Tetrahedron Letters 53 (2012) 5541–5543

Contents lists available at SciVerse ScienceDirect

Tetrahedron Letters

journal homepage: www.elsevier.com/locate/tetlet

An efficient and rapid dehydrogenation of 4-aryl-3,4-dihydropyrimidin-2(1*H*)-ones (DHPMs) using CAN/HCl

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ARTICLE INFO

Article history:
Received 3 June 2012
Revised 2 August 2012
Accepted 4 August 2012
Available online 10 August 2012

Keywords:
Dehydrogenation
CAN
DHPM
Oxidation

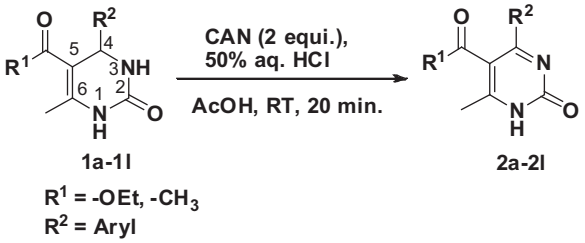
ABSTRACT

An efficient and operationally simple method for the dehydrogenation of 4-aryl-3,4-dihydropyrimidin-2(1*H*)-ones (DHPMs) has been developed using CAN/HCl.

In 1893 Biginelli[1] reported the one pot three-component synthesis of 4-aryl-3,4-dihydropyrimidin-2(1*H*)-ones (DHPMs). Library of the structurally diverse DHPMs can be synthesized by using the combination of different aldehydes, 1,3-dicarbonyl compound, and urea or thiourea.[2] This reaction has gained much importance because the compounds containing pyrimidine/DHPM unit have various biological properties[3] such as antitumor, antihypertensive, calcium channel blocker, alpha-1a-antagonism, neuropeptide Y (NPY) antagonism, antibacterial, and anti-inflammatory activities. DHPM-derived structures are also present in the skeleton of several natural marine polycyclic guanidine alkaloids such as crambine, batzelladine B (potent HIV gp-120CD4 inhibitors), and ptilomycalin alkaloids.[4] Thus DHPMs can be considered as valuable structures for the drug discovery research.

Since pyrimidine derivatives are found in various biologically important molecules, derivatization of DHPM has attracted the attention of researches in the last decade.[5] Dehydrogenation of DHPM is one of the typical transformations, essentially because DHPM contains two sensitive functionalities, one is allylic methyl group at C-6 position and another is –CH–NH bond at C-4 position. Thus under oxidative conditions mixture of products can be obtained and hence for the development of an efficient and clean methodology choice of reagents and reaction conditions become very important. The allylic methyl group at C-6 position is sensitive to the oxidizing agents such as SeO_2[6] and hence the dehydrogenation of the DHPMs is difficult as compared to the easy oxidation of Hantzsch 1,4-dihydropyridines (DHPs) to pyridine.[7]

DHPM ring also shows the inertness toward the strong oxidizing agents like DDQ.[8] Classical oxidizing agents such as (PCC), chloranil, $KMnO_4$/clay,[9] and HNO_3[10] were also tried for the dehydrogenation of DHPM, among which HNO_3 has been proved to give the satisfactory result. Palladium on charcoal requires a high temperature of 230 °C and this method is also not suitable for the DHPM containing ester at C-5 position.[11] Myriad of other methods and reagents are available in the literature[12–18] for the dehydrogenation of DHPM, however all of them have some drawbacks such as regioselectivity, requirement of a high reaction temperature, formation of undesired side product, long reaction time (1–18 h), and involve tedious column chromatographic purification. Thus there is still a need for the development of a novel method for the dehydrogenation of DHPM which will minimize the reaction time and formation of undesired side products with easy purification process to isolate the pure product. Since last decade ceric ammonium nitrate (CAN) has been explored for its wide range of



Scheme 1. Dehydrogenation of DHPM to pyrimidine-2(1*H*)-one using CAN/50% aqueous HCl.

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http://dx.doi.org/10.1016/j.tetlet.2012.08.017

catalytic applications.[19] Single electron oxidizing properties of CAN can be altered by the addition of various additives such as KBr, LiBr, $NaHCO_3$, $NaBrO_3$ or $KBrO_3$, and H_2O_2.[20] Herein we used the combination of CAN and HCl for the rapid and efficient dehydrogenation of DHPM at room temperature (Scheme 1).

The model reaction was carried out using DHPM (**1g**)[23]. In a 50 mL round bottomed flask containing stirred solution of acetic acid (15 mL) and DHPM (**1g**, 3 mmol), 50% aqueous hydrochloric acid (5 mL) was added at room temperature. CAN (6 mmol) was added portion-wise to the reaction mixture and stirring was continued at room temperature. The color of the reaction mixture slowly changes from dark orange to transparent pale yellow. After twenty minutes the TLC (6:4 EtOAc:Hexane) shows completion of reaction. Stoichiometry of CAN was optimized to two equivalents (Table 1). If we use CAN less than two equivalents then the reaction time increases and DHPM remains unreacted even after 1.5 h. The yield of the product decreased when we used CAN and conc. HCl. Thus by using the optimized stoichiometry of CAN, DHPM, and HCl we achieved the dehydrogenation of various substituted DHPMs (Table 2).

Similar kind of oxidative dehydrogenation of DHPM is also reported in the literature using CAN/$NaHCO_3$.[18] This reported methodology requires CAN more than two equivalents, longer reaction time (1-6 h), controlled reaction temperature (−5–0 °C) and the pure product was isolated by column chromatographic purification. As compared to this reported methodology of CAN/$NaHCO_3$, our proposed methodology using CAN/HCl is mild (reaction occurs at room temperature), rapid (reaction time 20 min.) and pure product can be separated easily, just by precipitation (DCM/hexane). In addition, we never observed the formation of pyrimidin-2,4(1*H*, 3*H*)-dione during the course of reaction by using CAN/HCl. During the reaction of **1l**, we did not observe any side chain chlorination of benzylic methyl, acetyl methyl (C-5), or allylic methyl (C-6) groups. Thus the above described method using CAN/HCl is much more convenient and operationally simple than the reported methods. The synthesized products (**2a–2l**) were characterized using IR, ^{1}H NMR, and MS. In all the cases, good to excellent yields of the products were obtained.

Since CAN acts as single electron oxidant, we tried the same reaction using the additives such as NaCl, KBr, and LiBr (Table 1). But the reaction is very slow and starting material remains unreacted even after six hours with a number of unidentified side product formation. Mechanistically, the combination of CAN and HCl may generate a in situ chlorine radical which can act as oxidizing agent[21] for the proposed oxidative dehydrogenation of DHPM. But surprisingly, we did not observe any chlorination at C-6 methyl group during the course of reaction.[22] Hence additionally we assumed that the in situ generated HNO_3 in aqueous media may be responsible for the oxidative dehydrogenation.[10]

In summary, we have developed an efficient and operationally simple method for the dehydrogenation of DHPM using CAN/HCl. The proposed methodology is rapid and the pure product can be isolated using simple precipitation (DCM/hexane), instead of column chromatography. There is no formation of undesired side products such as pyrimidin-2,4(1*H*, 3*H*)-dione and C-6 side chain chlorination product. Thus the combination of CAN/HCl can be used efficiently for the oxidative dehydrogenation of DHPM.

Table 1
Optimization of stoichiometry for the dehydrogenation of DHPM **1**

Entry	Additives	CAN (equiv.)	Reaction time (min)	Yield (%)
1	5 mL (Conc. HCl)	2	45	50
2	2.5 mL (Conc. HCl)	2	45	60
3	5 mL (50% aq. HCl)	2	20	85
4	5 mL (50% aq. HCl)	2.5	20	85
5	5 mL (50% aq. HCl)	3	20	85
6	5 mL (50% aq. HCl)	1.8	90	45
7	5 mL (50% aq. HCl)	1.5	120	30
8	NaCl	3	360	15
9	KBr	3	360	20
10	LiBr	3	360	20

Table 2
Dehydrogenation of 4-aryl-3,4-dihydropyrimidin-2(1*H*)-ones (DHPMs) using CAN/50% aqueous HCl

Entry	DHPM	R^2	R^1	Product	Yield[a] (%)
1	**1a**	C_6H_5	OEt	**2a**	85
2	**1b**	4-$CH_3OC_6H_4$	OEt	**2b**	82
3	**1c**	3-$CH_3OC_6H_4$	OEt	**2c**	75
4	**1d**	2-$CH_3OC_6H_4$	OEt	**2d**	72
5	**1e**	4-$CH_3C_6H_4$	OEt	**2e**	80
6	**1f**	3-$CH_3C_6H_4$	OEt	**2f**	78
7	**1g**	4-ClC_6H_4	OEt	**2g**	83
8	**1h**	2-ClC_6H_4	OEt	**2h**	80
9	**1i**	3-$NO_2C_6H_4$	OEt	**2i**	76
10	**1j**	2-CH_3O-5-BrC_6H_3	OEt	**2j**	70
11	**1k**	4-ClC_6H_4	CH_3	**2k**	78
12	**1l**	4-$CH_3C_6H_4$	CH_3	**2l**	76

[a] Isolated Yield.

Acknowledgments

We are very much thankful to Mr. Ajay Pratap and Mr. Ashish Kumar Srivastava for recording the ^{1}H NMR and MS of synthesized compounds respectively. We also thank the Director, DRDE Gwalior for his keen interest and encouragement.

Supplementary data

Supplementary data associated with this article can be found, in the online version, at http://dx.doi.org/10.1016/j.tetlet.2012.08.017.

References and notes

1. Biginelli, P. *Gazz. Chim. Ital.* **1893**, *23*, 360.
2. (a) Shaabani, A.; Bazgir, A. *Tetrahedron Lett.* **2004**, *45*, 2575; (b) Abelman, M. M.; Smith, S. C.; James, D. R. *Tetrahedron Lett.* **2003**, *44*, 4559; (c) Tu, S.; Shao, Q.; Zhou, D.; Cao, L.; Shi, F.; Li, C. *J. Heterocyclic. Chem.* **2007**, *44*, 1401; (d) Shaabani, A.; Rahmati, A.; Naderi, S. *Bioorg. Med. Chem. Lett.* **2005**, *15*, 5553.
3. (a) Atwal, K. S.; Swanson, B. N.; Unger, S. E.; Floyd, D. M.; Moreland, S.; Hedberg, A.; O'Reilly, B. C. *J. Med. Chem.* **1991**, *34*, 806; (b) Grover, G. J.; Dzwonczyk, S.; McMullen, D. M.; Normandin, D. E.; Parham, C. S.; Sleph, P. G.; Moreland, S. J. *Cardiovasc. Pharmacol.* **1995**, *26*, 289; (c) Rovnyak, G. C.; Kimball, S. D.; Beyer, B.; Cucinotta, G.; Dimarco, J. D.; Gougoutas, J.; Hedberg, A.; Malley, M.; McCarthy, J. P.; Zhang, R.; Moreland, S. *J. Med. Chem.* **1995**, *38*, 119; (d) Atwal, K. S.; Rovnyak, G. C.; O'Reilly, B. C.; Schwartz, J. *J. Org. Chem.* **1989**, *54*, 5898; (e) Hu, E. H.; Sidler, D. R.; Dolling, U. H. *J. Org. Chem.* **1998**, *63*, 3454; (f) Kappe, C. O.; Fabian, W. M. F.; Semones, M. A. *Tetrahedron* **1997**, *53*, 2803.
4. (a) Franklin, A. S.; Ly, S. K.; Mackin, G. H.; Overman, L. E.; Shaka, A. J. *J. Org. Chem.* **1999**, *64*, 1512; (b) Kappe, C. O. *Eur. J. Med. Chem.* **2000**, *1043*, 35.
5. (a) Lengar, A.; Kappe, C. O. *Org. Lett.* **2004**, *6*, 771; (b) Dondoni, A.; Massi, A.; Sabbatini, S. *Tetrahedron Lett.* **2002**, *43*, 5913; (c) Singh, K.; Singh, S. *Tetrahedron Lett.* **2006**, *47*, 8143; (d) Shah, T. B.; Gupte, A.; Patel, M. R.; Chatudhari, V. S.; Patel, H.; Patel, V. C. *Indian J. Chem.* **2009**, *48B*, 88; (e) Hamouly, W. S. E.; Khamry, A. M. A. E.; Abbas, E. M. H. *Indian J. Chem.* **2006**, *45B*, 2091.
6. Khanina, E. L.; Duburs, G. *Khim. Geterotsikl. Soedin* **1982**, 535.
7. (a) Bagley, M. C.; Lubinu, M. C. *Synthesis* **2006**, *8*, 1283; (b) Han, B.; Liu, Z.; Liu, Q.; Yang, L.; Liu, Z. L.; Yu, W. *Tetrahedron* **2006**, *62*, 2492; (c) Anniyappan, M.; Muralidharan, D.; Perumal, P. T. *Tetrahedron* **2002**, *58*, 5069; (d) Nakamichi, N.; Kawashita, Y.; Hayashi, M. *Org. Lett.* **2002**, *4*, 3955.
8. Eynde, J. J. V.; Audiart, N.; Canonne, V.; Michel, S.; Haverbeke, Y. V.; Kappe, C. O. *Heterocycles* **1997**, *45*, 1967.
9. Vanden Eynde, J. J.; Audiart, N.; Canonne, V.; Michel, S.; Van Haverbeke, Y.; Kappe, C. O. *Heterocycles* **1997**, *45*, 1967.
10. Puchala, A.; Belaj, F.; Bergman, J.; Kappe, C. O. *J. Heterocycl. Chem.* **2001**, *38*, 1345.
11. Kappe, C. O.; Roschger, P. *J. Heterocycl. Chem.* **1989**, *26*, 55.
12. (a) Liu, Q.; Li, Y.-N.; Zhang, H.-H.; Chen, B.; Tung, C.-H.; Wu, L.-Z. *J. Org. Chem.* **2011**, *76*, 1444; (b) Esfahani, M. N.; Montazerozohori, M.; Abdi, K. *ARKIVOC* **2009**, 255.

13. Memarian, H. R.; Farhadi, A.; Sabzyan, H.; Soleymani, M. *J. Photochem. Photobio. A: Chem.* **2010**, *209*, 95.
14. Kadysh, V.; Stradins, J.; Khanina, H.; Duburs, G. *Electrochim. Acta* **1989**, *34*, 899.
15. Memarian, H. R.; Farhadi, A. *Ultrason. Sonochem.* **2008**, *15*, 1015.
16. (a) Yamamoto, K.; Chen, Y. G.; Buono, F. G. *Org. Lett.* **2005**, *21*, 4673; (b) Karade, N. N.; Gampawar, S. V.; Kondre, J. M.; Tiwari, G. B. *Tetrahedron Lett.* **2008**, *49*, 6698.
17. Shanmugam, P.; Perumal, P. T. *Tetrahedron* **2007**, *63*, 666.
18. Shanmugam, P.; Perumal, P. T. *Tetrahedron* **2006**, *62*, 9726.
19. Nair, V.; Deepthi, A. *Chem. Rev.* **2007**, *107*, 1862.
20. (a) Sridharan, V.; Menéndez, J. C. *Chem. Rev.* **2010**, *110*, 3805. and references given in it.; (b) Savitha, G.; Niveditha, S. K.; Muralidharan, D.; Perumal, P. T. *Tetrahedron Lett.* **2007**, *48*, 2943; (c) Savitha, G.; Perumal, P. T. *Tetrahedron Lett.* **2006**, *47*, 3589; (d) Roy, S. C.; Rana, K. K.; Guin, C.; Banerjee, B. *Arkivoc* **2003**, 34; (e) Hamper, B. C.; Gan, K. Z.; Owen, T. J. *Tetrahedron Lett.* **1999**, *40*, 4973.
21. Tale, N. P.; Shelke, A. V.; Karade, N. N. *Synth. Commun.* **2012**, *42*, 2959.
22. Zigeuner, G.; Hamberger, H.; Blaschke, H.; Sterk, H. *Monatsh. Chem.* **1966**, *97*, 1408.
23. *General experimental procedure for the oxidative dehydrogenation of DHPM (**1g**) using CAN/HCl*: In a 50 mL round bottomed flask containing stirred solution of acetic acid (15 mL) and DHPM (**1g**, 3 mmol), 50% aqueous hydrochloric acid (5 mL) was added at room temperature. CAN (6 mmol) was added portion-wise to the reaction mixture and stirring was continued at room temperature. The color of the reaction mixture slowly changed from dark orange to transparent pale yellow. After twenty minutes the TLC (6:4 EtOAc/Hexane) showed completion of reaction. Cold water (20 mL) was added to the reaction mixture and extracted with DCM (20 mL). Organic layer was separated and washed by bicarbonate solution followed by brine solution. Organic layer was dried over anhydrous sodium sulfate and concentrated under vacuum. Crude product was precipitated using DCM/hexane (1:6) and filtered off to give the pure product (**2g**).
*Spectral data of **2g***: mp 182–184 °C, IR (KBr): ν = 3309, 3216, 2983, 2811, 1707, 1649, 1434, 803 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.86 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.40 (s, 3H, $-CH_3$), 3.95 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.44 (d, 2H, J = 8.4 Hz, Ar-H), 7.53 (d, 2H, J = 8.4 Hz, Ar-H), 12.44 (s, –NH). ESI-MS: m/z 293.4886 (M+1).
*Spectral data of the products (**2a–2l**)*:
*Ethyl 6-methyl-2-oxo-4-phenyl-1,2-dihydropyrimidine-5-carboxylate (**2a**).* IR (KBr): ν = 3309, 2981, 2816, 1730, 1652, 1602, 14, 682 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.93 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.62 (s, 3H, $-CH_3$), 4.02 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.4 (m, 3H, Ar-H), 7.6 (m, 2H, Ar-H), 13.65 (s, –NH). ESI-MS: m/z 259.452 (M+1).
*Ethyl 4-(4-methoxyphenyl)-6-methyl-2-oxo-1,2-dihydropyrimidine-5-carboxylate (**2b**). IR (KBr)*: ν = 3422, 3084, 2986, 2836, 1667, 1594, 1438, 796 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 1.03 (t, 3H, J = 7.2 Hz,– CH_3), 2.58 (s, 3H, $-CH_3$), 3.85 (s, 3H, $-OCH_3$), 4.09 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 6.93 (m, 2H, Ar-H), 7.6 (m, 2H, Ar-H), 13.67 (s, -NH). ESI-MS: m/z 289.5029 (M+1).
*Ethyl 4-(3-methoxyphenyl)-6-methyl-2-oxo-1,2-dihydropyrimidine-5-carboxylate (**2c**). IR (KBr)*: ν = 3316, 2836, 1718, 1658, 1600, 1438, 798 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.84 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.38 (s, 3H, $-CH_3$), 3.78 (s, 3H, $-OCH_3$), 3.94 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 6.98 (m, 2H, Ar-H), 7.06 (d, J = 8.4 Hz, 1H, Ar-H), 7.35 (t, 1H, J = 8.4 Hz, Ar-H), 13.67 (s, –NH). ESI-MS: m/z 289.5007 (M+1).
*Ethyl 4-(2-methoxyphenyl)-6-methyl-2-oxo-1,2-dihydropyrimidine-5-carboxylate (**2d**). IR (KBr)*: ν = 3362, 3005, 2805, 1691, 1590, 1428, 726 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.79 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.41 (s, 3H, $-CH_3$), 3.68 (s, 3H, $-OCH_3$), 3.85 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.0 (t, 2H, J = 7.2 Hz, Ar-H), 7.31 (d, J = 7.2 Hz, 1H, Ar-H), 7.42 (t, 1H, J = 7.2 Hz, Ar-H), 13.67 (s, –NH). ESI-MS: m/z 289.5120 (M+1).
*Ethyl 6-methyl-2-oxo-4-p-tolyl-1,2-dihydropyrimidine-5-carboxylate (**2e**). IR (KBr)*: ν = 3308, 2884, 1714, 1642, 1601, 1438, 802 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.98 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.39 (s, 3H, $-CH_3$), 2.59 (s, 3H, $-CH_3$), 4.06 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.22 (m, 2H, Ar-H), 7.50 (m, 2H, Ar-H), 13.65 (s, –NH). ESI-MS: m/z 273.4701 (M+1).
*Ethyl 6-methyl-2-oxo-4-m-tolyl-1,2-dihydropyrimidine-5-carboxylate (**2f**). IR (KBr)*: ν = 3411, 3316, 3212, 3100, 2984, 1712, 1441, 795 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.95 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.38 (s, 3H, $-CH_3$), 2.60 (s, 3H, $-CH_3$), 4.04 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.26 (m, 4H, Ar-H), 13.64 (s, –NH). ESI-MS: m/z 273.5500 (M+1).
*Ethyl 4-(2-chlorophenyl)-6-methyl-2-oxo-1,2-dihydropyrimidine-5-carboxylate (**2h**). IR (KBr)*: ν = 3324, 3225, 2810, 1709, 1668, 1431, 762 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.72 (t, 3H, J = 7.2 Hz, $-CH_3$), 3.32 (s, 3H, $-CH_3$), 3.84 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.34–7.49 (m, 4H, Ar-H), 12.60 (s, –NH). ESI-MS: m/z 293.4813 (M+1).
*Ethyl 6-methyl-4-(3-nitrophenyl)-2-oxo-1,2-dihydropyrimidine-5-carboxylate (**2i**). IR (KBr)*: ν = 3412, 3319, 3217, 2986, 1533, 1448 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.83 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.46 (s, 3H, $-CH_3$), 3.95 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.75 (m, 1H, Ar-H), 7.88 (m, 1H, Ar-H), 8.24 (s, 1H, Ar-H), 8.35–8.37 (m, 1H, Ar-H), 12.59 (s, –NH). ESI-MS: m/z 304.4072 (M+1).
Ethyl 4-(5-bromo-2-methoxyphenyl)-6-methyl-2-oxo-1,2-dihydropyrimidine-5-carboxylate (**2j**). IR (KBr): ν = 3327, 2974, 2844, 1715, 1654, 1441, 807 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 0.85 (t, 3H, J = 7.2 Hz, $-CH_3$), 2.43 (s, 3H, $-CH_3$), 3.68 (s, 3H, $-OCH_3$), 3.89 (q, 2H, J = 7.2 Hz, $-CO_2CH_2-$), 7.01 (d, 1H, J = 8.4 Hz, Ar-H), 7.46 (s, 1H, Ar-H), 7.59 (d, 1H, J = 8.4 Hz, Ar-H), 12.38 (s, –NH). ESI-MS: m/z 367.3996 (M+1), 389.3737 (M+Na)
*5-acetyl-4-(4-chlorophenyl)-6-methylpyrimidin-2(1H)-one (**2k**). IR (KBr)*: ν = 3361, 3059, 2806, 1641, 1573, 1426, 801 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 1.91 (s, 3H, $-CH_3$), 2.30 (s, 3H, $-CH_3$), 7.48 (d, 2H, J = 8.4 Hz, Ar-H), 7.57 (d, 2H, J = 8.4 Hz, Ar-H), 12.36 (s, –NH). ESI-MS: m/z 263.3372 (M+1).
*5-acetyl-6-methyl-4-p-tolylpyrimidin-2(1H)-one (**2l**). IR (KBr)*: ν = 3362, 30005, 2805, 1691, 1590, 1428, 830 cm^{-1}. ^1H (400 MHz, DMSO-d_6): δ 1.85 (s, 3H, $-CH_3$), 2.28 (s, 3H, $-CH_3$), 2.37 (s, 3H, $-CH_3$), 7.31 (d, 2H, J = 8.0 Hz, Ar-H), 7.37 (d, 2H, J = 8.0 Hz, Ar-H), 12.25 (s, –NH). ESI-MS: m/z 243.3773 (M+1).