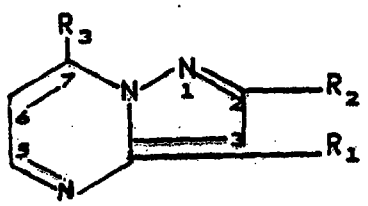
Description

SUMMARY OF THE INVENTION

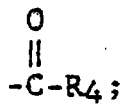
This invention relates to new organic compounds which are [7-(3-disubstituted amino)phenyl]pyrazolo-[1,5-a]pyrimidines, which are useful as anxiolytic and antiepileptic agents as well as sedative-hypnotic agents and skeletal muscle relaxants. This invention also relates to the methods of using the novel compounds, to compositions of matter containing them as the active ingredient and to processes for their production.

DETAILED DESCRIPTION OF THE INVENTION

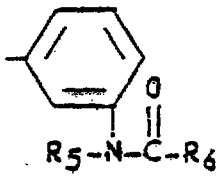
The novel compounds of this invention are represented by the following structural formula:



wherein R_1 is selected from the group consisting of:
hydrogen, halogen, cyano and

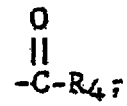


R_2 is selected from the group consisting of hydrogen and alkyl(C_1-C_3); R_3 is



R_4 is selected from the group consisting of hydrogen, alkyl(C_1-C_6) and alkoxy(C_1-C_6); R_5 is selected from the group consisting of hydrogen, alkyl(C_1-C_6), alkenyl(C_2-C_6), $-CH_2C{\equiv}CH$, cycloalkyl(C_3-C_6)methyl, $-CH_2OCH_3$ and $-CH_2CH_2OCH_3$; and R_6 is selected from the group consisting of alkyl(C_1-C_6), cycloalkyl(C_3-C_6), -O-alkyl(C_1-C_6), -NH-alkyl(C_1-C_3), -N-dialkyl(C_1-C_3), $-(CH_2)_n$-O-alkyl(C_1-C_3), $-(CH_2)_n$-NH-alkyl(C_1-C_3) and $-(CH_2)_n$-N-dialkyl(C_1-C_3), where n is an integer 1 to 3 inclusive.

The most preferred compounds of this invention are the compounds of the above formula wherein R_1 is cyano or

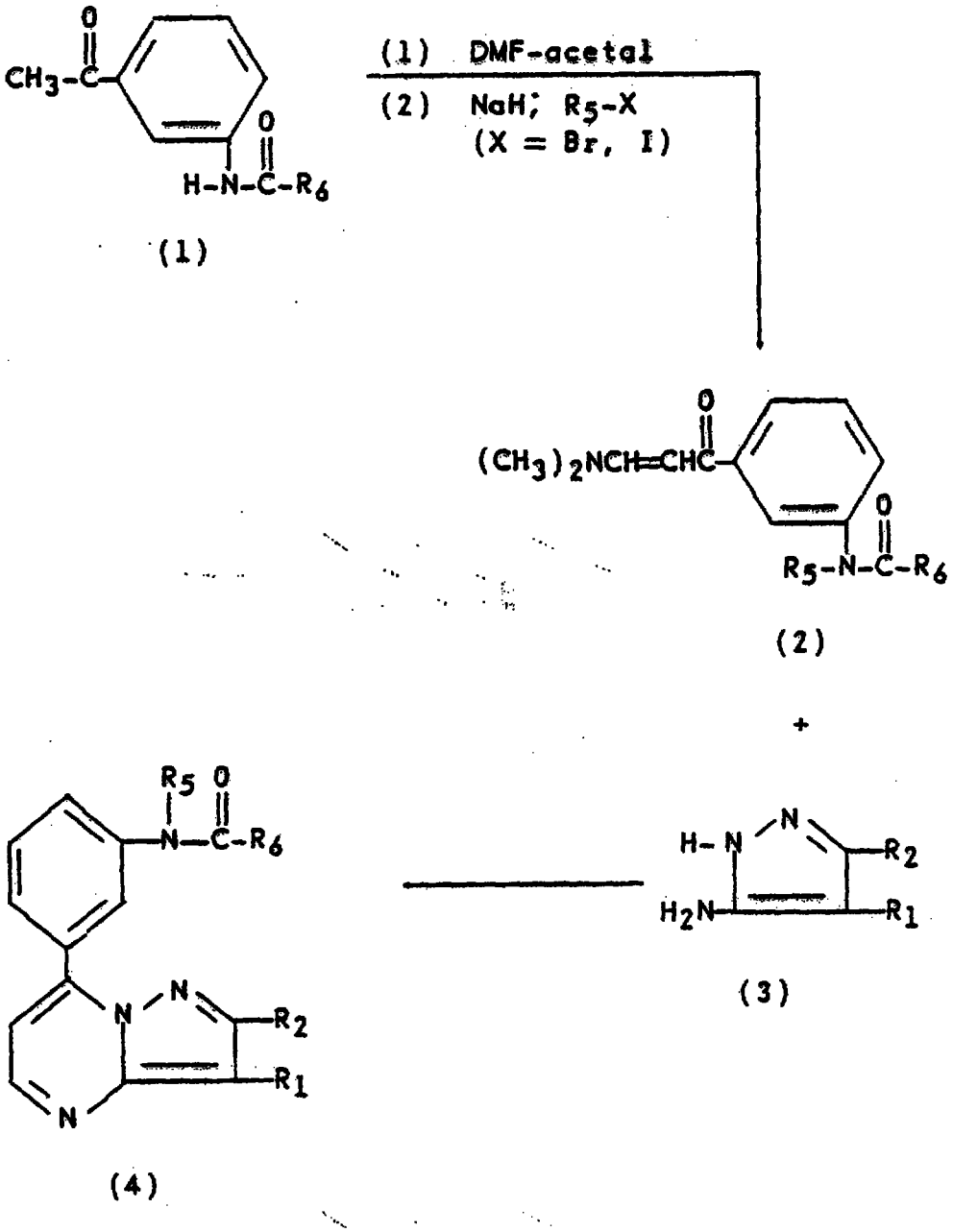


R_2 is hydrogen; R_4 is alkyl(C_1-C_6);
R_5 is alkyl(C_1-C_6), alkenyl(C_2-C_6) or $CH_2C{\equiv}CH$; and R_6 is alkyl(C_1-C_6), cycloalkyl(C_3-C_6) or -O-alkyl(C_1-C_6).

The instant invention is additionally concerned with the methods which employ the above-described compounds in mammals to treat anxiety or epilepsy and to induce a sedative-hypnotic effect or relax skeletal muscles, with compositions of matter containing the above-described compounds and with processes for producing the compounds.

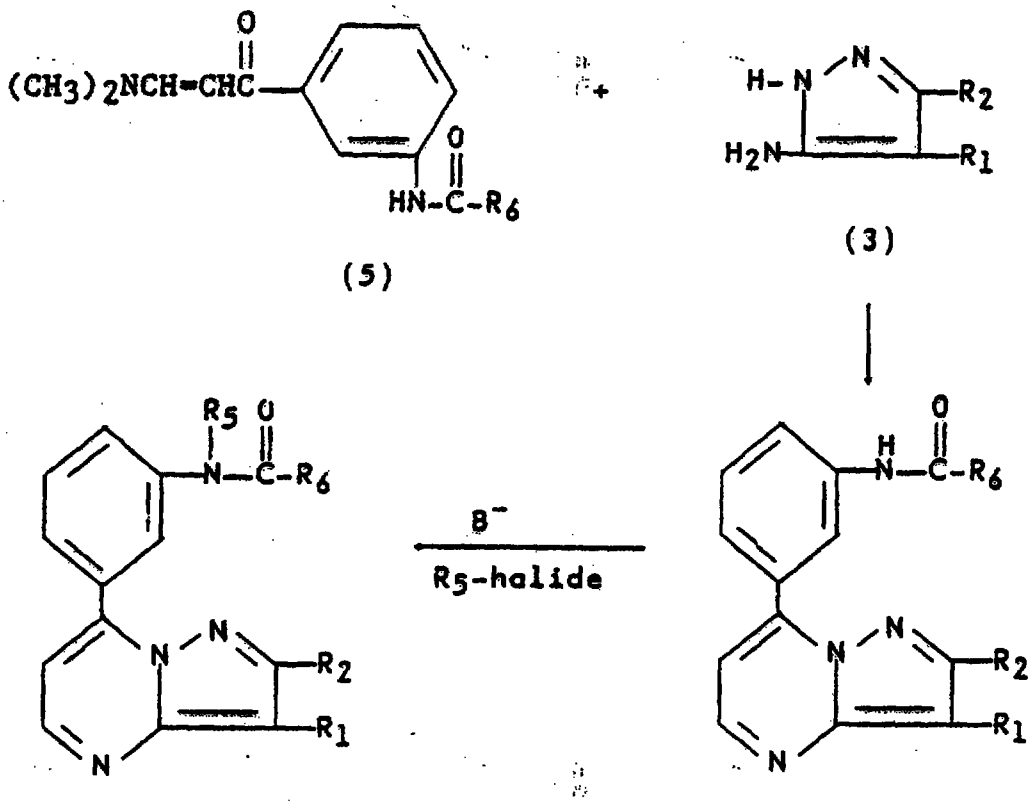
EP-0 129 847 and US-A-4 178 449 describe pyrazolo [1,5-a] pyrimidines substituted, inter alia, at the 2,3 and 7 position, which exhibit anxiolytic or antiepileptic activity.

The novel compounds of this invention may be readily prepared as set forth in the following reaction scheme:



In accordance with the above reaction scheme a 1-acetylphenyl-3-amide (1), where R_6 is as described above is reacted with dimethylformamide dimethylacetal at reflux giving an N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]alkanamide, which is then reacted with sodium hydride, and the anion generated is reacted with an alkyl halide, where R_5 is as described, above giving the N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]-phenyl]-N-alkylalkanamide (2). This compound is then reacted with a 3-aminopyrazole (3), where R_1 and R_2 are as described above, in glacial acetic acid at reflux, giving the product (4).

Alternatively, N-[3-[3-(dialkylamino)-1-oxo-2-propenyl]phenyl]alkanamide (5) is reacted with a 3-aminopyrazole (3) to give intermediates (6) which are reacted with a base such as sodium hydride, sodium alkoxide and the like and an R_5-halide to give the products (4).



The performance of the novel compounds of the present invention in standard tests with laboratory animals which are known to correlate well with relief of anxiety in man indicates that they possess central nervous system activity at nontoxic doses and thus are useful as anxiolytic agents. Furthermore, these compounds have been shown by biological data to be useful as antiepileptic agents, particularly in the treatment of grand mal epilepsy seizures, and as sedative-hypnotic and skeletal muscle relaxant agents.

The anti-anxiety and anticonvulsant properties of the novel compounds of the present invention have been established in a test which indicates anxiolytic and anti-epileptic activity by the measure of protection they provide from convulsions resulting from the administration of pentylenetetrazole. Single or graded dose levels of the test compounds were administered orally or intraperitoneally in a 2% starch vehicle, containing 0.5% v/v polyethylene glycol and one drop of Polysorbate 80 to groups of at least 4 rats. At 30 or 60 minutes, the rats were treated intravenously with pentylenetetrazole at a dose of 23 mg/kg of body weight. This dose is estimated to cause clonic seizures in 99% of unprotected rats. It has been reported [R. T. Hill and D. H. Tedeschi, "Animal Testing and Screening Procedures in Evaluating Psychotropic Drugs" in "An Introduction to Psychopharmacology", Eds. R. R. Rech and K. E. Moore, Raven Press, New York. p. 237-288 (1971)] that there is a high degree of correlation between the ability of compounds to inhibit the seizure-inducing effect of pentylenetetrazole in rats and the effectiveness of those compounds as anxiolytic and anticonvulsive agents in higher warm-blooded animals. The results of this test on representative compounds of the present invention are shown in Table I.

TABLE I

Protection Against Clonic Seizures Caused by Pentylenetetrazole in Rats

Compound	Dose (mg/kg)	% of Rats Protected
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide	25.0	100
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	25.0	100
N-[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	25.0	100
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylacetamide	6.25	100
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbamic acid, methyl ester	3.1	25
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, methyl ester	12.6	75
N-butyl-N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]acetamide	25.0	50
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, ethyl ester	25.0	25
[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, ethyl ester	25.0	25
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamide	25.0	100
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynylacetamide	6.25	100

TABLE I (continued)

Compound	Dose (mg/kg)	% of Rats Protected
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcyclobutanecarboxamide	25.0	50
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcyclopropanecarboxamide	25.0	75
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide	25.0	75
N-[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide	12.5	50
7-[3-(acetylmethylamino)phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	25.0	100
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylpropanamide	12.5	50
N-[3-(3-cyano-2-methylpyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]-N-methylpropanamide	25.0	100

Another test which has been used to assess anti-anxiety effects is a nonconditioned passive avoidance procedure described by J. R. Vogel, B. Beer and D. E. Clody, "A Simple and Reliable Conflict Procedure for Testing Anti-Anxiety Agents", Psychopharmacologia, 21, 1-7 (1971). A conflict situation is induced in rats by a modification of this method.

Groups of 6 native, Wistar strain rats, weighing 200-240 g each were deprived of water for 48 hours and food for 24 hours. The test compounds were administered in single or graded, oral or intraperitoneal doses, suspended in a 2% starch vehicle containing 0.5% v/v polyethylene glycol and one drop of polysorbate 80. Control animals received the vehicle alone. At 30 to 60 minutes each rat was placed in an individual plexiglass chamber. Water was available ad libitum from a tap located in the rear of the chamber. A 0.7 milliampere DC shocking current was established between the stainless steel grid floor and the tap. After 20 licks of non-shocked drinking, a shock was delivered for 2 seconds and then further shocks were delivered on a ratio of one shock for 2 seconds for every 20 licks. This was continued for a total of 3 minutes. The number of shocks taken by each rat during the 3 minute interval was recorded and compared to a control group. The test compounds are considered active if the number of shocks received by the test group is significantly higher than the control group by the Mann-Witney U test. Results of this test on representative compounds of this invention appear in Table II.

TABLE II

Nonconditioned Passive Avoidance Test in Rats

Compound	Dose (mg/kg)	Result
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide	0.4	Active
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	0.8	Active
N-ethyl-N-(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)acetamide	25.0	Active
N-[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	3.1	Active
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylacetamide	1.5	Active
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbamic acid, methyl ester	3.1	Active

TABLE II (continued)

Compound	Dose (mg/kg)	Result
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, methyl ester	12.5	Active
[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, ethyl ester	25.0	Active
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamide	3.1	Active
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynylacetamide	1.5	Active
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylpropanamide	6.2	Active
N-[3-(3-cyano-2-methylpyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]-N-methylpropan-amide	25.0	Active
7-[3-(acetylmethylamino)phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	25.0	Active
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide	1.5	Active
N-[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide	3.1	Active
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcyclobutanecarboxamide	25.0	Active

Another test utilized for the determination of anxiolytic activity is the measurement of the ability of test compounds to inhibit the binding of tritiated benzodiazepines to brain-specific receptors of warm-blooded animals. A modification of the method described by R. E. Squires, et al., Nature, 266, No. 21, p. 732 (April 1977) and H. Mohler, et al., Science, 198, p. 849 (1977) was employed.

Male albino rats (Wistar strain, weighing 150-200 g each) were obtained from Royalhart Farms. ^{3}H-Methyldiazepam (79.9 Ci/mmol) and ^{3}H-methylflunitrazepam (84.3 Ci/mmol) were obtained from New England Nuclear. The test compounds were solubilized in either dimethylformamide, acetic acid, ethanol or hydrochloric acid.

Whole cortex of rats was homogenized gently in 20 volumes of ice-cold 0.32 M sucrose, centrifuged twice at 1000 g for 10 minutes and then recentrifuged at 30,000 g for 20 minutes to produce a crude P_2-synaptosomal fraction. The P_2-fraction was either: (1) resuspended in twice the original volume in hyponotic 50 mM Tris.HCl (pH 7.4), or (2) resuspended in one-half the original volume in hypotonic 10 mM Tris.HCl (pH 7.4) and then was frozen (-20°C) until time of use. Frozen P_2 preparations were thawed and

resuspended in four times the original homogenizing volume at time of assay.

The binding assay consisted of 300 µl of the P_2-fraction suspension (0.2-0.4 mg protein), 100 µl of test drug and 100 µl of ^{3}H-diazepam (1.5 nM, final concentration) or ^{3}H-flunitrazepam (1.0 nM, final concentration) which was added to 1.5 ml of 50 mM Tris.HCl (pH 7.4). Non-specific binding controls and total binding controls received 100 µl of diazepam (3 M, final concentration) and 100 µl of deionized water, respectively, in place of the test compound. Incubation for 30 minutes proceeded in ice and was terminated by filtration, under vacuum, through Whatman GF/C glass fiber filters. The filters were washed twice with 5 ml of ice-cold 50 mM Tris.HCl (pH 7.4) and placed in scintillation vials. After drying at 50-60 °C for 30 minutes, 10 ml of Beckman Ready-Solv™ HP (a high performance pre-mix scintillation cocktail, registered trademark of Beckman Instruments, Inc., Irvine, CA 92713) was added and the radioactivity determined in a scintillation counter.

Inhibition of binding was calculated by the difference between total binding and binding in the presence of test compound, divided by the total binding x 100.

The results of this test on representative compounds of the present invention are given in Table III.

TABLE III

Inhibition of the Binding of ^{3}H-Benzodiazepine to Brain-Specific Receptors of Rats

Compound	% Inhibition
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide	83
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	79
N-[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	97
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylacetamide	64
7-[3-[ethyl(1-oxopropyl)amino]phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	100
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]methylcarbamic acid, methyl ester	87
7-[3-[(methoxycarbonyl)methylamino]phenyl]-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	98
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]ethylcarbamic acid, methyl ester	55
7-[3-[ethyl(methoxycarbonyl)amino]phenyl]-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	99

TABLE III (continued)

Compound	% Inhibition
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]methylcarbamic acid, ethyl ester	41
ethyl(3-pyrazolo[1,5-a]pyrimidin-7-yl-phenyl)carbamic acid, ethyl ester	61
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]ethylcarbamic acid, ethyl ester	63
[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]ethylcarbamic acid, ethyl ester	78
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamide	78
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynylacetamide	91
N-[3-(3-cyano-2-methylpyrazolo[1,5-a] pyrimidin-7-yl)phenyl]propanamide	42
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylpropanamide	79
N-[3-(3-cyano-2-methylpyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]-N-methylpropanamide	95
N-methyl-N-(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)acetamide	54
7-[3-(acetylmethylamino)phenyl]pyrazolo-[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	100
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide	73
N-[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide	71
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcyclobutanecarboxamide	81

TABLE III (continued)

Compound	% Inhibition
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcyclopropanecarboxamide	83
7-[3-[(cyclopropylcarbonyl)methylamino]-phenylpyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	95
7-[3-(acetylethylamino)phenyl]pyrazolo-[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	97
7-[3-(acetylamino)phenyl]pyrazolo[1,5-a]-pyrimidine-3-carboxylic acid, ethyl ester	85
7-[3-[(methoxycarbonyl)amino]phenyl]-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	76
methyl(3-pyrazolo[1,5-a]pyrimidin-7-yl-phenyl)carbamic acid, methyl ester	45
7-[3-(acetylpropylamino)phenyl]pyrazolo-[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	97
[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbamic acid, methyl ester	92
7-[3-[(cyclobutylcarbonyl)amino]phenyl]-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	82

The novel compounds of this invention have also been shown to have skeletal muscle relaxant activity through the use of two tests. The first test measures the effect of representative compounds on the ability of rats to remain on an inclined screen. Groups of at least 6 rats were treated orally with graded doses of test compounds or vehicle and placed on a wire mesh screen (inclined at an angle of 60° from a horizontal level) 65 minutes later. The number of rats falling off the screen within 30 minutes was recorded. The ED_{50} (dose necessary to cause 50% of the animals tested to fall off) was calculated according to the linear arcsine transformation method of Finney, D. J.,"Statistical Methods in Biological Assay", 2nd Ed., Hafner, N. Y., 1964, p. 454. Compounds were dissolved or suspended in a 2% aqueous starch suspension containing 5% polyethylene glycol 400 and a drop of polysorbate 80, and administered in a constant volume of 5 ml/kg. The results of representative compounds of this invention appear in Table IV.

TABLE IV

Effect on Ability of Rats to Remain on an Inclined Screen	
Compound	ED_{50} (mg/kg)
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide	4.6
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	3.9

The second test to illustrate that the novel compounds of the present invention possess skeletal muscle relaxant properties shows the effect of representative compounds on the locomotor activity in rats. Groups of 6 rats were treated orally with vehicle (5 ml/kg) or graded doses of the test compounds. Sixty minutes later, individual rats were placed in Actophotometers and locomotor activity was measured for 5 minutes after a brief (30 sec.) habituation period. Motor activity counts (number of crossings of the photo cells) were recorded for each rat, and mean activity counts were calculated for each treatment group. The dose causing a 50% decrease in mean activity counts compared with the vehicle group (MDD_{50}) was calculated from a linear regression equation. The test results of representative compounds appear in Table V.

TABLE V

Effects on Locomotor Activity in Rats	
Compound	MDD_{50} (mg/kg P.O.)
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide	2.0
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide	1.4

The novel compounds of the present invention have been found to be highly useful for drug therapy in mammals when administered in amounts ranging from about 0.1 mg to about 20.0 mg/kg of body weight per day. A preferred dosage regimen for optimum results would be from about 0.5 mg to about 10.0 mg/kg of body weight per day. Dosage units are employed such that a total of from about 10 to about 700 mg of active compound for a subject of about 70 kg of body weight are administered in a 24 hour period. This dosage regimen may be adjusted to provide the optimum therapeutic response. For example, several divided doses may be administered daily or the dose may be proportionally reduced as indicated by the exigencies of the therapeutic situation. The compounds of this invention are preferably administered orally but may be administered in any convenient manner such as by the intravenous, intramuscular, or subcutaneous routes.

Compositions according to the present invention having the desired clarity, stability and adaptability for parenteral use are obtained by dissolving from 0.10% to 10.0% by weight of active compound in a vehicle consisting of a polyhydric aliphatic alcohol or mixtures thereof. Especially satisfactory are glycerin, propylene glycol, and polyethylene glycols. The polyethylene glycols consist of a mixture of nonvolatile, normally liquid, polyethylene glycols which are soluble in both water and organic liquids and which have molecular weights of from about 200 to 1500. Although the amount of active compound dissolved in the above vehicle may vary from 0.10% to 10.0% by weight, it is preferred that the amount of active compound employed be from about 3.0% to about 9.0% by weight. Although various mixtures of the aforementioned nonvolatile polyethylene glycols may be employed, it is preferred to use a mixture having an average molecular weight of from about 200 to about 400.

In addition to the active compound, the parenteral solutions may also contain various preservatives which may be used to prevent bacterial and fungal contamination. The preservatives which may be used for these purposes are, for example, myristyl-gamma-picolinium chloride, benzalkonium chloride, phenethyl alcohol, p-chlorophenyl-alpha-glycerol ether, methyl and propyl parabens, and thimerosal. As a practical matter, it is also convenient to employ antioxidants. Suitable antioxodants include, for example, sodium bisulfite, sodium metabisulfite, and sodium formaldehyde sulfoxylate. Generally, from about 0.05% to about 0.2% concentrations of antioxidant are employed.

For intramuscular injection, the preferred concentration of active compound is 0.25 to 0.50 mg/ml of the final compositions. The novel compounds of the present invention are equally adapted to intravenous administration when diluted with water or diluents employed in intravenous therapy such as isotonic glucose in appropriate quantities. For intravenous use, initial concentrations down to about 0.05 to 0.25 mg/ml of

active ingredient are satisfactory.

The active compounds of the present invention may be orally administered, for example, with an inert diluent or with an assimilable edible carrier, or they may be enclosed in hard or soft shell gelatin capsules, or compressed into tablets, or incorporated directly into the food of the diet. For oral therapeutic administration, the active compounds may be incorporated with excipients and used in the form of tablets, troches, capsules, elixirs, suspensions, syrups, wafers and the like. Additionally, the active ingredient may be incorporated with the proper pharmaceutical carrier or carriers known in the art to produce a sustained-release tablet or capsule. Such compositions and preparations should contain at least 0.1% of active compound. The percentage of the compositions and preparations may, of course, be varied and may conveniently be between about 2% to about 60% of the weight of the unit dose. The amount of 2 - 750 mg active compound in such therapeutically useful compositions is such that a suitable dosage will be obtained.

The tablets, troches, pills, capsules and the like may also contain one or more of the following: a binder such as gum tragacanth, acacia, corn starch or gelatin; excipients such as dicalcium phosphate; a disintegrating agent such as corn starch, potato starch, alginic acid and the like; a lubricant such as magnesium stearate; a wetting agent such as sodium lauryl sulfate and a sweetening agent such as sucrose, lactose or saccharin may be added or a flavoring agent such as peppermint, oil of wintergreen or cherry flavoring. When the dosage unit form is a capsule, it may contain, in addition to materials of the above type, a liquid carrier such as a fatty oil. Various other materials may be present as coatings or to otherwise modify the physical form of the dosage unit. For instance, tablets, pills or capsules may be coated with shellac, sugar or both. A syrup or elixir may contain the active compound, sucrose as a sweetening agent, methyl and propylparabens as preservatives, a dye and flavoring such as cherry or orange flavor. Of course, any material used in preparing any dosage unit form should be pharmaceutically pure and substantially nontoxic in the amounts employed.

The following non-limiting examples illustrate the preparation of representative compounds of the present invention.

Preparation of Example 1

N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]propanamide

A 20 g portion of N-(3-acetylphenyl)propanamide in 50 ml of dimethylformamide dimethylacetal was refluxed for 8 hours, then evaporated. The residue was taken up in 200 ml of dichloromethane, passed through hydrous magnesium silicate, diluted with hexane and concentrated, giving 21.17 g of the desired compound.

Following the procedure of Example 1 and using the indicated starting materials, the amides of Examples 2-5, found in Table VI, were prepared.

TABLE VI

Ex.	Starting Material	Amide
2	N-(3-acetylphenyl)ethanamide	N-[3-(3-dimethylamino)-1-oxo-2-propenyl)phenyl]acetamide
3	(3-acetylphenyl)carbamic acid, methyl ester	[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl-]carbamic acid, methyl ester
4	(3-acetylphenyl)carbamic acid, butyl ester	[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl-]carbamic acid, butyl ester
5	N-(3-acetylphenyl)butanamide	N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]butanamide

Preparation of Example 6

N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl-N-ethylpropanamide

A mixture of 3.47 g of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]propanamide and 0.68 g of 60% sodium hydride in oil in dimethylformamide was stirred for 0.5 hour under argon, then cooled in an ice bath and a solution of 2.4 g of ethyl iodide in 10 ml of dimethylformamide was added in small portions. The

mixture was then stirred at room temperature for 0.5 hour and extracted three times with hexane. The extracts were discarded, water was added and this mixture extracted with dichloromethane. This extract was evaporated and the residue crystallized from hexane giving the desired compound, mp 105-107°C.

Following the procedure of Example 6 using the compounds of Examples 1-5 and appropriate alkyl halides, the alkylated amides of Examples 7-12, found in Table VII, were prepared.

TABLE VII

Ex.	Starting Material of Ex.	Alkylated Amide	MP°C
7	2	N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-ethylacetamide	110-113
8	1	N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-methylpropanamide	148-149
9	2	N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-propylacetamide	110-112
10	3	[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]methylcarbamic acid, methyl ester	93-95
11	3	[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]ethylcarbamic acid, methyl ester	95-97
12	2	N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-methylacetamide	146-148

Preparation of Example 13

N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide

A mixture of 0.54 g of 3-amino-4-pyrazolecarbonitrile and 1.37 g of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-ethylpropanamide in 50 ml of glacial acetic acid was refluxed for 8 hours and then the solvent was removed. The residue was partitioned between saturated aqueous sodium bicarbonate and dichloromethane. The organic layer was separated, dried, passed through a pad of hydrous magnesium silicate and hexane was added to the refluxing filtrate. The mixture was then cooled and the solid collected, giving 1.3 g of the desired product, mp 161-162°C.

Following the procedure of Example 13 and using appropriately substituted 3-amino-pyrazoles together with the indicated intermediates, the products of Examples 14-37 found in Table VIII were prepared.

TABLE VIII

Ex.	Intermediate of Ex.	3-Amino-pyrazole	Product	MP°C
14	7	3-aminopyrazole-4-carbonitrile	N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]-N-ethylacetamide	186-187
15	7	3-aminopyrazole	N-ethyl-N-(3-pyrazolo[1,5-a]-pyrimidin-7-ylphenyl)acetamide	115-117
16	9	3-aminopyrazole	N-propyl-N-(3-pyrazolo[1,5-a]-pyrimidin-7-ylphenyl)acetamide	90-92
17	9	3-aminopyrazole-4-carbonitrile	N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]-N-propylacetamide	151-153
18	6	ethyl-3-amino-pyrazole-4-carboxylate	7-[3-[ethyl(1-oxopropyl)-amino]phenyl]pyrazolo[1,5-a]-pyrimidine-3-carboxylic acid, ethyl ester	124-126
19	10	3-aminopyrazole-4-carbonitrile	[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]methyl-carbamic acid, methyl ester	168-170

TABLE VIII (continued)

Ex.	Intermediate of Ex.	3-Amino-pyrazole	Product	MP°C
20	10	ethyl-3-amino-pyrazole-4-carboxylate	7-[3-[(methoxycarbonyl)methyl-amino]phenyl]pyrazolo[1,5-a]-pyrimidine-3-carboxylic acid, ethyl ester	115-116
21	3	3-aminopyrazole-4-carbonitrile	[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]carbamic acid, methyl ester	256-258
22	4	3-aminopyrazole-4-carbonitrile	[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]carbamic acid, butyl ester	131-133
23	1	3-aminopyrazole	N-[3-(pyrazolo[1,5-a]pyrimi-din-7-yl)phenyl]propanamide	177-178
24	1	3-aminopyrazole-4-carbonitrile	N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]pro-panamide	202-204
25	1	3-amino-5-meth-ylpyrazole-4-carbonitrile	N-[3-(3-cyano-2-methylpyra-zolo[1,5-a]pyrimidin-7-yl)-phenyl]propanamide	177-178

TABLE VIII (continued)

Ex.	Intermediate of Ex.	3-Amino-pyrazole	Product	MP°C
26	8	3-aminopyrazole-4-carbonitrile	N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]-N-methylpropanamide	184-186
27	8	3-amino-5-methylpyrazole-4-carbonitrile	N-[3-(3-cyano-2-methylpyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-methylpropanamide	138-140
28	5	3-aminopyrazole-4-carbonitrile	N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]butan-amide	195-197
29	12	3-aminopyrazole-4-carbonitrile	N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidin-7-yl)phenyl]-N-methylacetamide	257-259
30	2	3-aminopyrazole-4-carbonitrile	N-[3-(3-cyanopyrazolo[1,5-a]-pyrimidine-7-yl)phenyl]-acetamide	118-120
31	12	3-aminopyrazole	N-methyl-N-(3-pyrazolo[1,5-a]-pyrimidin-7-ylphenyl)acetamide	

TABLE VIII (continued)

Ex.	Intermediate of Ex.	3-Amino-pyrazole	Product	MPºC
32	12	ethyl-3-amino-pyrazole-4-carboxylate	7-[3-(acetylmethylamino)-phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	155-156
33	7	3-amino-4-carbo-ethoxypyrazole	7-[3-(acetylethylamino)-phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	147-148
34	2	3-amino-4-carbo-ethoxypyrazole	7-[3-(acetylamino)phenyl]-pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	202-204
35	3	3-amino-4-carbo-ethoxypyrazole	7-[3-[(methoxycarbonyl)amino]-phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	187-188
36	10	3-aminopyrazole	methyl(3-pyrazolo[1,5-a]-pyrimidin-7-ylphenyl)carbamic acid, methyl ester	107-109
37	9	3-amino-4-carbo-ethoxypyrazole	7-[3-(acetylpropylamino)-phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester	156-157

Preparation of Example 38

N-[3-(3-Chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide

A mixture of 1.0 g of N-ethyl-N-(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)acetamide and 4.57 g of 1-chlorobenzotriazole in 50 ml of dichloromethane was refluxed for 25 minutes, then cooled and poured into 50 ml of ice-cold 2.5N aqueous sodium hydroxide. The mixture was filtered through hydrous magnesium silicate, precipitated with hexane and the solid collected, giving 0.7 g of the desired product, mp 157-159 °C.

Preparation of Example 39

7-[3-(Ethyl(methoxycarbonyl)amino]phenyl]pyrazolo [1,5-a]pyrimidine-3-carboxylic acid, ethyl ester

A 12.41 g portion of [3-(3-(dimethylamino)-1-oxo-2-propenyl]phenyl]carbamic acid, methyl ester was reacted as described in Example 6, using 9.36 g of ethyliodide, giving 13.4 g of [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]ethylcarbamic acid, methyl ester, mp 95-97 °C.

A 2.76 g portion of the above ester was reacted with 1.55 g of ethyl-3-aminopyrazole-4-carboxylate as described in Example 13, giving 2.87 g of the desired product, mp 117-119 °C.

Preparation of Example 40

[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, methyl ester

A 2.76 g portion of [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]ethylcarbamic acid, methyl ester was reacted with 1.08 g of 3-aminopyrazole-4-carbonitrile as described in Example 13, giving 2.6 g of the desired product, mp 162-164 °C.

Preparation of Example 41

[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbamic acid, ethyl ester

1-Acetylphenyl-3-carbamic acid, ethyl ester was converted to [3-[3-(dimethylamino)-1-oxo-2-propenyl]-phenyl]carbamic acid, ethyl ester by the procedure of Example 1 and this ester was then reacted with methyl iodide, again by the procedure of Example 6, giving [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-methylcarbamic acid, ethyl ester.

A 2.6 g portion of the above ester was reacted with 1.08 g of 3-aminopyrazole-4-carbonitrile by the procedure of Example 13, giving 2.09 g of the desired compound, mp 140-142 °C.

Preparation of Example 42

Ethyl(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)carbamic acid, ethyl ester

[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]carbamic acid was reated with ethyl iodide by the procedure of Example 6, giving [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]ethylcarbamic acid, ethyl ester.

A 2.9 g portion of the above ester was reacted with 0.83 g of 3-aminopyrazole by the procedure of Example 13, giving 2.27 g of the desired product, mp 79-81 °C.

Preparation of Example 43

[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, ethyl ester

A 2.0 g portion of [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]ethylcarbamic acid, ethyl ester was reacted with 1.08 g of 3-aminopyrazole-4-carbonitrile as described in Example 13, giving 2.52 g of the desired product, mp 133-135 °C.

Preparation of Example 44

[3-(3-Chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, ethyl ester

A 1.55 g portion of ethyl(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)carbamic acid, ethyl ester in 50 ml of dichloromethane was reacted with 1-chlorobenzotriazole for 30 minutes, giving 1.29 g of the desired product, mp 100-102 °C.

Preparation of Example 45

N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamide

An 11.61 g portion of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]acetamide was reacted with 7.26 g of allyl bromide as described in Example 6, giving 13.34 g of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]-phenyl]-N-2-propenylacetamide, mp 91-94 °C.

A 1.36 g portion of the above intermediate was reacted with 0.54 g of 3-aminopyrazole-4-carbonitrile as described in Example 13, giving 1.0 g of the desired compound, mp 135-137 °C.

Preparation of Example 46

N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynylacetamide

An 11.61 g portion of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]acetamide was reacted with propynyl bromide as described in Example 6, giving N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-2-propynylacetamide, mp 98-101 °C.

A 2.7 g portion of the above intermediate was reacted with 1.08 g of 3-aminopyrazole-4-carbonitrile as described in Example 13, giving 1.90 g of the desired product, mp 193-195 °C.

Preparation of Example 47

N-Butyl-N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]acetamide

An 11.61 g portion of [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]carbamic acid, methyl ester was reacted with 11.0 g of butyl iodide by the procedure of Example 6, giving 16.3 g of N-butyl-N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]acetamide.

A 2.88 g portion of the above intermediate was reacted with 1.08 g of 3-aminopyrazole-4-carbonitrile by the procedure of Example 13, giving 1.61 g of the desired product, mp 146-148 °C.

Preparation of Example 48

N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcarbamic acid, butyl ester

An 11.61 g portion of [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]carbamic acid, butyl ester was reacted with 6.82 g of methyl iodide by the procedure of Example 6, giving 11.67 g of [3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]methylcarbamic acid, butyl ester.

A 3.04 g portion of the above ester was reacted with 1.08 g of 3-aminopyrazole-4-carbontirile as described in Example 13, giving 2.3 g of the desired product, mp 96-97 °C.

Preparation of Example 49

N-[3-(3-Chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide

A 1.0 g portion of N-methyl-N-(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)acetamide was reacted as described in Example 38, giving 1.0 g of the desired product, mp 163-165 °C.

Preparation of Example 50

[3-(3-Chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbamic acid, methyl ester

A 1.4 g portion of methyl(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)carbamic acid, methyl ester was reacted as described in Example 38, giving 1.42 g of the desired product, mp 132-134 °C.

Preparation of Example 51

7-[3-[(Cyclopropylcarbonyl)methylamino]phenylpyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester

N-(3-Acetylphenyl)cyclopropanecarboxamide was prepared by the reaction of m-aminoacetophenone, diisopropylethylamine and cyclopropanecarboxylic acid chloride in dichloromethane.

This compound was then converted to N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-cyclopropanecarboxamide by the procedure of Example 1 and then alkylated by the procedure of Example 6, using methyl iodide, giving 10.17 g of N-[3-(3-dimethylamino)-1-oxo-2-propenyl)phenyl]-N-methyl-cyclopropanecarboxamide, mp 120-122 °C.

A 0.54 g portion of this compound was reacted as described in Example 13 with 3-aminopyrazole-4-carbonitrile, giving 1.08 g of the desired product, mp 178-180 °C.

Preparation of Example 52

7-[3-[(Cyclopropylcarbonyl)methylamino]phenylpyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester

A 0.73 g portion of ethyl 3-aminopyrazole-4-carboxylate and 1.36 g of N-[3-[(3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-methylcyclopropanecarboxamide were reacted as described in Example 13, giving 0.52 g of the desired product, mp 122-124 °C.

Preparation of Example 53

N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcyclobutanecarboxamide

m-Aminoacetophenone, cyclobutanecarboxylic acid, chloride and diisopropylethylamine in dichloromethane were reacted, giving N-(3-acetylphenyl)cyclobutanecarboxamide.

This compound was then converted to N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-cyclobutanecarboxamide, mp 155-157 °C, by the procedure of Example 1 and further alkylated by the procedure of Example 6, using methyl iodide to give 8.32 g of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]-phenyl]-N-methylcyclobutanecarboxamide, mp 117-119 °C.

A 0.54 g portion of 3-aminopyrazole-4-carbonitrile was reacted with 1.43 g of the above product by the procedure of Example 13, giving 1.3 g of the desired product, mp 157-158 °C.

Preparation of Example 54

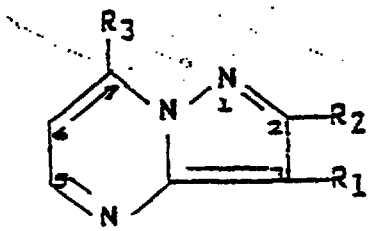
7-[3-[(Cyclobutylcarbonyl)amino]phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester

A 0.78 g portion of 3-amino-4-carboethoxypyrazole and 1.36 g of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]cyclobutanecarboxamide were reacted as described in Example 13, giving 1.52 g of the desired product, mp 123-125 °C.

Claims

Claims for the following Contracting States : BE, CH, DE, FR, GB, IT, LI, NL, SE

1. A compound of the formula:



Preparation of Example 51

7-[3-[(Cyclopropylcarbonyl)methylamino]phenylpyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester

N-(3-Acetylphenyl)cyclopropanecarboxamide was prepared by the reaction of m-aminoacetophenone, diisopropylethylamine and cyclopropanecarboxylic acid chloride in dichloromethane.

This compound was then converted to N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-cyclopropanecarboxamide by the procedure of Example 1 and then alkylated by the procedure of Example 6, using methyl iodide, giving 10.17 g of N-[3-(3-dimethylamino)-1-oxo-2-propenyl)phenyl]-N-methyl-cyclopropanecarboxamide, mp 120-122 °C.

A 0.54 g portion of this compound was reacted as described in Example 13 with 3-aminopyrazole-4-carbonitrile, giving 1.08 g of the desired product, mp 178-180 °C.

Preparation of Example 52

7-[3-[(Cyclopropylcarbonyl)methylamino]phenylpyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester

A 0.73 g portion of ethyl 3-aminopyrazole-4-carboxylate and 1.36 g of N-[3-[(3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-methylcyclopropanecarboxamide were reacted as described in Example 13, giving 0.52 g of the desired product, mp 122-124 °C.

Preparation of Example 53

N-[3-(3-Cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylcyclobutanecarboxamide

m-Aminoacetophenone, cyclobutanecarboxylic acid, chloride and diisopropylethylamine in dichloromethane were reacted, giving N-(3-acetylphenyl)cyclobutanecarboxamide.

This compound was then converted to N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-cyclobutanecarboxamide, mp 155-157 °C, by the procedure of Example 1 and further alkylated by the procedure of Example 6, using methyl iodide to give 8.32 g of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]-phenyl]-N-methylcyclobutanecarboxamide, mp 117-119 °C.

A 0.54 g portion of 3-aminopyrazole-4-carbonitrile was reacted with 1.43 g of the above product by the procedure of Example 13, giving 1.3 g of the desired product, mp 157-158 °C.

Preparation of Example 54

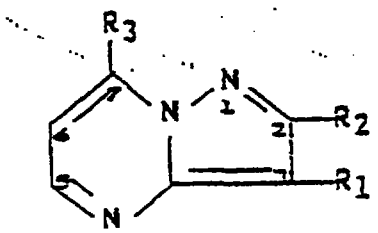
7-[3-[(Cyclobutylcarbonyl)amino]phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester

A 0.78 g portion of 3-amino-4-carboethoxypyrazole and 1.36 g of N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]cyclobutanecarboxamide were reacted as described in Example 13, giving 1.52 g of the desired product, mp 123-125 °C.

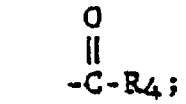
Claims

Claims for the following Contracting States : BE, CH, DE, FR, GB, IT, LI, NL, SE

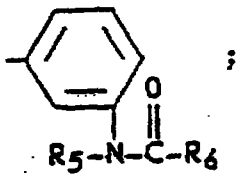
1. A compound of the formula:



wherein R_1 is selected from the group consisting of hydrogen, halogen, cyano and

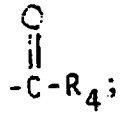


R_2 is selected from the group consisting of hydrogen and alkyl(C_1-C_3); R_3 is



R_4 is selected from the group consisting of hydrogen, alkyl(C_1-C_6) and alkoxy(C_1-C_6); R_5 is selected from the group consisting of hydrogen, alkyl(C_1-C_6), alkenyl(C_2-C_6), $-CH_2C{\equiv}CH$, cycloalkyl(C_3-C_6)-methyl, $-CH_2OCH_3$ and $-CH_2CH_2OCH_3$; and R_6 is selected from the group consisting of alkyl(C_1-C_6), cycloalkyl(C_3-C_6), -O-alkyl(C_1-C_6), -NH-alkyl(C_1-C_3), -N-dialkyl(C_1-C_3), $-(CH_2)_n$-O-alkyl(C_1-C_3), $-(CH_2)_n$-NH-alkyl(C_1-C_3) and $-(CH_2)_n$-N-dialkyl(C_1-C_3), where n is an integer 1 to 3 inclusive.

2. A compound according to Claim 1, wherein R_1 is cyano or



R_2 is hydrogen; R_4 is alkyl(C_1-C_6);
R_5 is alkyl(C_1-C_6), alkenyl(C_2-C_6) or $-CH_2C{\equiv}CH$; and R_6 is alkyl(C_1-C_6), cycloalkyl(C_3-C_6) or -O-alkyl-(C_1-C_6).

3. The compound according to Claim 2, which is selected from the group consisting of:
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylacetamide;
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbamic acid, methyl ester;
7-[3-[(methoxycarbonyl)methylamino]phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester;
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl] ethylcarbamic acid, methyl ester;
ethyl(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)carbamic acid, ethyl ester;
[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, ethyl ester;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamide;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynylacetamide; and
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide.

4. Use of a compound according to Claim 1 for the manufacture of a medicament for ameliorating anxiety in a mammal.

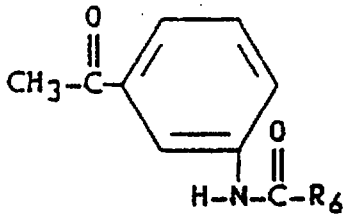
5. Use of a compound according to Claim 1 for the manufacture of a medicament for treating epilepsy in a mammal.

6. Use of a compound according to Claim 1 for the manufacture of a medicament for inducing sedation or hypnosis in a mammal.

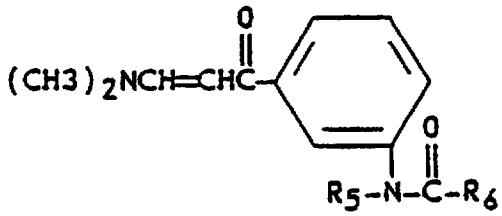
7. Use of a compound according to Claim 1 for the manufacture of a medicament for inducing skeletal muscle relaxion in a mammal.

8. A composition of matter in dosage unit form comprising from 2-750 mg of a compound of Claim 1 in association with a pharmaceutically acceptable carrier.

9. A process for producing the product of Claim 1, which comprises the steps of
(a) reacting a 1-acetylphenyl-3-amide of the formula:

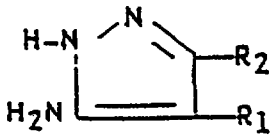


with dimethylformamide, dimethylacetal at reflux, which produces an N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]alkanamide;
(b) reacting the N-[3-[3-(dimethylamino)-1-oxo-2-propeny] phenyl]alkanamide with sodium hydride, which produces an anion;
(c) reacting the anion generated with an alkyl halide of the formula R_5-X, wherein X is Br or I, which produces an N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkylalkanamide of the formula:



;

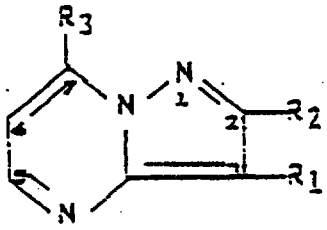
(d) reacting the N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkylalkanamide with a 3-aminopyrazole of the formula:



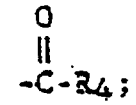
in glacial acetic acid at reflux, which reaction gives the desired products.

Claims for the following Contracting State : AT

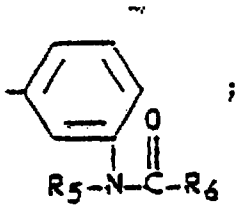
1. A process for producing a compound of the formula



wherein R_1 is selected from the group consisting of hydrogen, halogen, cyano and

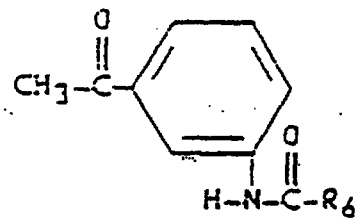


R_2 is selected from the group consisting of hydrogen and alkyl(C_1-C_3); R_3 is



R_4 is selected from the group consisting of hydrogen, alkyl(C_1-C_6) and alkoxy(C_1-C_6); R_5 is selected from the group consisting of hydrogen, alkyl(C_1-C_6), alkenyl(C_2-C_6), $-CH_2C{\equiv}CH$, cycloalkyl(C_3-C_6)-methyl, $-CH_2OCH_3$ and $-CH_2CH_2OCH_3$; and R_6 is selected from the group consisting of alkyl(C_1-C_6), cycloalkyl(C_3-C_6), -O-alkyl(C_1-C_6), -NH-alkyl(C_1-C_3), -N-dialkyl(C_1-C_3), $-(CH_2)_n$-O-alkyl(C_1-C_3), $-(CH_2)_n$-NH-alkyl(C_1-C_3) and $-(CH_2)_n$-N-dialkyl(C_1-C_3), where n is an integer 1 to 3 inclusive,
which comprises the steps of

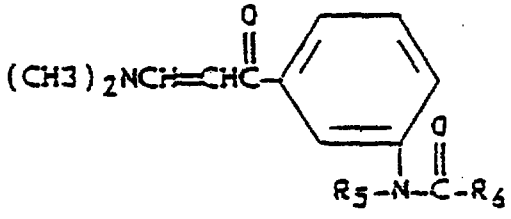
(a) reacting a 1-acetylphenyl-3-amide of the formula:



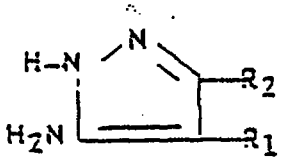
with dimethylformamide, dimethylacetal at reflux, which produces an N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]alkanamide;

(b) reacting the N-[3-[3-(dimethylamino)-1-oxo-2-propenyl] phenyl]alkanamide with sodium hydride, which produces an anion;

(c) reacting the anion generated with an alkyl halide of the formula R_5-X, wherein X is Br or I, which produces an N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkylalkanamide of the formula:

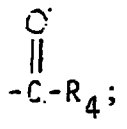


(d) reacting the N-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkylalkanamide with a 3-aminopyrazole of the formula:



in glacial acetic acid at reflux, which reaction gives the desired products.

2. A process according to Claim 1, wherein R_1 is cyano or



R_2 is hydrogen; R_4 is alkyl(C_1-C_6);
R_5 is alkyl(C_1-C_6), alkenyl(C_2-C_6) or -$CH_2C{\equiv}CH$; and R_6 is alkyl(C_1-C_6), cycloalkyl(C_3-C_6) or -O-alkyl-(C_1-C_6).

3. The process according to Claim 2 which produces a compound selected from the group consisting of:
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamide;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamide;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylacetamide;
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbamic acid, methyl ester;
7-[3-[(methoxycarbonyl)methylamino]phenyl]pyrazolo[1,5-a]pyrimidine-3-carboxylic acid, ethyl ester;
[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl] ethylcarbamic acid, methyl ester;
ethyl(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)carbamic acid, ethyl ester;
[3-(3-chloropyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbamic acid, ethyl ester;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamide;
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propynylacetamide; and
N-[3-(3-cyanopyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamide.

4. Use of a compound according to Claim 1 for the manufacture of a medicament for ameliorating anxiety in a mammal.

5. Use of a compound according to Claim 1 for the manufacture of a medicament for treating epilepsy in a mammal.

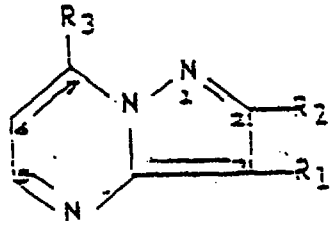
6. Use of a compound according to Claim 1 for the manufacture of a medicament for inducing sedation or hypnosis in a mammal.

7. Use of a compound according to Claim 1 for the manufacture of a medicament for inducing skeletal muscle relaxion in a mammal.

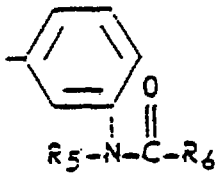
8. A composition of matter in dosage unit form comprising from 2-750 mg of a compound of Claim 1 in association with a pharmaceutically acceptable carrier.

Patentansprüche
Patentansprüche für folgende Vertragsstaaten : BE, CH, DE, FR, GB, IT, LI, NL, SE

1. Eine Verbindung der Formel:



worin R_1 ausgewählt aus der Gruppe bestehend aus Wasserstoff, Halogen, Cyan und -CO-R_4; R_2 ausgewählt aus der Gruppe bestehend aus Wasserstoff und C_1-C_3-Alkyl; R_3



ist; R_4 ausgewählt ist aus der Gruppe bestehend aus Wasserstoff, $(C_1\text{-}C_6)$Alkyl und $(C_1\text{-}C_6)$Alkoxy; R_5 ausgewählt ist aus der Gruppe bestehend aus Wasserstoff, $(C_1\text{-}C_6)$Alkyl, $(C_2\text{-}C_6)$Alkenyl, $-CH_2C{\equiv}CH$, $(C_3\text{-}C_6)$Cycloalkylmethyl, $-CH_2OCH_3$ und $-CH_2CH_2OCH_3$ und R_6 ausgewählt ist aus der Gruppe bestehend aus $(C_1\text{-}C_6)$Alkyl, $(C_3\text{-}C_6)$Cycloalkyl, $-O\text{-}(C_1\text{-}C_6)$Alkyl, $-NH\text{-}(C_1\text{-}C_3)$Alkyl, $-N\text{-}(C_1\text{-}C_3)$Dialkyl, $-(CH_2)_n\text{-}O\text{-}(C_1\text{-}C_3)$Alkyl, $-(CH_2)_n\text{-}NH\text{-}(C_1\text{-}C_3)$Alkyl und $-(CH_2)_n\text{-}N\text{-}(C_1\text{-}C_3)$Dialkyl, worin n eine ganze Zahl von 1 bis einschließlich 3 ist.

2. Eine Verbindung nach Anspruch 1, worin R_1 Cyan oder $CO\text{-}R_4$ ist, R_2 Wasserstoff ist, R_4 $(C_1\text{-}C_6)$Alkyl ist, R_5 $(C_1\text{-}C_6)$Alkyl, $(C_2\text{-}C_6)$-Alkenyl oder $-CH_2C{\equiv}CH$ ist und R_6 $(C_1\text{-}C_6)$Alkyl, $(C_3\text{-}C_6)$-Cycloalkyl oder $-O\text{-}(C_1\text{-}C_6)$Alkyl ist.

3. Die Verbindung nach Anspruch 2, die ausgewählt ist aus der Gruppe bestehend aus:
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamid;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamid;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylacetamid;
[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbaminsäuremethylester;
7-[3-[(Methoxycarbonyl)methylamino]phenyl]pyrazolo[1,5-a]pyrimidin-3-carbonsäureethylester;
[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbaminsäuremethylester;
Ethyl(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)carbaminsäureethylester;
[3-(3-Chlorpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbaminsäureethylester;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamid;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propinylacetamid und
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamid.

4. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes zur Besserung von Angstzuständen in einem Säugetier.

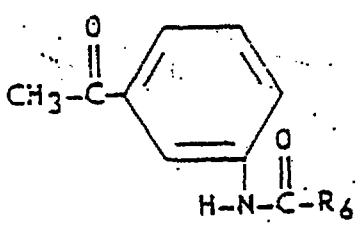
5. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes zur Behandlung von Epilepsie in einem Säugetier.

6. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes, um Beruhigung oder Hypnose in einem Säugetier zu bewirken.

7. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes, um eine Relaxation der Skelettmuskelatur bei einem Säugetier zu bewirken.

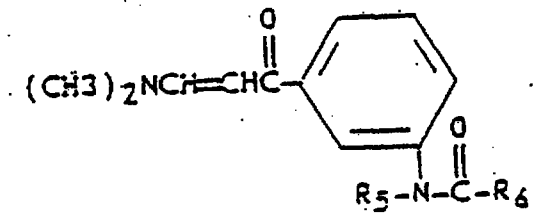
8. Eine Zusammensetzung in Form einer Dosierungseinheit, umfassend 2 bis 750 mg einer Verbindung nach Anspruch 1 in Verbindung mit einem pharmazeutisch akzeptablen Träger.

9. Ein Verfahren zum Herstellen des Produktes nach Anspruch 1, umfassend die Stufen:
(a) Umsetzen eines 1-Acetylphenyl-3-amids der Formel:

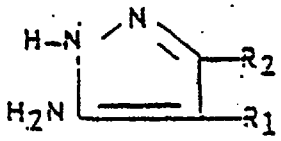


* C L M S *

mit Dimethylformamid, Dimethylacetal am Rückfluß, was ein N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-alkanamid erzeugt;
(b) Umsetzen des N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-alkanamids mit Natriumhydrid, was ein Anion erzeugt;
(c) Umsetzen des erzeugten Anions mit einem Alkylhalogenid der Formel R_5-X, worin X Br oder J ist, was ein N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkylalkanamid der Formel:



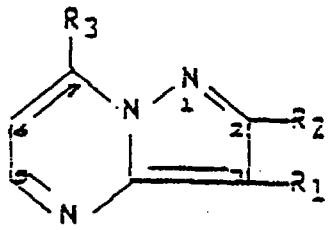
erzeugt;
(d) Umsetzen des N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkyl-alkanamids mit einem 3-Aminopyrazol der Formel:



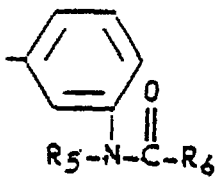
in Eisessig am Rückfluß, was die erwünschten Produkte ergibt.

Patentansprüche für folgenden Vertragsstaat : AT

1. Ein Verfahren zum Herstellen einer Verbindung der Formel:



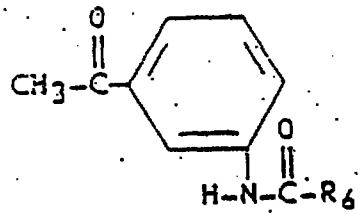
worin R_1 ausgewählt aus der Gruppe bestehend aus Wasserstoff, Halogen, Cyan und -CO-R_4; R_2 ausgewählt aus der Gruppe bestehend aus Wasserstoff und C_1-C_3-Alkyl; R_3



ist; R_4 ausgewählt ist aus der Gruppe bestehend aus Wasserstoff, (C_1-C_6)Alkyl und (C_1-C_6)-Alkoxy; R_5 ausgewählt ist aus der Gruppe bestehend aus Wasserstoff, (C_1-C_6)Alkyl, (C_2-C_6)Alkenyl, -CH_2C≡CH, (C_3-C_6)Cycloalkylmethyl, -CH_2OCH_3 und -$CH_2CH_2OCH_3$ und R_6 ausgewählt ist aus der Gruppe bestehend aus (C_1-C_6)Alkyl, (C_3-C_6)Cycloalkyl, -O-(C_1-C_6)Alkyl, -NH-(C_1-C_3)Alkyl, -N-(C_1-C_3)Dialkyl, -$(CH_2)_n$-O-(C_1-C_3)Alkyl, -$(CH_2)_n$-NH-(C_1-C_3)Alkyl und -$(CH_2)_n$-N-(C_1-C_3)Dialkyl, worin n eine ganze Zahl

von 1 bis einschließlich 3 ist,
das die Stufen umfaßt:

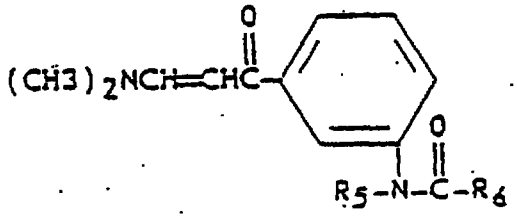
a) Umsetzen eines 1-Acetylphenyl-3-amids der Formel:



mit Dimethylformamid, Dimethylacetal am Rückfluß, was ein N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-alkanamid erzeugt;

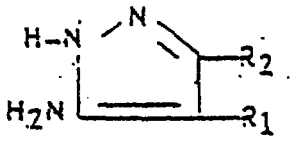
(b) Umsetzen des N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-alkanamids mit Natriumhydrid, was ein Anion erzeugt;

(c) Umsetzen des erzeugten Anions mit einem Alkylhalogenid der Formel R_5-X, worin X Br oder J ist, was ein N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkylalkanamid der Formel:



erzeugt;

(d) Umsetzen des N-[3-[3-(Dimethylamino)-1-oxo-2-propenyl]phenyl]-N-alkyl-alkanamids mit einem 3-Aminopyrazol der Formel:



in Eisessig am Rückfluß, was das erwünschte Produkt ergibt.

2. Ein Verfahren nach Anspruch 1, worin R_1 Cyan oder - CO-R_4 ist, R_2 Wasserstoff ist, R_4 (C_1-C_6)Alkyl ist, R_5 (C_1-C_6)Alkyl, (C_2-C_6)Alkenyl oder -$CH_2C{\equiv}CH$ ist und R_6 (C_1-C_8)Alkyl, (C_3-C_8)Cycloalkyl oder -O-(C_1-C_6)Alkyl ist.

3. Das Verfahren nach Anspruch 2, das eine Verbindung erzeugt, die ausgewählt ist aus der Gruppe bestehend aus:
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylpropanamid;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-ethylacetamid;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-propylacetamid;
[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]methylcarbaminsäuremethylester;
7-[3-[(Methoxycarbonyl)methylamino]phenyl]pyrazolo[1,5-a]pyrimidin-3-carbonsäureethylester;
[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbaminsäuremethylester;
Ethyl(3-pyrazolo[1,5-a]pyrimidin-7-ylphenyl)carbaminsäureethylester;
[3-(3-Chlorpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]ethylcarbaminsäureethylester;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propenylacetamid;
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-2-propinylacetamid und
N-[3-(3-Cyanpyrazolo[1,5-a]pyrimidin-7-yl)phenyl]-N-methylacetamid.

4. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes zur Besserung von Angstzuständen in einem Säugetier.

5. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes zur Behandlung von Epilepsie in einem Säugetier.

6. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes, um Beruhigung oder Hypnose in einem Säugetier zu bewirken.

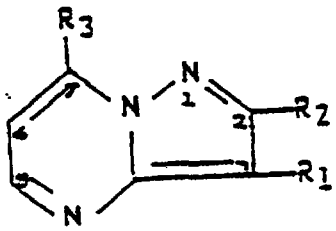
7. Verwendung einer Verbindung nach Anspruch 1 zur Herstellung eines Medikamentes, um eine Relaxation der Skelettmuskulatur bei einem Säugetier zu bewirken.

8. Eine Zusammensetzung in Form einer Dosierungseinheit, umfassend 2 bis 750 mg einer Verbindung nach Anspruch 1 in Verbindung mit einem pharmazeutisch akzeptablen Träger.

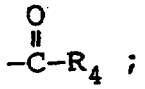
Revendications

Revendications pour les Etats contractants suivants : BE, CH, DE, FR, GB, IT, LI, NL, SE

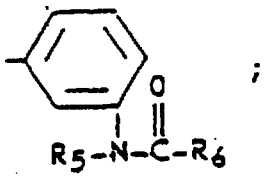
1. Composé de la formule :



dans laquelle R_1 est choisi dans le groupe formé par : l'hydrogène, un halogène, un groupe cyano et

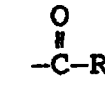


R_2 est choisi dans le groupe formé par l'hydrogène et les groupes alkyle en C_1-C_3 ; R_3 est



R_4 est choisi dans le groupe formé par l'hydrogène, les groupes alkyle en C_1-C_6 et alcoxy en C_1-C_6 ; R_5 est choisi dans le groupe formé par l'hydrogène, les groupes alkyle en C_1-C_6, alcényle en C_2-C_6, $-CH_2C{\equiv}CH$, (cycloalkyle en C_3-C_6)méthyle, $-CH_2OCH_3$ et $-CH_2CH_2OCH_3$; et R_6 est choisi dans le groupe formé par les groupes alkyle en C_1-C_6, cycloalkyle en C_3-C_6, -O-(alkyle en C_1-C_6), -NH-(alkyle en C_1-C_3), -*N*-di(alkyle en C_1-C_3), $-(CH_2)_n$-O-(alkyle en C_1-C_3), $-(CH_2)_n$-NH-(alkyle en C_1-C_3) et $-(CH_2)_n$-*N*-di(alkyle en C_1-C_3), où n est un nombre entier de 1 à 3 inclusivement.

2. Composé selon la revendication 1, dans lequel R_1 est un groupe cyano ou



R_2 est l'hydrogène ; R_4 est un groupe alkyle en C_1-C_6 ; R_5 est un groupe alkyle en C_1-C_6, alcényle en C_2-C_6 ou $-CH_2C{\equiv}CH$; et R_6 est un groupe alkyle en C_1-C_6, cycloalkyle en C_3-C_6 ou -O-(alkyle en C_1-C_6).

3. Composé selon la revendication 2, qui est choisi dans le groupe formé par :
   - le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-éthylpropanamide ;
   - le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-éthylacétamide ;
   - le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-propylacétamide ;
   - l'ester méthylique d'acide [3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]méthylcarbamique ;
   - l'ester éthylique d'acide 7-[3-[(méthoxycarbonyl)méthylamino]phényl]pyrazolo[1,5-*a*]pyrimidine-3-carboxylique ;
   - l'ester méthylique d'acide [3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]éthylcarbamique ;
   - l'ester éthylique d'acide éthyl(3-pyrazolo[1,5-*a*]pyrimidine-7-ylphényl)carbamique ;
   - l'ester éthylique d'acide [3-(3-chloropyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]éthylcarbamique ;
   - le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-2-propénylacétamide ;
   - le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-2-propynylacétamide ; et
   - le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-méthylacétamide.

4. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à soulager l'anxiété chez un mammifère.

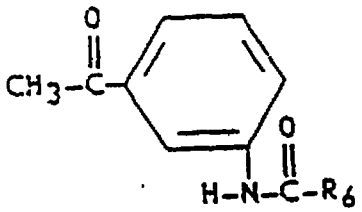
5. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à traiter l'épilepsie chez un mammifère.

6. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à induire la sédation ou l'hypnose chez un mammifère.

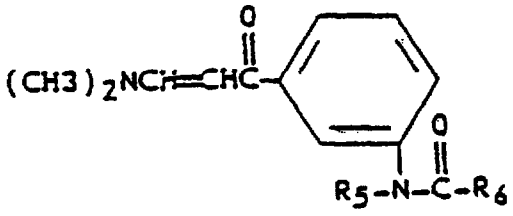
7. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à induire une relaxation des muscles squelettiques chez un mammifère.

8. Composition de matière sous une forme pharmaceutique unitaire comprenant 2 à 750 mg d'un composé selon la revendication 1 en association avec un support pharmaceutiquement acceptable.

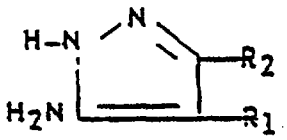
9. Procédé de préparation du composé de la revendication 1, qui comprend les étapes suivantes :
   (a) faire réagir un 1-acétylphényl-3-amide de formule :



avec l'acétal diméthylique de diméthylformamide au reflux, ce qui donne un *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]alcanamide ;
   (b) faire réagir le *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]alcanamide avec l'hydrure de sodium, ce qui donne un anion ;
   (c) faire réagir l'anion engendré avec un halogénure d'alkyle de formule R_5-X, où X est Br ou I, ce qui donne un *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]-*N*-alkylalcanamide de formule :



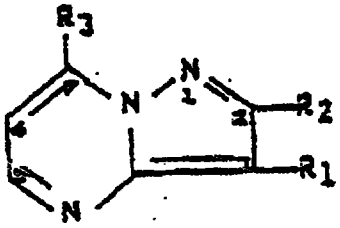
(d) faire réagir le *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]-*N*-alkylalcanamide avec un 3-aminopyrazole de formule :



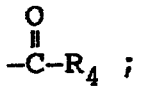
dans l'acide acétique glacial au reflux, cette réaction donnant les composés désirés.

Revendications pour l'Etat contractant suivant : AT

1. Procédé de production d'un composé de la formule

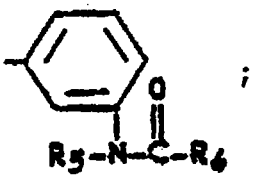


dans laquelle R_1 est choisi dans le groupe formé par : l'hydrogène, un halogène, un groupe cyano et



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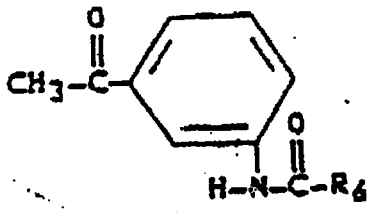
R_2 est choisi dans le groupe formé par l'hydrogène et les groupes alkyle en C_1-C_3 ; R_3 est



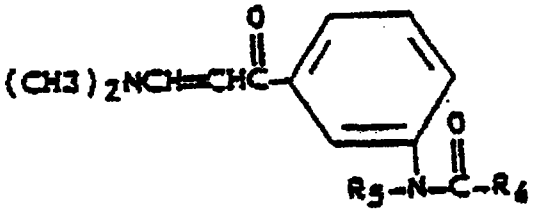
;

R_4 est choisi dans le groupe formé par l'hydrogène, les groupes alkyle en C_1-C_6 et alcoxy en C_1-C_6 ; R_5 est choisi dans le groupe formé par l'hydrogène, les groupes alkyle en C_1-C_6, alcényle en C_2-C_6, $-CH_2C{\equiv}CH$, (cycloalkyle en C_3-C_6)méthyle, $-CH_2OCH_3$ et $-CH_2CH_2OCH_3$; et R_6 est choisi dans le groupe formé par les groupes alkyle en C_1-C_6, cycloalkyle en C_3-C_6, -O-(alkyle en C_1-C_6), -NH-(alkyle en C_1-C_3), -N-di(alkyle en C_1-C_3), $-(CH_2)_n$-O-(alkyle en C_1-C_3), $-(CH_2)_n$-NH-(alkyle en C_1-C_3) et $-(CH_2)_n$-N-di(alkyle en C_1-C_3), où n est un nombre entier de 1 à 3 inclusivement,
qui comprend les étapes suivantes :

(a) faire réagir un 1-acétylphényl-3-amide de formule :



avec l'acétal diméthylique de diméthylformamide au reflux, ce qui donne un *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]alcanamide ;
(b) faire réagir le *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]alcanamide avec l'hydrure de sodium, ce qui donne un anion ;
(c) faire réagir l'anion engendré avec un halogénure d'alkyle de formule R_5-X, où X est Br ou I, ce qui donne un *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]-*N*-alkylalcanamide de formule :

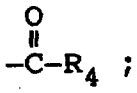


(d) faire réagir le *N*-[3-[3-(diméthylamino)-1-oxo-2-propényl]phényl]-*N*-alkylalcanamide avec un 3-aminopyrazole de formule :



dans l'acide acétique glacial au reflux, cette réaction donnant les composés désirés.

2. Procédé selon la revendication 1, dans lequel R_1 est un groupe cyano ou



R_2 est l'hydrogène ; R_4 est un groupe alkyle en C_1-C_6 ; R_5 est un groupe alkyle en C_1-C_6, alcényle en C_2-C_6 ou -$CH_2C{\equiv}CH$; et R_6 est un groupe alkyle en C_1-C_6, cycloalkyle en C_3-C_6 ou -O-(alkyle en C_1-C_6).

3. Procédé selon la revendication 2, qui produit un composé choisi dans le groupe formé par :
- le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-éthylpropanamide ;
- le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-éthylacétamide ;
- le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-propylacétamide ;
- l'ester méthylique d'acide [3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]méthylcarbamique ;
- l'ester éthylique d'acide 7-[3-[(méthoxycarbonyl)méthylamino]phényl]pyrazolo[1,5-*a*]pyrimidine-3-carboxylique ;
- l'ester méthylique d'acide [3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]éthylcarbamique ;
- l'ester éthylique d'acide éthyl(3-pyrazolo[1,5-*a*]pyrimidine-7-ylphényl)carbamique ;
- l'ester éthylique d'acide [3-(3-chloropyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]éthylcarbamique ;
- le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-2-propénylacétamide ;

* C L M S *

- le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-2-propynylacétamide ; et
- le *N*-[3-(3-cyanopyrazolo[1,5-*a*]pyrimidine-7-yl)phényl]-*N*-méthylacétamide.

4. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à soulager l'anxiété chez un mammifère.

5. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à traiter l'épilepsie chez un mammifère.

6. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à induire la sédation ou l'hypnose chez un mammifère.

7. Utilisation d'un composé selon la revendication 1 pour la fabrication d'un médicament destiné à induire une relaxation des muscles squelettiques chez un mammifère.

8. Composition de matière sous une forme pharmaceutique unitaire comprenant 2 à 750 mg d'un composé selon la revendication 1 en association avec un support pharmaceutiquement acceptable.