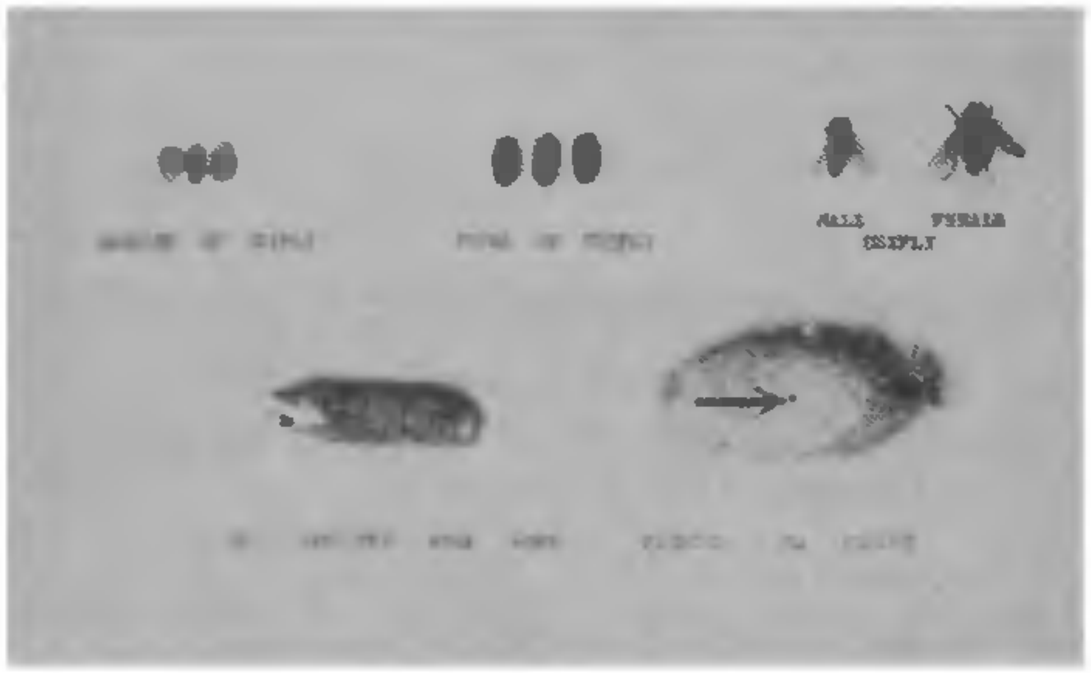
maggots develop within the host body but only one or two survive and the fully grown maggots emerge out invariably from the silkworm cocoon (figure 1) and these cocoons become unreelable. The maggots pupate within 7-8 hr. After 10 days of pupal stadium the flies emerge out. The muga silkworm (47% ♀) suffered uzifly infestation during the Jarua crop season (Jan.-May) of 1982, this being the main seed crop season the alarmingly increased infestation by uzifly poses a serious threat to muga silk industry, which is even otherwise on the declining trend due to various other biotic and climatic factors. Presently uzifly infestation appears to be endemic in the southern region of Sibsagar district in Assam.



Apanteles glomeratus, a brachonid also parasitises muga silkworm, the same is also recorded in Sibsagar district. Unlike uzifly, this hymenopteran pest lays eggs inside the body of the first and the second instar larvae and develops inside the young muga silkworm, the fully grown parasite larvae emerge out from the third instar larvae of muga silkworm which die at that stage. Generally 30–45 larvae of *A. glomeratus* develop inside the body of the host. Within 5–7 hr from emerging out of the host body the parasite forms the puparium and the adult emerge out after 5–7 days. More than 40% parasitisation due to this pest alone was noticed during the same season in the northern region of Sibsagar district in 1982 and this district is one of the important centres for Sericulture in Assam.

9 June 1982

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INDOLES AS POTENTIAL BIODYNAMIC AGENTS: SYNTHESIS OF 2-ARYL-3-(SUBSTITUTED HYDRAZONO)-METHYLENYL INDOLES

A. K. SEN GUPTA AND N. SRIVASTAVA
Department of Chemistry, Lucknow University
Lucknow 226 006, India

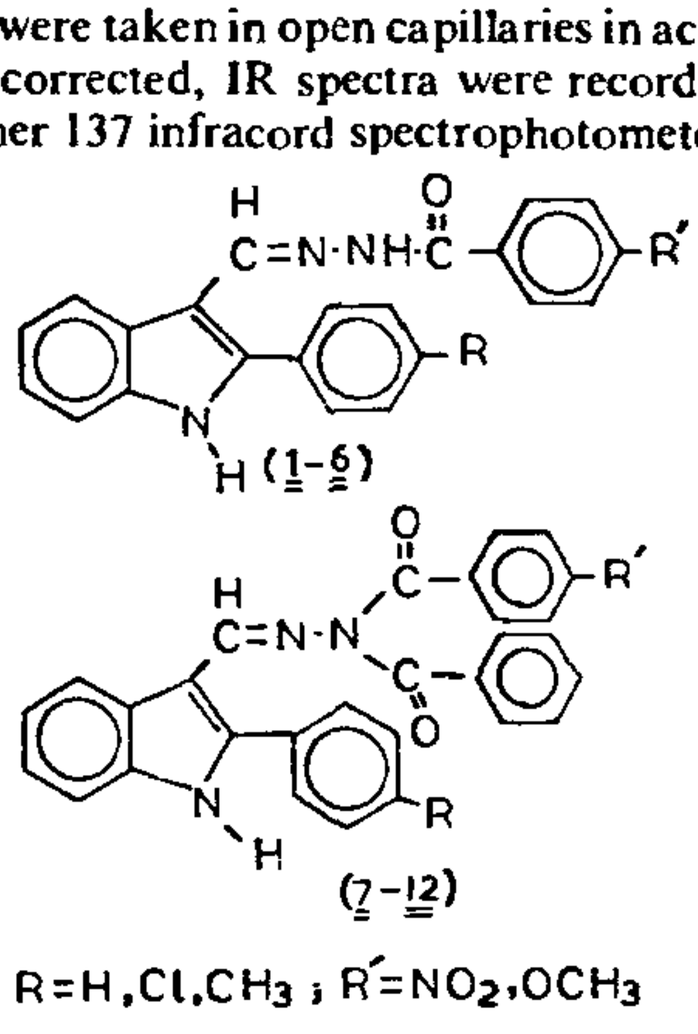
MANY indole derivatives and benzoyl hydrazones have been reported as antibacterial[1,2], herbicidal[3] and CNS[4,5] — active compounds. We have synthesised some hydrazono derivatives of 2-aryl-indol-3-aldehydes with a view to study their biodynamic properties.

2-Aryl-indole-3-aldehydes[6] obtained by the formulation of the appropriate indoles[7] with DMF and $POCl_3$ when treated with substituted benzoyl hydrazides yielded 2-aryl-3-(substituted benzoyl hydrazono)-methylenylindoles (1–6). Benzoylation of NH of hydrazono group in the compounds (**1–6**) produced 2-aryl-3-(N,N-dibenzoyl hydrazono)-methylenylindoles (**7–12**) [Table 1]. All the compounds have been characterised by the elemental analyses and spectral data.

The compounds were screened for their anti-inflammatory and CNS activities and the toxicity studies on albino mice of either sex. These were also screened for their antibacterial activity against *E. coli*, *X. malvacearum*, *B. megatherium* and *Streptomyces scabies*. The results are reported in table 1.

All the compounds are non-toxic, CNS stimulants and also good antiinflammatory agents. Benzoylation of compounds **1–6** resulted in increased antiinflammatory activity. Substitution of the 4-methyl group in the phenyl ring at position-2 of the indole nucleus diminishes the antibacterial activity against *X. malvacearum*. Similarly, when R = Cl, the antibacterial activity against *B. megatherium* is decreased.

All m.p. were taken in open capillaries in acid bath, and are uncorrected, IR spectra were recorded on a Perkin-Elmer 137 infracord spectrophotometer (ν_{max}



R=H, Cl, CH_3 ; R′=NO_2, OCH_3

TABLE 1

Characterisation data and biological activities of compounds **1-12**

Compd. No.	R	Mol. form and m.p. (°C)		ALD$_{50}$ mg/kg i.p.	% Anti-inflammatory activity	Antibacterial activity inhibition zone (mm) against			
						E. coli	*X. malva-cearum*	*B. mega-therium*	*S. scabies*
		$R' = NO_2$							
1	H	$C_{22}H_{16}N_4O_3$	(165)	1000	10	—	8	8	8
2	Cl	$C_{22}H_{15}N_4O_3Cl$	(265)	681	15	11	—	7	7
3	CH_3	$C_{23}H_{18}N_4O_3$	(270)	825	15	15	8	—	7
		$R' = OCH_3$							
4	H	$C_{23}H_{19}N_3O_2$	(120)	825	25	—	10	7	—
5	Cl	$C_{23}H_{18}N_3O_2Cl$	(255)	1000	25	11	—	10	12
6	CH_3	$C_{24}H_{21}N_3O_2$	(242)	681	20	10	7	—	8
		$R' = NO_2$							
7	H	$C_{29}H_{20}N_4O_4$	(228–30)	1000	30	8	10	—	7
8	Cl	$C_{29}H_{19}N_4O_4Cl$	(265)	681	32	10	8	—	7
9	CH_3	$C_{30}H_{22}N_4O_4$	(>240)	1000	34	8	7	8	8
		$R' = OCH_3$							
10	H	$C_{30}H_{23}N_3O_3$	(131–33)	825	52	15	10	10	—
11	Cl	$C_{30}H_{22}N_3O_3Cl$	(250)	681	50	10	—	12	—
12	CH_3	$C_{31}H_{25}N_3O_3$	(265)	825	48	—	12	7	10

All the compounds gave satisfactory C, H and N analyses.
Compounds were obtained in 70–80% yield (**1–6**) and 60–70% yield (**7–12**).
Anti-inflammatory activity was noted at 1/5th of ALD$_{50}$.

in cm^{-1}) and PMR on Perkin-Elmer-R-32 spectrophotometer using TMS as internal standard (chemical shifts in δ , ppm). The purity of compounds was checked by TLC on silicagel G-plates and spots were located by I_2 vapours

2-Phenyl-3(4-nitrobenzoyl hydrazono)-methylenylindole (**1**): 2-Phenyl-indole-3-aldehyde (0.01 mol) and 4-nitrobenzoyl-hydrazide (0.01 mol) dissolved separately in ethanol, were mixed and glacial acetic acid (2 drops) was added. The reaction mixture was refluxed for 4 hr, cooled and separated solid recrystallised from alcohol; yield—80%, m.p.= 165°; IR: 3350, 3000, 1670, 1600, 1570, 1510, 1330. PMR (DMSO-d_6): 7.2-7.6 (*m*, 11H, indolyl-4-7*H*, C_6H_5 at position-2 of indole, CON*H* and *H*C=N), 8.2 (*q*, 4H, protons at position 2,3,5 and 7 on phenyl ring at the side chain), 8.7 (*s*, 1H, indolyl N*H*).

Other compounds **2-6** were similarly synthesised (table 1).

2-Phenyl-3-[N(4-nitrobenzoyl), N-benzoyl hydrazono] methylenyl-indole (**7**): Compound **1** (0.003 mol) was taken in aq. NaOH (10%) and benzoylchloride (0.004 mol) was added in fractions, with vigorous shaking. The solid which separated was filtered, washed well with cold water and recrystallised from ethanol yield: 67%; m.p.= 228-30°; IR: 3350, 3050, 1670, 1600, 1510, 1330. PMR: 7.1-7.5 (*m*, 10H, indolyl 4.7*H*, C_6H_5 at position-2 of indole and C*H*=N); 7.95-8.3 (*m*, 9H, CO-C_6H_5 and protons at positions 2,3,5 and 7 on nitro phenyl ring), 8.65 (*s*, 1H, indolyl N*H*).

Compounds 8–12 were similarly synthesised (table 1).

The compounds were given to albino mice of either sex weighing between 20–25 g, at the dose levels of 464, 1000 and 215 mg/kg weight of mice and the mortality rates after 24 hr were noted. From the mortality rate, the approximately lethal dose on 50% of tested animals (ALD_{50}) was calculated by the method of Weil[8].

The compounds were screened out for the antiinflammatory action on mice, following the method of Winder *et al.*[9] measuring the percentage protection of mice against carrageenin induced inflammation at the dose level of 1/5th of the respective compounds.

The compounds were tested for their antibacterial activity[10] against *E. coli*, *X. malvacearum*, *B. megatherium* and *Streptomyces scabies*.

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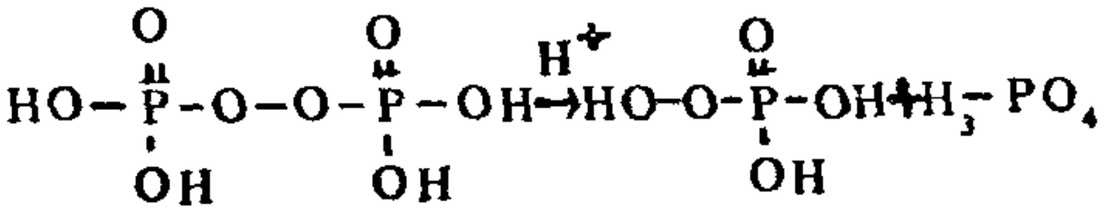
PEROXODIPHOSPHATE CLEAVAGE BY ALKALINE PHOSPHATASE

S. N. PADHY, B. N. MISRA, H. PATTNAIK AND S. N. MAHAPATRO*
Department of Botany, Berhampur University, Berhampur 760 007, India.
*Department of Chemistry.

SINCE the earliest demonstration of phosphatase activity in 1911[1], there have been consistent and sustained efforts to understand the mechanism of this important reaction.[2-4]. Alkaline phosphatase is a non-specific enzyme, which hydrolyses compounds containing a wide spectrum of phosphate bonds (P—F, P—O—C, P—O—P, P—N and P—S)[3].

We have recently been interested in the electron transfer reactions of peroxomonophosphoric acid[5-8] (H_3PO_5, PMPA), which was obtained by acid catalysed hydrolysis of peroxodiphosphoric acid ($H_4P_2O_8$, PDP).



A preliminary report by FMC[9] that peroxodiphosphate is cleaved by acid phosphatase (wheat flour) and alkaline phosphatase (calf intestine) prompted us to undertake a detailed kinetic and mechanistic investigation of this enzymatic hydrolysis.