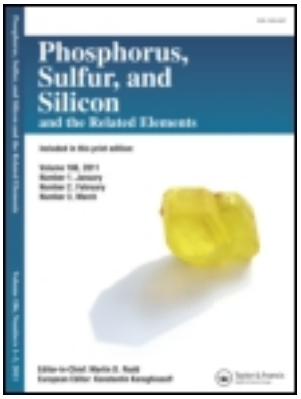

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$NaHSO_4.H_2O$ Catalyzed Multicomponent Synthesis of 1-(Benzothiazolylamino) Methyl-2-Naphthols Under Solvent-Free Conditions

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$NaHSO_4.H_2O$ CATALYZED MULTICOMPONENT SYNTHESIS OF 1-(BENZOTHIAZOLYLAMINO) METHYL-2-NAPHTHOLS UNDER SOLVENT-FREE CONDITIONS

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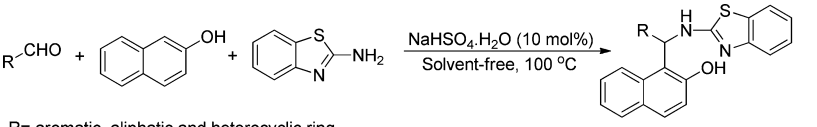
GRAPHICAL ABSTRACT



R= aromatic, aliphatic and heterocyclic ring

26 Examples, 52-95 % Yields

A one-pot, efficient three-component condensation of aldehydes, 2-naphthol, and 2-aminobenzothiazole in the presence of sodium hydrogen sulfate as an effective catalyst for the synthesis of 1-(benzothiazolylamino)methyl-2-naphthol derivatives under thermal and solvent-free conditions is described. These products involve two biologically active parts, Betti's base and benzothiazole. The present methodology offers several advantages, such as good yields, short reaction times, and easy work-up.

Keywords 1-(Benzothiazolylamino)methyl-2-naphthols; benzothiazole; Betti's base; multicomponent reaction

INTRODUCTION

Multicomponent reactions (MCRs) are an attractive synthetic strategy, because complex products are formed in a single step and diversity can be simply achieved by varying the reaction components.[1] Furthermore, multiple molecular scaffolds in both biologically active and natural compounds can be generated using combinatorial approaches.[2] In the field of medicinal chemistry, functionally substituted starting materials have been used in multicomponent approaches to obtain desired biologically active compounds.

Preparation of the substituted Betti's base derivatives become an important area in synthetic chemistry because of C−C bond formation under mild experimental conditions. In later years, attention has been paid to the Betti's reaction, and a similar reaction can

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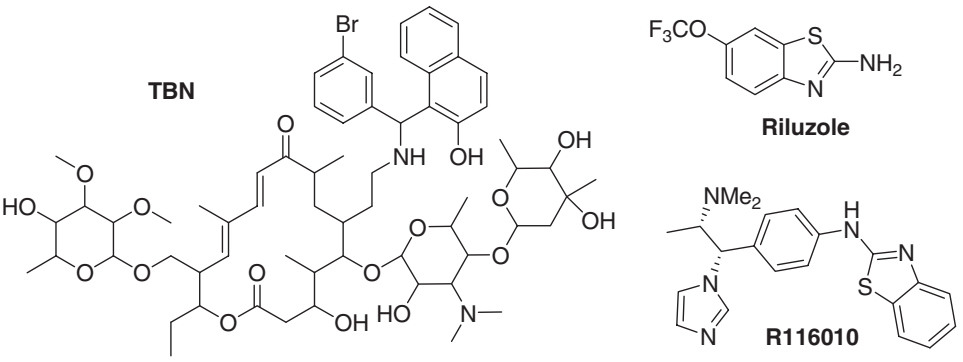


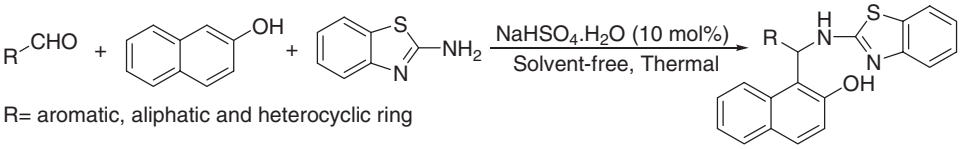
Figure 1 *N*-tylosil-1-α-amino-(3-bromophenyl)-methyl-2-naphthol (TBN), Riluzole, and R116010.

be performed by either using other naphthols,[3] quinolinols,[4,5] or by replacing ammonia with alkyl amines.[6–9] The literature reveals that such compounds are gaining the interest of chemists who are working in the field of asymmetric synthesis.[10–12] Also, they can be used as chiral auxiliaries for the synthesis of α-aminophosphonic acids[13] and as chiral shift reagents for carboxylic acids.[14] The racemic aminonaphthols (Betti's base) have been used for transformation into products with antibacterial activity. Betti's base derivatives have also provided convenient access to many useful synthetic building blocks via the amino and phenolic hydroxy functional groups.[15,16] Recently, it was realized that *N*-tylosil-1-α-amino-(3-bromophenyl)-methyl-2-naphthol (TBN (Figure 1)) is a potent modulator of the P-glycoprotein membrane pump and that the compound could be of clinical relevance to improve the efficacy of chemotherapy in multidrug resistance cancers.[17]

Molecules featuring the benzothiazole structural motif play a key part in a wide variety of chemistry. Their diverse functions range from electron transfer facilitation in the firefly luciferine cycle[18] through antitumor[19] and antidiabetic activity[20] to Alzheimer disease tracer[21] and anticancer agent in pharmaceutical chemistry.[22] The 2-aminobenzothiazole core, as a privileged scaffold, is found in many natural products and pharmaceuticals that exhibit remarkable biological activities.[23] In addition, some compounds with the skeleton, which have application in drugs for the treatment of various diseases, are found, such as tuberculosis,[24] epilepsy,[25] diabetes,[26] glutamate (e.g., Figure 1, Riluzole),[27] and tumors (e.g., Figure 1, R116010).[28]

Sodium hydrogen sulfate monohydrate (or supported on silica gel) has been demonstrated to be an efficient catalyst for several reactions such as synthesis of acyldiazenes,[29] Friedel-Crafts reactions of carbonyl compounds with heteroaromatic compounds in water,[30] protection and deprotection,[31] nitration,[32] nitrosation,[33] oxidation,[34] synthesis of β-acetamido ketones,[35] amidoalkyl naphthols,[36] trisubstituted quinolines,[37] dibenzo[*a,j*]xanthene,[38] and triarylmethanes.[39]

Four reports appeared for the synthesis of 1-(benzothiazolylamino)methyl-2-naphthols using LiCl,[40] $H_6P_2W_{18}O_{62}.24H_2O$ (HPA),[41] sodium dodecyl sulfate (SDS),[42] and 1-methyl-2-pyrrolidonium hydrogen sulfate ([Hnmp]HSO_4).[43] In continuation of our interest in the use of *ortho*-quinone methides (*o*-QM) as an intermediate in the synthesis of organic molecules,[44] herein, we report a green, one-pot, efficient synthesis of 1-(benzothiazolylamino)methyl-2-naphthols catalyzed by sodium hydrogen sulfate $NaHSO_4.H_2O$ under thermal and solvent-free conditions (Scheme 1).



Scheme 1 Synthesis of 1-(benzothiazolylamino)methyl-2-naphthols catalyzed by sodium hydrogen sulfate.

RESULTS AND DISCUSSION

In initial studies, we carried out the reaction of 4-chlorobenzaldehyde (1 equiv.), 2-naphthol (1 equiv.), and 2-aminobenzothiazole (1 equiv.) as a model to optimize of reaction conditions. The effects of various catalysts such as ZrO_2, Fe_2O_3, ZnO, MgO, $ZnCl_2$, $MgCl_2$, $CeCl_3.7H_2O$, $BiCl_3$, $AlCl_3$, $FeCl_3.6H_2O$, $Zn(OAc)_2.2H_2O$, $Al(H_2PO_4)_3$, 1-butyl-3-methylimidazolium Chloride ([bmim]Cl), 1,4-diazabicyclo[2.2.2]octane (DABCO), cyanuric chloride ($C_3N_3Cl_3$), *N*-bromosuccinimide (NBS), and $NaHSO_4.H_2O$ were studied (Table 1). Of these, $NaHSO_4.H_2O$ was found to be the most effective for this conversion (Table 1, Entry 18).

Next, optimization the amount of $NaHSO_4.H_2O$ as catalyst and temperature for the preparation of 1-((benzo[*d*]thiazol-2-ylamino)(4-chlorophenyl)methyl)naphthalen-2-ol under solvent-free conditions were investigated (Table 2). The best result was obtained by carrying out the reaction using 10 mol% of $NaHSO_4.H_2O$ at 100 °C under solvent-free conditions (Table 2, Entry 3).

Using these optimized reaction conditions, the generality of this reaction was examined using several types of aldehydes. As shown in Table 3, the direct three-component reactions worked well with a variety of heterocyclic aldehydes (Table 3, Entries 17, 25, and 26), aliphatic aldehyde (Table 3, Entry 24), and aryl aldehydes including those bearing electron-withdrawing and electron-donating groups, and the desired compounds were obtained in good yields. However, the yield of product was lower in comparison with aryl aldehydes containing electron-withdrawing substituents (Table 3, Entries 14–16).

Table 1 Preparation of 1-((benzo[*d*]thiazol-2-ylamino)(4-chlorophenyl)methyl)naphthalen-2-ol at 70 °C in the presence of different catalysts (10 mol%) under solvent-free conditions[a]

Entry	Catalyst[a]	Time (min)/ yield (%)[b]	Entry	Catalyst[a]	Time (min)/ yield (%)[b]
1	—	60/Trace	10	$AlCl_3$	20/69
2	ZrO_2	60/65	11	$FeCl_3.6H_2O$	15/48
3	Fe_2O_3	60/43	12	$Zn(OAc)_2.2H_2O$	35/62
4	ZnO	25/51	13	$Al(H_2PO_4)_3$	53/62
5	MgO	60/20	14	[bmim]Cl	30/65
6	$ZnCl_2$	120/39	15	DABCO	120/40
7	$MgCl_2$	19/71	16	$C_3N_3Cl_3$	18/55
8	$CeCl_3.7H_2O$	23/73	17	NBS	30/65
9	$BiCl_3$	14/68	18	$NaHSO_4.H_2O$	18/70

[a]Based on the reaction of 4-chlorobenzaldehyde (1 equiv.), 2-naphthol (1 equiv.), and 2-aminobenzothiazole (1 equiv.).

[b]Yields refer to isolated pure products.

Table 2 Optimization the amount of $NaHSO_4.H_2O$ as catalyst and temperature for the preparation of 1-((benzo[*d*]thiazol-2-ylamino)(4-chlorophenyl)methyl)naphthalen-2-ol under solvent-free conditions

Entry	Catalyst (mol%)	Temperature (°C)	Time (min)	Yield Yield (%)[a]
1	$NaHSO_4.H_2O$ (10)	70	18	70
2	$NaHSO_4.H_2O$ (10)	85	11	74
3	$NaHSO_4.H_2O$ (10)	100	6	83
4	$NaHSO_4.H_2O$ (10)	120	5	82
5	$NaHSO_4.H_2O$ (15)	100	5	78
6	$NaHSO_4.H_2O$ (20)	100	5	80
7	$NaHSO_4.H_2O$ (5)	100	7	77

[a]Yields refer to isolated pure products.

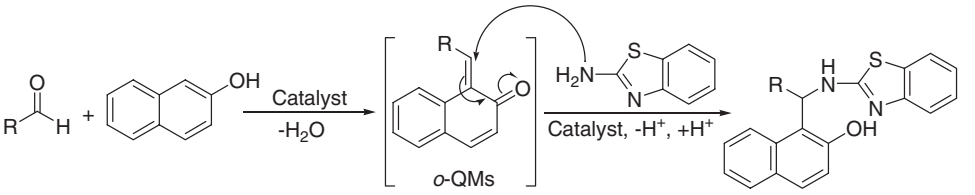
As reported in the literature,[40–44] the reaction of 2-naphthol with aldehydes in the presence of an acid catalyst is known to give *o*-QMs. These *o*-QMs, generated in situ, react with 2-aminobenzothiazole to form the desired product (Scheme 2).

To demonstrate the merit of the present work in comparison with previously reported results, we compared results of LiCl,[40] $H_6P_2W_{18}O_{62}.24H_2O$ (HPA),[41] and SDS[42] in the

Table 3 Preparation of 1-(benzothiazolylamino)methyl-2-naphthol derivatives

Entry	Aldehyde	Time (min)/ yield (%)[a]	mp (°C)/Lit. mp[ref]
1	Benzaldehyde	10/88	202–204/202–203[43]
2	2-Chlorobenzaldehyde	6/84	187–189/189–190[43]
3	3-Chlorobenzaldehyde	12/87	193–195/192–194[42]
4	4-Chlorobenzaldehyde	6/83	208–210/209–210[40]
5	2,4-Dichlorobenzaldehyde	6/79	206–208/206–207[43]
6	4-Fluorobenzaldehyde	13/86	184–186/176–178[42]
7	3-Bromobenzaldehyde	7/93	203–205/202–204[42]
8	2-Methoxybenzaldehyde	4/87	168–170/165–167[42]
9	3-Methoxybenzaldehyde	9/86	184–186/184–186[42]
10	4-Methoxybenzaldehyde	10/83	173–175/175–176[40]
11	2,4-Dimethoxybenzaldehyde	12/84	160–162/161–163[42]
12	4-Hydroxy-3-methoxybenzaldehyde	12/78	192–194/194–195[43]
13	4-Methylbenzaldehyde	10/90	183–185/182–183[40]
14	2-Nitrobenzaldehyde	8/63	212–214/215–216[43]
15	3-Nitrobenzaldehyde	30/59	193–195/191–194[42]
16	4-Nitrobenzaldehyde	30/52	187–189/189–191[42]
17	Pyridine-4-carbaldehyde	12[b]/93	210–212/209–211[42]
18	1-Naphthaldehyde	8/92	203–205/–
19	2,6-Dichlorobenzaldehyde	9/84	193–195/–
20	4-Bromobenzaldehyde	10/91	200–202/–
21	5-Bromo-2-hydroxybenzaldehyde	10/89	183–185/–
22	2,3-Dimethoxybenzaldehyde	12/81	201–203/–
23	2-Methylbenzaldehyde	5/92	191–193/–
24	3-Phenylpropanal	5/95	192–194/–
25	Thiophene-2-carbaldehyde	12[b]/90	191–193/–
26	Pyridine-3-carbaldehyde	9[b]/88	189–191/–

[a]Yields refer to isolated pure products.
[b]Reaction was carried out at 80 °C.



Scheme 2 Possible mechanism for one-pot preparation of 1-(benzothiazolylamino)methyl-2-naphthols catalyzed by sodium hydrogen sulfate.

synthesis of 1-(benzothiazolylamino)methyl-2-naphthol derivatives. As shown in Table 4, $NaHSO_4.H_2O$ (0.1 equiv.) can act as an effective catalyst with respect to reaction time and the obtained products (Table 4).

EXPERIMENTAL

All reagents were purchased from Merck and Aldrich and used without further purification. All yields refer to isolated products after purification. Products were characterized by comparison of spectroscopic data (IR, ^{1}H NMR, ^{13}C NMR spectra) and melting points with authentic samples. Elemental analyses for C, H, and N were performed using a Heraeus CHN-O-Rapid analyzer. The NMR spectra were recorded on a Bruker DRX-400 Avanve instrument. The spectra were measured in DMSO-d_6 relative to TMS (0.00 ppm). IR spectra were recorded on a JASCO FT-IR 460 plus spectrophotometer. All of the compounds were solid and solid state IR spectra were recorded using the KBr disk technique. Melting points were determined in open capillaries with a BUCHI 510 melting point apparatus. Thin layer chromatography (TLC) was performed on silica gel polygram SIL G/UV 254 plates.

General Procedure for the Preparation of 1-(benzothiazolylamino)methyl-2-naphthols

To a mixture of 2-naphthol (1 mmol), aldehyde (1 mmol), and 2-aminobenzothiazole (1 mmol), sodium hydrogen sulfate monohydrate (10 mol%) was added. The mixture was stirred at 100 °C (or 80 °C for heterocyclic aldehyde) in an oil bath and the reaction was followed by TLC. After completion, the mixture was cooled to room temperature, and then water was added to remove $NaHSO_4.H_2O$ from the reaction mixture. The solid product was purified by recrystallization or column chromatography. Spectral data for novel products are given as supplementary material.

Table 4 Comparison of the results of $NaHSO_4.H_2O$ with other catalysts reported in the literature for synthesis of 1-(benzothiazolylamino)methyl-2-naphthols from aldehydes (1 equiv.), 2-naphthol (1 equiv.), 2-aminobenzothiazole (1 equiv.)

Entry	Catalyst (amount)	Conditions[a]	Time/yield (%)
1	LiCl (0.5 g = 71 equiv.)	H_2O (3 mL), 90 °C	5–7 h, 88–96
2	HPA (0.5 g)	Solvent-free, 60 °C	1.3–2 h, 64–92
3	SDS (0.2 equiv.)	H_2O (3 mL), 100 °C	1–5 h, 71–93
4	$NaHSO_4.H_2O$ (0.1 equiv.)	Solvent-free, 100 °C	4–30 min, 52–95

1-((benzo[*d*]thiazol-2-ylamino)(naphthalen-1-yl)methyl)naphthalen-2-ol (Table 3, Entry 18): Recrystallized from acetic acid: IR (KBr, cm^{-1}): 3314, 3059, 1626, 1597, 1538, 1517, 1447, 1435, 1301, 1268, 1070, 808, 776. ^{1}H NMR (400 MHz, DMSO-d_6): δ (ppm): 7.01 (t, $J = 7.6$ Hz, 1H), 7.15–7.36 (m, 5H), 7.39–7.52 (m, 3H), 7.62–7.66 (m, 2H), 7.76–7.88 (m, 4H), 7.95 (d, $J = 7.6$ Hz, 1H), 8.06–8.15 (m, 2H), 9.01 (d, $J = 6.4$ Hz, 1H, D_2O exchange, NH), 10.24 (s, 1H, D_2O exchange, OH). ^{13}C NMR (100 MHz, DMSO-d_6): δ (ppm): 52.6, 118.3, 118.6, 118.9, 119.0, 121.4 (2C), 122.8, 124.0, 125.5, 125.7, 125.9, 126.0, 126.6, 126.7, 128.1, 129.1, 129.2, 129.2, 130.2, 131.2, 131.6, 133.3, 134.1, 137.6, 152.8, 153.8, 165.8. MS *m/z* (%): 432 (M^+, 3), 29 (7), 282 (28), 281 (59), 274 (20), 273 (100), 252 (16), 233 (15), 232 (67), 189 (9), 161 (11), 159 (12), 150 (16), 135 (36), 119 (15), 105 (18), 95 (15), 69 (12), 55 (12), 43 (46). Anal. Calcd for $C_{28}H_{20}N_2OS$: C, 77.75; H, 4.66; N, 6.48; S, 7.41. Found: C, 77.32; H, 4.96; N, 6.75; S, 7.25.

1-((benzo[*d*]thiazol-2-ylamino)(5-bromo-2-hydroxyphenyl)methyl)naphthalen-2-ol (Table 3, Entry 21): Recrystallized from acetic acid: IR (KBr, cm^{-1}): 3355, 3208, 3061, 1628, 1575, 1537, 1467, 1435, 1339, 1311, 1267, 1214, 1107, 807, 747. ^{1}H NMR (400 MHz, DMSO-d_6): δ (ppm): 6.70 (d, $J = 8.4$ Hz, 1H), 6.99 (t, $J = 7.6$ Hz, 1H), 7.13–7.39 (m, 6H), 7.46 (t, $J = 8.0$ Hz, 1H), 7.63–7.68 (m, 2H), 7.74 (d, $J = 8.8$ Hz, 1H), 7.79 (d, $J = 8.0$ Hz, 1H), 8.26 (d, $J = 8.4$ Hz, 1H), 8.72 (s, 1H, D_2O exchange, NH), 9.62–10.28 (broad s, 2H, D_2O exchange, 2OH). ^{13}C NMR (100 MHz, DMSO-d_6): δ (ppm): 50.5, 110.3, 117.6, 117.8, 118.4, 118.8, 121.4, 121.7, 123.1, 123.4, 126.2, 126.8, 128.7, 129.0, 129.9, 130.6, 130.8, 130.9, 131.5, 132.9, 152.2, 153.4, 154.4, 166.3. MS *m/z* (%): 478 ($M^+ + 1$, 2), 476 ($M^+ - 1$, 2), 454 (31), 452 (39), 373 (8), 334 (38), 332 (38), 317 (75), 315 (64), 311 (65), 309 (64), 253 (28), 230 (24), 202 (11), 150 (55), 144 (64), 136 (37), 135 (100), 123 (12), 115 (39), 108 (27), 96 (22), 63 (19), 50 (10), 45 (7). Anal. Calcd for $C_{24}H_{17}BrN_2O_2S$: C, 60.38; H, 3.59; N, 5.87; S, 6.72. Found: C, 60.79; H, 3.72; N, 5.54; S, 6.51.

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