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Diethylaminosulfurtrifluoride-catalyzed efficient one-pot three-component aza-Diels-Alder reactions: A facile synthesis of substituted hexahydrofurano[3,2-*c*]quinolines

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The aza-Diels-Alder reactions of anilines in combination with substituted benzaldehydes and electron-rich cyclic alkenes have been investigated. The reactions have been carried out in the presence of catalytic amount of diethylaminosulfurtrifluoride in acetonitrile at room temperature, affording substituted furanoquinolines in 80-95% isolated yields.

Keywords: Quinolines, Diels-Alder reaction, diethylaminosulfurtrifluoride, multi-component reaction, anilines

Pyrano- and furanoquinoline derivatives are an important class of natural products and exhibit a wide spectrum of biological activities, such as anti-allergic, anti-inflammatory, anti-pyretic, analgesic, anti-platelet, psychotropic and estrogenic activity[1]. Many biologically active alkaloids, such as simulenoline **1**, huajiaosimuline **2**, zanthodioline **3**, flindersine **4**, teclealbine **5** and flindersiamine **6**, contain pyranoquinoline and furanoquinoline moieties (**Figure 1**) [1d,1e,2].

The hetero-Diels-Alder reaction is becoming a mainstay for heterocycle and natural product synthesis[3]. The imino Diels-Alder reaction provides easy access to the preparation of pyrano, and furanoquinolines. The imines derived from aromatic amines act as heterodienes and undergo imino Diels-Alder reaction with various dienophiles in the presence of acid catalysts[4-6]. Lewis acids ($BF_3{\cdot}Et_2O$, $TiCl_4$, $AlCl_3$, $InCl_3$) are known to catalyze these reactions and replace advantageously Brönsted acids[7–9]. More recently lanthanide triflates ($Yb(OTf)_3$, $Sc(OTf)_3$, $GdCl_3$, *etc*.) have also been used as catalysts for this reaction[10,11]. The reaction can be carried out in one-pot starting from an aniline, an aldehyde, and an electron-rich alkene, which is known as one pot three-component reactions[12]. However, many of these reactions cannot be carried out in a one-pot operation with a carbonyl compound, amine and enol-ether because the amines and water that exist during imine formation can decompose or deactivate the Lewis acids. Even when the desired reactions proceed, more than stoichiometric amounts of the Lewis acids are required because the acids are trapped by nitrogen[3]. Furthermore, most of the imines are hygroscopic, unstable at high temperatures, and difficult to purify by distillation or column chromatography. Subsequently, one-pot procedures have been developed for this transformation using lanthanide triflates as catalysts[13]. These procedures do not require the isolation of unstable imines prior to the reactions, but metal triflates are strongly acidic and highly expensive, and so the development of other alternatives like ionic liquids would extend the scope of this useful transformation to synthesize functionalized quinoline derivatives. We explore the possibility of using diethylaminosulfur-trifluoride for a target-oriented synthesis of the active diastereomer by enhancement of diastereoselectivity for IED Diels-Alder reaction. On the basis of reactivity of the diethylaminosulfurtrifluoride in acetonitrile, several further derivatives of diethylaminosulfur-trifluoride were examined to probe the effect of substituents on the salen backbone, in enhancing the diastereo-selectivity of the reaction.

Results and Discussion

To begin the study, compound **1a** and **2a** in acetonitrile was stirred at RT for 30 min followed by

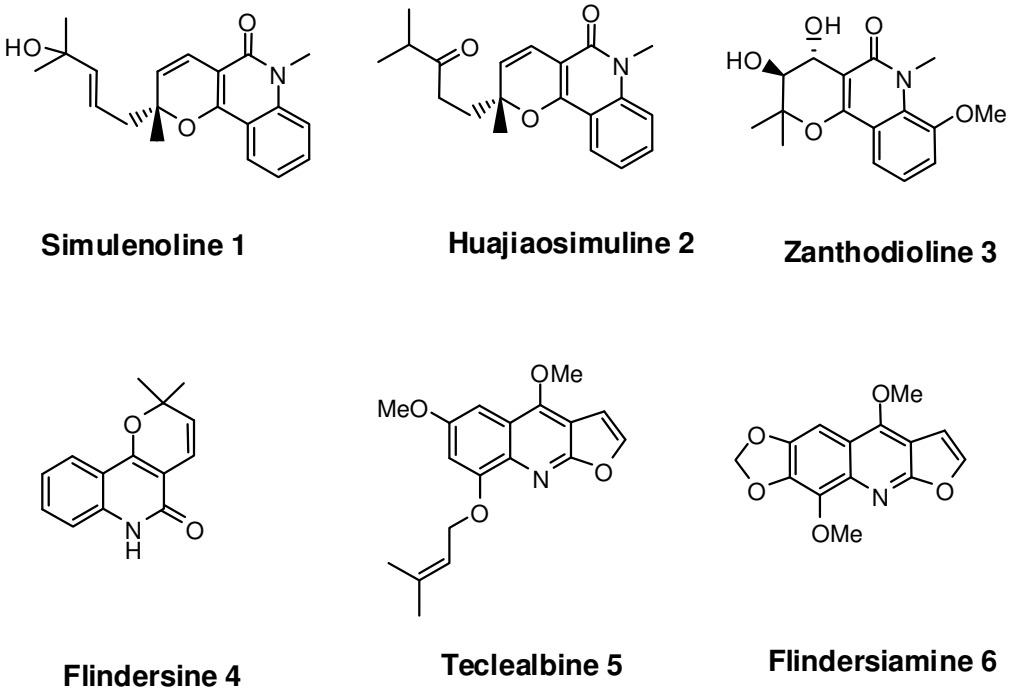
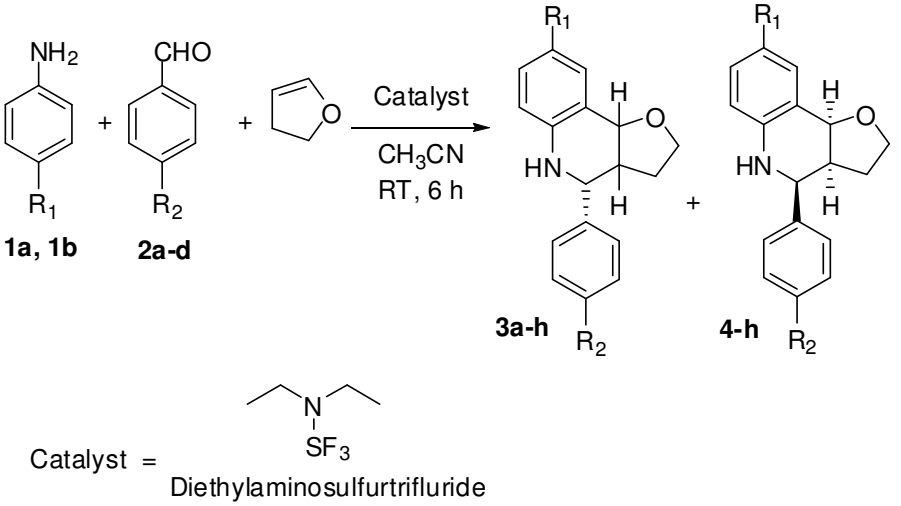


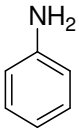
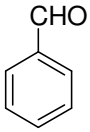
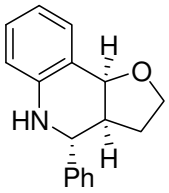
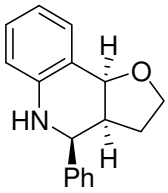
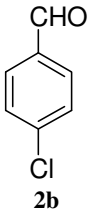
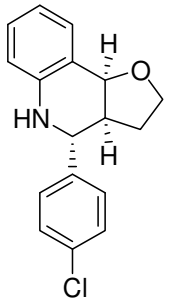
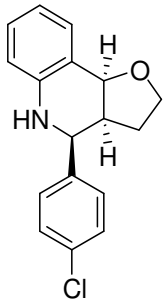
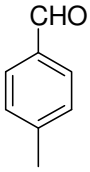
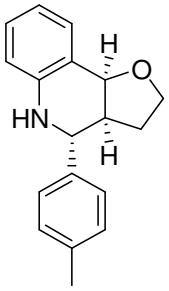
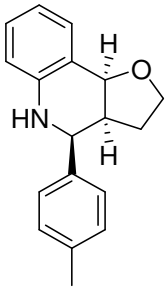
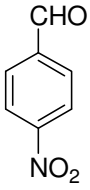
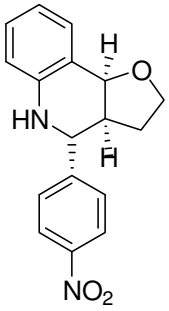
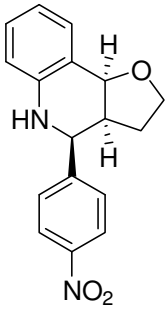
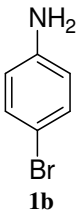
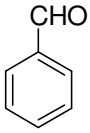
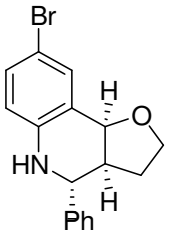
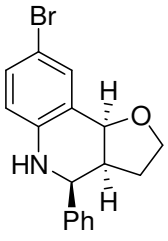
Figure 1 — Biologically active alkaloids



Scheme I — Synthesis of furanotetrahydroquinoline derivatives using diethlyamino sulphur trifluride

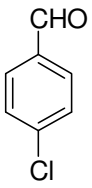
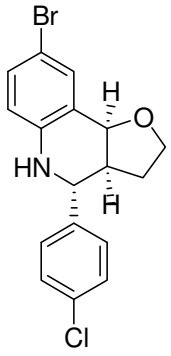
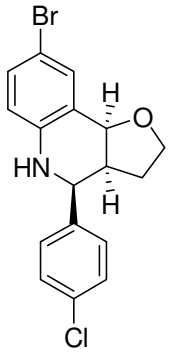
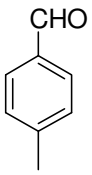
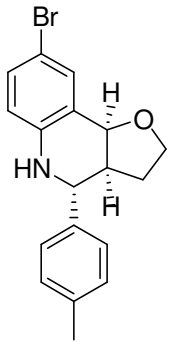
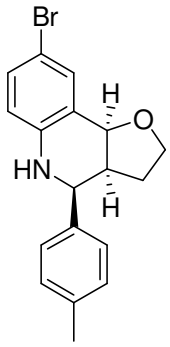
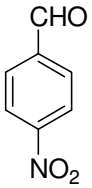
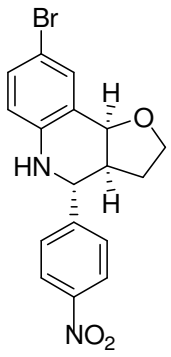
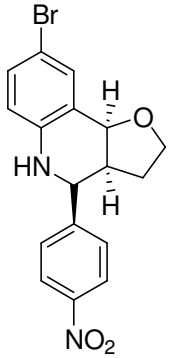
treatment with dihydrofuran in presence of diethylaminosulfurtrifluoride at RT. The reaction was monitored by TLC. After completion of the reaction, solvent was evaporated and the reaction mass extracted with ethyl acetate. The crude product was purified by column chromatography (**Scheme I**, **Table I**, entry 1). On examining the role of the solvent towards improving the diastereoselectivity of this reaction (**Scheme I**), it was found that with pure toluene there was no reaction. When the reaction was carried out in dichloromethane medium, the products were obtained in poor yield. On switching to a more polar solvent like methanol, the amount of conversion improved considerably but the diastereomeric excess were still considerably low, possibly as a result of a high degree of solvation leading to the delinking of

Table I — Synthesis of furanotetrahydroquinoline derivatives using diethlyaminosulphurtrifluride

Entry	Aniline	Aldehyde	Product (**3**, *trans*)	Product (**4**, *cis*)	Combined yield (%)	Ratio (3:4)	Time (hr)
1	 **1a**	 **2a**	 **3a**	 **4a**	90	68:32	2
2	**1a**	 **2b**	 **3b**	 **4b**	95	67:33	2
3	**1a**	 **2c**	 **3c**	 **4c**	82	65:35	4
4	**1a**	 **2d**	 **3d**	 **4d**	88	58:42	2
5	 **1b**	 **2a**	 **3e**	 **4e**	91	88:12	2

—Contd

Table I — Synthesis of furanotetrahydroquinoline derivatives using diethlyaminosulphurtrifluride — *Contd*

Entry	Aniline	Aldehyde	Product (**3**, *trans*)	Product (**4**, *cis*)	Combined yield (%)	Ratio (3:4)	Time (hr)
6	**1b**	 **2b**	 **3f**	 **4f**	93	65:35	2
7	**1b**	 **2c**	 **3g**	 **4g**	85	70:30	4
8	**1b**	 **2d**	 **3h**	 **4h**	94	65:35	3

the ligand from the metal. However, of all the solvents examined, acetonitrile proved to be the most effective with a very high degree of diastereoselectivity. To investigate the scope of this reaction, a series of furanotetrahydroquinoline derivatives were synthesized and characterized (**Table I**, entries 1–8). All the prepared compounds were obtained in excellent yields.

Experimental Section

General reaction procedure: A mixture of aryl amine **1** (1.0 mmol) and aromatic aldehyde **2** (1.0 mmol), in acetonitrile (15 mL) was stirred at RT for 30 min.....2,3-dihydrofuran (2.0 mmol) and diethylamino sulphur trifluoride(18 mg, 5mol %), was added and continued stirring at ambient temperature for the appropriate time (**Table I**). The progress of the reaction was monitored by TLC. After completion of the reaction the crude mixture was extracted with diethyl ether (30 mL). The combined organic layers were dried over anhydrous Na_2SO_4, concentrated in vacuum and purified by column chromatography over silica gel to yield the corresponding furanoquinolines.

All products were characterized by ^{1}H and ^{13}C NMR, IR and mass spectroscopic data and also by comparison with authentic samples. The spectroscopic data of known products were identical with the data reported in the literature[3-6]. Spectral data for selected products: All the compounds were characterized by FT-IR, NMR (500 MHz), MS and CHN analysis. The spectroscopic data were fully consistent with the assigned structures. Selected spectroscopic data:

Furan adduct **3a**: FT-IR (KBr): 3380, 3325, 2940, 1603, 1484, 1074 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.47–7.39 (m, 5H), 7.34 (t, 1H, *J*= 6.8 Hz), 7.1 (t, 1H, *J*= 8.0Hz), 6.82 (t, 1H, *J*= 7.4 Hz), 6.61 (d, 1H, *J*= 6.8 Hz), 5.35 (d, 1H, *J*= 5.7 Hz), 4.70 (d, 1H, *J*= 2.2 Hz), 3.91 (br s, 1H, NH), 3.62 (d, 1H, *J*= 11.4 Hz), 3.38-3.44 (m, 1H), 2.21–2.16 (m, 1H), 1.62–1.30(m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 145.3, 141.2, 128.5, 128.2, 127.7, 127.6, 126.9, 120.0, 118.4, 114.5, 72.8, 60.7, 59.4, 39.0, 25.5, 18.1; MS: *m/z* 251. Anal. Calcd for $C_{17}H_{17}NO$: C, 81.24; H, 6.82; N, 5.57. Found: C, 81.36; H, 6.79; N, 5.55%.

Furan adduct **4a**: FT-IR (KBr): 3376, 3319, 2945, 1600, 1490, 1065 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.48–7.40 (m, 5H), 7.36 (t, 1H, *J* = 6.8 Hz), 7.15 (t, 1H, *J* = 8.0 Hz), 6.81 (t, 1H, *J* = 7.4 Hz), 6.60 (d, 1H, *J* = 6.8 Hz), 5.35 (d, 1H, *J* = 2.1 Hz), 4.69 (d, 1H, *J* = 2.2 Hz), 3.89 (br s, 1H, NH), 3.60 (d, 1H, *J* = 10.9 Hz), 3.34-3.40 (m, 1H), 2.20–2.14 (m, 1H), 1.62–1.31 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 145.1, 141.3, 127.7, 127.0, 126.7, 126.6, 126.2, 120.0, 119.2, 114.5, 72.8, 60.7, 59.3, 38.6, 25.4, 18.1; MS: *m/z* 251. Anal. Calcd for $C_{17}H_{17}NO$: C, 81.24; H, 6.82; N, 5.57. Found: C, 81.36; H, 6.79; N, 5.55%.

Furan adduct **3b**: FT-IR (KBr): 3380, 3325, 2940, 1603, 1484, 1074 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.48 (d, 2H, *J* = 8.1 Hz), 7.41 (d, 2H, *J* = 8.0Hz), 7.33 (t, 1H, *J* = 6.2 Hz), 7.1 (t, 1H, *J* = 7.8Hz), 6.80 (t, 1H, *J* = 7.0 Hz), 6.63 (d, 1H, *J* = 6.9 Hz), 5.35 (d, 1H, *J* = 5.7 Hz), 4.70 (d, 1H, *J* = 2.2 Hz), 3.90 (br s, 1H, NH), 3.62 (d, 1H, *J* = 11.0 Hz), 3.36-3.44 (m, 1H), 2.20–2.15 (m, 1H), 1.64– 1.31 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 145.8, 145.2, 130.5, 130.2, 128.9, 128.6, 127.0, 122.0, 119.1, 115.5, 73.2, 60.7, 60.1, 39.0, 25.2, 18.0; MS: *m/z* 285, 287. Anal. Calcd for $C_{17}H_{16}ClNO$: C, 71.45; H, 5.64; N, 4.90. Found: C, 71.52; H, 5.62; N, 5.53%.

Furan adduct **4b**: FT-IR (KBr): 3370, 3331, 2928, 1611, 1479, 1054 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.46 (d, 2H, *J* = 8.1 Hz), 7.40 (d, 2H, *J* = 8.0 Hz), 7.37 (t, 1H, *J* = 6.2 Hz), 6.9 (t, 1H, *J* = 7.8 Hz), 6.78 (t, 1H, *J* = 7.0 Hz), 6.60 (d, 1H, *J* = 6.9 Hz), 5.36 (d, 1H, *J* = 5.7 Hz), 4.71 (d, 1H, *J* = 2.1 Hz), 3.91 (br s, 1H, NH), 3.60 (d, 1H, *J* = 11.2 Hz), 3.35-3.41 (m, 1H), 2.21–2.14 (m, 1H), 1.67– 1.33 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 146.4, 145.7, 130.4, 130.1, 128.7, 128.5, 127.4, 121.9, 120.0, 116.5, 72.2, 60.5, 60.1, 40.1, 25.2, 19.0; MS: *m/z* 285, 287. Anal. Calcd for $C_{17}H_{16}ClNO$: C, 71.45; H, 5.64; N, 4.90. Found: C, 71.51; H, 5.62; N, 5.53%.

Furan adduct **3c**: FT-IR (KBr): 3382, 3275, 29387, 1601, 1482, 1071 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.49 (d, 2H, *J* = 8.0 Hz), 7.42 (d, 2H, *J* = 8.0 Hz), 7.31 (t, 1H, *J* = 6.2 Hz), 7.1 (t, 1H, *J* = 7.8 Hz), 6.81 (t, 1H, *J* = 7.0 Hz), 6.62 (d, 1H, *J* = 7.0 Hz), 5.33 (d, 1H, *J* = 6.0 Hz), 4.70 (d, 1H, *J* = 2.1 Hz), 3.91 (br s, 1H, *NH*), 3.63 (d, 1H, *J* = 11.0 Hz), 3.35-3.42 (m, 1H), 2.58 (s, 3H), 2.20–2.14 (m, 1H), 1.64– 1.31 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 145.8, 145.2, 130.5, 130.2, 128.9, 128.6, 127.0, 122.0, 119.1, 115.5, 73.2, 60.7, 60.1, 39.0, 25.2, 18.0; MS: *m/z* 265. Anal. Calcd for $C_{18}H_{19}NO$: C, 81.47; H, 7.22; N, 5.28. Found: C, 81.40; H, 7.24; N, 5.30%.

Furan adduct **4c**: FT-IR (KBr): 3376, 3325, 2944, 1621, 1471, 1070 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.48 (d, 2H, *J* = 8.0 Hz), 7.38 (d, 2H, *J* = 8.0 Hz), 7.33 (t, 1H, *J* = 6.4 Hz), 6.9 (t, 1H, *J* = 7.6 Hz), 6.78 (t, 1H, *J* = 7.6 Hz), 6.60 (d, 1H, *J* = 6.9 Hz), 5.36 (d, 1H, *J* = 5.7 Hz), 4.71 (d, 1H, *J* = 2.1 Hz), 3.90 (br s, 1H, *NH*), 3.60 (d, 1H, *J* = 11.1 Hz), 3.33-3.41 (m, 1H), 2.60 (s, 3H), 2.19–2.13 (m, 1H), 1.70– 1.36 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 146.4, 145.7, 130.4, 130.1, 128.7, 128.5, 127.4, 121.9, 120.0, 116.5, 72.2, 60.5, 60.1, 40.1, 25.2, 19.0; MS: *m/z* 265. Anal. Calcd for $C_{18}H_{19}NO$: C, 81.47; H, 7.22; N, 5.28. Found: C, 81.40; H, 7.23; N, 5.30%.

Furan adduct **3d**: FT-IR (KBr): 3369, 3332, 2927, 1588, 1486 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.50 (d, 2H, *J* = 8.1 Hz), 7.43 (d, 2H, *J* = 8.1 Hz), 7.29 (t, 1H, *J* = 6.2 Hz), 7.17 (t, 1H, *J* = 7.9 Hz), 6.80 (t, 1H, *J* = 6.9 Hz), 6.63 (d, 1H, *J* = 6.9 Hz), 5.41 (d, 1H, *J* = 5.7 Hz), 4.69 (d, 1H, *J* = 2.2 Hz), 4.02 (br s, 1H, *NH*), 3.62 (d, 1H, *J* = 10.91 Hz), 3.38-3.45 (m, 1H), 2.22–2.17 (m, 2H), 1.64– 1.30 (m, 1H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 147.6, 146.8, 129.5, 129.2, 128.7, 128.6, 127.1, 122.0, 120.1, 114.4, 74.2, 60.4, 60.1, 40.1, 24.3, 17.2; MS: *m/z* 296. Anal. Calcd for $C_{17}H_{16}N_2O_3$: C, 68.91; H, 5.44; N, 9.45. Found: C, 68.99; H, 5.42; N, 9.43%.

Furan adduct **4d**: FT-IR (KBr): 3365, 3325, 2930, 1610, 1512, 1074 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.47 (d, 2H, *J* = 7.9 Hz), 7.41 (d, 2H, *J* = 7.9 Hz),

7.35 (t, 1H, *J* = 6.4 Hz), 6.89 (d, 1H, *J* = 7.0 Hz), 6.77 (d, 1H, *J* = 7.0 Hz), 6.60 (d, 1H, *J* = 7.0 Hz), 5.36 (d, 1H, *J* = 5.5 Hz), 4.72 (d, 1H, *J* = 2.2 Hz), 4.51 (br s, 1H, *NH*), 3.60 (d, 1H, *J* = 10.8 Hz), 3.37 (d, 1H, *J* = 10.8 Hz), 2.20–2.12 (m, 1H), 1.70– 1.34 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 146.4, 145.7, 130.4, 130.1, 128.7, 128.5, 127.4, 121.9, 120.0, 116.5, 72.2, 60.5, 60.1, 40.1, 25.2, 19.0; MS: *m/z* 296. Anal. Calcd for $C_{17}H_{16}N_2O_3$: C, 68.91; H, 5.44; N, 9.45. Found: C, 68.99; H, 5.42; N, 9.43%.

Furan adduct **3e**: FT-IR (KBr): 3370, 3317, 2915, 1605, 1482, 1059 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.51 (d, 2H, *J* = 8.0 Hz), 7.47 (d, 2H, *J* = 8.0 Hz), 7.32 (t, 1H, *J* = 6.8 Hz), 7.1 (t, 1H, *J* = 8.8 Hz), 6.72 (d, 1H, *J* = 7.0 Hz), 6.64 (d, 1H, *J* = 7.0 Hz), 5.35 (d, 1H, *J* = 5.5 Hz), 4.70 (d, 1H, *J* = 2.1 Hz), 3.95 (br s, 1H, *NH*), 3.62 (d, 1H, *J* = 11.1 Hz), 3.37-3.45 (m, 1H), 2.25–2.18 (m, 1H), 1.59– 1.30 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 145.8, 145.2, 130.5, 130.2, 128.9, 128.6, 127.0, 122.0, 119.1, 115.5, 73.2, 60.7, 60.1, 39.0, 25.2, 18.0; MS: *m/z* 329, 331. Anal. Calcd for $C_{17}H_{16}BrNO$: C, 61.83; H, 4.88; N, 4.24. Found: C, 81.36; H, 6.79; N, 5.55%.

Furan adduct **4e**: FT-IR (KBr): 3369, 3341, 2933, 1481, 1066 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.48 (d, 2H, *J* = 7.8 Hz), 7.48 (d, 2H, *J* = 7.8 Hz), 7.33 (t, 1H, *J* = 6.8 Hz), 7.1 (d, 1H, *J* = 6.8 Hz), 6.70 (t, 1H, *J* = 7.0 Hz), 6.62 (d, 1H, *J* = 7.0 Hz), 5.34 (d, 1H, *J* = 5.4 Hz), 4.71 (d, 1H, *J* = 2.1 Hz), 3.99 (br s, 1H, *NH*), 3.62 (d, 1H, *J* = 11.1 Hz), 3.33-3.41 (m, 1H), 2.28–2.19 (m, 1H), 1.60– 1.31 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 148.7, 146.8, 133.5, 131.0, 129.7, 128.6, 127.0, 121.6, 120.0, 116.2, 71.2, 60.6, 60.3, 39.1, 25.3, 19.1; MS: *m/z* 329, 331. Anal. Calcd for $C_{17}H_{16}BrNO$: C, 61.83; H, 4.88; N, 4.24. Found: C, 81.36; H, 6.79; N, 5.55%.

Furan adduct **3f**: FT-IR (KBr): 3372, 3342, 2928, 1469, 1074 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.50 (d, 2H, *J* = 7.9 Hz), 7.43 (d, 2H, *J* = 7.9 Hz), 7.34 (d, 1H, *J* = 6.2 Hz), 6.81 (d, 1H, *J* = 7.0 Hz), 6.63 (d, 1H, *J* = 6.2 Hz), 5.35 (d, 1H, *J* = 5.54 Hz), 4.69 (d, 1H, *J* = 2.2 Hz), 3.89 (br s, 1H, *NH*), 3.62 (d, 1H, *J* = 10.5 Hz), 3.35-3.42 (m, 1H), 2.22–2.17 (m, 1H), 1.64–1.30 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 145.8, 145.2, 130.5, 130.2, 128.9, 128.6, 127.0, 122.0, 119.1, 115.5, 73.2, 60.7, 60.1, 39.0, 25.2, 18.0; MS: *m/z* 363, 365, 367. Anal.Calcd for $C_{17}H_{15}BrClNO$: C, 55.99; H, 4.15; N, 3.84. Found: C, 56.11; H, 4.13; N, 3.82%.

Furan adduct **4f**: FT-IR (KBr): 3368, 3312, 2912, 1623,1060 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.46 (d, 2H, *J* = 8.1 Hz), 7.40 (d, 2H, *J* = 8.0 Hz), 7.37 (t, 1H, *J* = 6.2 Hz), 6.78 (t, 1H, *J* = 7.0 Hz), 6.60 (d, 1H, *J* = 6.9 Hz), 5.36 (d, 1H, *J* = 5.7 Hz), 4.71 (d, 1H, *J* = 2.1 Hz), 3.91 (br s, 1H, NH), 3.60 (d, 1H, *J* = 11.2 Hz), 3.33-3.41 (m, 1H), 2.21–2.14 (m, 1H), 1.67–1.33 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 146.4, 145.7, 130.4, 130.1, 128.7, 128.5, 127.4, 121.9, 120.0, 116.5, 72.2, 60.5, 60.1, 40.1, 25.2, 19.0; MS: *m/z* 363, 365, 367. Anal. Calcd for $C_{17}H_{15}BrClNO$: C, 55.99; H, 4.15; N, 3.84. Found: C, 56.11; H, 4.13; N, 3.82%.

Furan adduct **3g**: FT-IR (KBr): 3390, 3316, 2935, 1614, 1477, 1054 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.48 (d, 2H, *J* = 8.1 Hz), 7.41 (d, 2H, *J* = 8.0 Hz), 7.33 (t, 1H, *J* = 6.2 Hz), 6.80 (t, 1H, *J* = 7.0 Hz), 6.63 (d, 1H, *J* = 6.9 Hz), 5.35 (d, 1H, *J* = 5.7 Hz), 4.70 (d, 1H, *J* = 2.2 Hz), 3.90 (br s, 1H, NH), 3.62 (d, 1H, *J* = 11.0 Hz), 3.36-3.44 (m, 1H), 2.59 (s, 3H), 2.20–2.15 (m, 1H), 1.64– 1.31 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 145.8, 145.2, 130.5, 130.2, 128.9, 128.6, 127.0, 122.0, 119.1, 115.5, 73.2, 60.7, 60.1, 39.0, 25.2, 18.0; MS: *m/z* 343, 345. Anal. Calcd for $C_{18}H_{18}BrNO$: C, 62.80; H, 5.27; N, 4.07. Found: C, 62.87; H, 5.24; N, 4.05%.

Furan adduct **4g**: FT-IR (KBr): 3385, 3324, 2930, 1612, 1484, 1051 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.46 (d, 2H, *J* = 8.1 Hz), 7.40 (d, 2H, *J* = 8.0 Hz), 7.37 (t, 1H, *J* = 6.2 Hz), 6.78 (t, 1H, *J* = 7.0 Hz), 6.60 (d, 1H, *J* = 6.9 Hz), 5.36 (d, 1H, *J* = 5.7 Hz), 4.71 (d, 1H, *J* = 2.1 Hz), 3.91 (br s, 1H, NH), 3.60 (d, 1H, *J* = 11.2 Hz), 3.33-3.41 (m, 1H), 2.57 (s, 3H), 2.21–2.14 (m, 1H), 1.67– 1.33 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 146.4, 145.7, 130.4, 130.1, 128.7, 128.5, 127.4, 121.9, 120.0, 116.5, 72.2, 60.5, 60.1, 40.1, 25.2, 19.0; MS: *m/z* 343, 345. Anal. Calcd for $C_{18}H_{18}BrNO$: C, 62.80; H, 5.27; N, 4.07. Found: C, 62.87; H, 5.24; N, 4.05%.

Furan adduct **3h**: FT-IR (KBr): 3381, 3319, 2938, 1512, 1064 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.45 (d, 2H, *J* = 7.9 Hz), 7.42 (d, 2H, *J* = 7.9 Hz), 7.33 (d, 1H, *J* = 6.7 Hz), 6.78 (d, 1H, *J* = 6.6 Hz), 6.64 (d, 1H, *J* = 7.0 Hz), 5.37 (d, 1H, *J* = 6.2 Hz), 4.71 (d, 1H, *J* = 2.2 Hz), 3.92 (br s, 1H, *NH*), 3.63 (d, 1H, *J* = 11.0 Hz), 3.33-3.41 (m, 1H), 2.21–2.14 (m, 1H), 1.65–1.32 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 147.8, 146.1, 131.3, 131.1, 128.7, 128.5, 127.1, 123.1, 119.2, 115.5, 73.3, 60.6, 60.1, 38.8, 25.1, 18.0; MS: *m/z* 374, 376. Anal. Calcd for $C_{17}H_{15}BrN_2O_3$: C, 54.42; H, 4.03; N, 7.47. Found: C, 54.39; H, 4.02; N, 7.49%.

Furan adduct **4h**: FT-IR (KBr): 3375, 3330, 2935, 1603, 1490, 1072 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.47 (d, 2H, *J* = 8.1 Hz), 7.40 (d, 2H, *J* = 8.1 Hz), 7.37 (d, 1H, *J* = 6.5 Hz), 6.77 (t, 1H, *J* = 6.5 Hz), 6.62 (d,

1H, *J* = 6.9 Hz), 5.36 (d, 1H, *J* = 6.1 Hz), 4.71 (d, 1H, *J* = 2.1 Hz), 3.91 (br s, 1H, NH), 3.60 (d, 1H, *J* = 11.2 Hz), 3.33-3.41 (m, 1H), 2.21–2.14 (m, 1H), 1.67– 1.33 (m, 2H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 146.4, 145.7, 130.4, 130.1, 128.7, 128.5, 127.4, 121.9, 120.0, 116.5, 72.2, 60.5, 60.1, 40.1, 25.2, 19.0; MS: *m/z* 374, 376. Anal. Calcd for $C_{17}H_{15}BrN_2O_3$: C, 54.42; H, 4.03; N, 7.47. Found: C, 54.46; H, 4.02; N, 7.45%.

In summary, diethylaminosulfurtrifluoride has been employed as a catalyst for the three-component aza-Diels-Alder reactions to form furanotetrahydro-quinolines involving anilines, aldehydes and dihydrofuran in acetonitrile as solvent. Through this investigation, enhanced yields of the product have been obtained using less quantity of the catalyst diethylaminosulfurtrifluoride with shorter reaction time. In general, both electron deficient and electron donating aromatic aldehydes provided the adducts in 80–95% isolated yields and in 58:42–88:12 isomer ratios in favor of the *trans* isomer. The effect of solvent and the effect of substituents on the diethylaminosulfurtrifluoride was probed to synthesise the target furarnoquinoline as highly pure diastereomer.

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