



Reviews

Guanidines from ‘toxic substances’ to compounds with multiple biological applications – Detailed outlook on synthetic procedures employed for the synthesis of guanidines

Shaista Tahir, Amin Badshah*, Raja Azadar Hussain

Department of Chemistry, Quaid-i-Azam University, Islamabad 45320, Pakistan



ARTICLE INFO

Article history:

Received 8 December 2014

Available online 30 January 2015

Keywords:

Guanylating agents

Cyanoamines

Thioureas

D rearrangement

Substituted guanidines

Solid phase synthesis

Catalysts

Combinatorial syntheses

Inhibitors

Antagonists

DNA binders

ABSTRACT

Guanidines to begin with, were thought of being harmful substances associated with medical ailment. With the advent of World War I and the impact it left on the populations at large research focus was shifted, towards polymer synthesis and that too on plastics and rubbers which were mostly employed in various artillery equipments. In the surge, to get plastics and rubbers with enhanced mechanical properties, many variedly substituted guanidines used as accelerators in vulcanization of polymers were synthesized using different procedures. Continuous research on guanidines, led scientists to develop different protocols and routes for the synthesis of these compounds, later these were tested for their possible use in various areas and now these are sought for their enhanced biomedical and catalytic applications. This review article presents thirty six different synthetic procedures employed for the synthesis of guanidines over the years including seventy schemes and a brief account on the reported wide ranging applications of some novel guanidines.

© 2015 Elsevier Inc. All rights reserved.

Contents

| | |
|--|----|
| 1. Introduction | 41 |
| 2. Synthesis | 41 |
| 2.1. From cyanoamine | 41 |
| 2.2. From d rearrangement of nitroguanidines | 43 |
| 2.3. From S-methyl-isothiourea sulfate | 43 |
| 2.4. From phosgenation of substituted ureas | 43 |
| 2.5. From S-oxidation of thioureas | 44 |
| 2.6. From ter-butoxycarbonyl thioureas | 45 |
| 2.7. From guanylpyrazole nitrate | 46 |
| 2.8. From acylation of guanidine | 46 |
| 2.9. By aminoiminomethanesulfonic acid | 46 |
| 2.10. From substituted aluminum amides | 48 |
| 2.11. By the use of mercuric chloride | 48 |
| 2.12. From alcohols | 48 |
| 2.13. By using copper sulfate-silica gel | 49 |
| 2.14. From lac sulfur on alumina-triethanolamine | 49 |
| 2.15. From bromoalkanes | 50 |
| 2.16. From protected carbodiimides | 50 |
| 2.17. From protected guanidines | 50 |
| 2.18. From substituted methanimines | 50 |

* Corresponding author.

E-mail address: aminbadshah@yahoo.com (A. Badshah).

| | |
|--|----|
| 2.19. From triazinium halides | 50 |
| 2.20. From bismuth nitrate pentahydrate | 51 |
| 2.21. By the use of ethyl carbamate protecting group | 51 |
| 2.22. Main group and transition metal complexes-mediated syntheses | 51 |
| 2.23. From Hetero Diels-Alder reactions of nitrosoamidines | 54 |
| 2.24. From 1,3-diaza-Claisen rearrangement | 54 |
| 2.25. From heterocumulenes | 54 |
| 2.26. From aziridines | 54 |
| 2.27. From HMDS | 55 |
| 2.28. From hydroxylamines | 56 |
| 2.29. NIS-promoted guanylation of amines | 56 |
| 2.30. By Tiemann rearrangement | 56 |
| 2.31. By using sodium bis(trimethylsilyl)amide | 56 |
| 2.32. From azides | 57 |
| 2.33. By copper/N-methylglycine catalyzed arylation of guanidine nitrate | 57 |
| 2.34. Liquid phase synthesis | 57 |
| 2.35. Solid phase synthesis | 58 |
| 2.36. Microwave assisted synthesis | 63 |
| 2.37. Synthesis of functionalized guanidine | 63 |
| 3. Applications | 64 |
| 3.1. Synthetic applications | 64 |
| 3.1.1. Synthesis of cyclic pseudoureas | 64 |
| 3.1.2. Double salts of amino acids | 64 |
| 3.1.3. High-potency sweeteners | 64 |
| 3.1.4. Building blocks for artificial anion receptors | 65 |
| 3.1.5. Combinatorial positional scanning libraries | 66 |
| 3.1.6. Chiral superbases | 66 |
| 3.1.7. Bradykinin receptor antagonist | 66 |
| 3.1.8. Synthesis of peptides | 66 |
| 3.1.9. Synthesis of substituted thiones | 66 |
| 3.1.10. Synthesis of 2-amino-4-pyrimidinones | 67 |
| 3.1.11. Retroythesis of purines and other fused imidazoles | 68 |
| 3.1.12. Synthesis of substituted 2-amino-4-quiazolinones | 69 |
| 3.1.13. Synthesis of novel triazines | 69 |
| 3.1.14. Synthesis of di- and trisubstituted 2-aminoimidazoles | 69 |
| 3.1.15. Low oxidation state metallacycles | 69 |
| 3.1.16. Palladacyclic compounds | 69 |
| 3.1.17. Receptors for amino acid recognition in water | 69 |
| 3.1.18. Heck reaction | 69 |
| 3.1.19. Multiple coordination geometries support reactions | 69 |
| 3.1.20. Direct conversion of epoxides to aziridines | 69 |
| 3.1.21. Inorganic syntheses | 69 |
| 3.2. Material applications | 69 |
| 3.2.1. Dyes | 69 |
| 3.2.2. Water-soluble linkers | 69 |
| 3.3. Catalytic applications | 69 |
| 3.3.1. Catalytic hydrogenation | 70 |
| 3.3.2. Catalysts for the transesterification | 70 |
| 3.4. Ecological applications | 70 |
| 3.4.1. Chemical fixation of carbon dioxide | 70 |
| 3.5. Biological applications | 71 |
| 3.5.1. Insulin substitutes | 71 |
| 3.5.2. Antimalarial drugs | 71 |
| 3.5.3. Circulatory and respiratory reflexes | 71 |
| 3.5.4. Guanidines with antihypertensive activity | 71 |
| 3.5.5. Antimicrobial activity | 71 |
| 3.5.6. Anticonvulsant activity | 71 |
| 3.5.7. Trypsin catalysis | 71 |
| 3.5.8. Inhibition of phenylalanyl-tRNA synthetase | 72 |
| 3.5.9. Histamine H ₂ -receptor antagonists | 72 |
| 3.5.10. Interaction of nonylguanidine with the sodium channel | 72 |
| 3.5.11. Blood platelet aggregation inhibitors | 72 |
| 3.5.12. Group specific ADP-ribosyltransferase | 72 |
| 3.5.13. Novel vasopressin antagonists | 72 |
| 3.5.14. σ Receptor ligands for positron emission tomography | 72 |
| 3.5.15. Enhanced cell uptake of superparamagnetic iron oxide nanoparticles | 72 |
| 3.5.16. EDRF | 73 |
| 3.5.17. Arginine-related guanidino compounds and OTC deficiency | 73 |
| 3.5.18. Inhibition of nitric oxide formation by guanidines | 73 |
| 3.5.19. Selective noncompetitive NMDA receptor antagonist | 73 |
| 3.5.20. Enzyme mimics | 74 |
| 3.5.21. Thrombin-like enzyme | 74 |

Download English Version:

<https://daneshyari.com/en/article/1356039>

Download Persian Version:

<https://daneshyari.com/article/1356039>

[Daneshyari.com](https://daneshyari.com)