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DEVELOPMENTS IN THIAZOLIDINONES SYNTHESIS: A REVIEW

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Abstract: 4-Thiazolidinone ring structures are obtained by the reaction of aldehydes or ketones, primary amines and mercaptoacetic acid. Numbers of methods are known for the synthesis of 4-thiazolidinones. Same time number of methods has been discovered to minimize reaction time, increase reaction yield, and avoid overheating of reaction as well as to reduce hazardous chemicals. Compounds containing 4-thiazolidinone core exhibit a wide range of biological activities as well as industrial purposes. Different synthetic methods for the synthesis of 4-thiazolidinones are also described.

Kaywords: 4-Thiazolidinones, biological activities, industrial purposes.

Introduction

4-Thiazolidinones are of great importance because of their wide range of biological activities and industrially[32-40]. There are three factors responsible for biological property of thiazolidinones. The first factor is presence of heterocyclic nucleus in the particular compounds. The second factor is nature of substituent in the compound. And the third factor is the position of the substituent. Thiazolidinone is an important nucleus associated with different biological activities (Fig. 1).

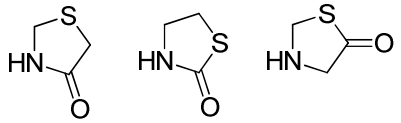


Fig. 1. General structure of 4-thiazolidinone

Thiazolidin-4-one has been shown to possess various biological activities such as analgesic[1], amoebicidal[2], nematocidal[3], mosquito-repellent[4], EGFR-HER-2 kinase inhibitor[5], antiproliferative[6-7], diuretc[8], cardioprotective[9], anti-ischemic[10], PAF antagonist[11], Ca^{2+} channel brocker[12-13], cycloxygenase inhibitory[14], hupo-glycemic[15], and anti-platelet activating factor[16-18]. R. C. Sharma[19] has synthesized different thiazolidin-4-ones and is evaluated for their antimicrobial activities. S. K. Srivastava[20] has synthesized derivatives of thiazolidin-4-one having carbazole group. They are found to be antifungal and analgesic agents. S. Murlikrishna[21] synthesized for 1,3,4-oxadiazole-indole-thiazolidinone clubbed molecules for

anti-inflamatory activity. Soumya Srivastava[22] has reported that 5-arylidene-2-aryl-3-(1,2,4-triazolacetamidyl)-4-thiazolidinone compounds are antibacterial, antifungal, analgesic and diuretic agents.

Biologically important 4-thiazolidinone compounds

Patent described structure-activity relationship of approximately hundred thiazolidinones as inhibitor of COX-1[23]. Thiazolidinones have shown biological profiles such as anti-inflammatory[24], anti-HIV[25-28] and anti-histaminic[29] agents. Mur B has been shown to be essential for bacterial cell growth[30-31].

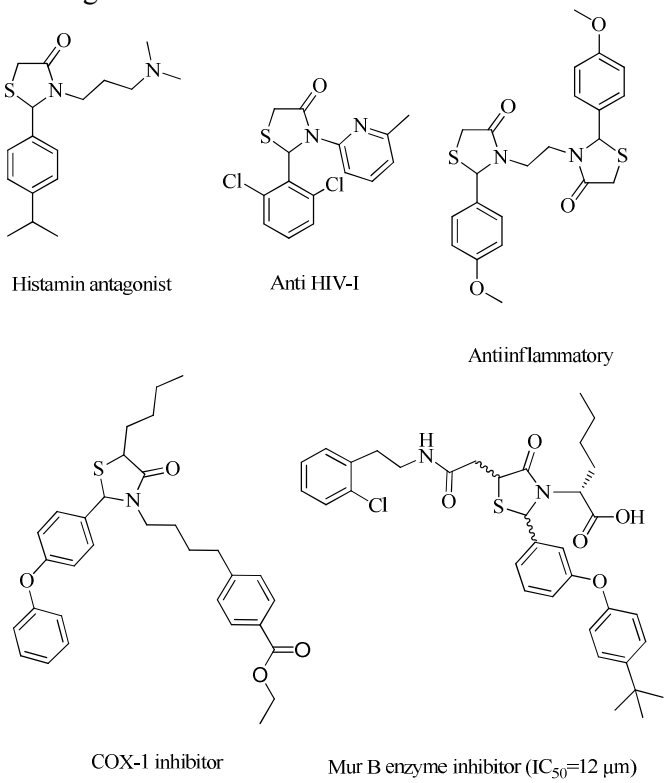


Fig. 2. Biologically important 4-thiazolidinone compounds

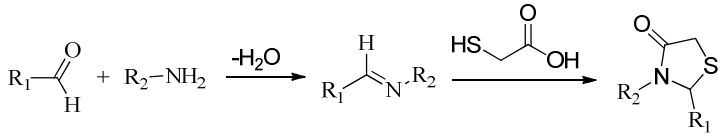
Chemistry of 4-thiazolidinones

4-Thiazolidinones are derivatives of thiazolidine with carbonyl group at 4th position, and sulfur and nitrogen at 1st and 3rd position respectively. These are formed by the attack of sulfur nucleophile on carbon of imine followed by cyclisation with the elimination of water molecule. Nitrogen, oxygen and sulfur containing heterocyclic compounds perform very important biological functions. The difference in structure and property is only due to the group attached to the carbon atom in second position.

General methods of preparation

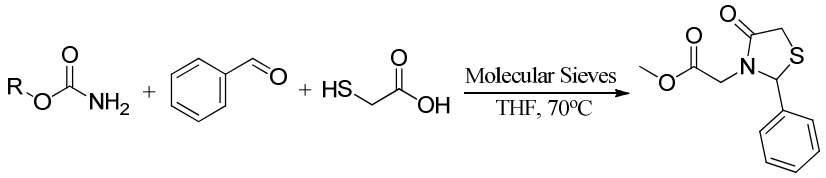
Number of different methods has been developed for the synthesis of 4-thiazolidinone compounds[32-40]. There are two types of methods i.e. two step synthesis and one pot three component synthesis (Scheme 1). First step is the formation of imine from aldehyde or ketone and amine followed by attack of sulphur nucleophile on the imine carbon and second step is the elimination of water with intramolecular cyclization. Different types of reagents used for the removal of water during cyclization such as molecular sieves, trimethylorthoformate, sodium sulphate, $ZnCl_2$, and azeotropic distillation with benzene or toluene. The most recently used methods for the synthesis of thiazolidinones include DCC, Saccharomyces cerevisiae, HBTU, [bmim][PF6], $Bi(SCH_2COOH)_3$, silica chloride,

mesoporous MCM-41 supported Schiff base and $CuSO_4.5H_2O$ and alum. Silica gel was also used for the removal of water during cyclization. 4-Thiazolidinone compounds were also formed without any catalyst in water as well as in DCM. Most of the reagents used for dehydration i.e. intramolecular cyclization. In this review, we discussed several different types of reagents or catalysts used for the formation of 4-thiazolidinones from aldehydes, amines and mercaptoacetic acid with their biological properties.

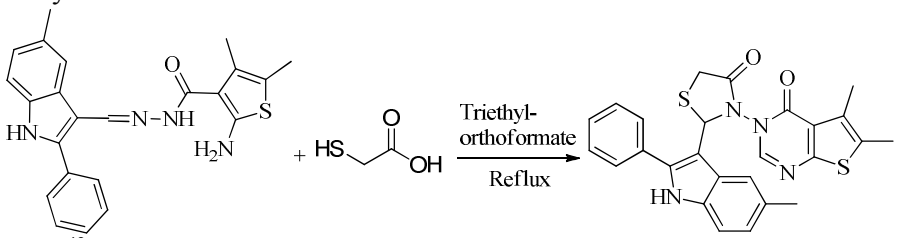


Scheme 1. Common synthetic route for the synthesis of 4-thiazolidinones

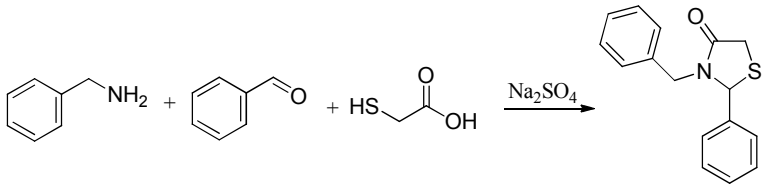
Holmes[41] synthesized alkyl-2-(4-oxo-2-phenylthiazolidin-3-yl)acetate from reaction of alkyl carbamate and benzaldehyde with mercaptoacetic acid in THF at 70°C. He used molecular sieves as dehydrating agent in the step of cyclization.



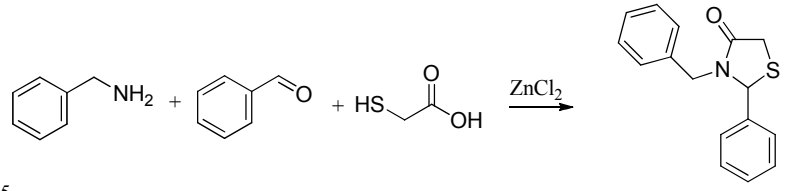
Anand[42] reported another method of synthesis of 5,6-dimethyl-3-(2-(5-methyl-2-phenyl-1H-indol-3-yl)-4-oxothiazolidin-3-yl)thieno[2,3-d]pyrimidin-4(3H)-one by using dehydrating agent of triethylorthoformate.



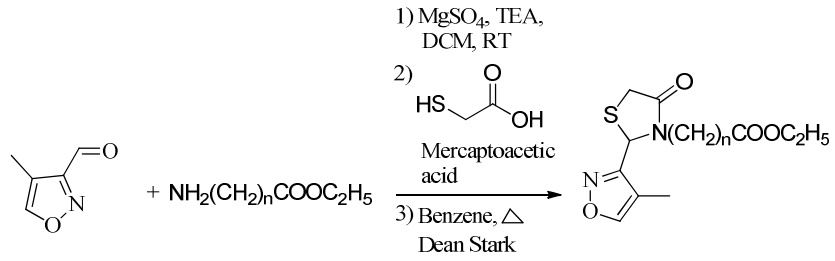
Sharma et al.[43] used sodium sulfate for cyclization in the synthesis of 3-benzyl-2-phenylthiazolidin-4-one from phenylmethanamine, benzaldehyde mercaptoacetic acid.



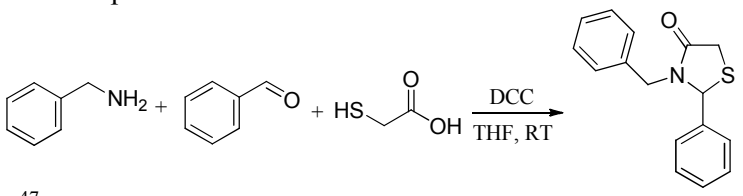
3-Benzyl-2-phenylthiazolidin-4-one had been synthesized by Srivastava *et al.*[44] from phenylmethanamine, benzaldehyde and mercaptoacetic acid using zinc chloride.



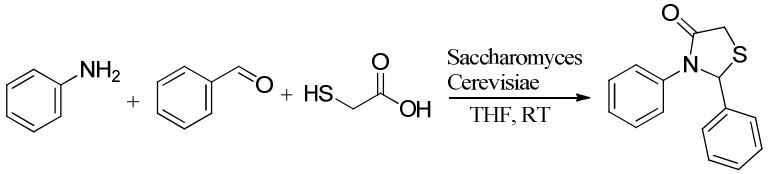
Belardi et al.[45] synthesized 3-substituted-2-(4-methylisoxazol-3-yl)thiazolidin-4-one from 4-methylisoxazole-3-carbaldehyde and substituted amine with mercaptoacetic acid. Belardi used magnesium sulfate and triethylamine for the synthesis of imine in first step. In the final step, cyclization was done at reflux temperature of benzene using Dean Stark.



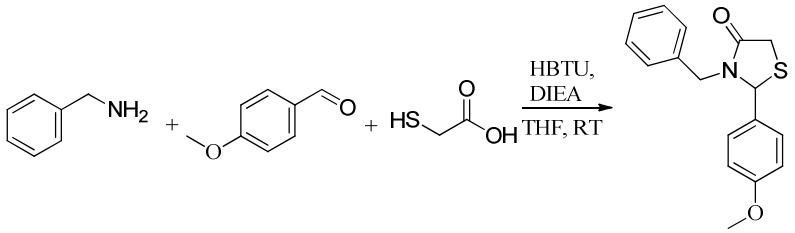
Srivastava et al.[46] prepared 3-benzyl-2-phenylthiazolidin-4-one from the reaction of phenylmethanamine, benzaldehyde and mercaptoacetic acid using DCC as dehydrating agent in THF at room temperature.



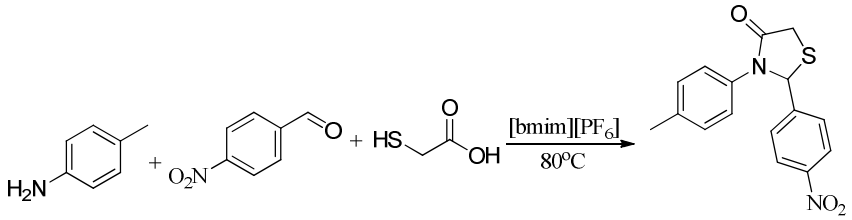
Pratap et al.[47] have reported synthesis of 2,3-diphenylthiazolidin-4-one by reaction between aniline, benzaldehyde and mercaptoacetic acid in THF at room temperature wherein saccharomyces Cerevisiae that contained enzyme liphase was used as a catalyst.



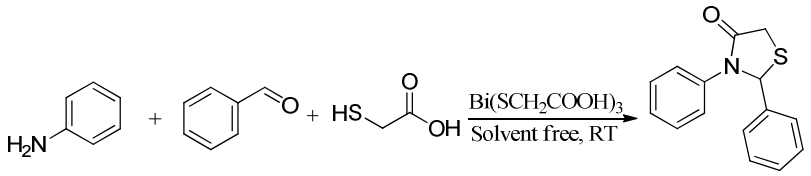
3-Benzyl-2-(4-methoxyphenyl)thiazolidin-4-one synthesis was reported by Rawal[48] by reaction of phenylmethanamine, 4-methoxybenzaldehyde and mercaptoacetic acid using HBTU and DIEA in THF at room temperature.



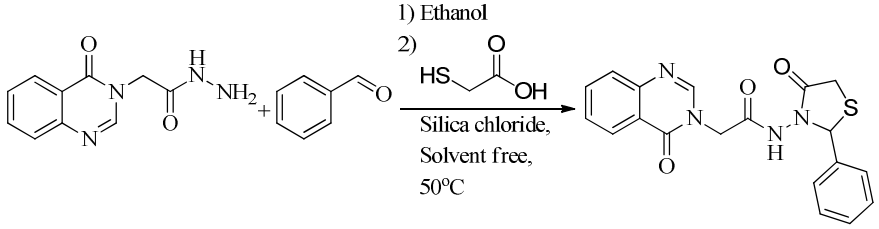
2-(4-Nitrophenyl)-3-(p-tolyl)thiazolidin-4-one has been synthesized by Zhang[49] from p-toluidine, 4-nitrobenzaldehyde and mercaptoacetic acid using ionic liquids i.e. [bmim][PF_6] at 80^{o}C.



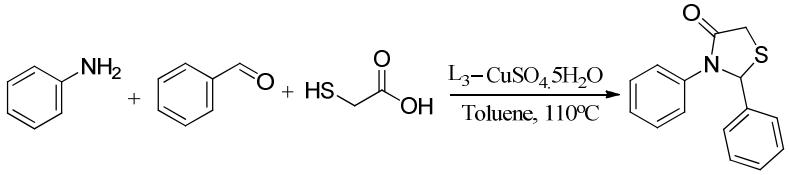
Naser and Sattar[50] reported a convenient one step cyclization protocol wherein the reaction of aniline, benzaldehyde and mercaptoacetic acid to afforded 2,3-diphenylthiazolidin-4-one using 2,2',2''-(bismuthinetriyltris(sulfanediyl))triacetic acid as catalyst at room temperature.



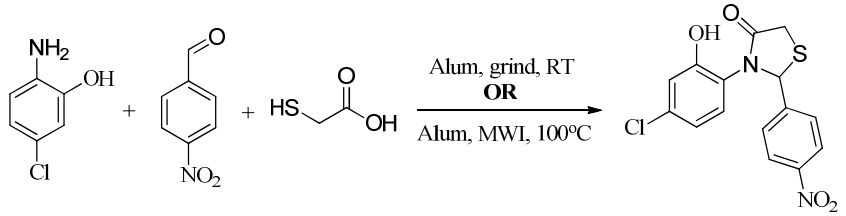
Mali[51] also reported one step cyclization wherein the reaction of 2-(4-oxoquinazolin-3(4H)-yl)acetohydrazide and benzaldehyde in ethanol to affored imine. The cyclization reaction proceeds with mercaptoacetic acid by the use of silica chloride at 50°C to afforded N-(4-oxo-2-phenylthiazolidin-3-yl)-2-(4-oxoquinazolin-3(4H)-yl)acetamide.



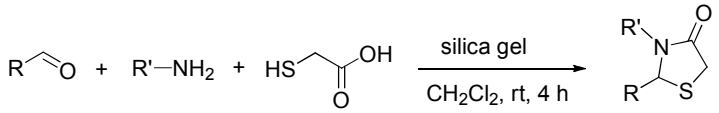
Pang[52] used mesoporous MCM-41 supported Schiff base and copper sulfate pentahydrate for the synthesis of 2,3-diphenylthiazolidin-4-one from aniline, benzaldehyde and mercaptoacetic acid in toluene at 110°C.



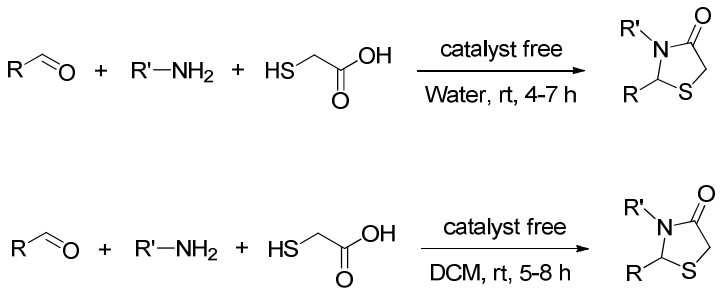
Pardeshi et al.[53] have reported the synthesis of 3-(4-chloro-2-hydroxyphenyl)-2-(4-nitrophenyl)thiazolidin-4-one either on grinding at room temperature for 25-30 minutes or in microwave irradiation at 100°C for 4-5 minutes using alum from 2-amino-5-chloroaniline, 4-nitrobenzaldehyde and mercaptoacetic acid.



Thakare et al.[54] prepared a range of derivatives of 4-thiazolidinone using silica gel in DCM at room temperature from different aldehydes, amines and mercaptoacetic acid. After chromatographic purification, 4-thiazolidinones are isolated in good to excellent yields.



M. P. Thakare has reported two different methods for the synthesis of derivatives of 4-thiazolidinone without using any catalyst. He was prepared 4-thiazolidinones from different aldehydes, amines and mercaptoacetic acid in water[55] as well as DCM[56]. Catalyst free protocol has the advantage of mild reaction conditions and product formation in almost quantitative yields.



Spectral studies on 4-thiazolidinones

Proton NMR spectra of 4-thiazolidinones depend on substituent present at different positions of the thiazolidinone ring (Fig. 3). The real NMR spectra depends upon the different substituent present at carbon (2) and nitrogen (3) positions, i.e. on Ra and Rb. Both protons Ha and Hb are appear as separate doublets in the range of 3.5-5.0 ppm in both $CDCl_3$ and duterated DMSO. J-values for both the protons are about 15.2 Hz. Proton at carbon (2) appears as a singlet in the range of 5.5-6.0 ppm depending upon the nature of Ra. In carbon NMR, signals of carbon (2) and (5) are observed at 55-60 ppm and 30-35 ppm respectively. Tha signal of carbonyl carbon observed at around 170.75 ppm. Mass spectra of thiazolidinones were studied and reported on the basis of molecular ion peak and fragmentation patter.

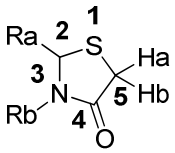


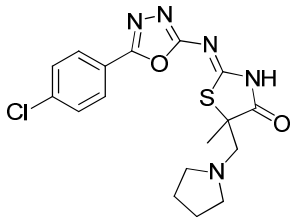
Fig. 3. Representative NMR of 4-thiazolidinone

Biological activity of 4-thiazolidinones

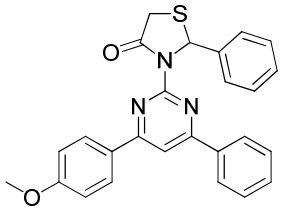
The thiazolidinones ring is an important part of biologically active compounds, either as a nucleus or as a substituent. Biological activities of 4-thiazolidinone varies depending upon the groups attached at different positions. Number of reports in the literature describing 4-thiazolidinones for their different biological activities. Some of the reports are covered in this review.

Antibacterial and antifungal activity

Kocabalkanli et al.[57] prepared a range of Mannich bases of some 2,5-disubstituted-4-thiazolidinones and evaluated their antimicrobial activities. They found that the compounds having *p*-chlorophenyl group on the oxadiazole, a methyl and pyrrolidinomethyl at the 5th position of thiazolidinone (**1**) are most active. Gopalakrishnan et al.[58] have been synthesized 2-phenyl-3-(4,6-diarylpyrimidin-2-yl)thiazolidin-4-ones (**2**,**3**) and tasted for their antibacterial acivity. Results revealed that *p*-OCH_3 group at phenyl ring attached to the pyrimidine ring excerted strong antibacterial activity.



(**1**)



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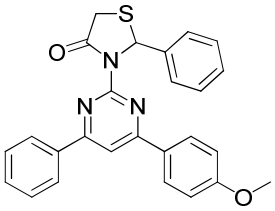
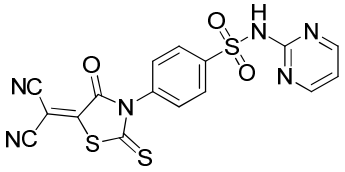


(**3**)

El-Gaby et al. prepared a series of 2-thioxo-4-thiazolidinones and 4,4'-bis(2-thioxo-4-thiazolidinones-3-yl)diphenylsulfone derivatives. Most of the compounds (**4**) are found to be active. Thiazolidinone derivatives containing pyrimidine, thioxo and sulfamoylphenyl groups are highly active against *S. aureus* whereas compound (**5**) with sulfamoyl and thioxo groups possess high antibacterial active towards *Bacillus cereus*[59].



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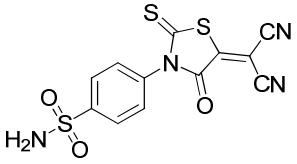
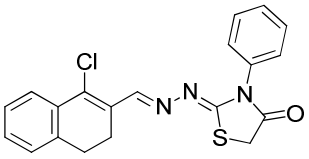


(**5**)

Bondock et al. synthesized a series of 4-thiazolidinone derivatives and screened for antimicrobial activities against *B. subtilis*, *E. coli* and *B. megaterium*. Most of the prepared hiazolidinone compounds (**6**,**7**) are found to be active[60].



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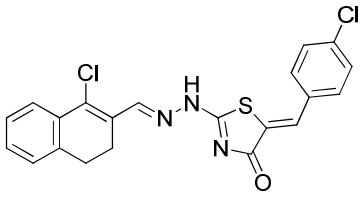
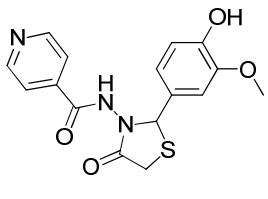


(**7**)

Antitubercular activity

Jaju[61] had prepared the derivatives of isonicotinylhydrazideand screened there in vitro antimycobacterial activity against *M. tuberculosis* $H37_{Rv}$ using alamar-blue susceptibility test. The results revealed that the antitubercular activity of compound was depends upon the substituents on the aromatic ring of 4-thiazolidinone. The compounds which have no substitution at aromatic ring did not show any activity. Whereas the compound (**8**) having hydroxyl and methoxy group on aromatic ring was found to be more active. Kucukguzel et al.[62]reported antimycobacterial activity of 4-thiazolidinone derivatives and found that the compound (**9**) showed 98% inhibitions at 6.25 lg mL^{-1}.



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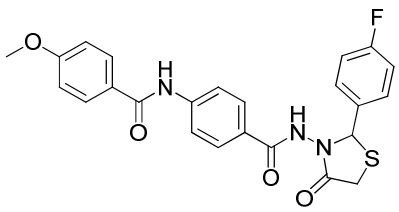
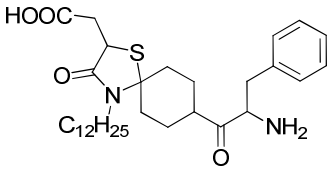
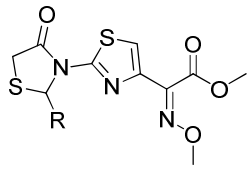


(**9**)

Hydrochloride salt of compound (**10**) showed inhibition of 97%[63].Derivatives (**11**) of 4-thiazolidinone were found to be inactive or less active against *M. tuberculosis* H37RV[64].



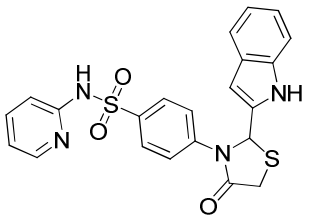
(**10**)



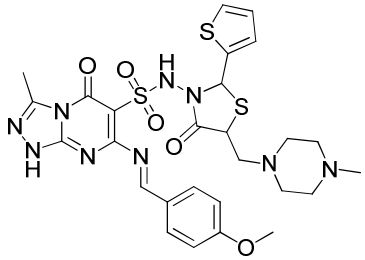
(**11**)

Anticancer activity

2-(3-Indolyl)-3-[4-(pyridin-2-ylamino)sulfonyl]phenyl]thiazolidin-4-one compound (**12**) showed C50 of 1.97 and 1.07 lg/mL, respectively against HELA and MCF7. The activity of compound depends on 4-[(pyridin-2-ylamino)sulfonyl]benzene pharnmmacophoric group instead of thiazolidi-4-one ring[65]. Hafez et al.[66] synthesized a range of compounds having thiazolidinone ring and substituted triazolo[4,3-a]pyrimidin-6-sulfonamide and reported for their antitumor activity. Most of the synthesized compounds were found moderately active while compound **13** showed good growth inhibitory activity on all tested 60 cell lines showing GI50 values between 5.89 and $37.1X10^{-6}$μM. In fact, the presence of 4-methylpiprazin group on C-5 is very important for anticancer activity.

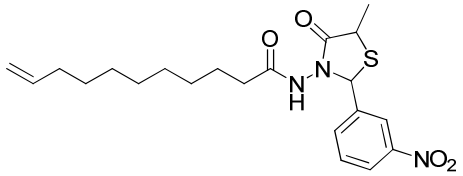


(**12**)



(**13**)

Compound **14** was screened against nine types of human cancer cells and showed significant cytotoxic activity in case of lung cancer, melanoma and renal cancer, where the reduction in growth was found to be 75%, 97% and 84%, respectively, at the concentration of $1.0X10^{-4}$μm[67].

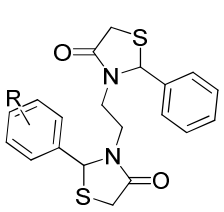


(**14**)

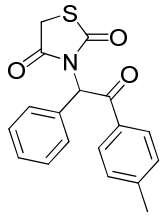
Anti-inflammatory and analgesic activity

Ottana et al.[68] studied derivatives of 3,3'(1,2-ethanediyl)-bis[2-aryl-4-thiazolidinone] (**15**), which showed stereoselective anti-inflammatory/analgesic activities and also suggested that these derivatives might interact with inducibleCOX-2 isoform. As 5-arylmethylidene group absent in 3-[2-(4-methylphenyl)-2-oxo-l-phenylethyl]-2,4-thiazolidinedione(**16**), their anti-inflammatory activity was increased and the analgesic activity was decreased. Bulky groups at NH group of 2,4-thiazolidinedionering may change the anti-inflammatoryactivity[69]. Good level of anti-inflammatory activity against carrageenan induced rat pawedema was observed

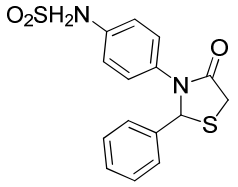
in compound **17** with 78% edema inhibition compared to *celecoxib* at the same dosage producing 45%inhibition[70].



(**15**)

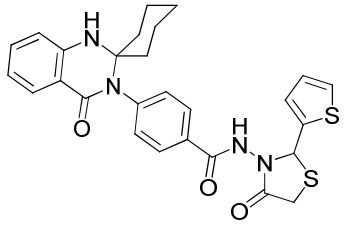


(**16**)



(**17**)

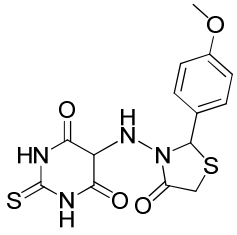
Amin et. al[71] synthesized range of spiro[(2H,3H)quinazoline-2,10-cyclohexan]-4(1H)-one derivatives and were evaluated for their anti-inflammatory and analgesic activities. Compound **18** with 2-thiophene ring at C-2 of thiazolidinone has shown most active anti-inflammatory activity and analgesic activity.



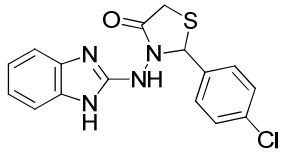
(**18**)

Anticonvulsant activity

If *p*-methoxyphenyl (**19**) group presents in thiazolidinonyl-2-oxo/thiobarbuturic acid derivatives, it favored to exhibit potent anticonvulsant activity as compared to sodium phenytoin drugs[72]. Akula et al.[73] synthesized a series of 3-[1*H*-benzimidazole-2-yl]-2-phenyl-1,3-thiazolidin-4-one having *p*-chloro (**20**) on phenyl ring showed strong activity among all compounds.

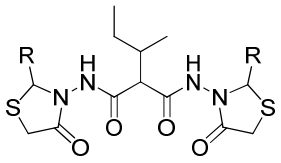


(**19**)

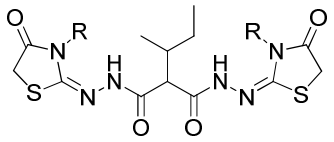


(**20**)

Literatures showed that 4-thiazolidinones having different substitutions on 2,3 and 5 position have great potential towards anticonvulsant activity. Number of compounds was found to exhibit protection against pentylenetetrazole seizure[74-78]. Literature reported synthesis, characterization and their anticonvulsant evolution of compounds (**21, 22**).



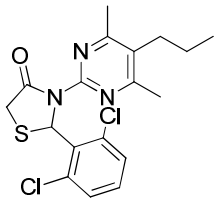
(**21**)



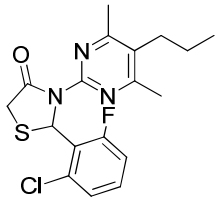
(**22**)

Anti-HIV activity

Chen et al.[79] synthesized a series of 2-(2,6-dihalophenyl)-3-(4,6-dimethyl-5-substituted-pyrimidin-2-yl)thiazolidin-4-ones and were evaluated for for HIV-RT inhibitory activity. The compounds (23, 24) having ethyl group at 5th position of pyrimidine were potent ones.

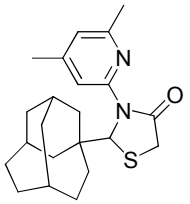


(**23**)



(**24**)

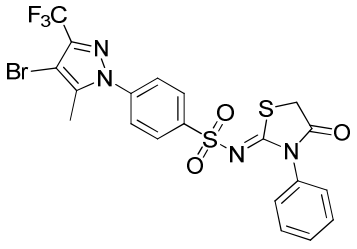
Balzarini et al.[80] synthesized and studied the derivatives of 2-adamantyl-substituted thiazolidoin-4-one. The compound 2-adamant-1-yl-3-(4,6-dimethylpyridin-2-yl)-thiazolidin-4-one (**25**) was evaluated for activity against HIV-1 and HIV-2(ROD) in CEM cell cultures, by taking Nevirapine as reference compound. The activity of compound was only due to the presence of adamantly group at 2nd position of thiazolidin-4-one.



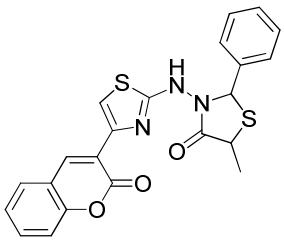
(**25**)

Anti-diabetic activity

Kini et al.[81] synthesized the derivatives of 3-[5'-methyl-2'-3'-(thiazo-2''-yl-amino)-thiazolidin-4'-one]coumarin (**26, 27**) and studied the hypoglycemic activity, and revealed that the electronegative groups are responsible for variation of activity.

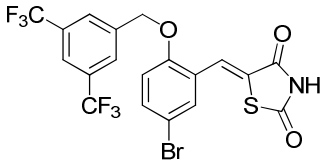


(**26**)

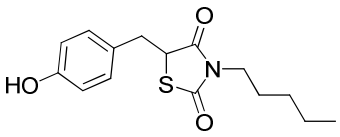


(**27**)

The substitution of 5-[2-(3,5-Bis(trifluoromethyl)benzyloxy)-5-bromobenzylidine] (**28**) group at C-5 of 2,4-thiazolidinone ring was most potent in PTP1B inhibition[82]. The compound (**29**) having alkyl group at C-5 and benzyl group at N-3 have been screened for their anti-hyperglycemic activity and emerged as most active[83].



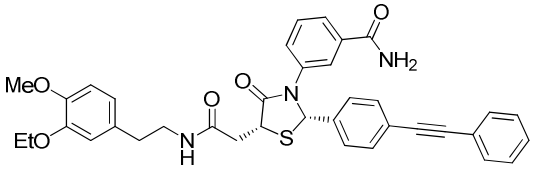
(**28**)



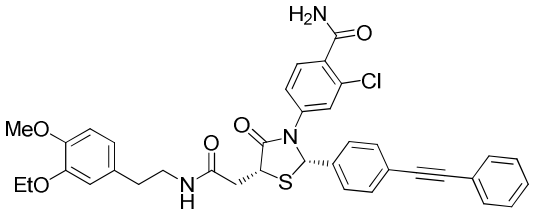
(**29**)

FSH receptor agonist

Number of thiazolidinone derivatives synthesized and shown positive FSH receptor. The aryl group present at 3rd and 5th position of thiazolidinone affects the functional activity of compounds. The compound (**30**) displayed strong agonistic activity in both cAMP bioassay and aromatase bioassay[84]. The compound (**31**) also has positive allosteric modulators of the Follicle-stimulating Hormone (FSH) receptor[84].



(**30**)



(**31**)

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