Indian Journal of Chemistry
Vol. 60B, December 2021, pp. 1629-1635

Synthesis, crystal structure and fluorescence spectrum of some new 1,2,3-triazol-xanthen-3-one derivatives

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Received 28 April 2021; accepted (revised) 14 October 2021

Some new compounds 9-(1-aryl-5-methyl-1*H*-1,2,3-triazol-4-yl)-6-hydroxy-3*H*-xanthen-3-one **7a-j** have been synthesized for new fluorescence probe material. Their fluorescence and ultraviolet-visible spectra have been studied. Their structures are established by MS, IR and ^{1}H NMR spectral data. The structure of title compound **7c** has been identified by X-ray diffraction. $C_{22}H_{14}C1N_3O_3$, belongs to triclinic system, space group $P\bar{1}$ with a = 8.296(4), b = 9.726(5), c = 11.976(6) Å, α = 90.953(7), β = 105.081(7), γ = 100.693(8)º, V = 914.7(8)Å^3, Z = 2, Dc = 1.466 Mg/m^3, F(000) = 416.0 and μ = 0.240 mm^{-1}. The title compound has a weaker inhibiting HIV-1 protease than indinavir.

Keywords: Xanthen-3-one, crystal structure, synthesis, fluorescence spectra, inhibiting HIV-1 protease, 1*H*-1,2,3-triazole

Fluorescence probes are excellent sensors for biomolecules, being sensitive, fast-responding and capable of affording high spatial resolution *via* microscopic imaging[1-4]. Many fluorescence probes employing fluorescein as the core has been developed[5-11]. They have been used in the detection of a variety of protein, nucleic acid, cell, HIV-1 nucleocapsid etc[9,12-14]. Fluorescent compounds have been excellent tools for the sensitive and specific detection of a variety of analytes. Encouraged by these results, we are expanding the general approach to different fluorophores for better properties. Almost all the currently known electron donor are the benzene moiety and little are the heteroatromatic moiety.

In addition to molecules containing 1,2,3-triazole nucleus are also important heterocycles and pharmacologically active molecules. Hence, they have been applied extensively to modify and potentiate anticancer[15-20], antibacterial[15,16,19-21], antifungal[15,20], antiviral[15-16,20], anti-inflammatory[15], analgesic[17], anti-tuberculosis[16,20-21], anti-diabetic[15], anti-malarial[16] and anti-Alzheimer drugs[16]. Substituted 1,2,3-triazoles are often applied as building blocks in designing drugs to inhibit the growth, invasion, and migration of cancer cells (Scheme I)[22]. Moreover, some of 1,2,3-triazole containing compounds such as Cefatrizine and Carboxyamidotriazole (Scheme II) have already been used in clinics or under clinical evaluation for cancer treatment, revealing their potential as putative anticancer drugs[20].

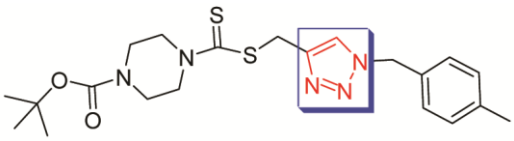
However, there is a little information describing compounds containing 3*H*-xanthen-3-one nucleus and 1,2,3-triazole moieties in one molecule. Such compounds should be reported for the subject. Some novel 9-(1-aryl-5-methyl-1*H*-1,2,3-triazol-4-yl)-6-hydroxy-3*H*-xanthen-3-one **7a–j** were synthesized from (2,4-dihydroxyphenyl)-(5-methyl-1-aryl-1*H*-1,2,3-triazol-4-yl)-methanone **6a–j**.

Experimental Section

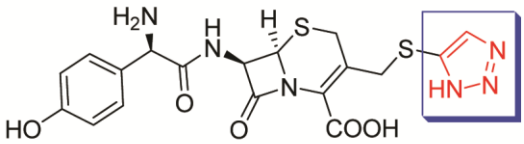
^{1}H NMR spectra were obtained in deuterated DMSO (CD_3SOCD_3) at 300 MHz. Starting materials **4–6** were synthesized as previously reported[17-18,23].

General preparation procedure of 9-(1-aryl-5-methyl-1*H*-1,2,3-triazol-4-yl)-6-hydroxy-3*H*-xanthen-3-one derivatives 7a~j following the procedure method

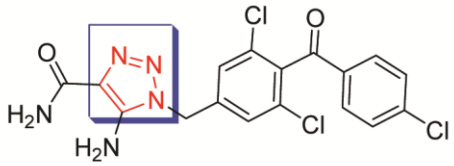
A solution of (1-aryl-5-methyl-1*H*-1,2,3-triazol-4-yl)-(2,4-dihydroxy-phenyl)-methanone **6a~j** (0.0015 mol) and resorcinol (0.0018 mol) and 4-methyl-benzenesulfonic acid (0.011 mol) with stirring in 25mL round bottomed flask at 110°C under argon for 6-8 hours. Then reaction mixture was cooled to room temperature, a solution of 2g NaOH in 25mL water was added under acutely stirred. The reaction mixture was heated to solution of solid and was poured into



Scheme I — Anticancer compounds containing 1,2,3-triazole



Cefatrizine



Carboxyamidotriazole

Scheme II — Chemical structures of containing 1,2,3-triazole anticancer agents

25mL water. The pH of the reaction mixture was regulated to pH = 5 by glacial acetic acid. The red precipitation was separation, filtered, washed with water and recrystallized from ethanol to give **7a-j**.

6-Hydroxy-9-(5-methyl-1-phenyl-1*H*-1,2,3-triazol-4-yl)-3*H*-xanthen-3-one, 7a

Yields (92%), red powder, m.p. >300°C. IR(KBr): 3395, 3046, 2919, 2696, 2495, 1967, 1878, 1638, 1587, 1504, 1459, 1384, 1328, 1262, 1207, 1175, 1101, 1009, 973, 907, 841, 816, 762, 714, 686, 655, 629, 611, 591, 554, 527, 499, 458 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 7.825-7.847(d, 2H, *J* = 6.6Hz), 7.685-7.710(m, 3H), 7.532-7.564(d, 2H, *J* = 9.6Hz, Xt 1,8-H), 6.753-6.784(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.709(s, 2H, Xt 4,5-H), 3.6-4.0(b, 1H, -OH), 2.231(s, 3H, Tz-CH_3); HRMS(ESI) Calcd: $C_{22}H_{15}N_3O_3$ $[M+H]^+$ 370.1186. Found: 370.1184.

6-Hydroxy-9-[5-methyl-1-(4-methylphenyl)-1*H*-1,2,3-triazol-4-yl]-3*H*-xanthen-3-one, 7b

Yields (90%), red powder, m.p. >300°C. IR(KBr): 3385, 3065, 2923, 2582, 2508, 1878, 1708, 1639, 1586, 1501, 1458, 1385, 1330, 1266, 1209, 1180, 1103, 1009, 976, 939, 907, 845, 818, 760, 728, 693, 664, 630, 595, 555, 534, 517, 498, 462, 422 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 7.686-7.713(d, 2H, *J* = 8.1Hz, Ar 3,5-H), 7.531-7.561(d, 2H, *J* = 9.0Hz, Xt 1,8-H), 7.482-7.510(d, 2H, *J* = 8.4Hz, Ar 2,6-H), 6.762-6.793(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.719(s, 2H, Xt 4,5-H), 3.6-4.2(b, 1H, -OH), 2.454(s, 3H, Tz-CH_3), 2.206(s, 3H, Ar-CH_3); HRMS(ESI) Calcd: $C_{23}H_{17}N_3O_3$ $[M+H]^+$ 384.1343. Found: 384.1342.

9-[1-(3-Chlorophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl]-6-hydroxy-3*H*-xanthen-3-one, 7c

Yields (91%), red powder, m.p. >300°C. IR(KBr): 3422, 3069, 2968, 2921, 2410, 1872, 1812, 1637, 1588, 1515, 1484, 1456, 1388, 1321, 1275, 1206, 1167, 1106, 975, 907, 875, 845, 824, 787, 760, 726, 681, 659, 614, 586, 554, 519, 479 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 8.030(s, 1H), 7.823(m, 1H), 7.753(m, 2H), 7.532-7.564(d, 2H, *J* = 9.6Hz, Xt 1,8-H), 6.745-6.776(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.710(s, 2H, Xt 4,5-H), 3.5-3.9(b, 1H, -OH), 2.241(s, 3H) ; HRMS(ESI) Calcd: $C_{22}H_{14}ClN_3O_3$ $[M+H]^+$ 404.0796. Found: 404.0796.

9-[1-(4-Chlorophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl]-6-hydroxy-3*H*-xanthen-3-one, 7d

Yields (93%), red powder, m.p. >300°C. IR(KBr): 3409, 3057, 2970, 2872, 2708, 2573, 2501, 1929, 1717, 1634, 1607, 1498, 1472, 1406, 1368, 1330, 1266, 1213, 1182, 1108, 1006, 979, 907, 835, 765, 727, 691, 664, 615, 594, 562, 543, 515, 495, 465, 406 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 7.876-7.905(d, 2H, *J* = 8.7Hz, Ar 3,5-H), 7.775-7.804(d, 2H, *J* = 8.7Hz, Ar 2,6-H), 7.521-7.552(d, 2H, *J* = 9.3Hz, Xt 1,8-H), 6.731-6.762(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.696(s, 2H, Xt 4,5-H), 3.6-4.0(b, 1H, -OH), 2.231(s, 3H, Tz-CH_3); HRMS(ESI) Calcd: $C_{22}H_{14}ClN_3O_3$ $[M+H]^+$ 404.0796. Found: 404.0799.

9-[1-(4-Bromophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl]-6-hydroxy-3*H*-xanthen-3-one, 7e

Yields (93%), red powder, m.p. >300°C. IR(KBr): 3411, 3058, 2965, 2921, 2709, 2580, 2512, 1634, 1608, 1494, 1472, 1443, 1402, 1367, 1332, 1265, 1213, 1183, 1157, 1108, 1074, 1005, 980, 907, 835, 764, 728, 688, 663, 614, 594, 561, 536, 512, 492, 445 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 7.909-7.939(d, 2H, *J* = 9.0Hz, Ar 3,5-H), 7.802-7.829(d, 2H, *J* = 8.1Hz, Ar 2,6-H), 7.495-7.526(d, 2H, *J* = 9.3Hz, Xt 1,8-H), 6.710-6.741(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.669(s, 2H, Xt 4,5-H), 3.4-4.2(b, 1H, -OH), 2.229(s, 3H, Tz-CH_3); HRMS(ESI) Calcd: $C_{22}H_{14}BrN_3O_3$ $[M+H]^+$ 448.0291. Found: 448.0288.

9-[1-(2-Ethoxyphenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl]-6-hydroxy-3*H*-xanthen-3-one, 7f

Yields (85%), red powder, m.p. >300°C. IR(KBr): 3432, 3061, 2983, 2892, 2711, 2593, 2501, 1908,

1872, 1701, 1638, 1585, 1553, 1501, 1457, 1386, 1327, 1269, 1206, 1172, 1102, 1035, 1006, 977, 907, 850, 821, 766, 685, 656, 613, 592, 556, 517, 496, 455 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 7.628-7.702(m, 2H), 7.325-7.376(m, 3H), 7.208-7.259(t, 1H, *J* = 7.5Hz), 6.714-6.745(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.669(s, 2H, Xt 4,5-H), 4.125-4.193(q, 2H, *J* = 6.6Hz, O-CH_2), 3.6-4.2(b, 1H, -OH), 2.050(s, 3H, Tz-CH_3), 1.258-1.305(t, 3H, *J* = 7.2Hz, C-CH_3); HRMS (ESI) Calcd: $C_{24}H_{19}N_3O_4$ $[M+H]^+$ 414.1448. Found: 414.1451.

9-[1-(4-Ethoxyphenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl]-6-hydroxy-3*H*-xanthen-3-one, 7g

Yields (95%), red powder, m.p. >300°C. IR(KBr): 3428, 3069, 2979, 2932, 2710, 2583, 1893, 1638, 1613, 1579, 1553, 1518, 1492, 1465, 1416, 1388, 1330, 1253, 1211, 1181, 1103, 1041, 1002, 975, 905, 841, 759, 727, 696, 666, 611, 594, 545, 516, 491, 451 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 7.696-7.725(d, 2H, *J* = 8.7Hz, Ar 3,5-H), 7.594-7.626(d, 2H, *J* = 9.6Hz, Xt 1,8-H), 7.171-7.201(d, 2H, *J* = 9.0Hz, Ar 2,6-H), 6.805-6.836(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.774(s, 2H, Xt 4,5-H), 4.099-4.169(q, 2H, *J* = 6.9Hz, O-CH_2), 3.6-4.2(b, 1H, -OH), 2.169(s, 3H, Tz-CH_3), 1.346-1.396(t, 3H, *J* = 6.9Hz, C-CH_3); HRMS(ESI) Calcd: $C_{24}H_{19}N_3O_4$ $[M+H]^+$ 414.1448. Found: 414.1444.

6-Hydroxy-9-[1-(4-methoxyphenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl]-3*H*-xanthen-3-one, 7h

Yields (95%), red powder, m.p. >300°C. IR(KBr): 3427, 3071, 2914, 2830, 2446, 1878, 1635, 1600, 1571, 1514, 1460, 1387, 1315, 1253, 1204, 1165, 1105, 1039, 998, 973, 905, 840, 756, 725, 665, 627, 599, 563, 543, 515, 485, 462 cm^{-1}; ^{1}HNMR (CD_3SOCD_3): δ 7.733-7.763(d, 2H, *J* = 9.0Hz, Ar 3,5-H), 7.614-7.644(d, 2H, *J* = 9.0Hz, Xt 1,8-H), 7.212-7.241(d, 2H, *J* = 8.7Hz, Ar 2,6-H), 6.817-6.852(d, 2H, *J* = 10.5Hz, Xt 2,7-H), 6.792(s, 2H, Xt 4,5-H), 3.886(s, 3H, O-CH_3), 3.6-4.2(b, 1H, -OH), 2.190(s, 3H, Tz-CH_3); HRMS(ESI) Calcd: $C_{23}H_{17}N_3O_4$ $[M+H]^+$ 400.1292. Found: 400.1295.

6-Hydroxy-9-[5-methyl-1-(*α*-naphthalenyl)-1*H*-1,2,3-triazol-4-yl]-3*H*-xanthen-3-one, 7i

Yields (86%), red powder, m.p. >300°C. IR(KBr): 3426, 3059, 2919, 2852, 2475, 1874, 1640, 1583, 1511, 1464, 1382, 1321, 1261, 1203, 1168, 1106, 963, 908, 845, 801, 774, 698, 670, 654, 615, 555, 513, 488 cm^{-1}; ^{1}HNMR(CD_3SOCD_3): δ 8.296-8.324(d, 1H, *J* = 8.4Hz), 8.181-8.209(d, 1H, *J* = 8.4Hz), 8.021-8.042(d, 1H, *J* = 6.3Hz), 7.794-7.845(t, 1H, *J* = 7.5Hz), 7.702-7.726(t, 2H, *J* = 3.6Hz), 7.640-7.671(d, 2H, *J* = 9.3Hz, Xt 1,8-H), 7.417-.442(d, 1H, *J* = 7.5Hz), 6.819-6.850(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.753(s, 2H, Xt 4,5-H), 3.6-4.0(b, 1H, -OH), 2.052(s, 3H, Tz-CH_3); HRMS(ESI) Calcd: $C_{26}H_{17}N_3O_3$ $[M+H]^+$ 420.1343. Found: 420.1344.

6-Hydroxy-9-[5-methyl-1-(*β*-naphthalenyl)-1*H*-1,2,3-triazol-4-yl]-3*H*-xanthen-3-one, 7j

Yields (87%), red powder, m.p. >300°C. IR(KBr): 3418, 3058, 2921, 2407, 1918, 1636, 1577, 1510, 1459, 1428, 1385, 1317, 1270, 1248, 1204, 1167, 1105, 971, 942, 906, 844, 815, 743, 692, 663, 636, 615, 596, 559, 537, 514, 475 cm^{-1}; ^{1}HNMR (CD_3SOCD_3): δ 8.454(s, 1H), 8.251-8.281(d, 1H, *J* = 9.0Hz), 8.154(m, 2H), 7.946-7.982(d, 1H, *J* = 10.8Hz), 7.702-7.733(m, 2H), 7.634-7.665(d, 2H, *J* = 9.3Hz, Xt 1,8-H), 6.831-6.862(d, 2H, *J* = 9.3Hz, Xt 2,7-H), 6.792(s, 2H, Xt 4,5-H), 3.2-4.0(b, 1H, -OH), 2.319(s, 3H, Tz-CH_3); HRMS(ESI) Calcd: $C_{26}H_{17}N_3O_3$ $[M+H]^+$ 420.1343. Found: 420.1346.

X-ray structure determination (Supporting Information file)

The structure of title compound **7c** (**CCDC 2034640**), $C_{22}H_{14}C1N_3O_3$, belongs to triclinic system, space group *P*ī with *a* = 8.296(4), *b* = 9.726(5), *c* = 11.976(6) Å, *α* = 90.953(7), *β* = 105.081(7), *γ* = 100.693(8)º, *V* = 914.7(8)Å^3, *Z* = 2, *Dc* = 1.466 Mg/m^3, *F*(000) = 416.0 and *μ* = 0.240 mm^{-1}.

Results and Discussion

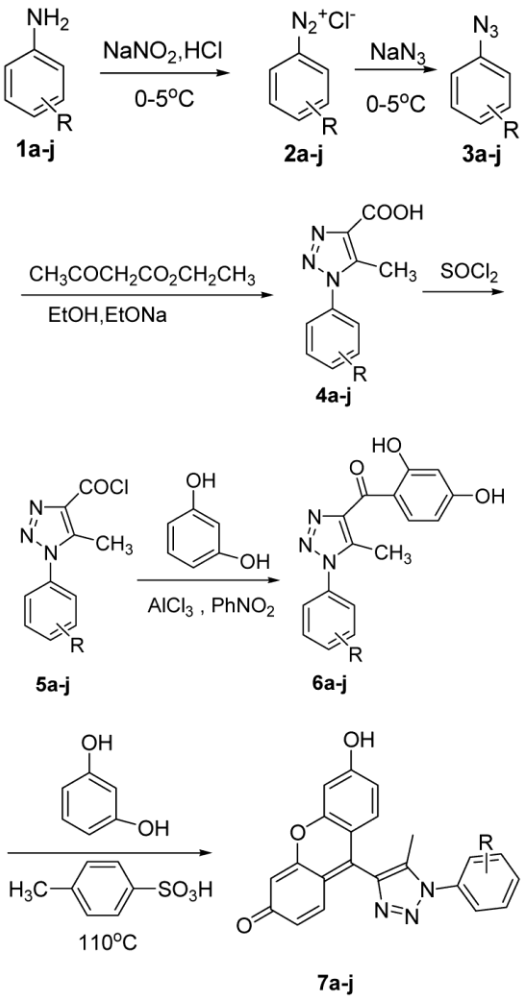
Synthesis of the title compound

Ten new high fluorescence quantum yield compounds 9-(1-aryl-5-methyl-1*H*-1,2,3-triazol-4-yl)-6-hydroxy-3*H*-xanthen-3-one **7a-j** were synthesized by the condensation reaction of (2,4-dihydroxyphenyl)-(5-methyl-1-aryl-1*H*-1,2,3-triazol-4-yl)-methanone **6a-j** and resorcinol at fusing in the catalytic agent. The route of synthesis is shown in Scheme III.

7a Ar = C_6H_5, **7b** Ar = 4-$CH_3C_6H_4$, **7c** Ar = 3-ClC_6H_4, **7d** Ar = 4-ClC_6H_4, **7e** Ar = 4-BrC_6H_4, **7f** Ar = 2-$CH_3CH_2OC_6H_4$, **7g** Ar = 4-$CH_3CH_2OC_6H_4$, **7h** Ar = 4-$CH_3OC_6H_4$, **7i** Ar = *α*-$C_{10}H_7$, **7j** Ar = *β*-$C_{10}H_7$.

The crystal structure of the title compound, 7c

The structure of the title compound **7c** is shown in Figure 1. The data of selected bond lengths (Å), bond angles (°) and selected dihedral bond torsion angles (°) for the target compound **7c** is shown (Table I-III, Supporting Information file).



Scheme III — The synthesis route of title compound **7a-j**

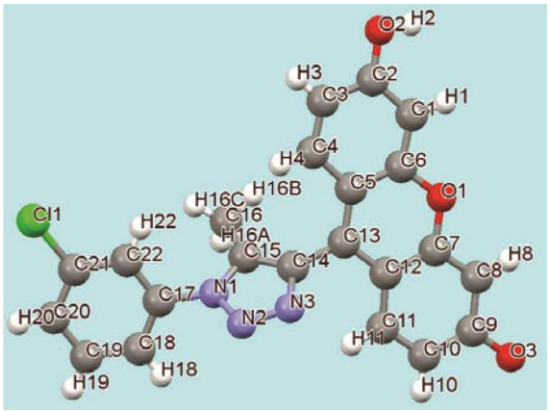


Figure 1 — Mercury view of the molecular structure for the title compound 7c showing the atom numbering scheme

The planes of title compound **7c** is shown in Figure 2 that plane of Xanthen-3-one ring, 1,2,3-triazole ring (C(12)-C(13)-C(14)-N(3), 53°) and aryl ring, 1,2,3-triazole ring(C(18)-C(17)-N(1)-N(2), 58°)

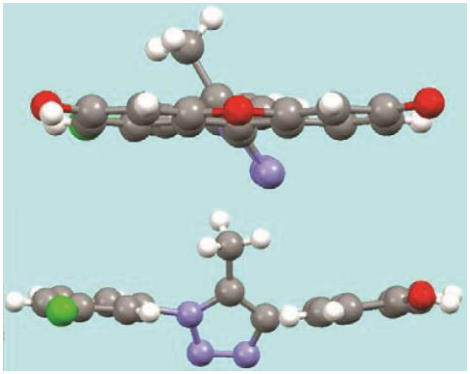


Figure 2 — The title compound **7c** showing tri-ring plane

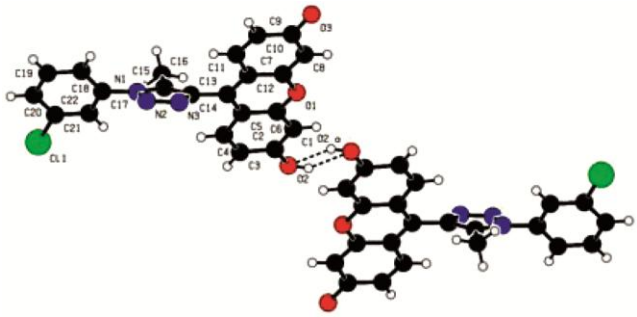


Figure 3 — The H-bond structure of the compound 7c (PWT drawing for the Platon)

is not on one plane in crystal structure compound **7c**.

These are the interactions of hydrogen bond on the molecular stacking [O(2)-H(2) 0.82 H(2)-O(2a) 1.86 O(2)-H(2)…O(2a) 2.570(3) Å, <O(2)-H(2)…O(2a), 143.54°]. A view of H-bond structure for the title compound **7c** is shown in Figure 3.

The fluorescence excitation and emission spectrum determinations of the title compounds, 7a-j

The fluorescence was taken using SPECTRUM ASCII PEDS 1.60 in LS 55(Perkin Elmer, American). Excitation was provided at 500 nm. Emission was obtained starting from 450 nm to 700 nm. All the analytes were tested in thesame concentration (0.1 mM). The fluorescence excitation and emission spectra data of compounds **7a-j** in the pH = 13.0 and in EtOH is showed in Table IV-V (Supporting Information file).

The pH = 13 is a solution 0.1ML^{-1} NaOH in distilled water. The part of the fluorescence emission spectra of compounds in EtOH and in the pH = 13.0 is showed in Figure 4 and Figure 5. The fluorescence images of the fluorescence emission spectra of compounds **7a-j** in EtOH and in the pH = 13.0 is showed in Figure 6 and Figure 7.

The fluorescence emission intensity of title compounds is higher than fluorescein in ethanol as the solvent, but is lower than fluorescein in a NaOH aqueous solution. In alkaline condition, the fluorescein is a double negative ion structures, to the donor of ring for the electronic effect is stronger, fluorescence emission intensity of fluorescein is higher than the title compounds.

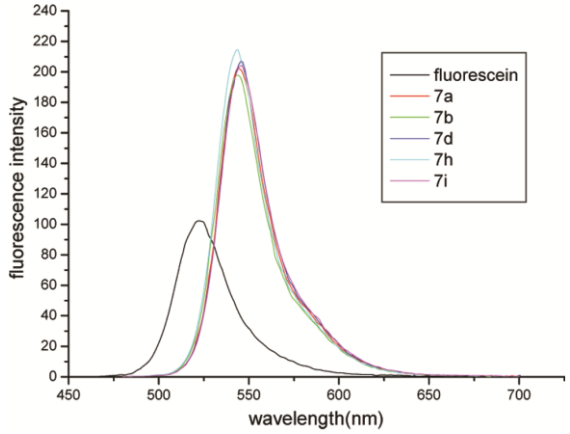


Figure 4 — A part of the fluorescence spectra of compounds in EtOH

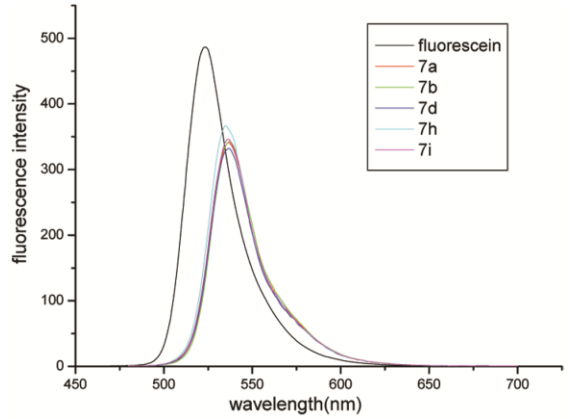


Figure 5 — A part of the fluorescence spectra of compounds in the pH = 13.0

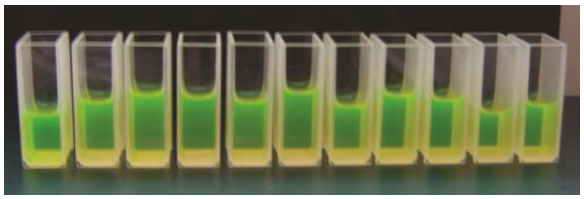


Figure 6 — The fluorescence images of title compounds **7a-j** in EtOH

The fluorescent excitation wavelength and intensity of title compounds is singlet in ethanol as the solvent, the maximum intensity peak wavelength range is 526-529.5nm. When the NaOH aqueous solution as solvent, the fluorescent excitation wavelength and intensity of title compounds is three groups of peak, maximum intensity peak wavelength range is 478.5-480nm. In ethanol as the solvent, title compounds is mainly a neutral molecular structure. But in alkaline condition, the structure of title compounds is mainly a negative ion and a neutral molecular structure. The mainly structure and fluorescent excitation wavelength of title compounds in different solution condition is shown in Scheme IV.

The ultraviolet-visible spectra determinations of the title compounds, 7a-j

The ultraviolet-visible spectra were taken using SPECTRUM ASCII PEDS 1.60 in Cary 100 (Varian UV-VIS-NIR). The ultraviolet absorbance spectra were obtained starting from 300 nm to 700 nm. All the analytes were tested in the same concentration (0.1 mM). The ultraviolet-visible spectra data of compounds **7a-j** in the pH = 13.0 and in EtOH is showed in Table VI (Supporting Information file).

The part of the ultraviolet-visible spectra of compounds in the pH = 13.0 and in EtOH is showed in Figure 8 and Figure 9.

The initial inhibiting HIV-1 protease

Test items: in vitro HIV-1 protease (HIV-1 PR) activity screening.

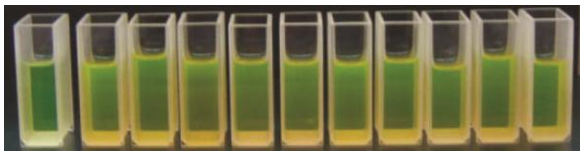
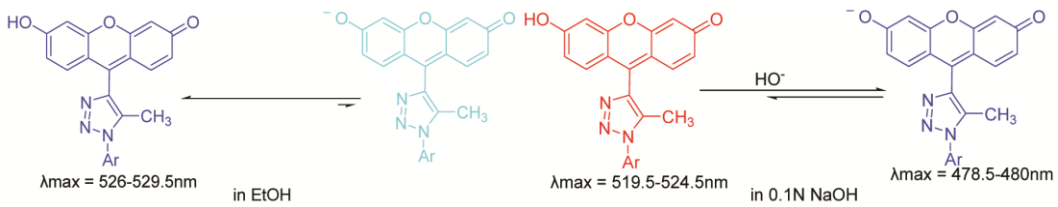


Figure 7 — The fluorescence images of title compounds **7a-j** in the pH = 13.0



Scheme IV — The structure and fluorescent excitation wavelength of title compounds **7a-j** in different solution condition

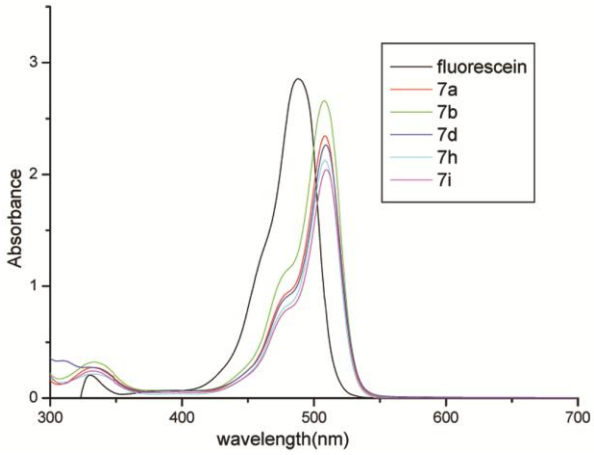


Figure 8 — A part of the ultraviolet-visible spectra of compounds in the pH = 13.0

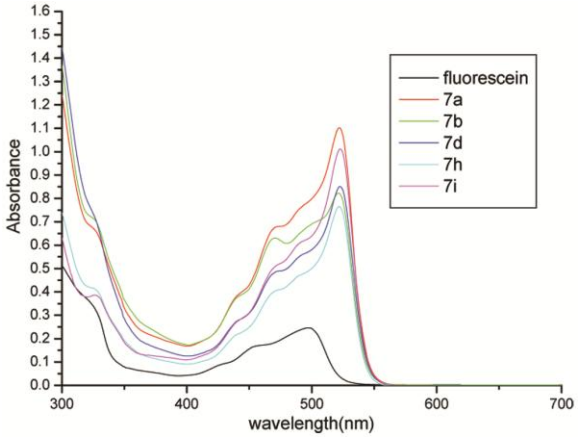


Figure 9 — A part of the ultraviolet-visible spectra of compounds in EtOH

Test principle: HIV-1 protease in the optimum reaction condition and reaction system can be cut fluorescently labeled substrates, intensity of fluorescence detection enzyme in the reaction product to reflect the activity of enzyme. In the reaction system to join the sample can be used for screening the enzyme inhibitors.

Testing materials and methods

1 HIV-1 PR sample is made by The National Center for Drug Screening appointed antiviral screening laboratory-Shanghai Institute of Materia Medica, Chinese Academy of Medical Sciences, medical biotechnology laboratory virus drugs, preserved -85°C.

2 Processing: The sample is dissolved in DMSO or dual steaming water before using, the match into the appropriate concentration, five times diluted, each a series for five dilution degrees.

3 The indinavir was used for positive control medicine by Glaxo Wellcome, S. A. offer.

4 The substrate was offered by Invitrogen Corporation USA.

Test method

The title compound **7g** was diluted and added to in the reaction buffer of the substrate contain fluorescent mark. Then, the target enzyme gene engineering was joined. The mixed solution was incubated under the optimum reaction conditions. The fluorescence value data was taken using FLUO star Galaxy fluorescence spectrometer.

The IC50 of title compound **7g** is 15.23 (ug/ml) (40nM, 50) and has a weaker inhibiting HIV-1 PR. Test samples under different concentration of inhibition rate was showed:

50(ug/ml) 62.61; 10(ug/ml) 27.81; 2.0(ug/ml) 27.58; 0.40(ug/ml) 28.18; 0.08(ug/ml) 22.59; IC50(ug/ml) 15.23; (indinavir, 10nM, 88.1).

Conclusion

Ten new high fluorescence quantum yield compounds 9-(1-aryl-5-methyl-1*H*-1,2,3-triazol-4-yl)-6-hydroxy-3*H*-xanthen-3-one **7a-j** were synthesized. The fluorescence emission intensity of title compounds is higher than fluorescein in ethanol as the solvent, but is lower than fluorescein in a NaOH aqueous solution. The title compound has a weaker inhibiting HIV-1 protease than indinavir.

Supplementary Information

Supplementary information is available in the website http://nopr.niscair.res.in/handle/123456789/60.

Acknowledgment

The authors wish to acknowledge for this research was supported by the funding of the education department in Gansu province (the institutions of higher learning innovation project No. 2019A-154), by Youth Science Foundation of Gansu Province (No. 20JR10RA146).

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