a hydrazine into the MBH ketone framework by an intriguing C–C bond cleavage.
(ii) A diamine-mediated degradative dimerisation featuring a *retro*-Mannich reaction
(iii) Access to isolable cyclic dienamines with a wide range of possibilities for further transformations.

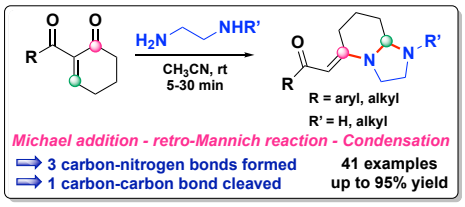
Highlights

Mechanistic Investigations on the Interaction of Morita–Baylis–Hillman Ketones with 2-Aminothiophenol



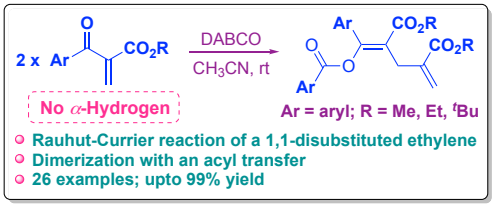
J. Org. Chem. 2024, *89*, 7263

A retro-Mannich Mediated Transformation of MBH Ketones to Saturated Imidazo[1,2-a]pyridines



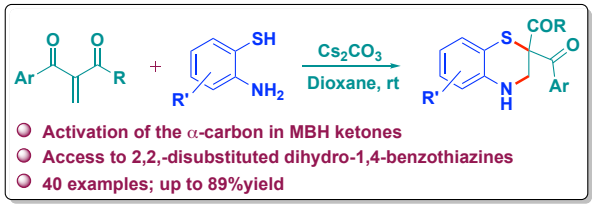
Org. Chem. Front. 2024, *11*, 3137

Acyl Transfer-driven Rauhut-Currier Dimerization of MBH Ketones



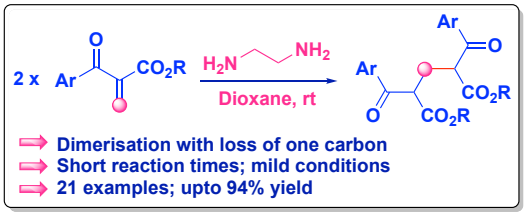
J. Org. Chem. 2023, *88*, 2023

Oxidative Annulation of MBH Ketones to access Dihydrobenzothiazines



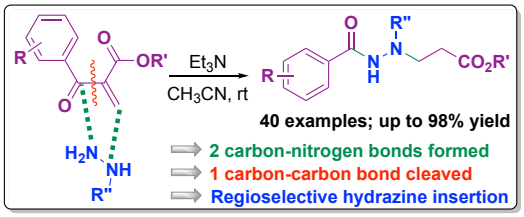
J. Org. Chem. 2022, *87*, 5760

Diamine Mediated Degradative Dimerization of MBH Ketones



Chem. Commun. 2020, *56*, 2949

Access to Benzohydrazides via an Intriguing Hydrazine Insertion



Org. Lett. 2019, *21*, 8191

Asymmetric Organocatalysis:

>> Design of novel bifunctional organocatalysts for asymmetric C–C bond-forming transformations:

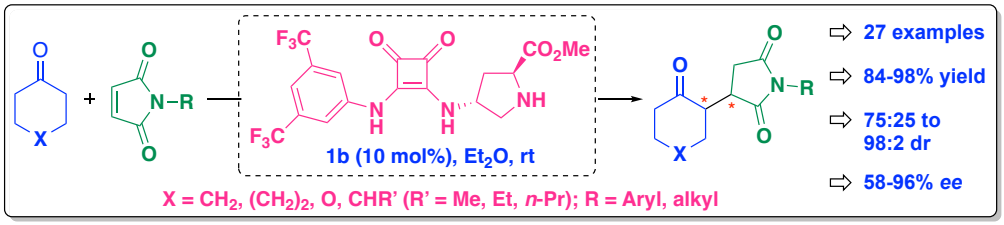
Here, we work on the development of proline-derived bifunctional organocatalysts for enantioselective transformations, asymmetric desymmetrisations and synthesis of enantiomerically enriched molecular frameworks of significance, often involving aqueous-based protocols.

Some of the recent highlights from our group in this domain include:

(i) A squaramide-tagged proline for the enantioselective Michael addition of ketones to maleimides
(ii) Design of a urea-tagged proline as a synergistic catalytic model for the asymmetric aldol addition
(iii) Enantioselective access to tetrahydroxanthenones and carbazoles

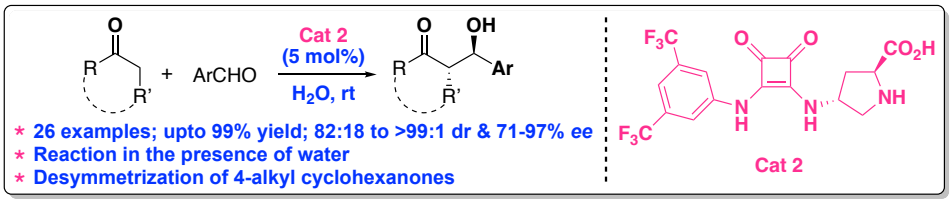
Highlights

Contrasting Facial Selectivity of a Squaramide-Tagged Proline Methyl Ester in the Asymmetric Michael Addition of Ketones to Maleimides



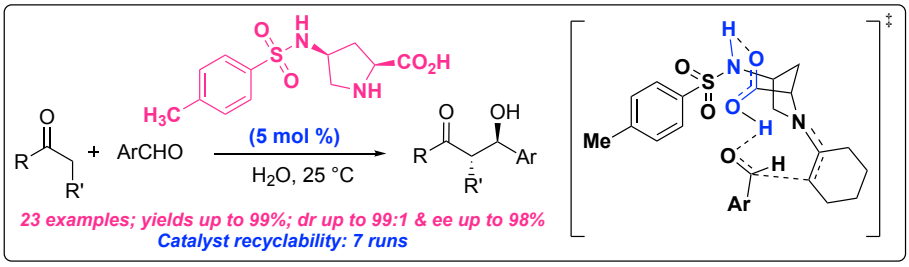
Adv. Synth. Catal. 2024, *366*, *in press.*

A squaramide-tagged proline as an efficient catalyst for the asymmetric aldol addition in the presence of water



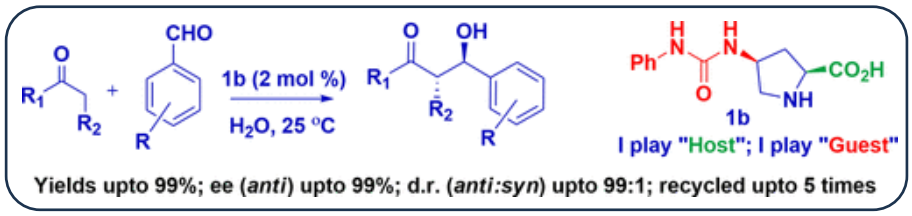
Eur. J. Org. Chem. 2024, *in press.*

A sulfonamide-tagged proline as a bifunctional cooperative catalyst for the asymmetric aldol addition



New J. Chem. 2023, *47*, 17042

A Urea-tagged proline as a synergistic catalytic model for the direct asymmetric aldol reaction



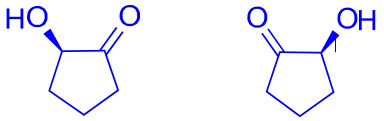
J. Org. Chem. *2018, 83, 8225*

Highlights from doctoral and post-doctoral research work

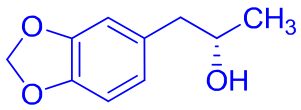
⇒ **Ph.D. thesis work**: *Enzymatic Catalysis and Natural Product Synthesis*

- Lipase catalysed resolutions for the preparation of optically pure key intermediates
- Total synthesis of anhydride based natural products, protoberberine and nuevamine alkaloids

Illustrations of Enzymatic catalysis

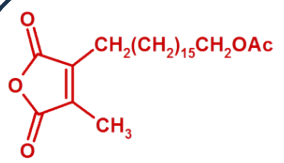


Enzymatic Approach to (+)- & (-)-α-Hydroxycyclopentanones

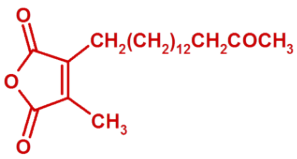


Resolution of Key Intermediate in the Synthesis of the anti-epileptic drug Talampanel

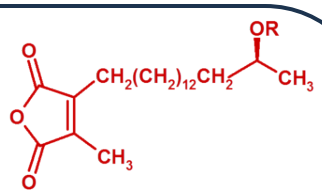
Illustrations of Natural Product Synthesis



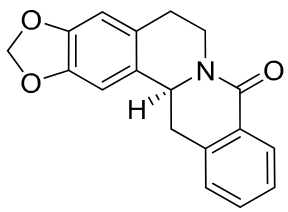
Aspergillus acid A



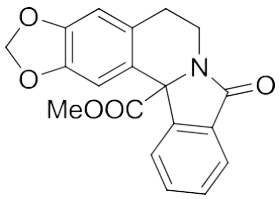
Aspergillus acid B



R = H: (*S*)-Aspergillus acid C
R = OAc: (*S*)-Aspergillus acid D



Gusanlung D

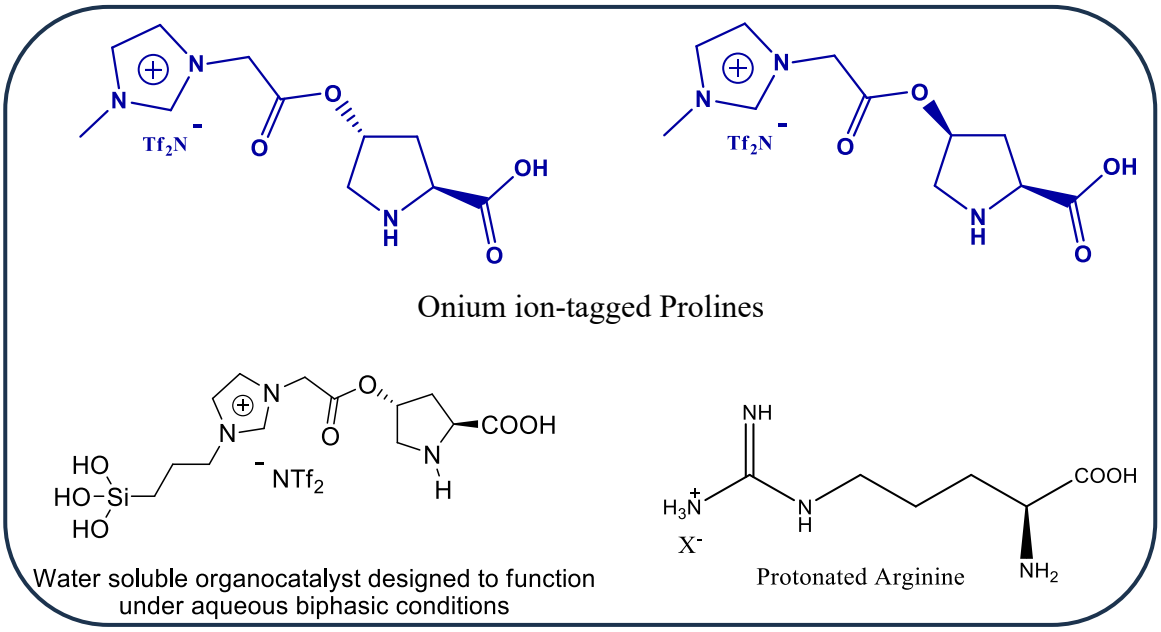


Isoindolo isoquinoline framework for the synthesis of the alkaloids nuevamine, chilenine and lennoxamine

⇒ **Post-doctoral research**: *Asymmetric Organocatalysis*

- Design of new organocatalysts and development of modular approaches for the direct asymmetric aldol reaction
- Development of improved protocols in organocatalysis involving ionic liquids and reactions in aqueous media

Organocatalysts designed for the asymmetric aldol reaction



GRANTS & RESEARCH FUNDING

- Research Grants received from MoE STARS, SERB, DST, CSIR and UGC, India, with a total funding > Rs. 1.5 crore
- Two collaborative projects carried out in collaboration with **RFBR, Russia** (*with Prof. Sergei Zlotin, Zelinsky Institute of Organic Chemistry, Moscow*) and **Academy of Finland** (*with Prof. Petri Pihko, University of Jyvaskyla, Finland*).

Details of Research Projects

***Projects In progress*:**

1. **SERB – CRG**: Investigation of Diverse Reactivity Patterns in Morita–Baylis–Hillman Ketones to access Biologically Significant Heterocyclic Scaffolds
   Duration: 2023-'26; Sanction: ~Rs. 35 lakhs
2. **MoE-STARS**: Exploring Conformationally Constrained and Cooperatively Assisted Bifunctional Organocatalysts for Enantioselective Mannich / Michael Addition Reactions
   Duration: 2023-'26; Sanction: ~Rs. 22 lakhs
3. **SERB – POWER (*Co-PI*)**: Development of L-proline modified magnetoreceptor protein–coated iron beads as recyclable heterogenous biocatalyst for asymmetric transformations
   Duration: 2022-'25; Sanction: ~Rs. 44 lakhs

***Projects completed*:**

1. **SERB – CRG**: Studies on the organocatalytic enantioselective construction of tetrahydroxanthenones
   Duration: 2019-'22; Sanction: ~Rs. 43 lakhs
2. **CSIR – EMR**: Design of Novel Bifunctional Amine-Urea/Thiourea Catalysts for Asymmetric C-C Bond Forming Applications
   Duration: 2018-'21; Sanction: ~Rs. 28 lakhs
3. **DST – Academy of Finland Collaborative Project** – "Studies on the Asymmetric Mannich and Michael Addition Reactions Catalyzed by a Folding Bifunctional Organocatalyst"
   In collaboration with and in the laboratory of ***Prof. Petri Pihko****, University of Jyvaskyla, Finland*
   Duration: Aug-Oct 2019; Mobility Grant of Rs. 1 lakh
4. **DST-RFBR Indo-Russian Collaborative Project** – "Synthesis and studies on catalytic performance of novel ion-tagged recyclable chiral organocatalysts generated from suitable dipeptides"
   In collaboration with ***Prof. Sergei Zlotin****, Zelinsky Institute of Organic Chemistry, Moscow*;
   Duration: 2014-'16; Sanction: ~26 lakhs
5. **UGC Start-up**: Studies towards the total synthesis of protoberberine based natural products
   Duration: 2015-'17; Sanction: Rs. 6 lakhs

Awards

- "*Prof. D. K. Banerjee Memorial Lecture Award*" at **Indian Institute of Science, Bangalore**, *Apr 2023*

Invited Lectures at Conferences (*recent*)

- International Conference on "Emerging Trends in Catalysis and Synthesis" at **IIT KGP**, *Mar 2024*
- Indo-French Conference on "Fostering Catalysis for Societal Benefit (FCSB)" at **University of Hyderabad**, *Jan 2024*
- International Conference on Organometallics and Catalysis (ICOC), Goa, *Oct-Nov 2023*
- International Conference on "Recent Advances in Chemical Sciences" at **Central University of Jammu**, *Nov 2022*
- Annual Symposium "*Interactions 2022*", **IISER Bhopal**, *Mar 2022*
- Invited talk at the Department of Chemistry, **University of Bologna, Italy** on "*The Morita-Baylis-Hillman Ketone – A Pandora's Box of Reactivity*", *Oct 2019*
- Invited talk at the **Karolinska Institute, Stockholm, Sweden** on "*Asymmetric Organocatalysis and the Morita-Baylis-Hillman Reaction: Diverse Tools towardsBiologically Active Targets*", *Sep 2019*

Publications

⇒ **From Ph.D.**:

1. Amano PS catalysed methanolysis of maleimides: an efficient synthesis of methyl maleanilates
   S. Easwar and N. P. Argade*, *Indian J. Chem*. **2002**, *41B*, 1899-1901.
2. Enantioselective enzymatic approach to (+)- and (-)-2-acetoxy/hydroxycyclopentanones
   S. Easwar, S. B. Desai, N. P. Argade* and K. N. Ganesh*, *Tetrahedron*: *Asymmetry* **2002**, *13*, 1367-1371.

3. Amano PS-catalyzed enantioselective acylation of (±)-a-methyl-1,3-benzodioxole-5-ethanol: an efficient resolution of chiral intermediates of the remarkable antiepileptic drug candidate, (-)-talampanel
S. Easwar and N. P. Argade*, *Tetrahedron*: *Asymmetry* **2003**, *14*, 333-337.
4. An efficient synthesis and an enzymatic resolution of new secondary metabolites from *Aspergillus wentii*: Aspergillus acids A-D
S. Easwar and N. P. Argade*, *Synthesis* **2006**, 831-838.
5. Facile air-oxidation of *N*-homopiperonyl-5,6-dimethoxy-homophthalimide: simple and efficient access to nuevamine
P. B. Wakchaure, **S. Easwar**, V. G. Puranik and N. P. Argade*, *Tetrahedron*, **2008**, *64*, 1786-1791.
6. Synthesis of the reported protoberberine gusanlung D
P. B. Wakchaure, **S. Easwar** and N. P. Argade*, *Synthesis* **2009**, 1667-1672.

⇒ **From Post-doctoral Research**:

7. An improved protocol for the direct asymmetric aldol reaction in ionic liquids, catalysed by onium ion-tagged prolines
M. Lombardo*, F. Pasi, **S. Easwar** and C. Trombini*, *Adv. Synth. Catal.* **2007**, *349*, 2061-2065.
8. Protonated arginine and lysine as catalysts for the direct asymmetric aldol reaction in ionic liquids
M. Lombardo*, **S. Easwar**, F. Pasi, C. Trombini* and D. D. Dhavale*, *Tetrahedron* **2008**, *64*, 9203-9207.
9. Direct asymmetric aldol reaction catalyzed by an imidazolium-tagged *trans*-4-hydroxy-*L*-proline under aqueous biphasic conditions
M. Lombardo*, F. Pasi, **S. Easwar** and C. Trombini*, *Synlett* **2008**, 2471-2474.
This communication was highlighted in *Synfacts* **2008**, 1336-1336; Contributors: Benjamin List & Frank Lay
10. A modular approach to catalyst hydrophobicity for an asymmetric aldol reaction in a biphasic aqueous environment
M. Lombardo*, **S. Easwar**, A. De Marco, F. Pasi and C. Trombini*, *Org. Biomol. Chem.* **2008**, 4224-4229.
11. The ion tag strategy as a route to highly efficient organocatalysts for the direct asymmetric aldol reaction
M. Lombardo*, **S. Easwar**, F. Pasi and C. Trombini*, *Adv. Synth. Catal.* **2009**, *351*, 276-282.

⇒ **From Independent Research at C. U. Rajasthan**:

12. Ionic liquid supported 4-HO-Pro-Val derived organocatalysts for asymmetric aldol reactions in the presence of water
A. S. Kucherenko, V. V. Perepelkin, G. M. Zhdankina, G. V. Kryshtal, H. Inani **S. Easwar** and S. G. Zlotin, *Mendeleev Commun.* **2016**, *26*, 388-390.

13. Exploring "Through-Bond" Proximity between the Ion-Tag and Reaction Site of an Imidazolium-Proline Catalyst for the Direct Asymmetric Aldol Reaction
M. Bhati, S. Upadhyay and **S. Easwar***, *Eur. J. Org. Chem.* **2017**, 1788-1793.

14. A Nucleophilic Activation of Carboxylic Acids by Proline: Oxa-Michael Addition to Methyl Vinyl Ketone under Solvent-free Conditions
A. K. Jha, H. Inani and **S. Easwar***, *Synlett*, **2017**, *28*, 1473-1477.

15. An Arginine-Mediated Protocol for the Aldol Addition of Methyl Vinyl Ketone in Water
H. Inani, A. K. Jha and **S. Easwar***, *ChemistrySelect* **2017**, *2*, 11666-11672.

16. Proline-Mediated Baylis–Hillman Reaction of Methyl Vinyl Ketone without a Co-catalyst under Solvent-Free Conditions
H. Inani, A. K. Jha and **S. Easwar***, *Synlett* **2017**, *28*, 128-132.

17. An expedient access to chromanols via an arginine-mediated cascade cyclisation in water
A. K. Jha, H. Inani and **S. Easwar***, *Tetrahedron Lett.* **2018**, *59*, 2356-2359.
http://www.sciencedirect.com/science/article/pii/S0040403918305963

18. Probing the Synergistic Catalytic Model: A Rationally Designed Urea-Tagged Proline Catalyst for the Direct Asymmetric Aldol Reaction
M. Bhati, K. Kumari and **S. Easwar***, *J. Org. Chem.* **2018,** *83*, 8225-8232.
https://doi.org/10.1021/acs.joc.8b00962

19. Hydrazine Insertion Route to N'-Alkyl Benzohydrazides by an Unexpected Carb0n-Carbon Bond Cleavage
A. K. Jha, R. Kumari and **S. Easwar***, *Org. Lett.* **2019**, *21*, 8191-8195.
https://doi.org/10.1021/acs.orglett.9b02657

20. Diamine-Mediated Degradative Dimerisation of Morita-Baylis-Hillman Ketones
A. K. Jha, A. Kumari and **S. Easwar***, *Chem. Commun.* **2020**, *56*, 2949-2952.
https://doi.org/10.1039/C9CC10068G

21. Proline-Histidine Dipeptide: A Suitable Template for Generating Ion-taggedOrganocatalysts for the Asymmetric Aldol Reaction
H. Inani, A. Singh, M. Bhati, K. Kumari, A. S. Kucherenko, Sergei G. Zlotin* and **S. Easwar***, *Synthesis* **2021**, *53*, 2702-2712.
doi: 10.1055/a-1477-4871

22. Unsymmetrical N,N'-functionalization of hydrazine by insertion into Morita–Baylis–Hillman ketones
A. K. Jha, Sarita and **S. Easwar***, *Tetrahedron Lett.* **2021**, *69*, 152971.
https://doi.org/10.1016/j.tetlet.2021.152971

23. Synthesis of 2,2-Disubstituted Dihydro-1,4-benzothiazines from Morita−Baylis−Hillman Ketones by an Oxidative Cyclization
A. K. Jha, R. Kumari and **S. Easwar***, *J. Org. Chem.* **2022**, *87*, 5760-5772.
https://doi.org/10.1021/acs.joc.2c00087

24. An isatin aldol adduct as a precursor to α,α'-difunctionalized methyl vinyl ketones
A. K. Jha, H. Inani, Deeksha and **S. Easwar***, *Results in Chemistry* **2022**, *4*, 100339

25. Plight of Chemistry Teachers in Remote Teaching during COVID-19 Pandemic
Narendra Kumar, Nidhi and **S. Easwar**, *Voices of Teachers and Teacher Educators* **2022**, *11*, 81-88.

26. Acyl Transfer Driven Rauhut–Currier Dimerization of Morita–Baylis–Hillman Ketones
R. Kumari, A. K. Jha, S. Goyal, R. Maan, S. R. Reddy and **S. Easwar***, *J. Org. Chem.* **2023**, *88*, 2023-2033.
https://doi.org/10.1021/acs.joc.2c02244

27. Cooperative assistance of a sulfonamide in a proline-mediated direct asymmetric aldol addition
K. Kumari, M. Bhati, R. S. Madhukar, A. G. H. Khan, P. Janjani, S. R. Reddy and **S. Easwar***, *New J. Chem.* **2023**, *47*, 17042-17050.
https://doi.org/10.1039/D3NJ02685J

28. Mechanistic Investigations on the Interaction of Morita–Baylis–Hillman Ketones with 2-Aminothiophenol
R. Kumari, A. K. Jha, A. G. H. Khan and **S. Easwar***, *J. Org. Chem.* **2024**, *89*, 7263-7269.

29. A *retro*-Mannich mediated transformation of Morita–Baylis–Hillman Ketones to Saturated Imidazo[1,2-*a*]pyridines
S. Sharma, A. K. Jha and **S. Easwar***, *Org. Chem. Front.* **2024**, *11*, 3137-3150.

30. A Formal [3+3] Annulation of Morita–Baylis–Hillman Ketones to Construct Pyrimidobenzothiazoles
R. Kumari, S. Kumawat and **S. Easwar***, *Synthesis* **2024**, *56*, *in press* (DOI: 10.1055/a-2373-0255)

31. Contrasting Facial Selectivity of a Squaramide-Tagged Proline in the Asymmetric Michael Addition of Ketones to Maleimides
K. Kumari, A. G. H. Khan and **S. Easwar***, *Adv. Synth. Catal.* **2024**, *366*, *in press* (DOI: 10.1002/adsc.202400791)

32. A squaramide-tagged proline mediated direct asymmetric aldol addition in the presence of water
K. Kumari, A. G. H. Khan, A. K. Dhiya and **S. Easwar***, *Eur. J. Org. Chem.* **2024**, *in press* (DOI: https://doi.org/10.1002/ejoc.202400992)

33. Divergent Reactivity of an Aromatic 1,2-Diamine with Morita–Baylis–Hillman Ketones: Access to Isolable Cyclic Dienamines and *N*-Aryl-2-aminobenzophenones
S. Sharma, N. Kanwar, A. G. H. Khan and **S. Easwar***, *manuscript communicated*.

34. A Base-dependent Reactivity Switch in the Interaction of Baylis-Hillman Ketones with Hydrazines: Access to *N*'-Alkyl Benzohydrazides and Fluorescent Dihydropyrazoles
S. Sharma, A. K. Jha and **S. Easwar***, *manuscript communicated*.