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Note

Products of 3-Acetyl-4-hydroxycoumarins with Some α -Aminoxy and α -Aminocarboxylic Acids

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3-Acetyl-4-hydroxycoumarin¹ and 3-acetyl-4-hydroxy-6-bromocoumarin^{1,2} were condensed with α -aminoxy carboxylic acids³ and some α -aminoacids. We expect the obtained derivatives of oximes and azomethines to show bactericidal activity, characteristic for compounds containing the aminoxy group³, also and for azomethines of 4-hydroxycoumarins⁴. Some oximes of aminoxy acetic acid were already prepared with the same purpose⁵.

EXPERIMENTAL

Equimolar solutions of reactants (α -aminoxy-carboxylic acids in the form of hydrochloride and 3-acetyl-4-hydroxycoumarins) in 96% ethanol in the presence of a 100 percent excess of sodium acetate are used. The mixture was refluxed for two hours, and the usually oily residue was slurried with several ml. of water. The precipitate was made alkaline with a 10% NaOH solution to pH 9.

The unchanged 3-acetyl-4-hydroxycoumarin was removed by extraction with ether. The aqueous phase was then made acidic and the product was precipitated and recrystallized from organic solvents (Tables I and II).

The structure of the products was checked by IR and UV spectra.

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IZVOD

Kondenzacioni produkti 3-acetil-4-hidroksikumarina s nekim α -aminooksii i α -aminokarbonskim kiselinama

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Pripremljen je stanovit broj kondenzacionih produkata između 3-acetil-4-hidroksikumarina, odnosno 3-acetil-4-hidroksi-6-brom-kumarina i raznih α -aminooksii karbonskih kiselina i α -aminokiselina.

Neki od priređenih spojeva mogli bi pokazati baktericidnu aktivnost.

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TABLE I



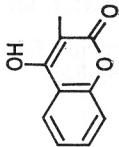
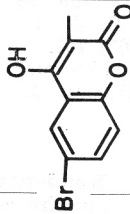
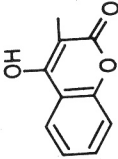
No	R ₁	R ₂	Formula	M.p., C°	Yield %	Cryst. from	C %		H %		N %	
							Calc'd.	Found	Calc'd.	Found	Calc'd.	Found
1	CH ₃		C ₁₄ H ₁₃ NO ₆	146—9	57	Ether-petroleum ether	57.76	57.52	4.50	4.22	4.81	4.75
2	CH ₃ CH ₂	"	C ₁₅ H ₁₅ NO ₆	160	60	"	59.07	58.95	4.96	4.81	4.58	4.87
3	(CH ₃) ₂ CH	"	C ₁₆ H ₁₇ NO ₆	120—3	70	"	60.24	60.49	5.73	5.45	4.38	4.67
4	(CH ₃) ₂ CHCH ₂	"	C ₁₇ H ₁₉ NO ₆	150—3	67	"	61.31	61.52	5.75	5.45	4.20	4.11
5	C ₆ H ₅	"	C ₁₉ H ₁₅ NO ₆	180—4	87	"	64.64	64.45	5.43	5.58	3.96	4.06
6	C ₆ H ₅ CH ₂	"	C ₂₀ H ₁₇ NO ₆	126—9	75	"	65.45	65.74	4.39	4.50	3.81	3.70
7	CH ₃		C ₁₄ H ₁₂ BrNO ₆	127	57	Aqueous ethanol	45.44	45.25	3.27	3.48	3.78	4.05
8	(CH ₃) ₂ CHCH ₂	"	C ₁₇ H ₁₈ BrNO ₆	178	69	"	49.56	49.75	4.40	4.18	3.39	3.44
9	(CH ₃) ₂ CH	"	C ₁₆ H ₁₆ BrNO ₆	148	52	"	48.28	48.58	4.05	4.30	3.51	3.69
10	C ₆ H ₅	"	C ₁₉ H ₁₄ BrNO ₆	190	84	"	52.82	52.60	3.28	3.55	3.22	3.32
11	C ₆ H ₅ CH ₂	"	C ₂₀ H ₁₆ BrNO ₆	149	81	"	53.86	53.60	3.62	3.85	3.13	3.32

TABLE II



No	R ₁	R ₂	Formula	M.p. ^o C ^o	Cryst. from	Yield %	C ^o %		H ^o %		N ^o %	
							Calc'd.	Found	Calc'd.	Found	Calc'd.	Found
1	CH ₃		C ₁₄ H ₁₃ NO ₅	197—8	Ethanol	67	61.14	61.40	4.77	4.50	5.08	5.26
2	(CH ₃) ₂ CH	"	C ₁₆ H ₁₇ NO ₅	127	"	70	63.42	63.25	5.66	5.35	4.62	4.53
3	CH ₃ (CH ₂) ₂	"	C ₁₆ H ₁₇ NO ₅	215	"	56	63.42	63.58	5.66	5.47	4.62	4.30
4	(CH ₃) ₂ CHCH ₂	"	C ₁₇ H ₁₉ NO ₅	128	"	64	64.41	64.70	6.04	6.29	4.41	4.54
5	CH ₃ (CH ₂) ₃	"	C ₁₇ H ₁₉ NO ₅	140—2	"	75	64.41	64.25	6.04	5.85	4.41	4.31
6	C ₆ H ₅ CH ₂	"	C ₂₀ H ₁₇ NO ₅	208—10	"	72	68.43	68.66	4.88	4.52	3.98	4.20