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RECENTLY PUBLISHED RESEARCH OF THE ALL-UNION CHEMICO-PHARMACOLOGICAL SCIENTIFIC RESEARCH INSTITUTE USSR

"Chemistry of Hydroxyfuchsones: I. Eupittone and Rubrophene," I. Ya. Postovskiy, A. M. Eydlin. All-Union Chem Pharm Sci Res Inst, Sverdlovsk

"Zhur Obshch Khim" Vol 16, 1946, pp 2043-52

New syntheses of eupittone (3,3',3",5,5',5"-hexamethoxy-4,4'-dihydroxy-fuchsone) (I) and rubrophene (3,3',3"-trimethoxy-4,4'-dihydroxyfuchsone) (II) are described. Both I and II stimulate blood production in guinea pigs; similar effect produced by aurin.

"Cleavage of Hydroxyfuchsone," I. Ya Postovskiy, A. M. Eydlin, All-Union Chem Pharm Sci Res Inst, Sverdlovsk

"Zhur Obshch Khim" Vol 16, 1946, pp 2053-64

Since eupittone (I) and rubrophene (II) have been reported as having some tuberculostatic properties, the possibility of such activity residing in fragments of I and II prompted the study of the cleavage of these fuchsones under a variety of conditions. Aurin (III) was also included in the study. Shaking I, II, or III in 5% MeOH under about 200 mm pressure of O and determining the utilized O gave O-utilization curves which are presented. III is essentially completely cleaved in 12 hours, II requires 15 hours, while I is unchanged in 15 hours. Similar oxidation of benzoquinone, toluquinone, and methoxyquinone led to completion of the reaction within 2 hours; MeOH was unchanged in 5 hours.

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Relative stability of the MeO derivatives to cleavage is discussed in the light of possible resonance and the greater resistance to hydrate formation exhibited by the MeO derivatives in comparison with the HO derivatives and quinones.

"Preparation of 3-hydroxyl-1,4-pyrone and Some of Its Derivatives," G. A. Garkusha, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 16, 1946, pp 2025

Technical Ca meconate added to concentrated HCl solution, treated with charcoal, filtered and cooled, gave crude meconic acid; further filtration and cooling gave meconic acid. Comenic acid was prepared from meconic acid by treatment with concentrated HCl solution at boiling point. Comenic acid and powdered Cu were heated in a stream of CO_2 to 240° in the course of 8-12 hours with collection of the distillates; the sublimed solid, separated from the fluid portion, was recrystallized from EtOH to give 3-hydroxy-1,4-pyrone; it melts at 117-18°, gives a blood-red color with $FeCl_3$. Heating it with BzCl in the presence of a little H_2SO_4 in CHCl gave what appeared to be benzoxy-1,4-pyrone. Pyrone was treated with an aqueous solution of ICl from iodine; decolorization with bisulfite gave 2-iodo-3-hydroxy-1, 4-pyrone.

"The Chemical Structure of 2-Sulfarilamidopyridine and of its *N*-Substituted Derivatives of the Alkyl Carboxylic-acid Type," O. Yu. Magidson, A. S. Elina, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 16, 1946, pp 1933-40

Available evidence for the "normal" and the 2-pyridonimine structures of sulfapyridine is presented. In a search for a sulfapyridine derivative which is soluble at physiological p^H levels, a number of carboxylic acid derivatives were prepared by condensation of Na sulfapyridine with appropriate terminally-substituted halo esters. Products on hydrolysis give substances whose properties indicate a pyridonimine structure, i.e., the RCO_2H group is attached to the 1-position in the pyridine nucleus. None of the products showed appreciable activity toward staphylococcus infections; carbonate derivative showed some activity toward dysentery, but had poor solubility; the AcOh derivative showed activity toward pneumonia and dysentery approximately equivalent to sulfapyridine and was soluble at p^H 7.2 (as the Na salt).

"Preparation of 6-Methoxy-4-(4-Diethylamino-1-Methyl-butylamino) Quinoline," M. V. Rubtsov, M. V. Lizgunova, M. D. Saconova, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 16, 1946, pp 1873-6

Two methods are explored for the synthesis of the 4-isomer of plasmochin. Syntheses procedures starting with 6-methoxy-4-chloroquinoline-HCl and with 6-methoxy-4-chloroquinoline are described.

"Polarigraphic Study of the Half-Wave Potentials of Substituted Quinones, Phenones, and Fuchsones," A. G. Stromberg, L. M. Reymus, All-Union Chem Pharm Res Inst, Sverdlovsk

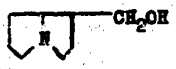
"Zhur Obshch Khim" Vol 16, 1946, pp 1431-42

In phenones and fuchsones, Me, OMe, and two MeO groups (in 2,6-positions) give almost no potential variations. In the quinone series, the potentials are progressively shifted to more negative values. Values are given at 25° in the absence of EtOH and at 60° after addition of 30 volume-% EtOH. Fuchsones produced two waves, values of which are given at 60° in the presence of 30 volume-% of EtOH. Existence of two waves in fuchsones attributed to the formation of an intermediate semifuchsone. Possibility of a connection between the reduction-oxidation potential and biological activity corroborated by the finding that the fuchsones studied have the same ability to promote hemoglobin production in guinea pigs.

"Alkaloids of Trachelanthus Korolkovi: III. Structure of Trachelanthamidine, the Amino Alcohol Formed in the Hydrolysis of the Alkaloid Trachelanthamine," G. P. Men'shikov, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 16, 1946, pp 1311-16

Oxidation of trachelanthamidine with CrO_3 in dilute H_2SO_4 gave an amino acid, $C_8H_{13}O_2N$, which crystallizes as a monohydrate. Decarboxylation by means of CaO hydrate gave a base, $C_7H_{13}N$, identical with Prelog's pyrrolizidine. It is concluded that pseudoheliotridane (source of trachelanthamidine) has the structure of 1-methylpyrrolizidine, similar to heliotridane, and that the difference in the course of the Hofmann degradation in the two cases is due to diastereomerism. Since the OH group is primary in trachelanthamidine, the latter must have the structure



"Determination of Pressure and Composition of Vapor Mixtures of Benzene With Chloroform and Changes of Free Energy and Entropy of Their Formation," V. A. Kireyev, I. P. Sitnikov, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 16, 1946, pp 972-82

Results of vapor composition and determination of mixtures of benzene and $CHCl_3$ are given in tabular form at 25.05°, 34.6°, and 44.55°. Changes of free energy and entropy are calculated in the formation of the solutions.

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"Neutral Esters of Sulfuric Acid and Polyatomic Alcohols," M. Ya. Kraft, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim", Vol 16, 1946, pp 677-84

Neutral esters of H_2SO_4 and polyatomic alcohols may be prepared by the reaction of chlorocarbonate esters on acid H_2SO_4 esters. Most readily preparable and most stable are those esters whose β-C atom does not have an H atom. Preparation of derivatives of an alcohol with a secondary OH (glycerol) failed. Syntheses procedures described.

"Sulfanilamide Derivatives of Aromatic Arsonic Acid: I. Sulfanilamide Compounds of Para-Aminobenzenearsonic Acid," S. V. Vasil'yev, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 16, 1946, pp 451-4

Na arsanilate in water was treated with para-AcNHC$_6$H$_4$SO$_2$Cl to yield, on cooling and partial concentration, para-(N^4-acetylsulfanilamido)-benzenearsonic acid. The Ac compound was hydrolyzed by stirring with HCl to yield para-sulfanilamidobenzenearsonic acid (I), the constants of which are not given. I in H_2O and 3 N NaOH was treated with $Na_2S_2O_4$ to yield 4,4'-disulfanilamidoarsenobenzene. However, when the reduction was performed by SO_2 in NaOH solution there obtained N'-(para-arsenosophenyl) sulfanilamide. The acid was inactive against spirochetes or trypanosomes, while the last two compounds were 24 times less active than novarsenol.

"Alkamino Esters of Tetrahydro-ar-4-Amino-1-Naphthoic Acid," S. I. Sergiyevskaya, A. A. Kropacheva, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 15, 1945, pp 996-1000

Following new alkamino esters were prepared, all of which were found to have definite anesthetic properties. Et tetrahydro-ar-4-amino-1-naphthoate (I), Me$_2$NCH$_2$CH$_2$OH, Na, and absolute EtOH were heated; after removal of the EtOH and excess amino alcohol in vacuo, the residue was poured into water and extracted with Et_2O. Addition of Et_2O-HCl to the dried extract gave 2-dimethylaminoethyl tetrahydro-ar-4-amino-1-naphthoate-2HCl. Treatment of the subject acid, KOH, EtOH, and ClCH$_2$CH$_2$CH$_2$NEt$_2$ by heating to boiling, filtering, and concentration, followed by solution in absolute EtOH and addition of alcoholic HCl, gave 3-diethylaminopropyl tetrahydro-ar-4-amino-1-naphthoate-2HCl. I was treated with 4-diethylamino-1-butanol and Na, and the mixture was heated on an oil bath, after which the excess amino alcohol was removed in vacuo and the residue poured in water and extracted with Et_2O. Treatment of the extract with Et_2O-HCl gave 4-diethylaminobutyl tetrahydro-ar-4-amino-1-naphthoate-2HCl. I, Na, EtOH, and 1-diethylamino-3-butanol heated on an oil bath, and treated as above, gave 3-diethylamino-1-methylpropyl tetrahydro-ar-4-amino-1-naphthoate-2HCl.

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"Determination of Quinine Alkaloids Which Do Not Contain a Methoxyl Group in a Mixture of Quinine Alkaloids," N. E. Zeligson, A. K. Sin'kovskaya, All-Union Chem Pharm Inst, Moscow

"Zhur Obshch Khim" Vol 15, 1945, pp 957-61

Determination of MeO-free quinine alkaloids is based on catalytic hydrogenation, followed by cleavage of MeO groups by boiling with HBr and treatment with alkali; extraction with Et_2O or $CHCl_3$ gives hydrocinchonine and hydrocinchonidine which are determined acidimetrically. Hydrogenation is done in the presence of $BaSO_4$-Pd in 10% HCl.

"Condensation of 6-Ethoxy-1,2,3,4-Tetrahydronaphthalene With Succinic Anhydride and the Preparation of 6-Ethoxy-1,2,3,4-Tetrahydronaphthylbutyrolactone," S. I. Sergievskaya, A. V. Danilova, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 16, 1946, pp 1077-86

6-Ethoxy-1,2,3,4-tetrahydronaphthalene, succinic anhydride, and dry $PhNO_2$ were treated slowly with $AlCl_3$; after addition of HCl the mass was extracted with Et_2O, from which there were obtained several compounds, all of which analyzed for (ethoxytetrahydronaphthoyl)-propionic acid. It was shown that two of the compounds were individual compounds, while the third was a mixture of the two. Similar condensation in CS_2 led to a mixture of the above substances. The products were separated by crystallization from EtOH and identified as: 6-ethoxy-1,2,3,4-tetrahydro-7(or 8)-naphthoylpropionic acid (I) and the 8(or 7)-naphthoyl isomer (II). I forms an oxime which melts at a higher temperature than the oxime of II. Clemmensen reduction of I gave the corresponding butyric acid, which on heating with P_2O_5 in PhMe, gave 1,2,3,4,5,6,7,8-octahydro-x-ketoethoxyphenanthrene, reduced with amalgamated Zn in HCl-PhMe to 1,2,3,4,5,6,7,8-octahydro-9-ethoxyphenanthrene (III). Clemmensen reduction of II gave the corresponding butyric acid, which on cyclization with P_2O_5 in boiling PhMe, gave an isomer of the ketophenanthrene derivative, reduced with amalgamated Zn to III, thus showing that I and II are 7- and 8-isomers. Further treatment of I is described in the preparation of the lactone of γ-(6-ethoxy-1,2,3,4-tetrahydronaphthyl)-γ-hydroxybutyric acid.

"Oxidation of Toluene Derivatives to Benzoic Acids by Pyrolusite," I. Kh. Fel'dman, V. S. Usovskaya, V. N. Mel'nikova, V. M. Fedoseeva, All-Union Chem Pharm Inst, Moscow

"Zhur Obshch Khim" Vol 15, 1945, pp 962-6

Following procedure used: diluted H_2SO_4 and the toluene were vigorously stirred and slowly treated with concentrated H_2SO_4 and MnO_2; the reaction mass was then diluted, filtered, washed, and reprecipitated from alkaline solution by HCl or H_2SiF_6. H_2SO_4, $2,4\text{-}Cl_2C_6H_3Me$, MnO_2 and commercial

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concentrated H_2SO_4 gave 2,4-dichlorobenzoic acid. H_2SO_4, 2-chloro-4-nitrotoluene, MnO_2 and commercial concentrated H_2SO_4 gave 2-chloro-4-nitrobenzoic acid. Treatment with para-nitrotoluene gave para-nitrobenzoic acid.

"Tetrahydro-ar-1(and 2)-Thionaphthoic Acids and Their Derivatives," S. I. Sergiyevskaya, E. G. Nikhamkina, All-Union Chem Pharm Inst, Moscow

"Zhur Obshch Khim" Vol 15, 1945, pp 988-95

Preparation of subject acids and their esters described. Esters include Et, Pr, 2-chloroethyl, 3-chloropropyl esters, 2-diethylaminoethyl ester-HCl, and 3-diethylaminopropyl ester-HCl. Physical properties are given. The alkamine esters are not effective anesthetics.

"Tetrahydro-ar-1-(and 2)-Naphthoic Acids and Their Derivatives," S. I. Sergiyevskaya, E. G. Nikhamkina, All-Union Chem Pharm Inst, Moscow

"Zhur Obshch Khim" Vol 15, 1945, pp 940-6

Syntheses for the preparation of subject acids and their derivatives from ar-1-Aminotetralin and HCl described. Physical properties are also given.

"Alkaloids of Cacalia Hastata," V. S. Konovalov, G. P. Men'shikov, All-Union Chem Pharm Res Inst, Moscow

"Zhur Obshch Khim" Vol 15, 1945, pp 328-31

Air-dried Cacalia hastata (super-soil portions only) was thoroughly wetted by 10% NH_4OH and extracted with $C_2H_4Cl_2$; extract was extracted with 5% H_2SO_4, and the latter made alkaline with 25% NH_4OH and extracted with $CHCl_3$. After drying and removing the solvent, a tarry product, which crystallized slowly to yield the hastacine, was obtained. The alkaloid is soluble in EtOH, $CHCl_3$, and Me_2CO, slightly soluble in Et_2O. Its composition is $C_{18}H_{27}NO_5$. The alkaloid is hydrolyzed by boiling in 7% alcoholic KOH to yield a dibasic HO acid, $C_8H_{13}(OH)-(CO_2H)_2$, which was named hastanecinic acid, and an amino glycol, $C_8H_{15}NO_2$, which was named hastanecine. The alkaloid possesses excellent spasmolytic properties.

"Arecoline N-oxide (Genarecoline)," M. N. Zhukhkina, A. Ya. Berlin, E. D. Samonova, All-Union Chem Pharm Res Inst, Moscow

"Zhur Priklad Khim" Vol 18, 1945, pp 634-7

Arecoline-HBr was converted into the free base by treatment with saturated K_2SO_3. The Et_2O extract of the mixture, after drying, was added to an Et_2O solution of Ba_2O_2 containing 0.025 atom of active O. The mixture was then treated with picric acid and allowed to stand, to

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yield arecoline N-oxide picrate. The picrate was further treated with HCl and several portions of $CHCl_3$ to yield arecoline N-oxide-HCl. Treatment of this with K_2CO_3 gave the free base as a yellowish oil. Treatment of the HCl salt with SO_2 in water gave arecoline sulfamate as shiny needles. The mother liquor contained arecoline which was isolated as the oxalate. The indications are that SO_2 effects the reduction of the oxide to the free base, the sulfamic ester being an intermediate.

"Preparation of Dihydrohydroxycodeinone From Thebaine," I. Kh. Fel'dman, A. I. Lyutenberg, All-Union Chem Pharm Res Inst, Moscow

"Zhur Prikład Khim" Vol 18, 1945, pp 715-17

Thebaine was treated with AcOH, stirred until solution occurred, and the mixture was treated with H_2O_2. Most of the AcOH was removed in vacuo, and the residue was cooled and treated with concentrated NH_4OH. The precipitated hydroxycodeinone was filtered off, washed, and dried; treatment with concentrated HCl, following by washing with Na_2CO_3, gave the HCl salt. The HCl salt in EtOH was hydrogenated in the presence of Raney Ni to yield dihydrohydroxycodeinone-HCl. Hydrogenation may also be conducted with a Pd catalyst.

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