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SYNTHESIS AND ANTIMICROBIAL EVALUATION OF 4-THIAZOLIDINONE DERIVATIVES

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ABSTRACT
To investigate the activity profile of thiazolidinone derivatives bearing different substituent at 2, 3 and 5 position new thiazolidinone have been prepared by the reaction of Hydrazide hydrazones obtained from the condensation reaction of hydrazides and aldehydes with thioglycolic acid in DMF as solvent. A series of 5-arylidene derivatives of 4-Thiazolidinone have been synthesized and tested for antibacterial and antifungal activity. In antibacterial activity ciprofloxacin while for antifungal activity clotrimazole were taken as standard drugs. All synthesized compounds were screened for antibacterial activity against *E. coli, B. subtilis* and *S. aureus*. Most of the compounds showed activity and MIC was found to be 0.31 µg/ml. Antibacterial screening revealed that compounds exhibit moderate activity as compared to standard. All synthesized compounds were screened for antifungal activity against *Aspergillus niger*, *Candida albicans, S. Cereviceaes*. Most of the compounds showed activity and MIC was found to be 0.31 µg/ml aginst *Aspergillus niger*, *candida albicans* and 0.15 µg/ml against *S. Cereviceaes.* Antifungal screening revealed that compounds exhibit moderate activity as compared to standard.
KEY WORDS: Thiazolidinone, Thiaglycolic acid, Antimicrobial activity.

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INTRODUCTION

For more than a century heterocyclic compounds rank against the most important organic compounds. They participate in important biochemical processes, and are the constituents of main substances (DNA, RNA) in live cells[1]. It has been established that half of the therapeutic agents consist of heterocyclic compound. The heterocyclic ring comprises the core of the active moiety or pharmacophore[2]. An especially big attention is given to sulphur and nitrogen containing heterocyclic compounds, as they possess a broad spectrum of biological activity, and are used in various fields of pharmacy. Fungemia is an important cause of morbidity and mortality in hospitalized patients. Moreover, the emergence of resistance to currently available antifungals is of great concern and has led to susceptibility testing of new antifungal agents. The importance of heterocyclic compounds has long been recognized in the field of synthetic organic chemistry. It is well known that a number of heterocyclic compounds containing nitrogen, oxygen and sulphur exhibit a wide variety of biological activity. Compounds carrying the thiazolidinone ring have reported to demonstrate a wide range of pharmacological activities which include anti microbial[3-8], antifungal activity[9], antitubercular[10] antitumor[11], antidiabetic activity[12,13] anti inflammatory [14,15], anticonvulsant[16]. Thiazolidinone ring can be prepared by nucleophilic addition of thioglycolic/thiolactic acid to C=N double bond. Hydrazide hydrazones obtained from the condensation reaction of hydrazides and aldehydes were treated with thioglycolic and thiolactic acid in anhydrous benzene.

MATERIAL AND METHOD

Thiazolidinone derivatives are synthesized using three steps: synthesis of isonicotinic acid hydrazide hydrazone and then synthesis of 4- thiazolidinone ring and finaly synthesis of 5-benzilidene derivatives. Melting points were determined by an open capillary method and are uncorrected. The completion of the reaction and purity of the compounds were checked by thin layer chromatography. IR spectra were recorded on Nicolet impact 400 FT/IR spectrometer using KBr pressed pellet

technique. ^{1}H NMR spectra were recorded on GEOL-JMS D–300 (MHz) NMR spectrometer.

Synthesis of hydrazone of isoniazid with p-dimethylamino benzaldehyde (Hydrazone 1)

13.70 gm(0.1 M) isoniazid and 14.90 gm(0.1 M) p-dimethyl amino benzaldehyde were taken in 50 ml. ethanol and then refluxed for half an hour and then allowed to to stand until room temperature is achieved and then poured into ice cold water and collected white ppt and then recrystallized with C_2H_5OH .

Synthesis of hydrazone of isoniazid with p-chloro benzaldehyde (Hydrazone 2)

13.70 gm(0.1 M) isoniazid and 14.00 gm(0.1 M) p-chloro benzaldehyde were taken in 50 ml. ethanol and then refluxed for half anour and then allowed to to stand until room temperature is achieved and then poured into ice cold water and collected ppt and then recrystallized with C_2H_5OH .

Synthesis of 4- thiazolidinone 1

Mixture of (0.05 M) isonicotinic acid hydrazide hydrazone 1 and required amount of thioglycolic acid (0.05 M) in DMF (80 mL), containing a pinch of anhydrous $ZnCl_2$ was refluxed for about 6 hrs. The reaction mixture was cooled and poured on to crushed ice. The solid thus obtained was filtered, washed with water and the product was recrystallized from rectified spirit. The purity of compound was checked by single spot TLC using ethyl aceate :acetone : methyl alcohol: water (5:2:2:1)

Synthesis of 4- thiazolidinone 2

A mixture of (0.02 M) isonicotinic acid hydrazide hydrazone 2 and required amount of thioglycolic acid (0.05 M) in DMF (80 mL), containing a pinch of anhydrous $ZnCl_2$ was refluxed for about 6 hrs. The reaction mixture was cooled and poured on to crushed ice. The solid thus obtained was filtered, washed with water and the product was recrystallized from rectified spirit. The purity of compound was checked by single spot TLC using ethyl aceate :acetone : methyl alcohol: water (5:2:2:1)

Synthesis of Thiazolidinone derivatives

A mixture of (0.01 M) of THB 1 or THB2 and benzaldehyde or substituted benzaldehyde (0.01 M) was refluxed in acetic acid (25 mL) in the presence of a anhydrous sodium acetate0(.01 M) for about 3-4 hrs. The mixture was cooled at room temp. and then poured into ice cooled water; the solid separated was filtered and recrystallized from acetic acid to give benzilidene derivatives

CHARACTERIZATION OF SPECTRAL DATA

Characterization of IR (KBr), and ^{1}H NMR, (DMSO) spectral data of synthesized compounds is given as under:

TH 1: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835 (Para substitution) **^{1}HNMR, ppm (DMSO):** 7.65-7.80 (4H, m, aromatic proton of Ar- $N(CH_3)_2$), 7.80 (1H, s, -SCHN), 7.51-7.58 (1H,CH=C). 3.01-3.04 (2H, s, -SCH_2), 8.30-8.76(2H Ar-H proton near nitrogen of pyridine ring), 8.31(1H,CONH), 2.93-2.98 (6H,$N(CH_3)_2$).

TH 11: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) 745(C-H_{def} Monosubstitution) **^{1}HNMR, ppm (DMSO):** 7.55 (5H, m, aromatic proton), 7.65-7.80 (4H, m, aromatic proton of Ar- $N(CH_3)_2$), 7.80 (1H, s, -SCHN), 8.45 (2H Ar-H proton near nitrogen of pyridine ring), 8.31 (1H,CONH), 6.73 (1H,=CH), 7.54 (1H,CH=C)2.92-2.97 (6H,$N(CH_3)_2$).

TH 12: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) 1170(C-O-O str) **^{1}HNMR, ppm (DMSO):** 7.65-7.80 (4H, m, aromatic proton of Ar- $N(CH_3)_2$), 7.65 (d,1H Ar-H proton furyl) 7.45 (d,2H Ar-H proton of furyl) 7.79 (1H, s, -SCHN), 8.47(2H Ar-H proton near nitrogen of pyridine ring), 8.31 (1H,CONH), 6.73 (1H,=CH), 7.53 (1H,CH=C) 2.92-2.9 7(6H,$N(CH_3)_2$).

TH 13: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1050-1088 (C-Cl str aryl chloride), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) **^{1}HNMR, ppm (DMSO):** 7.65-7.80 (4H, m, aromatic proton of Ar-$N(CH_3)_2$), 7.53-7.65 (4H, m, aromatic proton of Ar-Cl), 7.61(d,2H Ar-H proton near Cl), 7.80 (1H, s, -SCHN), 8.47(2H Ar-H proton near nitrogen of pyridine ring), 6.73 (1H,=CH), 8.31(1H,CONH), 2.91-2.98 (6H,$N(CH_3)_2$).

TH 14: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str),

815-835(Para substitution) 880 (out of plane =CH bend) **^{1}HNMR (DMSO):** 7.65-7.80 (4H, m, aromatic proton of Ar- $N(CH_3)_2$), 7.80 (1H, s, -SCHN), 8.46 (2H Ar-H proton near nitrogen of pyridine ring), 6.73 (1H,=CH), 8.31(1H,CONH) 2.92-2.99 (6H,$N(CH_3)_2$).

TH 15: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide)1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) 1345-1370 (Ar-NO_2str), 770 (meta substitution) **^{1}HNMR, ppm (DMSO):** 7.65-7.80 (4H, m, aromatic proton of Ar- $N(CH_3)_2$), 7.46-8.46 (4H aromatic proton of Ar-NO_2)) 7.90 (d,2H Ar-H proton near NO_2) 7.81 (1H, s, -SCHN), 2.98 (6H,$N(CH_3)_2$, 8.46 (2H Ar-H proton near nitrogen of pyridine ring), 8.10 (1H,CONH), 6.73 (1H,=CH), 7.54(1H,CH=C).

TH 2: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1050-1088 (C-Cl str aryl chloride), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) **^{1}HNMR, ppm (DMSO):** 7.55-7.64 (4H, m, aromatic proton), 7.80 (1H, s, -SCHN), 8.47 (2H Ar-H proton near nitrogen of pyridine ring), 6.74 (2H Ar-H proton of pyridine ring), 8.31 (1H,CONH).

TH 21: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1050-1088 (C-Cl str aryl chloride), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend)745(C-H_{def} Monosubstitution) **^{1}HNMR, ppm (DMSO):** 7.56 (5H, m, aromatic proton), 7.55-7.64 (4H, m, aromatic proton), 7.80 (1H, s, -SCHN), 8.48(2H Ar-H proton near nitrogen of pyridine ring), 6.76 (2H Ar-H proton of pyridine ring), 8.31 (1H,CONH), 6.73 (1H,=CH), 7.53 (1H,CH=C).

TH 22: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1050-1088 (C-Cl str aryl chloride), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) 1170(C-O-O str) **^{1}HNMR, ppm (DMSO):** 7.53-7.64 (4H, m, aromatic proton), 7.68 (d,1H Ar-H proton furyl) 7.61(d,2H Ar-H proton of furyl) 7.80 (1H, s, -SCHN), 8.48 (2H Ar-H proton near nitrogen of pyridine ring), 6.76 (2H Ar-H proton of pyridine ring), 8.31 (1H,CONH), 6.73 (1H,=CH), 7.53 (1H,CH=C).

TH 23: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1050-1088 (C-Cl str aryl chloride), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) **^{1}HNMR, ppm (DMSO):** 7.51-7.64 (4H, m, aromatic proton), 7.87 (1H, s, -SCHN), 8.46(2H Ar-H proton near nitrogen of pyridine ring), 6.76 (2H Ar-H proton of pyridine ring), 8.31 (1H,CONH), 6.73 (1H,=CH), 7.53(1H,CH=C).

TH 24: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1050-1088 (C-Cl str aryl chloride), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) **^{1}HNMR, ppm (DMSO):** 7.53-7.68 (4H, m, aromatic proton of Ar-Cl), 7.68-7.81 (4H aromatic proton of Ar-$N(CH_3)_2$)) 7.79 (d,2H Ar-H proton near $N(CH_3)_2$) 7.81 (1H, s, -SCHN) 8.48(2H Ar-H proton near nitrogen of pyridine ring), 6.76(2H Ar-H proton of pyridine ring), 8.33 (1H,CONH),6.73 (1H,=CH), 7.53(1H,CH=C), 2.91-2.96 (6H,$N(CH_3)_2$).

TH 25: IR (cm^{-1}, KBr): 2900-3100 (C-H str. of aromatic) 1550 (C=C str of aromatic) 3250-3450 (N-H str of amide), 1650-1680 (C=O str amide), 1050-1088 (C-Cl str aryl chloride), 1150-1185 (C-N str), 645-680(C-S-C str), 1590-1610 (C=N str), 815-835(Para substitution) 880 (out of plane =CH bend) 1370(Ar-NO_2str) 770 (meta substitution). **^{1}HNMR, ppm (DMSO):** 7.53-7.69 (4H, m, aromatic proton of Ar-Cl), 7.72-8.30 (4H aromatic proton of Ar-NO_2)) 8.10 (d,2H Ar-H proton near NO_2) 7.80 (1H, s, -SCHN), 8.30-8.74(2H Ar-H proton near nitrogen of pyridine ring), 6.73 (1H,=CH), 7.55 (1H,CH=C).

EVALUATION OF ANTIMICROBIAL ACTIVITY

Both the compounds were screened for antibacterial and antifungal activities using the Turbidimetric method method and turbidity produced is measured by taking absorbance and compared with turbidity produced by standard drug. A 24 h culture of bacterial strains of S. aureus, B. subtilis, p. aeruginosa and E. coli were cultivated in Penassay Broath medium and the fungal strains of Aspergillus niger,Candida albicans, Saccaromyces cereviceae were cultivated in Sabouraud Liquid Broath medium . Ciprofloxacin and clotrimazole were used as a standard for comparison of the results. Most of the compounds showed moderate antibacterial activity at low concentration against *E. coli, B. subtilis* and *S. aureus.* Against *E. coli*, All most all the titled compounds were found to have activity. Compounds TH-13 and TH-15, TH-22, TH-23, TH-25 were found to have better activity than other titled compounds. But none of the activity was comparable to standard. MIC of

TH-13,TH-15,TH-22,TH-23,TH-25 was found to be 0.31 µg/ml. Against *S. aureus*, All compounds were found to have while Compound TH-13, TH-15, TH-21 and TH-23,TH-25 were found to have good activity. But none of the activity was comparable to standard. MIC of TH-13,TH-15,TH-21,TH-23,TH-25 was found to be 0.31 µg/ml. Against *B. subtilis*, almost all compounds were found have activity but compounds TH-12 and TH-23,TH-25 were found to have better activity. But none of the activity was comparable to standard. MIC of TH-12,TH-23,TH-25 was found to be 0.31 µg/ml. Antibacterial screening revealed that compounds exhibit moderate activity as compared to standard. Against *A. niger* all compounds were found to have activity while Compound TH-21 and TH-22, TH-25 were found to have good activity. But none of the activity was comparable to standard. MIC TH-21,TH-22,TH-25 was found to be 0.31 µg/ml. But none of the activity was comparable to standard. Against C. albicans, almost all titled compounds were found to have good activity.MIC of Compounds TH-12, TH-15, TH-22, TH-25, were found to be 0.15 µg/ml. Against *S. cereviseae* all compounds were found to have activity while Compound TH-13 and TH-14 ,TH-15, TH-22, TH-25 were found to have good activity. But none of the activity was comparable to standard. MIC Compound TH-13 and TH-14,TH-15, TH-22, TH-25 were found to be 0.31 µg/ml. But none of the activity was comparable to standard.Antifungal screening revealed that compounds exhibit moderate activity as compared to standard.

CONCLUSION

A large number of 4-thiazolidinone derivatives have been reported to exhibit number of activities like anti-inflammatory, analgesic, anti-HIV, local anesthetic, cytotoxic, antibacterial, and antifungal activity. Looking into these findings the compounds having this general structure were synthesized. The above enlisted 4-thiazolidinone compounds were found to have antibacterial and antifungal activities. Antibacterial activity was done against two Gram positive bacteria (*Staphylococcus aureus, Bacillus subtilis*) and one Gram negative bacteria (*Escherichia coli)* while antifungal activity was done against three strains *Aspergillus niger*, *candida albicans ,S.Cerevicae.* Antibacterial screening revealed that compounds exhibit moderate activity as compared to standard. Antifungal screening revealed that compounds exhibit moderate activity as compared to standard.

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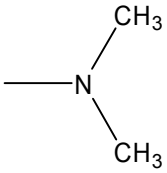
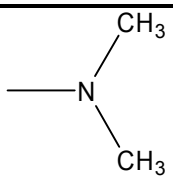
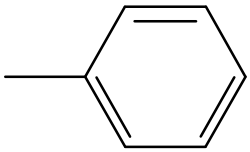
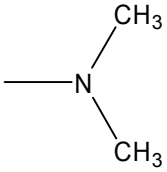
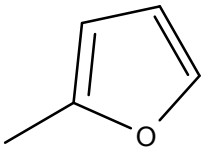
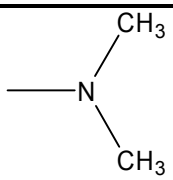
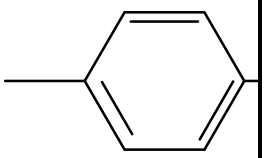
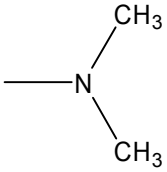
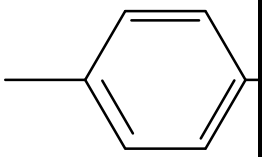
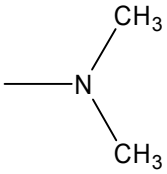
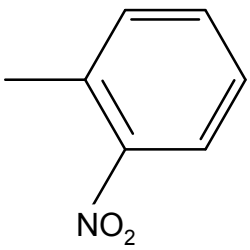
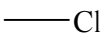
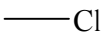
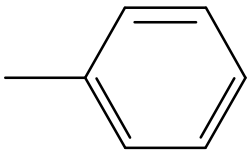
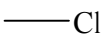
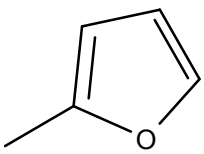
Table 1: Characterization of data

Comp.	A	Ar	Melting point (°C)	% Yield	Mol.Wt	Rf
TH-1			200-202	63.86	333.81	0.72
THB-11			210-212	54%	421.92	0.66
THB-12			224-225	45%	413.80	0.63
THB-13			179-180	67%	456.37	0.83
THB-14			252-254	76%	466.92	0.86
THB-15			176-178	69%	464.69	0.73
TH-2			188-190	67.77	342.73	0.71
THB-21			268-270	37 %	430.84	0.80
THB-22			254-255	32 %	422.80	0.78

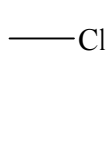
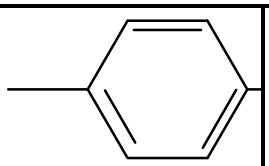
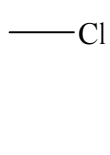
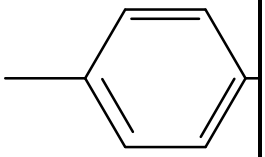
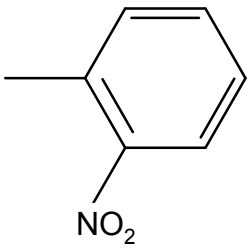
THB-23			232-234	55%	465.29	0.73
THB-24			262-264	76%	475.84	0.91
THB-25			237-239	45%	444.21	0.75

Table 2: Antibacterial activity of 2-substituted-4-thiazolidinon

Compound No.	MIC range (µg/mL)		
	E. coli	*B. subtilus*	*S.aureus*
TH-1	0.62	0.62	0.62
TH-11	0.62	0.62	0.31
TH-12	0.31	1.25	0.62
TH-13	0.31	0.31	0.31
TH-14	0.62	0.62	0.62
TH-15	0.31	0.31	0.31
TH-2	1.25	1.25	1.25
TH-21	1.25	1.25	0.62
TH-22	0.62	0.31	0.62
TH-23	0.31	1.25	0.31
TH-24	0.62	1.25	0.62
TH-25	0.31	0.62	0.31
Ciprofloxacin	0.15	0.25	0.01

Table 3: Antifungal activity of 2-sustituted thiazolidinones

Compound No.	MIC range (µg/mL)		
	A. niger	*C. albicans*	*S.cereviseae*
TH-1	0.62	0.62	0.62
TH-11	0.31	0.31	0.62
TH-12	0.31	0.15	0.31
TH-13	0.62	0.31	0.62
TH-14	0.62	0.31	0.62
TH-15	0.31	0.15	0.31
TH-2	0.62	0.31	1.25
TH-21	0.62	0.31	1.25
TH-22	0.62	0.15	0.62
TH-23	0.62	0.31	0.31
TH-24	0.62	0.62	0.31
TH-25	0.62	0.15	0.31
Clotrimazole	0.10	0.30	0.20

Table 4: List of compounds

TH-1	N-(2-(4-(Dimethylamino) phenyl)-4-oxothiazolidin-3-yl) isonicotinamide
TH-11	(E)-N-(5-Benzylidene-2-(4-(dimethylamino)phenyl)-4-oxo thiazolidin-3-yl) isonicotinamide
TH-12	(E)-N-(2-(4-(Dimethylamino) phenyl)-5-(furan-2-ylmethylene)-4-oxothiazolidin-3-yl)isonicotinamide
TH-13	(E)-N-(5-(4-Chlorobenzylidene)-2-(4-(dimethylamino) phenyl)-4-oxothiazolidin-3-yl)isonicotinamide
TH-14	(E)-N-(5-(4-(Dimethylamino)benzylidene)-2-(4-(dimethylamino) phenyl)-4-oxothiazolidin-3-yl) isonicotinamide
TH-15	(E)-N-(5-(3-Nitrobenzylidene)-2-(4-(dimethylamino)phenyl)-4-oxothiazolidin-3-yl)isonicotinamide
TH-2	N-(2-(4-Chlorophenyl)-4-oxothiazolidin-3-yl)isonicotinamide
TH-21	(E)-N-(5-Benzylidene-2-(4-chlorophenyl)-4-oxothiazolidin-3-yl) isonicotinamide
TH-22	(E)-N-(2-(4-Chlorophenyl)-5-(furan-2-ylmethylene)-4-oxo thiazolidin-3-yl)isonicotinamide
TH-23	(E)-N-(5-(4-Chlorobenzylidene)-2-(4-chlorophenyl)-4-oxo thiazolidin-3-yl)isonicotinamide
TH-24	(E)-N-(5-(4-(Dimethylamino)benzylidene)-2-(4-chlorophenyl)-4-oxothiazolidin-3-yl) isonicotinamide
TH-25	(E)-N-(5-(3-Nitrobenzylidene)-2-(4-chlorophenyl)-4-oxo thiazolidin-3-yl) isonicotinamide

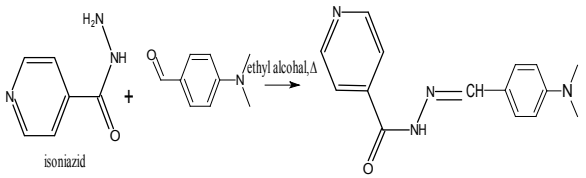


Figure 1: Reaction of isoniazid with p-dimethylamino benzaldehyde

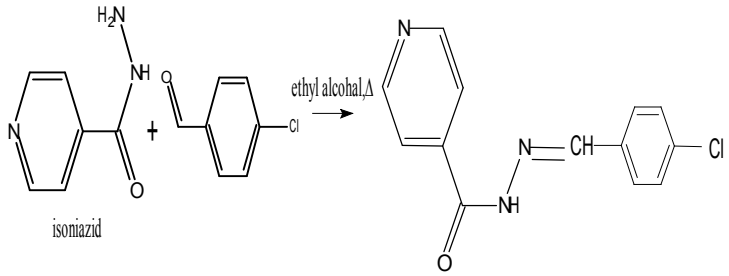


Figure 2: Reaction of isoniazid with p-chloro benzaldehyde

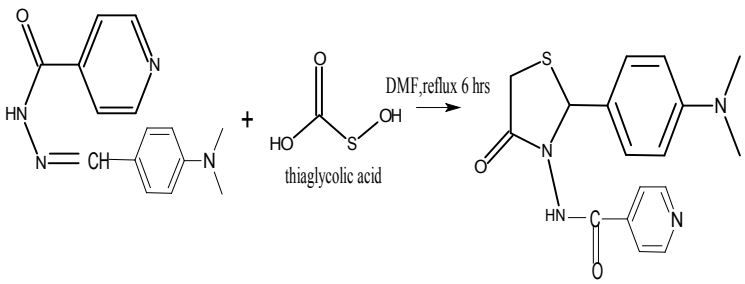


Figure 3: Reaction of thiaglycolic acid with hydazone 1

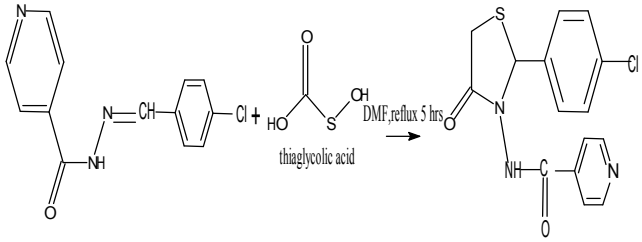


Figure 4: Reaction of thiaglycolic acid with hydazone 2

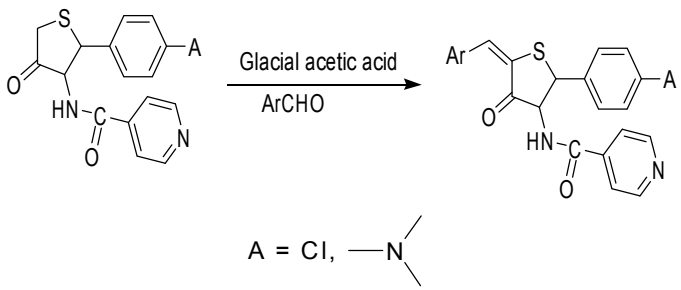


Figure 5: Reaction of thiazolidinone with aromatic aldehydes

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