

## Synthesis and antibacterial studies of azodispersed dyes derived from 2-(thiazol-2-yl)phthalazine-1,4-diones

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### Abstract

A dibenzobarrelene derivative was used as key intermediate for the synthesis of 2-(4-(methyl/phenylthiazol-2-yl)-2,3,4a,5,10,10a-hexahydro-5,10-benzenobenzof[g]phthalazine-1,4-diones. These compounds were coupled with the appropriate diazonium chlorides to give the corresponding 5-(arylaazo)thiazole derivatives. The synthesized dyes were applied to polyester as disperse dyes, and their antibacterial, color measurement, and fastness properties were evaluated.

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### References:

1. Quiroga J, Hernandez P, Insuassy BR, Abonia R, Cobo J, Sanchez A, Nogueras M, Low JN (2002) J Chem Soc Perkin Trans 1:555
2. Hutchinson I, Jennings SA, Vishnuvajjala BR, Westwell AD, Stevens MFG (2002) J Med Chem 45:744 [CrossRef](#)
3. Hargrave KD, Hess FK, Oliver JT (1983) J Med Chem 26:1158 [CrossRef](#)
4. Patt WC, Hamilton HW, Taylor MD, Ryan MJ, Taylor DG Jr, Connolly CJC, Doherty AM, Klutchko SR, Sircar I, Steinbaugh BA, Batley BL, Painchaud CA, Rapundalo ST, Michniewicz BM, Olson SCJ (1992) J Med Chem 35:2562 [CrossRef](#)
5. Sharma PK, Sawhney SN, Gupta A, Singh GB, Bani S (1998) Indian J Chem 37B:376
6. Jaen JC, Wise LD, Caprathe BW, Tecele H, Bergmeier S, Humblet CC, Heffner TG, Meltzner LT, Pugsley TA (1990) J Med Chem 33:311 [CrossRef](#)

7. Ergenc N, Capan G, Günay NS, Özkirimli S, Güngör M, Özbey S, Kendi E (1999) Arch Pharm 332:343 [CrossRef](#)
8. Tsuji K, Ishikawa H (1994) Bioorg Med Chem Lett 4:1601 [CrossRef](#)
9. Bell FW, Cantrell AS, Hogberg M, Jaskunas SR, Johansson NG, Jordon CL, Kinnick MD, Lind P, Morin JM Jr, Noreen R, Oberg B, Palkowitz JA, Parrish CA, Pranc P, Sahlberg C, Ternansky RJ, Vasileff RT, Vrang L, West SJ, Zhang H, Zhou XX (1995) J Med Chem 38:4929 [CrossRef](#)
10. Carter JS, Kramer S, Talley JJ, Penning T, Collins P, Graneto MJ, Seibert K, Koboldt C, Masferrer J, Zweifel B (1999) Bioorg Med Chem Lett 9:1171 [CrossRef](#)
11. Badorc A, Bordes MF, De Cointet P, Savi P, Bernat A, Lale A, Petitou M, Maffrand JP, Herbert JM (1997) J Med Chem 40:3393 [CrossRef](#)
12. Rudolph J, Theis H, Hanke R, Endermann R, Johannsen L, Geschke FU (2001) J Med Chem 44:619 [CrossRef](#)
13. Khalil AM, Berghot MA, Gouda MA (2009) Eur J Med Chem 44:4434 [CrossRef](#)
14. Khalil AM, Berghot MA, Gouda MA (2009) Eur J Med Chem 44:4448 [CrossRef](#)
15. Khalil AM, Berghot MA, Gouda MA (2009) Monatsh Chem 140:1371 [CrossRef](#)
16. Grasso S, De Sarro G, De Sarro A, Micale N, Maria Zappalà, Puja G, Baraldi M, De Micheli C (2000) J Med Chem 43:2851 [CrossRef](#)
17. Nomoto Y, Obase H, Takai H, Teranishi M, Nakamura J, Kubo K (1990) Chem Pharm Bull (Tokyo) 38:2179
18. Watanabe N, Kabasawa Y, Takase Y, Matsukura M, Miyazaki K, Ishihara H, Kodama K, Adachi H (1998) J Med Chem 41:3367 [CrossRef](#)
19. Khalil AM, Berghot MA, Gouda MA, Shoeib AI (2009) Phosphorus, Sulfur Silicon Relat Elem GPSS-2009-0072.R1, Accepted
20. Diels D, Alder K (1931) Chem Ber 64(B):2194
21. Fadda AA, Elmorsy SS, El-Sayed AM, Khalil AM, Elagazy SA (1990) Indian J Fibre Text Res 15:190
22. Fadda AA, Etman HA, Amer FA, Berghout M, Mohamed KHS (1995) J Chem TEC Biotechnol 62:165 [CrossRef](#)
23. Fadda AA, Etman HA, Amer FA, Berghout M, Mohamed KHS (1995) J Chem TEC Biotechnol 62:170 [CrossRef](#)
24. Society of Dyes and Colorists (1990) Standard methods for the determination of color fastness of textiles and leather, 5th edn. Society of Dyes and Colorists, Bradford
25. Cruickshank R, Duguid JP, Marion BP, Swain RHA (1975) In: Medicinal microbiology, vol 2, 12th edn. Churchill Livingstone, London, p 196
26. Gouda MA (2003) M.Sc., Thesis, Chemistry Department, Faculty of Science, Mansoura University, Mansoura, Egypt
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## Synthesis and antimicrobial of new anthraquinone derivatives incorporating pyrazole moiety

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### Abstract

Treatment of 2-cyano-N-(9,10-dioxo-9,10-dihydro-anthracen-2-yl)-acetamide (1) with phenyl isothiocyanate/dimethylsulphate afforded the corresponding ketene N,S-acetal 2 which upon treatment with hydrazine hydrate and 4-aminoantipyrine afforded the pyrazolo derivatives 3 and 4, respectively. 3-aminopyrazole derivative 3 was utilized as key intermediate for the synthesis of pyrazolo[3,4-d]pyrimidine 5, pentaaza-as-indacene 6, triaza-cyclopenta[c]phenanthrene 7, pyrazolo[1,5-a]pyrimidine 8, 9 and (dimethyl-pyrrol-1-yl)pyrazole 10 derivatives. Furthermore, treatment of 1 with DMF/DMA gave the corresponding acrylamide derivative 11 which upon treatment with hydrazine hydrate afforded the corresponding 3-aminopyrazole derivative 12. Moreover, coupling of 1 with 4,6-dimethyl-1H-pyrazolo[3,4-b]pyridin-3-diazonium chloride gave the hydrazone derivative 13 which upon cyclization with acetic acid afforded the corresponding pentaaza-fluorene derivative 14. Representative compounds of the synthesized products were evaluated as antimicrobial agents. Some of these compounds exhibited promising activities. (C) 2010 Elsevier Masson SAS. All rights reserved.

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### References:

[1] K. Pors, Z. Paniwnyk, K.C. Ruparelia, P.H. Teesdale-Spittle, J.A. Hartley, L.R. Kelland, L.H. Patterson

J. Med. Chem., 47 (2004), pp. 1856–1

[2] D. Cairns, E. Michalitsi, T.C. Jenkins, S.P. Mackay

Bioorg. Med. Chem., 10 (2002), pp. 803–807

[3] M. Dzieduszycka, M.M. Bontemps-Gracz, B. Stefanska, S. Martelli, A. Piwkowska, M. Arciemiuk, E. Borowski

Bioorg. Med. Chem., 14 (2006), pp. 2880–2886

[4] H.-S. Haug, J.-F. Chiou, Y. Fong, C.-C. Hou, Y.-C. Lu, J.-Y. Wang, J.-W. Shih, Y.R. Pan, J.-J. Lin

J. Med. Chem., 46 (2003), pp. 3300–3307

[5] T. Janecki, T. Wasek

Tetrahedron, 60 (2004), pp. 1049–1055

[6] S.B. Wan, T.H. Chang

Tetrahedron, 60 (2004), pp. 8207–8211

[7] H. Ueki, T.K. Ellis, M.A. Khan, V.A. Soloshonok

Tetrahedron, 59 (2003), pp. 7301–7306

[8] O.B. Wallace, K.S. Lauwers, S.A. Jones, J.A. Dodge

Bioorg. Med. Chem. Lett., 13 (2003), pp. 1907–1910

[9] E.E. Schweizer, O. Meeder-Nycz

G.P. Ellis (Ed.), Chromenes, Chromanes, Chromones, Wiley-Interscience, New York (1977), pp. 11–139

10-J. Hepworth

,in: A.R. Katritzky, C.W. Rees (Eds.), Comprehensive Heterocyclic Chemistry, 3Pergamon, Oxford (1984), pp. 737–883

G.C. Rovnyak, S.Z. Ahmed, C.Z. Ding, S. Dzwonczyk, F.N. Ferrara, W.G. Humphreys, G.J. Grover, D. Santafianos, K.S. Atwal, A.J. Baird, L.G. McLaughlin, D.E. Normandin, P.G. Sleph, S.C. Traeger

J. Med. Chem., 40 (1997), pp. 24–34

[12] D.J. Wustrow, T. Capiris, R. Rubin, J.A. Knobelsdorf, H. Akunne, M.D. Davis, R. MacKenzie, T.A. Pugsley, K.T. Zoski, T.G. Heffner, L.D. Wise

Bioorg. Med. Chem. Lett., 8 (1998), pp. 2067–2070

[13] A.I. Eid, M.A. Kira, H.H. Fahmy

J. Pharm. Belg., 33 (1978), pp. 303–311

[14] G. Menozzi, L. Mosti, P. Fossa, F. Mattioli, M. Ghia

J. Heterocycl. Chem., 34 (1997), pp. 963–968

[15] T.D. Penning, J.J. Talley, S.R. Bertenshaw, J.S. Carter, P.W. Collins, S. Docter, M.J. Graneto, L.F. Lee, J.W. Malecha, J.M. Miyashiro, R.S. Rogers, D.J. Rogier, S.S. Yu, G.D. Anderson, E.G. Burton, J.N. Cogburn, S.A. Gregory, C.M. Koboldt, W.E. Perkins, K. Seibert, A.W. Veenhuizen, Y.Y. Zhang, P.C. Isak-son

J. Med. Chem., 40 (1997), pp. 1347–1365

K.T. Potts

Comprehensive Heterocyclic Chemistry, vol. 5 Pergamon, Oxford (1986)  
(Part 4A)

[17] D.R. Tatke, S. Seshadri

Indian J. Chem., 22B (1983), pp. 1197–119

# Synthesis and antimicrobial activities of some new thiazole and pyrazole derivatives based on 4,5,6,7-tetrahydrobenzothiophene moiety

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## Abstract

2-(5-oxothiazolidinone)-cyanoacetamido derivative 3 was prepared in two steps by reaction of 2(2-cyano-acetylamino)-4,5,6,7-tetrahydro-benzo[b]thiophene-3-carboxamide (1) with phenyl isothiocyanate and chloroacetyl chloride, which diazocoupled with p-tolyldiazonium chloride in pyridine to afford the corresponding hydrazono derivative 4. Also, condensation of 3 with p-anisaldehyde gave the corresponding arylidine derivative 5. Treatment of 2 with dimethyl sulfate afforded the ethene N,S-acetal 9 which give 5-amino pyrazole derivative 10 upon treatment with hydrazine hydrate. Compound 10 was used as key intermediate for synthesis of pyrazolo[5,1-c][1,2,4]triazine 13a, b, pyrazolo[5,1-a]pyrimidine 14-17 and pyrolopyrazole 18 derivatives. Finally, condensation of 1 with DMF-DMA afforded the corresponding acryloamide derivative 19, which afforded the corresponding pyrazole derivative 20 upon heating with hydrazine hydrate. All new synthesized compounds were evaluated as antimicrobial agents; some of them exhibited promising activities. © 2009 Elsevier Masson SAS. All rights reserved.

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## References

[1] J. Quiroga, P. Hernandez, B.R. Insuassy, R. Abonia, J. Cobo, A. Sanchez, M. Nogueras, J.N. *J. Chem. Soc., Perkin Trans.*, 1 (2002), pp. 555–559

[2] I. Hutchinson, S.A. Jennings, B.R. Vishnuvajjala, A.D. Westwell, M.F.G. Stevens

J. Med. Chem., 45 (2002), pp. 744–747

[3] K.D. Hargrave, F.K. Hess, J.T. Oliver

J. Med. Chem., 26 (1983), pp. 1158–1163

[4] W.C. Patt, H.W. Hamilton, M.D. Taylor, M.J. Ryan, D.G. Taylor Jr., C.J.C. Connolly, A.M. oherty, S.R. Klutchko, I. Sircar, B.A. Steinbaugh, B.L. Batley, C.A. Painchaud, S.T. Rapundalo, .M. Michniewicz, S.C.J. Olson

J. Med. Chem., 35 (1992), pp. 2562–2572

p. 376–381

[6] J.C. Jaen, L.D. Wise, B.W. Caprathe, H. Tecele, S. Bergmeier, C.C. Humblet, T.G. Heffner, T. Meltzner, T.A. Pugsley

J. Med. Chem., 33 (1990), pp. 311–317

[7] K. Tsuji, H. Ishikawa

Bioorg. Med. Chem. Lett., 4 (1994), pp. 1601–1606

[8] F.W. Bell, A.S. Cantrell, M. Hogberg, S.R. Jaskunas, N.G. Johansson, C.L.Jordon, M.D. innick, P. Lind

9-N. Ergenc, G. Capan, N.S. Günay, S. Özkirimli, M. Güngör, S. Özbey, E. Kendi Arch. Pharm., 332 (1999), pp. 343–347

[10] J.S. Carter, S. Kramer, J.J. Talley, T. Penning, P. Collins, M.J. Graneto, K. Seibert, C. Koboldt, J. Masferrer, B. Zweifel

Bioorg. Med. Chem. Lett., 9 (1999), pp. 1171–1174

[11] A. Badorc, M.F. Bordes, P. De Cointet, P. Savi, A. Bernat, A. Lale, M. Petitou, J.P. Maffrand, J.M. Herbert

J. Med. Chem., 40 (1997), pp. 3393–3401

[12] J. Rudolph, H. Theis, R. Hanke, R. Endermann, L. Johannsen, F.U. Geschke

J. Med. Chem., 44 (2001), pp. 619–626

[13] R. Storer, C.J. Ashton, A.D. Baxter, M.M. Hann, C.L.P. Marr, A.M. Mason, C.-L. Mo, P.L. Myers, S.A. Nobel, H.R. Penn, N.G. Weir, J.M. Woods, P.L. Coe

Nucleosides Nucleotides, 18 (1999), pp. 203–216 C.A. 130 (1999) 27790y

[14] D.M. Bailey, Ph.E. Hansen, A.G. Hlavac, E.R. Baizman, J. Pearl

J. Med. Chem., 28 (1985), pp. 256–260

[15]

P.G. Baraldi, M.G. Pavani, M. Nunez, P. Brigidi, B. Vitali, R. Gambari, R. Romagnoli

Bioorg. Med. Chem., 10 (2002), pp. 449–456

[16] R. Ahmad, N. Ahmad, M. Zia-Ul-Hag, A. Wahid

J. Chem. Soc. Pak., 18 (1996), p. 38

17-N. Ergenc, G. Capan, N.S. Günay, S. Özkirimli, M. Güngör, S. Özbey, E. Kendi

Arch. Pharm., 332 (1999), pp. 343–347

[10] J.S. Carter, S. Kramer, J.J. Talley, T. Penning, P. Collins, M.J. Graneto, K. Seibert, C. Koboldt, J. Masferrer, B. Zweifel

Bioorg. Med. Chem. Lett., 9 (1999), pp. 1171–1174

[11] A. Badorc, M.F. Bordes, P. De Cointet, P. Savi, A. Bernat, A. Lale, M. Petitou, J.P. Maffrand, J.M. Herbert

J. Med. Chem., 40 (1997), pp. 3393–3401

[12] J. Rudolph, H. Theis, R. Hanke, R. Endermann, L. Johannsen, F.U. Geschke

J. Med. Chem., 44 (2001), pp. 619–626

[13] R. Storer, C.J. Ashton, A.D. Baxter, M.M. Hann, C.L.P. Marr, A.M. Mason, C.-L. Mo, P.L. Myers, S.A. Nobel, H.R. Penn, N.G. Weir, J.M. Woods, P.L. Coe

Nucleosides Nucleotides, 18 (1999), pp. 203–216 C.A. 130 (1999) 237790y

[14] D.M. Bailey, Ph.E. Hansen, A.G. Hlavac, E.R. Baizman, J. Pearl

J. Med. Chem., 28 (1985), pp. 256–260

[15] P.G. Baraldi, M.G. Pavani, M. Nunez, P. Brigidi, B. Vitali, R. Gambari, R. Romagnoli

Bioorg. Med. Chem., 10 (2002), pp. 449–456

[16] R. Ahmad, N. Ahmad, M. Zia-Ul-Hag, A. Wahid

J. Chem. Soc. Pak., 18 (1996), p. 38



17-G.B. Onoa, V. Moreno, M. Font-Bardia, X. Solans, J.M. Perez, C. Alonso

J. Inorg. Biochem., 75 (1999), pp. 205–212

[\[18\]](#) M.Z. Wisniewski, W.J. Surga, E.M. Opozda

Transit. Met. Chem., 19 (1994), pp. 353–354 C.A. 121 (1994) 124012s

[\[19\]](#) L. Chruscinski, P. Mlynarz, K. Malinowska, J. Ochocki, B. Boduszek, H. Kozlowski

Inorg. Chim. Acta, 303 (2000), pp. 47–53 C.A. 133 (2000) 9664s

[\[20\]](#) T.A.K. Al.-Allaf, L.J. Rshan

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# Synthesis and study of some new 1,3-isoindole-1,3-dione derivatives as potential antibacterial agents

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## Abstract

In an effort to establish new candidates with improved antibacterial activities, we reported here the synthesis and in vitro antibacterial evaluation of various series of 2-substituted-3a,4,9,9a-tetrahydro-4,9-benzobenzofuro[2,3-b]isoindole-1,3-diones: 4-acetylphenyl 2, 2,2-dibromoacetylphenyl 3, benzimidazole 4, acetylbenzimidazole 5, aminophenyl 6, acetamide 7, naphthalene 8, disulfide 9, mercaptophenyl 10, hydroxyphenyl 11, phenyl ester 12, triazole 13, benzothiophene 14, benzothiazole 15 phenylazo 16a, b and aminomethane 17 derivatives. The newly synthesized compounds were characterized by (IR, (1)H NMR, (13)C NMR and mass spectrum studies). Representative compounds of the synthesized products were established and evaluated as antibacterial agents. (c) 2010 Elsevier Masson SAS. All rights reserved.

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## References

[1] N. Matsumoto, T. Tsuchida, M. Maruyama, R. Sawa, N. Kinoshita, Y. Homma, Y. Takahashi, H. Iinuma, H. Naganawa, T. Sawa, M. Hamada, T. Takeuchi J. Antibiot., 49 (1996), pp. 953–954

[2] N. Matsumoto, T. Tsuchida, M. Maruyama, N. Kinoshita, Y. Homma, H. Iinuma, T. Sawa, M. Hamada, T. Takeuchi

J. Antibiot., 52 (1999), pp. 269–275

[3] N. Matsumoto, T. Tsuchida, H. Nakamura, R. Sawa, Y. Takahashi, H. Naganawa, H. Iinuma, T. Sawa, T. Takeuchi, M. Shiro

J. Antibiot., 52 (1999), pp. 276–280

[4] A. Höltzel, A. Dieter, D.G. Schmid, R. Brown, M. Goodfellow, W. Beil, G. Jung, H.-P. Fiedler

J. Antibiot., 56 (2003), pp. 1058–1061

[5] A.G. Golub, O.Ya. Yakovenko, A.O. Prykhod'ko, S.S. Lukashov, V.G. Bdzholo, S.M. Yarmoluk

Biochim. Biophys. Acta (BBA) – Proteins Proteomics, 1784 (2008), pp. 143–149

[6] Paula Gomes, Maria J. Araújo, Manuela Rodrigues, N. Vale, Zélia Azevedo, J. Iley, Paula Chambel, J. Morais, R. Moreira

Tetrahedron, 60 (2004), pp. 5551–5562

[7] L. JeanSantos, P.R. Yamasaki, C.M. Chin, C.H. Takashi, F.R. Pavan, C.Q.F. Leite

Bioorg. Med. Chem., 17 (2009), pp. 3795–3799

[8] M.A.-H. Zahran, T.A.-R. Salem, M. RehabSamaka, H.S. Agwa, A.R. Awad

Bioorg. Med. Chem., 16 (2008), pp. 9708–9718

9- M.S.A. El-Gaby, M.A. Zahran, Magda M.F. Ismail, Y.A. Ammar

Il Farmaco, 55 (2000), pp. 227–232

[10] M.A. Berghot

Arch. Pharm., 325 (1992), pp. 285–289

[11] M.A. Berghot

Arch. Pharm. Res., 24 (2001), pp. 263–269

[12] M.A. Berghot, Evelin B. Moawad

Eur. J. Pharma. Sci., 20 (2003), pp. 173–179

[13] D. Diels, K. Alder

Chem. Ber, 64 (1931), pp. 2194–2200

[14] Shu-Ting Huang, I.-Jen Hsei, C. Chen

Bioorg. Med. Chem., 14 (2006), pp. 6106–6119

J. Charton, Sophie Girault-Mizzi, Marie-A. Debreu-Fontaine, Fabienne Foufelle, Isabelle Hainault, Jean-Guy Bizot-Espiard, D.-H. Caignard, C. Sergheraert

Bioorg. Med. Chem., 14 (2006), pp. 4490–4518

[16] M.A. Hassan, S.E. Zayed, W.N. El-Gaziri, S.A.M. Metwally

Arch. Pharm. (weinheim), 324 (1991), pp. 185–187

[17] K. Gewald

Z. Chem., 2 (1962), pp. 305–306 C.A., 58 (1963) 6770f

[18] A.M. Dave, K.N. Bhatt, N.K. Undavia, P.B. Trivadi

J. Indian Chem. Soc, LXV (1988), pp. 365–366

[19] L.V. Mechel, H. Stauffer

Helv. Chim. Acta, 24 (1941), pp. 151–161 C.A., 36, 9 (1942) 6807

[20] T. Zsolnai

Biochem. Pharmacol., 13 (1964), pp. 285–318 C.A., 60 (1964) 13811h

[21] H. Fasold, U. GrSschel-Stewart, F. Turba

Biochem. Z., 337 (1963), pp. 425–430 C.A., 59 (1963) 12673g

[22] G.G. Nahas

Pharmacol. Rev., 14 (1962), pp. 447–472 C.A., 58 (1963) 1818g

[23] G.G. Nahas, R.J. Réveillaud, J. Strauss, I. Schwartz, M. Verosky

Am. J. Physiol., 204 (1963), pp. 113–118 C.A., 58 (1963) 11857d

[24] R. Cruickshank, J.P. Duguid, B.P. Marion, R.H.A. Swain

Medicinal Microbiology (12th ed.), vol. II Churchill Livingstone, London (1975) pp. 196–202

## Synthesis and Antimicrobial of Certain New Thiazolidinone, Thiazoline, and Thiophene Derivatives

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### Abstract

2-Cyano-N-(9,10-dioxo-9,10-dihydro-anthracen-2-yl)-acetamide (1) was utilized as a key intermediate for the synthesis of thiazolidin-4-one 2 and thiocarbamoyl 5 derivatives via reaction with 2-sulfanylacetic acid and phenyl isothiocyanate, respectively. Compound 5 reacted with different -halo compounds to give thiazolidin-5-one 4, thiazolidine 7a,b, thiazolidin-4-one 8, and thiophene derivatives 10a,b. Thiazoline 6 and tetrahydro-benzothiophene 12 derivatives were obtained via a one-pot reaction of compound 1 with phenyl isothiocyanate/sulfur and cyclohexanone/sulfur, respectively. Representative compounds of the synthesized products were evaluated as antimicrobial agents. Some of these compounds exhibited promising activities. Supplemental materials are available for this article. Go to the publisher's online edition of Phosphorus, Sulfur, and Silicon and the Related Elements to view the free supplemental file

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