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RESEARCH ARTICLE

Synthesis of Novel Schiff Base of 3-(2, 4-Disulfamoylanilne) Morpholine Derivatives

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ABSTRACT

Synthesis of 4-(subtitutedBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonic acid diamide ***(3a-j)*** was achieved from 3-(2, 4-DiSulfamoylanilne) morpholine and different Aldehydes using catalytical amount of acetic acid in methanol the product obtained was isolated. And good yield. The structures of the products were supported by FTIR, ^{1}H NMR and mass spectral data.

KEYWORDS

3-(2, 4-DiSulfamoylanilne) Morpholine, Aldehyde, Acetic Acid, Methanol Only Refluxed

INTRODUCTION

The medicinal importance, synthesis and use of sulfonamides as synthetic tools in organic chemistry. The sulfonamide functionality is much more widespread in pharmaceuticals than just in an early class of antibiotics and antifungal. Sulfonamides have been the subject of pharmaceutical interest as a result of their potent biological activities such as antihypertensive agent, antiviral HIV protease inhibitor, anticancer, anti-inflammatory and antiviral agents.

Premature time, the ruthless contagious diseases caused by gram positive and gram negative pathogenic bacteria have inflated to threat level around the world. This raise as well as appearance of bacteria immune to ordinarily used antibiotics has resulted in the need to give to new categories of antibacterial agents to conflict infections. The chemistry of biological science has produced a number of compounds that are now employed as antibacterial agents.

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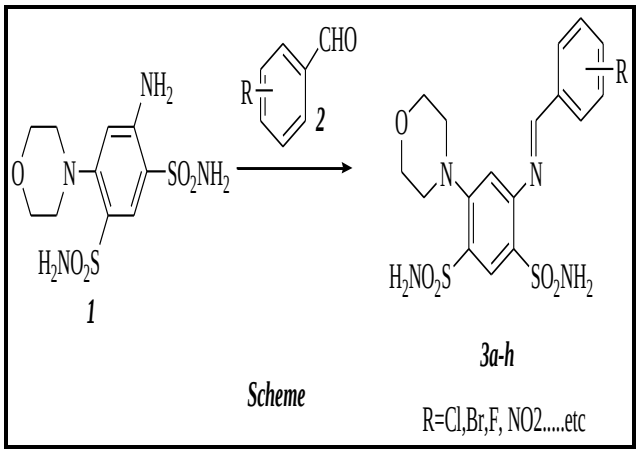
Such type of compounds revealed great promise in this area is the Schiff bases[1]. A Schiff base is the nitrogen analogue of aldehyde in which the C=O group is replaced by a C=N group the reported Schiff bases exhibits antibacterial[2-5], antifungal[6] and antitumor activity[7]. In addition, the compounds and their metal complexes reveal remarkable photo physical properties[8].

Dapsone (4, 4'-diaminodiphenylsulphone), a sulphone analog, has been proved to be a powerful antimicrobial agent. Schiff base are associated with antibacterial, antifungal and antitubercular activities and have diverse biological activities. Literature revealed that 2-azetidinone derivatives occupy an important place in medicinal chemistry as they show a variety of microbiological activity.

A number of Schiff's base molecules show biological activities including antibacterial, antifungal, antidiabetic, antitumour, antiproliferative, anticancer, anti-corrosion and anti-inflammatory activities[9-12].The topical application of metal complexes of sulphadiazine has recently revived the usefulness of these compounds in medicine[13].



EXPERIMENTAL

Typical Experimental Procedure

3-(2, 4-DiSulfamoylanilne) morpholine add in to 100 ml methanol, then add benzaldehyde and 1 to 2 drop of acetic acid. Reflux the mass for 15 hrs. Check progress of reaction mass by TLC. After complies the reaction cooled at RT and dump the reaction mass in to cooled water.

Isolate the schiff base of 53-(2, 4-DiSulfamoylanilne) morpholine by filtration and washed with water till neutral pH of filtration ML. After dried the schiff base of 3-(2, 4-DiSulfamoylanilne) morpholine.

4-(Benzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonic acid diamide (3a)

Yield: 67%; MP 198 ºC; MS: *m/z* 424; IR (cm^{-1}): 3235 (N-H asymmetrical stretching of NH_2), 2975 (Aromatic symmetrical stretching of C-H), 2885(symmetrical stretching of CH_2), 1573 (C-N-C of morpholine) 1556 (C=C stretching of aromatic ring), 1508 (C-H asymmetrical deformation of CH_3 group), 1346 (S=O asymmetrical stretching of SO_2NH_2), 1246 (S=O symmetrical stretching of SO_2NH_2), 1084 (C-H in plane deformation of aromatic ring), 1014 (Stretching of C-O-C), 827 (S-N asymmetrical stretching of SO_2NH_2), 734 (C-H out of plane deformation of mono substituted benzene ring); ^{1}HNMR: 2.92 (t, 4H), 3.78 (t, 4H), 5.86-5.89 (s, 1H), 6.69-6.94 (d, 3H), 7.49 (d, 3H), 7.67 (s, 2H), 8.01- 8.09 (d, 3H); Anal. Calcd. $C_{17}H_{20}N_4O_5S_2$; C, 48.10; H, 4.75; N, 13.20; O, 18.85; S, 15.11; Found: C, 48.10; H, 4.72; N, 13.23; O, 18.86; S, 15.10%.

4-(3-bromoBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3b)

Yield: 56%; MP 210 ºC; MS: *m/z* 503; IR (cm^{-1}): 3267 (N-H asymmetrical stretching of NH_2), 2989 (Aromatic symmetrical stretching of C-H), 2874 (symmetrical stretching of CH_2), 1556 (C-N-C of morpholine) 1535 (C=C stretching of aromatic ring), 1500 (C-H asymmetrical deformation of CH_3 group), 1340 (S=O asymmetrical stretching of SO_2NH_2), 1275 (S=O symmetrical stretching of SO_2NH_2), 1074 (C-H in plane deformation of aromatic ring), 1024 (Stretching of C-O-C) 820 (S-N asymmetrical stretching of SO_2NH_2), 731 (C-H out of plane deformation of mono substituted benzene ring); 603 (C-Br stretching); Anal. Calcd. $C_{17}H_{19}BrN_4O_5S_2$; C, 40.56; H, 3.80; Br, 15.87; N, 11.13; O, 15.89; S, 12.74; Found: C, 40.53; H, 3.83; Br, 15.90; N, 11.10; O, 15.90; S, 12.73%.

4-(4-bromoBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3c)

Yield: 57%; MP 193 ºC; MS: *m/z* 503; IR (cm^{-1}): 3258 (N-H asymmetrical stretching of NH_2), 2956 (Aromatic symmetrical stretching of C-H), 2865 (symmetrical stretching of CH_2), 1575 (C-N-C of morpholine) 1545 (C=C stretching of aromatic ring), 1510 (C-H asymmetrical deformation of CH_3 group), 1335 (S=O asymmetrical stretching of SO_2NH_2), 1267 (S=O symmetrical stretching of SO_2NH_2), 1071 (C-H in plane deformation of aromatic ring), 1021 (Stretching of C-O-C) 844 (S-N asymmetrical stretching of SO_2NH_2), 743 (C-H out of plane deformation of mono substituted benzene ring); 666 (C-Br stretching); Anal. Calcd. $C_{17}H_{19}BrN_4O_5S_2$; C, 40.56; H, 3.80; Br, 15.87; N, 11.13; O, 15.89; S, 12.74; Found: C, 40.58; H, 3.82; Br, 15.91; N, 11.17; O, 15.85; S, 12.70%.

4-(3-chloroBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3d)

Yield: 53%; MP 198 ºC; MS: *m/z* 459; IR (cm^{-1}): 3257 (N-H asymmetrical stretching of NH_2), 2969 (Aromatic symmetrical stretching of C-H), 2864 (symmetrical stretching of CH_2), 1566 (C-

N-C of morpholine) 1545 (C=C stretching of aromatic ring), 1516 (C-H asymmetrical deformation of CH_3 group), 1333 (S=O asymmetrical stretching of SO_2NH_2), 1246 (S=O symmetrical stretching of SO_2NH_2), 1066 (C-H in plane deformation of aromatic ring), 1014 (Stretching of C-O-C) 833 (S-N asymmetrical stretching of SO_2NH_2), 734 (C-H out of plane deformation of mono substituted benzene ring) 569 (C-Cl stretching); Anal. Calcd. $C_{17}H_{19}ClN_4O_5S_2$; C, 44.49; H, 4.17; Cl, 7.72; N, 12.21; O, 17.43; S, 13.97; Found: C, 44.50; H, 4.19; Cl, 7.73; N, 12.29; O, 17.4; S, 13.90%.

4-(4-chloroBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3e)

Yield: 57%; MP 200 ºC; MS: *m/z* 459; IR (cm^{-1}): 3267 (N-H asymmetrical stretching of NH_2), 2956 (Aromatic symmetrical stretching of C-H), 2870 (symmetrical stretching of CH_2), 1546 (C-N-C of morpholine) 1525 (C=C stretching of aromatic ring), 1503 (C-H asymmetrical deformation of CH_3 group), 1330 (S=O asymmetrical stretching of SO_2NH_2), 1236 (S=O symmetrical stretching of SO_2NH_2), 1036 (C-H in plane deformation of aromatic ring), 1004 (Stretching of C-O-C) 863 (S-N asymmetrical stretching of SO_2NH_2), 754 (C-H out of plane deformation of mono substituted benzene ring), 679 (C-Cl stretching); Anal. Calcd. $C_{17}H_{19}ClN_4O_5S_2$; C, 44.49; H, 4.17; Cl, 7.72; N, 12.21; O, 17.43; S, 13.97; Found: C, 44.50; H, 4.16; Cl, 7.73; N, 12.20; O, 17.45; S, 13.95%.

4-(4-methylBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3f)

Yield: 63%; MP 195 ºC; MS: *m/z* 440; IR (cm^{-1}): 3265 (N-H asymmetrical stretching of NH_2), 2966 (Aromatic symmetrical stretching of C-H), 2867 (symmetrical stretching of CH_2), 1540 (C-N-C of morpholine) 1521 (C=C stretching of aromatic ring), 1497 (C-H asymmetrical deformation of CH_3 group), 1367 (S=O asymmetrical stretching of SO_2NH_2), 1246 (S=O symmetrical stretching of SO_2NH_2), 1016 (C-H in plane deformation of aromatic ring), 1004 (Stretching of C-O-C) 860 (S-N asymmetrical stretching of SO_2NH_2), 750 (C-H out of plane deformation of mono substituted benzene ring); Anal. Calcd. $C_{18}H_{22}N_4O_5S_2$; C, 46.35; H, 4.58; N, 12.72; O, 21.79; S, 14.56; Found: C, 46.36; H, 4.59; N, 12.78; O, 21.77; S, 14.50%.

4-(4-methoxyBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3g)

Yield: 60%; MP 186 ºC; MS: *m/z* 455; IR (cm^{-1}): 3267 (N-H asymmetrical stretching of NH_2), 2956 (Aromatic symmetrical stretching of C-H), 2870 (symmetrical stretching of CH_2), 1546 (C-N-C of morpholine) 1525 (C=C stretching of aromatic ring), 1503 (C-H asymmetrical deformation of CH_3 group), 1350 (S=O asymmetrical stretching of SO_2NH_2), 1246 (S=O symmetrical stretching of SO_2NH_2), 1026 (C-H in plane deformation of aromatic ring), 1004 (Stretching of C-O-C) 853 (S-N asymmetrical stretching of SO_2NH_2), 750 (C-H out of plane deformation of mono substituted benzene ring); Anal. Calcd. $C_{18}H_{22}N_4O_6S_2$; C, 47.56; H, 4.88; N, 12.33; O, 21.12; S, 14.11; Found: C, 47.60; H, 4.87; N, 12.30; O, 21.13; S, 14.10%.

4-(4-hydroxyBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3h)

Yield: 59%; MP 200 ºC; MS: *m/z* 440; IR (cm^{-1}): 3278 (N-H asymmetrical stretching of NH_2), 3100 (Stretching of OH) 2951 (Aromatic symmetrical stretching of C-H), 2860 (symmetrical stretching of CH_2), 1546 (C-N-C of morpholine) 1520 (C=C stretching of aromatic ring), 1350 (S=O asymmetrical stretching of SO_2NH_2), 1246 (S=O symmetrical stretching of SO_2NH_2), 1046 (C-H in plane deformation of aromatic ring), 1024 (Stretching of C-O-C) 873 (S-N asymmetrical stretching of SO_2NH_2), 764 (C-H out of plane deformation of mono substituted benzene ring); Anal. Calcd. $C_{17}H_{20}N_4O_6S_2$; C, 46.35; H, 4.58; N, 12.72; O, 21.79; S, 14.56; Found: C, 46.37; H, 4.56; N, 12.77; O, 21.78; S, 14.52%.

4-(4-nitroBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3i)

Yield: 55%; MP 208 ºC; MS: *m/z* 469; IR (cm^{-1}): 3270 (N-H asymmetrical stretching of NH_2), 2951 (Aromatic symmetrical stretching of C-H), 2860 (symmetrical stretching of CH_2), 1556 (C-

N-C of morpholine) 1530 (C=C stretching of aromatic ring), 1489 (Stretching of NO2), 1314 (S=O asymmetrical stretching of SO_2NH_2), 1236 (S=O symmetrical stretching of SO_2NH_2), 1016 (C-H in plane deformation of aromatic ring), 1004 (Stretching of C-O-C) 843 (S-N asymmetrical stretching of SO_2NH_2), 724 (C-H out of plane deformation of mono substituted benzene ring); ^{1}HNMR: 6.13-6.17 (s, 1H), 7.14 (s, 2H), 7.60 (d, H), 7.95-7.97 (d, 2H), 8.10 (s, 1H), 8.35-8.37 (d, 2H) 8.40-8.45 (d, 1H), 8.49-8.5 (d, 1H); Anal. Calcd. $C_{17}H_{19}N_5O_7S_2$; C, 43.49; H, 4.08; N, 14.92; O, 23.85; S, 13.66; Found: C, 43.53; H, 4.04; N, 14.94; O, 23.89; S, 13.60%.

4-(4-fluoroBenzylidene-amino)-6-morpholin-4-yl-benzene-1,3-disulfonicaciddiamide (3j)

Yield: 64%; MP 197 ºC; MS: *m/z* 442; IR (cm^{-1}): 3271 (N-H asymmetrical stretching of NH_2), 2951 (Aromatic symmetrical stretching of C-H), 2877 (symmetrical stretching of CH_2), 1546 (C-N-C of morpholine) 1520 (C=C stretching of aromatic ring), 1353 (S=O asymmetrical stretching of SO_2NH_2), 1251 (S=O symmetrical stretching of SO_2NH_2), 1126 (C-H in plane deformation of aromatic ring), 1014 (Stretching of C-O-C) 873 (S-N asymmetrical stretching of SO_2NH_2), 764 (C-H out of plane deformation of mono substituted benzene ring), 1006 (C-F Stretching); Anal. Calcd. $C_{17}H_{19}FN_4O_5S_2$; C C, 46.14; H, 4.33; F, 4.29; N, 12.66; O, 18.08; S, 14.49; Found: C, 46.12; H, 4.32; F, 4.27; N, 12.68; O, 18.09; S, 14.51%.

CONCLUSION

Synthesized of imaginative Schiff base derivatives using without any problems and appropriate method.

This method produces these products in good yields and simple. Product is isolated and easy filtration. The inaccessible products are much uncontaminated so do not need any another purification.

This study opens up a new area of valuable synthesis of potentially biologically active description Schiff base derivatives compounds.

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