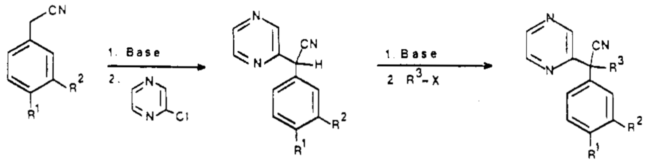
Scheme I



1 2 3

1a, $R^1 = R^2 = H$
1b, $R^1 = CH_3$, $R^2 = H$
1c, $R^1 = OCH_3$, $R^2 = H$
1d, $R^1 = R^2 = OCH_3$

2a, $R^1 = R^2 = H$
2b, $R^1 = CH_3$, $R^2 = H$
2c, $R^1 = OCH_3$, $R^2 = H$
2d, $R^1 = R^2 = OCH_3$

3a, $R^1 = R^2 = H$, $R^3 = (CH_2)_3CH_3$
3b, $R^1 = R^2 = H$, $R^3 = CH_2$–S–Ph
3c, $R^1 = R^2 = H$, $R^3 = CH_2–C_6H_4–(4\text{-}CH_3)$
3d, $R^1 = R^2 = H$, $R^3 = CH_2–C_6H_4–(4\text{-}NO_2)$
3e, $R^1 = R^2 = H$, $R^3 = CH_2COPh$
3f, $R^1 = R^2 = H$, $R^3 = (CH_2)_2–CN$
3g, $R^1 = R^2 = H$, $R^3 = CH_2CN$
3h, $R^1 = R^2 = H$, $R^3 = CH_2$–benzotriazol-1-yl
3i, $R^1 = R^2 = H$, $R^3 = CH_2$–2-pyridinyl
3j, $R^1 = R^2 = H$, $R^3 = (CH_2)_2Ph$
3k, $R^1 = R^2$, H, $R^3 = CH_2–C_6H_4–(4\text{-}OMe)$
3l, $R^1 = CH_3$, $R^2 = H$, $R^3 = CH_2Ph$
3m, $R^1 = CH_3$, $R^2 = H$, $R^3 = CH_2–C_6H_4–(4\text{-}CH_3)$
3n, $R^1 = OCH_3$, $R^2 = H$, $R^3 = CH_2Ph$
3o, $R^1 = OCH_3$, $R^2 = H$, $R^3 = CH_2–C_6H_4–(4\text{-}CH_3)$
3p, $R^1 = R^2 = OCH_3$, $R^3 = CH_3$
3q, $R^1 = R^2 = OCH_3$, $R^3 = CH_2–CH{=}CH_2$
3r, $R^1 = R^2 = OCH_3$, $R^3 = CH_2CO_2Et$
3s, $R^1 = R^2 = OCH_3$, $R^3 = CH_2Ph$
3t, $R^1 = R^2 = OCH_3$, $R^3 = CH_2CO_2H$
3u, $R^1 = R^2 = OCH_3$, $R^3 = CH_2CH_2CO_2H$

lapped with peaks due to aromatic protons of R^3 (Table I). In all cases where $R^1 = CH_3$, the protons appeared at $\delta \sim 2.2$, whereas the methoxy protons, for R^1 or R^2 = OMe, resonated at 4.0 ppm.

Registry No. **2a**, 1080-87-1; **2b**, 1082-48-0; **2c**, 1084-83-9; **2d**, 1088-67-1; **3a**, 109929-53-5; **3b**, 109929-54-6; **3c**, 109929-55-7; **3d**, 109929-56-8; **3e**, 109929-57-9; **3f**, 4422-44-0; **3g**, 4190-80-1; **3h**, 109929-58-0; **3i**, 109929-59-1; **3j**, 109929-60-4; **3k**, 109929-61-5; **3l**, 109929-62-6; **3m**, 109929-63-7; **3n**, 109929-64-8; **3o**, 109929-65-9; **3p**, 109929-66-0; **3q**, 109929-67-1; **3r**, 109929-68-2; **3s**, 109929-69-3; **3t**, 109929-70-6; **3u**, 109929-71-7; $Me(CH_2)_3Br$, 109-65-9; $Br(CH_2)SPh$, 35572-08-8; $4\text{-}MeC_6H_4CH_2Br$, 104-81-4; $4\text{-}NO_2C_6H_4CH_2Br$, 100-11-8; $BrCH_2COPh$, 70-11-1; $Br(CH_2)_2CN$, 2417-90-5; $BrCH_2CN$, 590-17-0; $Br(CH_2)_2Ph$, 103-63-9; $4\text{-}MeOC_6H_4CH_2Br$, 2746-25-0; $PhCH_2Br$, 100-39-0; MeBr, 74-83-9; $CH_2{=}CHCH_2Br$, 106-95-6; $MeCO_2Et$, 105-36-2; $Br(CH_2)_2CO_2H$, 590-92-1; 1-(chloromethyl)benzotriazole, 54187-96-1; 2-(bromomethyl)pyridine, 55401-97-3.

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Heterocycles. 14. Synthesis of 5*H*-Indenopyrimidines

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1-Indanone (I) was reacted with aryl aldehydes (II) to give the corresponding 2-arylidene-1-indanones (III). Condensation of the chalcones III with guanidine revealed the formation of the corresponding 5*H*-2-amino-4-arylidenopyrimidines (V). The structures of all products were substantiated by chemical and spectroscopic methods.

Various aromatic and heterocyclic aldehydes (IIa–k) were reacted with 1-indenone to give the corresponding 2-arylidene-1-indenones (IIIa–k) (Scheme I). The structures of these products were evident from the infrared (*1*, *2*), electronic (*3*, *4–7*), NMR spectra (*8*), and chemical analyses (Tables I and II). The infrared spectra of IIIa show absorption bands at 1625 and 1695 cm^{-1} attributed to $\nu_{C=C}$ and C═O, respectively. The chalcones (III a–k) were condensed with guanidine to yield the corresponding 5*H*-2-amino-4-arylindenopyrimidines (Va–k) (*3*, *9*, *10*) (Scheme I). The structures of these products were substantiated by spectroscopy (*3*, *8*) (Tables I and II). The IR spectrum of Va shows absorptions at 1600 cm^{-1} (C═C), 1640 cm^{-1} (C═N), and 3140, 3280, and 3460 cm^{-1} (NH_2).

Chemical evidence can be also adduced in favor of the structure of compounds V. Thus acetylation of Vf,h leads to the formation of the corresponding acetylamido derivatives (VIf,h) (Scheme I) (*3*, *9*). Their structures were established from their infrared (*11*), electronic (*12*), and mass spectra (Table I). The IR spectrum of VIf shows absorptions at 1720 cm^{-1} (C═O) and 3400 cm^{-1} (NH).

Treatment of the 2-aminopyrimidines (Vf,h,j) with nitrous acid revealed the formation of the corresponding 2(1*H*)-pyrimidinones (VIIf,h,j) (Scheme I). The lactam form of these products was inferred from their infrared (*9*), electronic and mass spectra (Table I). The IR spectrum of VIIf revealed absorptions at 1640 cm^{-1} (C═O) and 2990 and 3080 cm^{-1} (NH).

Experimental Section

Melting points were measured using a Bock-Monoscope (thermal microscope) and are uncorrected. Electronic and infrared spectra were run on Cary 17 and Perkin-Elmer 580B, respectively. The ^1H NMR and the mass spectra were measured with Varian T60A and Varian MAT 311A, respectively. Microanalyses were determined by A. Bernhardt Microanalytical Laboratory, GFR.

Table I. Electronic and Nuclear Magnetic Resonance Spectral Data of Compounds III–VII

	electronic spectra (Ethanol)		NMR (DMSO-d_6)			electronic spectra (Ethanol)		NMR (DMSO-d_6)	
compd	λ_{max}, nm	ϵ	δ	assignment (no. of protons)	compd	λ_{max}, nm	ϵ	δ	assignment (no. of protons)
IIIa	230	8025	3.95 (d)	(2) CH_2	Ve	255	11055	3.20 (d)	(2) CH_2
	327	16735	7.33–7.87 (m)	(10) Ar-H + =CH		285	3870	7.26 (br)	(2) NH_2
IIIb	247	8010	3.80 (s)	(3) OCH_3		294	3040	7.60–8.94 (m)	(8) Ar-H
	352	17975	3.93 (d)	(2) CH_2		346	6080		
			6.83–7.93 (m)	(9) Ar-H + =CH	Vf	260	14565	3.06 (d)	(2) CH_2
IIIc	264	8130	3.83 (s)	(3) OCH_3		293	3350	6.20 (br)	(2) NH_2
	313	17505	4.0 (d)	(2) CH_2		347	8130	7.0–8.46 (m)	(8) Ar-H
			6.87–7.93 (m)	(9) Ar-H + =CH	Vg	255	11140	4.34 (d)	(2) CH_2
IIId	231	7955	4.20 (d)	(2) CH_2		288	6320	7.14 (br)	(2) NH_2
	325	16865	7.80–8.40 (m)	(9) Ar-H + =CH		295	6620	7.86–8.6 (m)	(8) Ar-H
IIIe	241	4965	4.18 (d)	(2) CH_2		353	8550		
	312	17175	7.46–8.40 (m)	(9) Ar-H + =CH	Vh	250	10780	3.06 (d)	(2) CH_2
IIIf	230	8165	3.96 (d)	(2) CH_2		283	7880	6.74 (br)	(2) NH_2
	322	17920	7.17–7.87 (m)	(9) Ar-H + =CH		292	7050	7.60–8.86 (m)	(11) Ar-H
IIIg	225	8370	3.93 (d)	(2) CH_2		337	6220		
	279	11260	7.20–8.0 (m)	(9) Ar-H + =CH	Vi	250	13170	3.14 (d)	(2) CH_2
	307	16745				285	6825	7.14 (br)	(2) NH_2
IIIh	270	11605	4.20 (d)	(2) CH_2		293	6070	7.86–8.34 (m)	(11) Ar-H
	398	5910	7.60–8.66 (m)	(12) Ar-H + =CH		348	7960		
IIIi	278	13130	4.34 (d)	(2) CH_2	Vj	265	12765	3.34 (d)	(2) CH_2
	288	14630	766–8.60 (m)	(12) Ar-H + =CH		285	8510	6.34 (br)	(2) NH_2
	333	16130				292	8085	7.40–8.06 (m)	(7) Ar-H
IIIj	230	4710	3.90 (d)	(2) CH_2		335	8510		
	270	5025	6.97–7.77	(8) Ar-H + =CH	Vk	257	12595	3.34 (d)	(2) CH_2
	353	18840				287	10335	7.26 (br)	(2) NH_2
IIIk	226	4520	4.0 (d)	(2) CH_2		354	9040	7.40–8.60 (m)	(7) Ar-H
	270	4670	6.40–7.87 (m)	(8) Ar-H + =CH		366	8300		
	354	17505			VIf	255	13480		
Va	253	10605	2.72 (d)	(2) CH_2		316	13480		
	285	4335	6.10 (br)	(2) NH_2	VIh	223	20475		
	293	4060	7.07–7.70 (m)	(9) Ar-H		245	7320		
	342	5348				279 (sh)	4245		
Vb	260	11805	4.14 (d)	(2) CH_2	VIIf	265	11440		
	283	3620	7.14 (br)	(2) NH_2		312	9765		
	345	6090	7.60–8.46 (m)	(8) Ar-H		350	8080		
Vc	250	12030	3.40 (d)	(2) CH_2	VIIh	222	25835		
	286	3770	4.13 (s)	(3) OCH_3		273	6135		
	295	4370	7.20 (br)	(2) NH_2		340	3745		
	345	7890	7.60–8.62 (m)	(8) Ar-H	VIIj	273	6575		
Vd	250	10670	4.26 (d)	(2) CH_2		304	4655		
	283	8000	6.94 (br)	(2) NH_2		338	4460		
	292	7275	7.40–8.30 (m)	(8) Ar-H					
	345	9700							

Table II. Mass Spectra of Compounds V–VII Indicating the Molecular Ions

	MS	
compd	m/e	% of base peak
Va	259	28.33
Vf	309	100
Vi	292	100
Vk	249	100
VIf	355	73.88
VIIf	294	100
VIIh	310	91.31

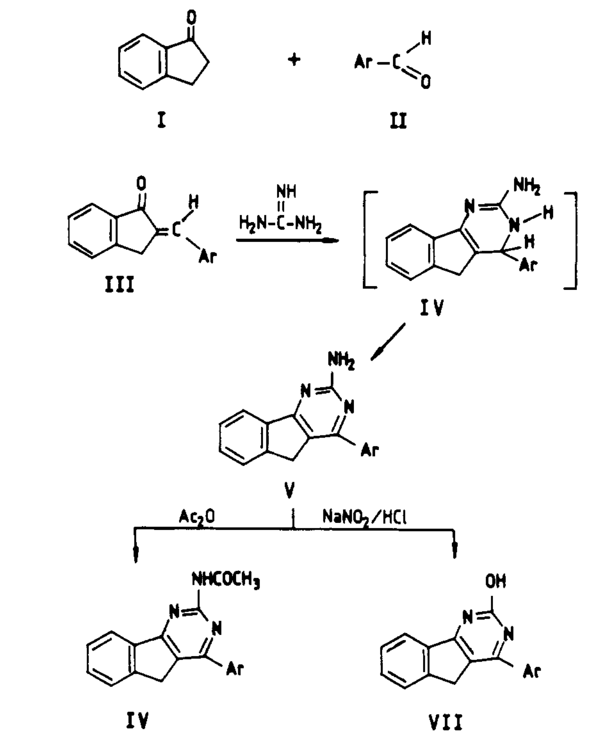
Table III. Yields and Melting Points of Compounds III, V–VII

compd	yield, %	mp, °C	compd	yield, %	mp, °C
IIIa	88	108	Vd	79	218–219
IIIb	90	134	Ve	78	188–189
IIIc	91	138	Vf	87	245–246
IIId	89	185–186	Vg	84	215–216
IIIe	92	140–141	Vh	82	193–194
IIIf	87	174–175	Vi	80	230–231
IIIg	84	155–156	Vj	88	251–252
IIIh	89	118–119	Vk	78	280–281
IIIi	83	177–178	VIf	92	200–201
IIIj	84	152–153	VIh	94	189–190
IIIk	80	113	VIIf	90	274–175
Va	81	190–191	VIIh	89	>360
Vb	83	240–241	VIIj	88	>360
Vc	85	197–198			

Preparation of 2-Arylidene-1-indanones (IIIa–k). General Procedure. Equimolar amounts of the aldehydes (0.1 mol) and 1-indanone (0.1 mol) in ethanol (100 mL) were treated with an aqueous solution of sodium hydroxide (5 g/10 mL of water). Addition of the base was carried out during 20 min and the mixture was stirred for a further 3 h. The precipitated product was filtered off and crystallized from hexane or cyclohexane to give the indanone product III.

Condensation of 2-Arylidene-1-indanones (III) with Guanidine. General Procedure. To a solution of 0.02 mol (1.9 g) of guanidine hydrochloride in 20 mL of ethanol was added 0.02 mol (0.8 g) of sodium hydroxide. The solution was stirred for 15 min and the precipitated sodium chloride was filtered. The filtrate was added to an ethanolic solution of 0.004 mol of the chalcone III, and the mixture was refluxed for 6 h and then concentrated and water added. After acidification the precip-

Scheme I



Compound	Ar	Compound	Ar
II-VIIa	C_6H_5	II-VIIf	$p\text{-}ClC_6H_4$
b	$p\text{-}OCH_3\text{-}C_6H_4$	g	$o\text{-}ClC_6H_4$
c	$m\text{-}OCH_3C_6H_4$	h	$1\text{-}C_{10}H_7$
d	$p\text{-}Br\text{-}C_6H_4$	i	$2\text{-}C_{10}H_7$
e	$m\text{-}Br\text{-}C_6H_4$	j	$2\text{-}C_4H_3S$
		k	$2\text{-}C_4H_3O$

itated material was filtered, washed with water, and dried. Crystallization from benzene gave 5*H*-2-amino-4-arylindeno-[1,2-*d*]pyrimidines (V).

Acetylation of the 2-Aminopyrimidines (Vf,h). A mixture of the pyrimidine derivatives (1 g) and acetic anhydride (5 mL) was refluxed for 2 h. The product was poured in 30 mL of water-ethanol mixture (1:1) and cooled. The precipitated solid was filtered off. Crystallization from ethanol-water gave the corresponding 5*H*-2-acetamido-4-arylindeno[1,2-*d*]pyrimidines (VIf,h).

Reaction of 2-Aminopyrimidines Vf,h,j with Nitrous Acid. A solution of sodium nitrite (1.5 g) in water (10 mL) was added dropwise to a solution of the pyrimidine derivative (1.0 g) in glacial acetic acid (15 mL). The precipitated solid was crystallized from benzene to give the corresponding 5*H*-4-arylindeno[1,2-*d*]-2(1*H*)-pyrimidinone (VII).

Registry No. I, 83-33-0; IIa, 100-52-7; IIb, 123-11-5; IIc, 591-31-1; IId, 1122-91-4; IIe, 3132-99-8; IIf, 104-88-1; IIg, 89-98-5; IIh, 66-77-3; IIi, 66-99-9; IIj, 98-03-3; IIk, 98-01-1; IIIa, 5706-12-7; IIIb, 5706-14-9; IIIc, 110117-34-5; IIId, 5706-19-4; IIIe, 81975-58-8; IIIf, 5706-17-2; IIIg, 5706-16-1; IIIh, 92882-96-7; IIIi, 92882-97-8; IIIj, 5706-21-8; IIIk, 6072-51-1; Va, 110117-35-6; Vb, 110117-36-7; Vc, 110117-37-8; Vd, 110117-38-9; Ve, 110117-39-0; Vf, 110117-40-3; Vg, 110117-41-4; Vh, 110117-42-5; Vi, 110117-43-6; Vj, 110117-44-7; Vk, 110117-45-8; VIf, 110117-46-9; VIh, 110117-47-0; VIIf, 110117-48-1; VIIh, 110117-49-2; VIIj, 110117-50-5; guanidine hydrochloride, 50-01-1.

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Synthesis and Spectral Studies of Some New Sulfides, Sulfoxides, and Sulfones. 2

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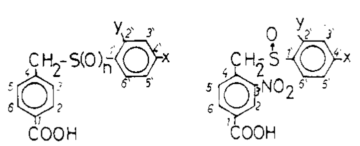
New substituted benzylphenyl sulfides, sulfoxides, and sulfones have been synthesized. Their structures were confirmed by IR, ^{1}H NMR, and mass spectra.

As a continuation of our interest in substituted benzylphenyl sulfides, sulfones, and sulfoxides, a new series has been synthesized. Sulfides (**1a–h**) and sulfones (**3a–h**) have been prepared by conventional procedures (*1–3*). The sulfoxides were prepared as described in the literature (*6*, *7*). The structures of the synthesized compounds were investigated by IR, ^{1}H NMR, and mass spectra.



n =(1)o , (2)1 , (3) 2 (4)

	x	y		x	y
a	H	H	e	Cl	H
b	CH_3	H	f	Br	H
c	OCH_3	H	g	NO_2	H
d	NH_2	H	h	H	COOH

The mass spectra (*9*, *10*) of **1a**, **1c**, **3a**, and **4b** were studied. The relative intensities of the most prominent peaks in their

