

# Synthesis and biological evaluation of some new Thiazolo[3,2-a][1,3,5]triazine derivatives

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## Abstract

2-Iminothiazolidin-4-one (1) was utilized for the synthesis of several new thiazolo[3,2-a][1,3,5]triazine derivatives. 3-Phenyl-3,4-dihydro-2H-thiazolo[3,2-a][1,3,5]triazin-6(7H)-one (2) was prepared according to Mannich procedure. Both compounds 1 and 2 reacted with aromatic aldehydes to afford arylidene derivatives 3-7. Compounds 5-7 were obtained through another two routes of preparation, first when applying Mannich reaction to compounds 3 and 4 and second by reacting compounds 2 with activated olefins 11 catalyzed by triethylamine, also, the reaction of 2 with bis arylidene 16 afforded compound 18. Compound 2 reacted with both mono and di-aromatic diazonium salts to furnish 2-aryl-azothiazolo[3,2-a]triazines 20 and 21 or bis[2-azothiazolo[3,2-a]triazine]phenylene 22, respectively. Thiocarbamoyl derivatives 25 and 26 were prepared through the reaction of active methylene and imino group in 1 with phenylisothiocyanate and carbon disulfide, respectively. Structures confirmation, geometry, and biological evaluation were applied for the newly prepared compounds.

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**Author Keywords:** Thiazolo[3,2-a][1,3,5] triazine; Claisen-Schmidt condensation; Mannich reaction; Antibacterial and antitumor evaluation

**KeyWords Plus:** CONVENIENT SYNTHESIS; AGENTS; DNA

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## Efficient Regioselective Synthesis and Potential Antitumor Evaluation

## of Isoxazolo[5,4-b]pyridines and Related Annulated Compounds

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### Abstract

The reaction of 5-amino-3-methylisoxazole with appropriate  $\alpha,\beta$ -unsaturated ketones gave the corresponding isoxazolo[5,4-b]pyridines. Treatment of 1 with 2,6-dibenzylidenecyclohexanone or 2-benzylidenedimedone afforded the corresponding isoxazolo[5,4-b]quinoline derivatives. 4,6,8,9-Tetrahydroisoxazolo[5,4-b]quinolin-5-one derivative was also obtained by multicomponent condensation reaction of 1 with dimedone and benzaldehyde. Heterocyclic annulation of the isoxazolo[5,4-b]pyridine system was achieved via reaction of 1 with benzylidene derivatives of indandione, quinuclidone, pyrazolone, and oxazolone. A representative of some newly synthesized compounds was evaluated as antitumor agents.

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Inventor/Assignee: Sauerberg, P.; Olesen, P. H.

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## **Synthesis and Characterization via Molecular Quantum Parameters of 2H-Thiazolo[3,2-a]pyrimidine-3,5,7(6H)-trione**

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## Abstract

2H-Thiazolo[3,2-a]pyrimidine-3,5,7(6H)-trione (2) was synthesized and characterized via molecular quantum parameters using the PM3-semiempirical MO method. This is considered the only route not previously reported in the literature to synthesize compound 2 from 2-imino-4-thiazolidinone (1).

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Research Areas: Chemistry

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Author(s): Bouzroua, S.; Bentarzi, Y.; Kaoua, R.; et al; Nedjar-Kolli, B.; Poulain-Martini, S.; Dunach, E.

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esters

Author(s): Fedorova, EV; Meshcheryakov, MP; Ganina, MB; et al.

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Author(s): Girreser, U; Heber, D; Schutt, M

Source: TETRAHEDRON Volume: 60 Issue: 50 Pages: 11511-11517 DOI: 10.1016/j.tet.2004.09.075 Published: DEC 6 2004

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Author(s): HARNDEN, MR; BAILEY, S; BOYD, MR; et al.

Source: JOURNAL OF MEDICINAL CHEMISTRY Volume: 21 Issue: 1 Pages: 82-87 DOI: 10.1021/jm00199a015 Published: 1978

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Author(s): Howard, MH; Cenizal, T; Gutteridge, S; et al.

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Author(s): Hulin, B; McCarthy, PA; Gibbs, EM

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Author(s): Johnson, AR; Marletta, MA; Dyer, RD

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Author(s): Kochkanyan, R.O.; Zaritovskii, A.N.; Israelyan, Yu. A.

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Author(s): Kucukguzel, G; Kocatepe, A; De Clercq, E; et al.

Source: EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY Volume: 41 Issue: 3  
Pages: 353-359 DOI: 10.1016/j.ejmech.2005.11.005 Published: MAR 2006

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Author(s): Kurbanova, M. M.

Source: Zh Org Khim Volume: 42 Pages: 1871 Published: 2006

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Inventor/Assignee: Mishina, T.; Tsuda, N.; Inui, A.; et al; Miura, Y.

Source: Jpn Kokai Tokkyo Koho Published: 1987

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Author(s): Quiroga, J; Cisneros, C; Insuasty, B; et al.

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10.1016/S0040-4039(01)01092-9 Published: AUG 13 2001

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Author(s): Rahman, VPM; Mukhtar, S; Ansari, WH; et al.

Source: EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY Volume: 40 Issue: 2  
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Author(s): Rawal, RK; Prabhakar, YS; Katti, SB; et al.

Source: BIOORGANIC & MEDICINAL CHEMISTRY Volume: 13 Issue: 24 Pages: 6771-6776  
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Author(s): Seebacher, W; Brun, R; Weis, R

Source: EUROPEAN JOURNAL OF PHARMACEUTICAL SCIENCES Volume: 21 Issue: 2-

3 Pages: 225-233 DOI: 10.1016/j.ejps.2003.10.011 Published: FEB 2004

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Author(s): SINGH, S; GUPTA, GP; SHANKER, K

Source: INDIAN JOURNAL OF CHEMISTRY SECTION B-ORGANIC CHEMISTRY INCLUDING MEDICINAL CHEMISTRY Volume: 24 Issue: 10 Pages: 1094-1097  
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Author(s): UNANGST, PC; CONNOR, DT; CETENKO, WA; et al.

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Times Cited: 120 (from All Databases)

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Author(s): VALLS, N; SEGARRA, VM; ALCALDE, E; et al.

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Author(s): Van Gaal, L.; Scheen, A.

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Author(s): Wichmann, J; Adam, G; Kolczewski, S; et al.

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**Versatile Synthesis, PM3-Semiempirical, Antibacterial, and Antitumor  
Evaluation of Some Bioactive Pyrazoles**

Hamama, WS (Hamama, Wafaa S.)<sup>[1]</sup>; El-Gohary, HG (El-Gohary, Hamada G.)<sup>[1]</sup>; Soliman, M  
(Soliman, Mamdouh)<sup>[1]</sup>; Zoorob, HH (Zoorob, Hanfi H.)<sup>[1]</sup>

## Abstract

This research work describes the synthesis and biological properties of some novel isolated or fused heterocyclic ring systems with pyrazole, for example; enamines containing pyrazolone ring photochromic functional unit, 4-[(4-chlorophenylamino)methylene]-3-methyl-1-phenyl-1H-pyrazol-5(4H)-one (3) and some analogous derivatives 4, 9, and 10, also as pyrazolo[3,4-b]pyridine, pyrazolo[3,4-b]quinoline, pyrazolo[3',4':4,5]thieno[2,3-c]pyrazoline and pyrazolo[3,4-c]pyrazole were synthesized and characterized. Newly synthesized compounds were characterized by IR, <sup>1</sup>H NMR, <sup>13</sup>C NMR, mass spectral data and quantum mechanical calculations. Selected products were tested for their antibacterial and antitumor agents.

Source: JOURNAL OF HETEROCYCLIC CHEMISTRY Volume: 49 Issue: 3 Pages: 543-554 DOI: 10.1002/jhet.806 Published: MAY 2012

KeyWords Plus: DERIVATIVES; POTENT; INHIBITORS; AGENTS; TELOMERASE; SERIES; RING

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Publisher: WILEY-BLACKWELL, 111 RIVER ST, HOBOKEN 07030-5774, NJ USA

Web of Science Categories: Chemistry, Organic

Research Areas: Chemistry

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Author(s): Abd El-Nabi, HA

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Author(s): Al-Obaid, AM; El-Subbagh, HI; Al-Shabanah, OA; et al.

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Author(s): Athina, G.; Babaev, E.; Dearden, J.; et al; Dehaen, W.; Filimonov, D.; Galaeva, I.; Krajneva, V.; Lagunin, A.; Macaev, F.; Molodavkin, G.; Poroikov, V.; Pogroebroi, S.; Saloutin, V.; Stepanckikova, A.; Stingaci, E.; Tkach, N.; Vlad, L.; Voronina, T.

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Author(s): Barthakur, Madan G.; Chetia, Apurba; Boruah, Romesh C.

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Author(s): Bi, YZ; Stoy, P; Adam, L; et al.

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Author(s): Chong, JH; Sauer, M; Patrick, BO; et al.

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Author(s): ELTORGOMAN, AM; ELKOUSY, SM; KANDEEL, ZE

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Author(s): GEIES, AA; ELDEAN, AMK; MONEM, MIA

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Author(s): Girreser, U; Heber, D; Schutt, M

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Author(s): Haddad, N; Salvagno, A; Busacca, C

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Author(s): Hrib, NJ; Jurcak, JG; Bregna, DE; et al.

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Author(s): Kees, KL; Fitzgerald, JJ; Steiner, KE; et al.

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Inventor/Assignee: Pamukcu, R.; Piazza, G. A.

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Author(s): Peng, BH; Liu, GF; Liu, L; et al.

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[ View abstract ] [ Hide abstract ]

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Author(s): Prasad, YR; Rao, AL; Prasoon, L; et al.

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Author(s): Shiba, SA; Elkhamry, AA; Shaban, ME; et al.

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Author(s): Tsujioka, T; Kume, M; Irie, M

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Author(s): Yoshioka, H; Mori, Y; Shimizu, M

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Author(s): Zoorob, HH; Ismail, MA; Strekowski, L

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## **Chemistry of Pyrazolinones and their Applications**

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### **Abstract**

In this account we present the rapidly expanding bibliography of the published researches concerning the progress in the area of pyrazolones chemistry including synthetic strategies and their applications.

Source: CURRENT ORGANIC CHEMISTRY Volume: 16 Issue: 3 Pages: 373-399 Published:



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Author Keywords: Pyrazolinones; Chemistry; Synthesis; Reactions; Applications

KeyWords Plus: HETEROCYCLIC AROMATIC SYSTEMS; MASS-SPECTROMETRIC DETECTION; ACID-BASE PROPERTIES; CRYSTAL-STRUCTURE; CYANINE DYES; 1-PHENYL-3-METHYL-5-PYRAZOLONE DERIVATIVES; HETERODIENE SYNTHESSES; BIOLOGICAL-ACTIVITY; SOLVENT-EXTRACTION; ABSORPTION CHARACTERISTICS

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Web of Science Categories: Chemistry, Organic

Research Areas: Chemistry

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Author(s): Abbiati, G; Arcadi, A; Attanasi, OA; et al.

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Author(s): Abdallah, M

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Author(s): Abd El-Aal, RM

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Author(s): ABDELLATIF, FF

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Author(s): Abdelrazek, Fathy M.; Metz, Peter; Kataeva, Olga; et al.

Source: ARCHIV DER PHARMAZIE Volume: 340 Issue: 10 Pages: 543-548 DOI: 10.1002/ardp.200700157 Published: OCT 2007

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Author(s): Abu El-Hamd, R.M.; Koraiem, A.I. M.

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Author(s): AFSAH, ES; AMER, FA; SOAFAN, M

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9. Title: High performance liquid chromatographic determination of N-butyryl glucosamine in rat plasma

Author(s): Aghazadeh-Habashi, A; Carran, J; Anastassiades, T; et al.

Source: JOURNAL OF CHROMATOGRAPHY B-ANALYTICAL TECHNOLOGIES IN THE BIOMEDICAL AND LIFE SCIENCES Volume: 819 Issue: 1 Pages: 91-96 DOI: 10.1016/j.jchromb.2005.01.034 Published: MAY 5 2005

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Foreign Title: Uber die Kondensation von 1-Phenyl,3-methyl,5-pyrazoion mit Vanillin.  
(View record in MEDLINE)

Author(s): AMAL, H; KAPUANO, L

Source: Pharmaceutica acta Helvetiae Volume: 26 Issue: 12 Pages: 379-86  
Published: 1951-Dec

11. Title: The behaviour of 1-phenyl 3-methyl-2-pyrazolone toward several reagent

Author(s): Amal, H.; Ozger, A.

Source: Rev. Faculte Sce. Univ. Istanbul Volume: 16A Pages: 71-76 Published: 1951

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Author(s): ANELLI, PL; CROCE, PD

Source: GAZZETTA CHIMICA ITALIANA Volume: 111 Issue: 7-8 Pages: 269-272  
Published: 1981

13. Title: REACTIONS OF CYCLIC BETA-KETO-ESTERS AND OTHER ENOL DERIVATIVES WITH 3-ACETOXYAMINO-2-ISOPROPYLQUINAZOLIN-4(3H)-ONE - FURTHER OXIDATION OF THE CYCLIC ALPHA-(3,4-DIHYDRO-2-ISOPROPYL-4-OXOQUINAZOLIN-3-YL)AMINO KETONES WITH LEAD-TETRAACETATE LEADING TO RING-EXPANSION (IN DICHLOROMETHANE) AND RING-CLEAVAGE (IN METHANOL)

Author(s): ATKINSON, RS; BARKER, E; EDWARDS, PJ; et al.

Source: JOURNAL OF THE CHEMICAL SOCIETY-PERKIN TRANSACTIONS 1 Issue: 12  
Pages: 1533-1542 DOI: 10.1039/p19950001533 Published: JUN 21 1995

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Author(s): Attanasi, A.; Filippone, P.; Lillini, S.; et al; Mantellini, F.; Nicolini, S.; de los Santos, J.M.; Ignacio, R.; Aparicio, D.; Palacios, F.

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Author(s): Bao, F; Lu, XQ; Kang, BS; et al.

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Inventor/Assignee: Berenguer, J.; Domingo, M.J.; Rocas, J.

Source: Eur. Pat. Appl. Ep Published: 1996

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Inventor/Assignee: Bhandari, A.; Boros, E.E.; Cowan, D.J.; et al; Handlon, A.L.; Hyman, C.E.; Oplinger, J.A.; Rabinowitz, M.H.; Turnbull, P.S.

Source: [No title captured] Published: 2003

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Author(s): Bhavasar, RA; Desai, CM

Source: ASIAN JOURNAL OF CHEMISTRY Volume: 10 Issue: 1 Pages: 207-208

Published: JAN-MAR 1998

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Author(s): Deb, ML; Bhuyan, PJ

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Author(s): BODENDORF, K; RAAF, H

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Published: 1955

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Author(s): BODENDORF, K; MILDNER, J; LEHMANN, T

Source: ANNALEN DER CHEMIE-JUSTUS LIEBIG Volume: 563 Issue: 1-2 Pages: 1-11

Published: 1949

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Author(s): Bond, AH; Dietz, ML; Chiarizia, R

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Pages: 3442-3464 DOI: 10.1021/ie000356j Published: OCT 2000

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Author(s): Bose, R; Murty, DSR; Chakrapani, G

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Author(s): Brugel, TA; Hudlicky, T; Clark, MP; et al.

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Author(s): Byun, JW; Lee, DH; Lee, YS

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Author(s): Casas, JS; Castano, MV; Garcia-Tasende, MS; et al.

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Author(s): Ceulemans, E; Voets, M; Emmers, S; et al.

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Author(s): Chai, H; Liu, GF; Liu, L; et al.

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Author(s): Chai, H; Liu, GF; Liu, L; et al.

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Author(s): Chikhalia, K.H.; Desai, K.R.

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Author(s): Chmutova, GA; Kataeva, ON; Ahlbrecht, H; et al.

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Author(s): Chmutova, G. A.; Ismagilova, E. R.; Shamov, G. A.

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Inventor/Assignee: Clark, M.P.; Lyon, R.A.

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Author(s): CODA, AC; DESIMONI, G; RIGHETTI, PP; et al.

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Author(s): DAHN, H; ROTZLER, G

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Author(s): Dardonville, C; Elguero, J; Rozas, I; et al.

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Author(s): Deng, Guanghui; Li, Weihua; Shen, Jianhua; et al.

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Author(s): Desai, AR; Desai, KR

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Author(s): DESIMONI, G; FAITA, G; RIGHETTI, PP; et al.

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Author(s): DESIMONI, G; RIGHETTI, PP; SELVA, E; et al.

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Author(s): DESIMONI, G; COLOMBO, G; RIGHETTI, PP; et al.

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Author(s): DWORCZAK, R

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Group Author(s): Eastman Kodak Co.

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Author(s): Ebner, S; Wallfisch, B; Andraos, J; et al.

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Author(s): El-Assiery, Saied Abdullah; Sayed, Galal Hosni; Fouda, Ahmed

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Author(s): El-Azab, Adel S.

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Author(s): El-Desoky, S. I.; Etman, H. A.; Bondock, S. B.; et al; Fadda, A. A.; Metwally, M. A.

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Author(s): Elguero, J.

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Author(s): Elkholy, Y.M.; Erian, A.W.; Elassar, A.A.

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Author(s): El-Tamany, ESH; El-Shahed, FA; Mohamed, BH

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Author(s): ENCHEV, V; NEYKOV, GD

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Author(s): Ershov, A.Yu.; Dobrodumov, A.V.

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Author(s): FANG, Z; WANG, SZ; YANG, ZF; et al.

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Author(s): Fiamegos, Y; Stalikas, C; Pilidis, G

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Sponsor(s): Div Analyt Chem; Univ Athens; Tech Univ Athens; Aristoteles Univ Thessaloniki; Univ Crete

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Inventor/Assignee: Fischer, R.; Bretschneider, T.; Gesing, E.R.F.; et al; Feucht, D.; Kuck, K.-H.; Loesel, P.; Malsam, O.; Arnold, C.; Auler, T.; Hills, M.J.; Kehne, H.

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