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NOTICE OF ENTITLEMENT

(To be filed before acceptance)

We, **HOECHST AKTIENGESELLSCHAFT**
of **Postfach 80 03 20, D-6230 Frankfurt am Main 80, Germany**
being the applicant in respect of Application No. 70484/91 state the following:-

The Person nominated for the grant of the patent has entitlement to a patent granted to it on the basis of employment contracts with each of the inventors.

The basic application listed on the request form is the first application made in a Convention country in respect of the invention.

The person nominated for the grant of the patent is the applicant of the application listed in the declaration under Article 8 of the PCT

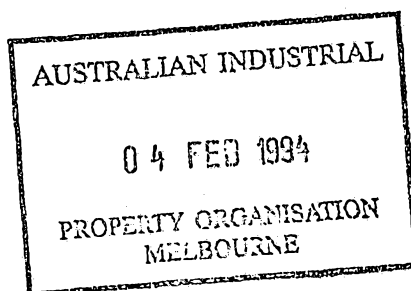
HOECHST AKTIENGESELLSCHAFT

By our Patent Attorneys,
WATERMARK PATENT & TRADEMARK ATTORNEYS


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Darryl B. Mischlewski

Registered Patent Attorney

1 February 1994
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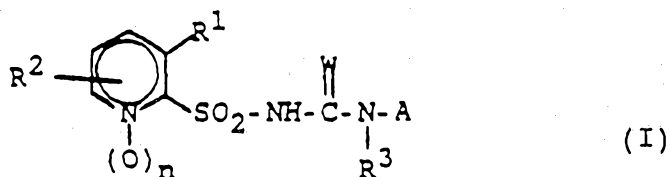


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- (54) Title
USE OF PYRIDYL SULPHONYL UREAS AS HERBICIDES AND PLANT GROWTH REGULATORS, PROCESS FOR PRODUCING THEM AND THEIR USE
- (51)⁵ International Patent Classification(s)
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- (56) Prior Art Documents
AU 11818/88 C07D 213/85
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AU 80180/91 C07D 213/85
- (57) Claim

1. A compound of the formula (I) or its salts



in which

R^1 is $-\text{OSO}_2\text{NR}^4\text{R}^5$, $-\text{NR}^6\text{R}^7$ or iodine,

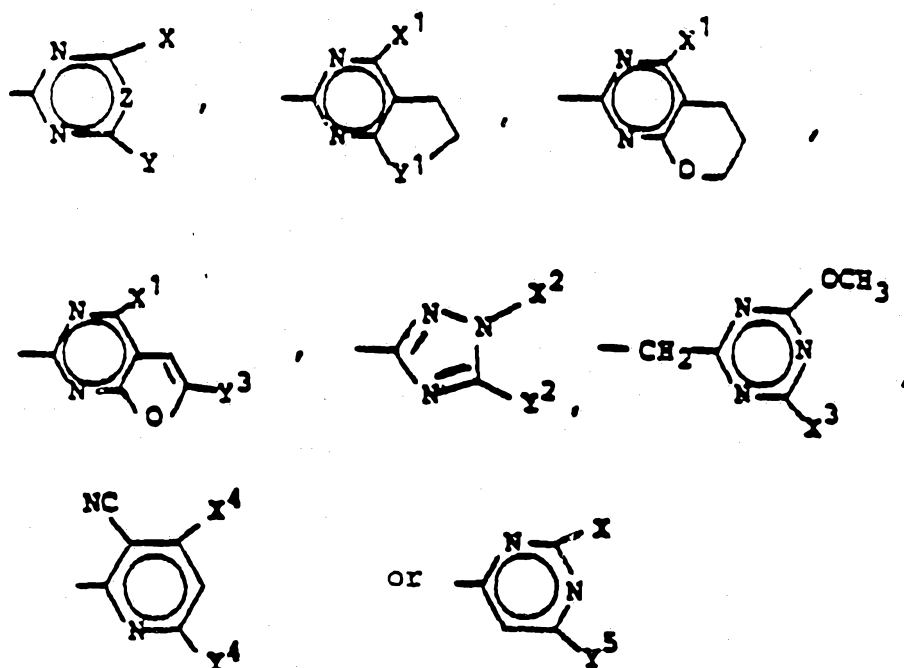
R^2 is H, $(\text{C}_1-\text{C}_3)\text{alkyl}$, $(\text{C}_1-\text{C}_3)\text{haloalkyl}$, halogen, NO_2 , CN , $(\text{C}_1-\text{C}_3)\text{alkoxy}$, $(\text{C}_1-\text{C}_3)\text{haloalkoxy}$, $(\text{C}_1-\text{C}_3)\text{alkylthio}$, $(\text{C}_1-\text{C}_3)\text{alkoxy}-(\text{C}_1-\text{C}_3)\text{alkyl}$, $(\text{C}_1-\text{C}_3)\text{alkoxycarbonyl}$, $(\text{C}_1-\text{C}_3)\text{alkylamino}$, $\text{di}[(\text{C}_1-\text{C}_3)\text{alkyl}]\text{amino}$, $(\text{C}_1-\text{C}_3)\text{alkylsulfinyl}$, $(\text{C}_1-\text{C}_3)\text{alkylsulfonyl}$, $\text{SO}_2\text{NR}^a\text{R}^b$ or $\text{C}(\text{O})\text{NR}^a\text{R}^b$,

R^a and R^b independently of one another are H,

- (C₁-C₃)alkyl, (C₃-C₄)alkenyl, propargyl, or together are -(CH₂)₄-, -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-,
- R³ is H or CH₃,
- R⁴ is H, (C₁-C₃)alkyl, (C₃-C₄)alkenyl, (C₁-C₃)alkoxy or (C₃-C₄)alkynyl, and
- R⁵ is H, (C₁-C₃)alkyl, (C₃-C₄)alkenyl or (C₃-C₄)alkynyl, or
- R⁴ and R⁵ together are -(CH₂)₄-, -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-,
- R⁶ is H, (C₁-C₈)alkyl, which is unsubstituted or substituted by one or more radicals from the group comprising halogen, (C₁-C₄)alkoxy, (C₁-C₄)alkylthio, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, (C₁-C₄)alkoxycarbonyl and CN, (C₃-C₆)alkenyl which is unsubstituted or substituted by one or more halogen atoms, (C₃-C₆)alkynyl which is unsubstituted or substituted by one or more halogen atoms, (C₁-C₄)alkylsulfonyl which is unsubstituted or substituted by one or more halogen atoms, phenylsulfonyl where the phenyl radical is unsubstituted or substituted by one or more radicals from the group comprising halogen, (C₁-C₄)alkyl and (C₁-C₄)alkoxy, (C₁-C₄)alkoxy or (C₁-C₄)alkylcarbonyl which is unsubstituted or substituted by one or more halogen atoms,
- R⁷ is (C₁-C₄)alkylsulfonyl which is unsubstituted or substituted by one or more halogen atoms, phenylsulfonyl where the phenyl radical is unsubstituted or substituted by one or more radicals from the group comprising halogen, (C₁-C₄)alkyl and (C₁-C₄)alkoxy, or [di-(C₁-C₄)-alkyl]aminosulfonyl or
- R⁶ and R⁷ together are a chain of the formula -(CH₂)_m-SO₂-, where the chain can additionally be substituted by 1 to 4 (C₁-C₃)alkyl radicals and m is 3 or 4,
- n is zero or 1,
- W is O or S,
- A is a radical of the formula

(11) AU-B-70484/91
(10) 648058

3



X is H, halogen, (C₁-C₃)alkyl, (C₁-C₃)alkoxy, where the two last-mentioned radicals are unsubstituted or monosubstituted or polysubstituted by halogen or monosubstituted by (C₁-C₃)alkoxy,

Y is H, (C₁-C₃)alkyl, (C₁-C₃)alkoxy or (C₁-C₃)alkylthio, where the abovementioned alkyl-containing radicals are unsubstituted or monosubstituted or polysubstituted by halogen or monosubstituted or disubstituted by (C₁-C₃)alkoxy or (C₁-C₃)alkylthio, and also a radical of the formula NR⁸R⁹, (C₃-C₆)-cycloalkyl, (C₂-C₄)alkenyl, (C₂-C₄)alkynyl, (C₃-C₄)alkenyloxy or (C₃-C₄)alkynyloxy,

Z is CH or N,

R⁸ and R⁹ independently of one another are H, (C₁-C₃)alkyl or (C₃-C₄)alkenyl,

X¹ is CH₃, OCH₃, OC₂H₅ or OCF₂H,

Y¹ is -O- or -CH₂-,

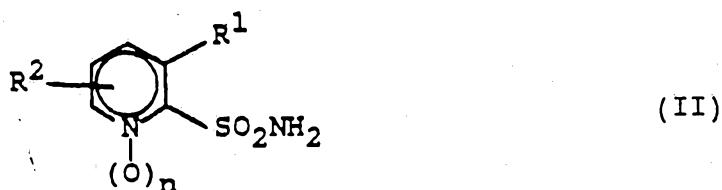
X² is CH₃, C₂H₅ or CH₂CF₃,

(11) AU-B-70484/91
(10) 648058

-4-

Y^2 is OCH_3 , OC_2H_5 , SCH_3 , SC_2H_5 , CH_3 or C_2H_5 ,
 X^3 is CH_3 or OCH_3 ,
 Y^3 is H or CH_3 ,
 X^4 is CH_3 , OCH_3 , OC_2H_5 , CH_2OCH_3 or Cl ,
 Y^4 is CH_3 , OCH_3 , OC_2H_5 or Cl ,
 Y^5 is CH_3 , C_2H_5 , OCH_3 or Cl .

8. A compound of the formula (II)



in which R^1 , R^2 and n have the meaning mentioned in one or more of claims 1 to 4.

7. A method of combating undesired plants or of regulating the growth of plants, wherein an amount of a compound of the formula (I) or its salts as defined by one or more of claims 1 to 4, which is herbicidally effective or effective for regulating the growth of plants, is applied to the plants, plant seed or the cultivated area.

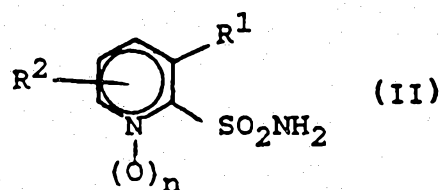
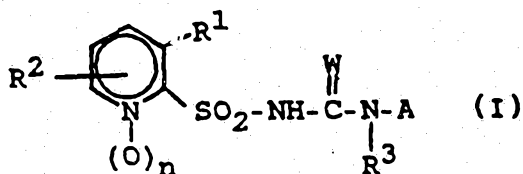
PCTINTERNATIONALE ANMELDUNG VERÖFFENTLICHT NACH DEM VERTRAG ÜBER DIE
INTERNATIONALE ZUSAMMENARBEIT AUF DEM GEBIET DES PATENTWESENS (PCT)

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(21) Internationales Aktenzeichen: PCT/EP90/02308 (22) Internationales Anmeldedatum: 24. Dezember 1990 (24.12.90) (30) Prioritätsdaten: P 40 00 503.8 10. Januar 1990 (10.01.90) DE P 40 30 577.5 27. September 1990 (27.09.90) DE (71) Anmelder (für alle Bestimmungsstaaten ausser US): HOECHST AKTIENGESELLSCHAFT [DE/DE]; Postfach 80 03 20, D-6230 Frankfurt am Main 80 (DE). (72) Erfinder; und (75) Erfinder/Anmelder (nur für US): KEHNE, Heinz [DE/DE]; Berliner Straße 10, D-6238 Hofheim am Taunus (DE). WILLMS, Lothar [DE/DE]; Lindenstraße 17, D-5416 Hillscheid (DE). ORT, Oswald [DE/DE]; Gundelhardtstraße 2, D-6233 Kelkheim (Taunus) (DE). BAUER, Klaus [DE/DE]; Doerner Straße 53d, D-6470 Hanau (DE). BIERINGER, Hermann [DE/DE]; Eichenweg 26, D-6239 Eppstein/Taunus (DE).		(74) Gemeinsamer Vertreter: HOECHST AKTIENGESELLSCHAFT; Zentrale Patentabteilung, Postfach 80 03 20, D-6230 Frankfurt am Main 80 (DE). (81) Bestimmungsstaaten: AT, AT (europäisches Patent), AU, BB, BE (europäisches Patent), BF (OAPI Patent), BG, BJ (OAPI Patent), BR, CA, CF (OAPI Patent), CG (OAPI Patent), CH, CH (europäisches Patent), CM (OAPI Patent), DE, DE (europäisches Patent), DK, DK (europäisches Patent), ES, ES (europäisches Patent), FI, FR (europäisches Patent), GA (OAPI Patent), GB, GB (europäisches Patent), GR (europäisches Patent), HU, IT (europäisches Patent), JP, KP, KR, LK, LU, LU (europäisches Patent), MC, MG, ML (OAPI Patent), MR (OAPI Patent), MW, NL, NL (europäisches Patent), NO, RO, SD, SE, SE (europäisches Patent), SN (OAPI Patent), SU, TD (OAPI Patent), TG (OAPI Patent), US. Veröffentlicht. Mit internationalem Recherchenbericht.

648058

(54) Title: USE OF PYRIDYL SULFONYL UREAS AS HERBICIDES AND PLANT GROWTH REGULATORS, PROCESS FOR PRODUCING THEM AND THEIR USE

(54) Bezeichnung: PYRIDYLSULFONYLHARNSTOFFE ALS HERBIZIDE UND PFLANZENWACHSTUMSREGULATOREN, VERFAHREN ZU IHRER HERSTELLUNG UND IHRE VERWENDUNG

**(57) Abstract**

Compounds of formula (I), where R^1 , R^2 , n , W , R^3 and A are as defined in claim 1, are suitable for use as herbicides and plant growth regulators. They can be produced by a process similar to known processes. To produce them, new compounds of formula (II) are reacted with a carbamate of formula $R^*-O-CO-NR^3A$, where R^3 stands for phenyl or alkyl. The compounds of formula (II) can be obtained from the corresponding sulfochlorides.

(57) Zusammenfassung

Verbindungen der Formel (I), worin R^1 , R^2 , n , W , R^3 und A wie in Anspruch 1 definiert sind, sind als Herbizide und Pflanzenwachstumsregulatoren geeignet. Sie können analog bekannten Verfahren hergestellt werden. Zur Herstellung werden die neuen Verbindungen der Formel (II) mit einem Carbamat der Formel $R^*-O-CO-NR^3A$, worin R^3 Phenyl oder Alkyl bedeutet, umgesetzt. Die Verbindungen der Formel (II) können über die entsprechenden Sulfochloride hergestellt werden.

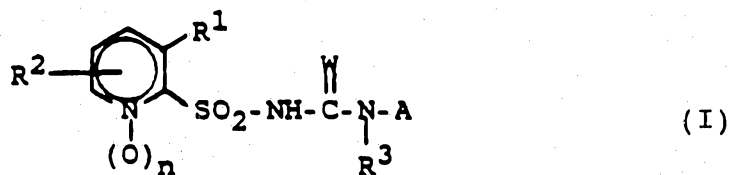
Description

Pyridylsulfonylureas as herbicides and plant growth regulators, processes for their preparation and their use

5 It is known that some 2-pyridylsulfonylureas have herbicidal and plant growth-regulating properties; cf. EP-A-13,480, EP-A-272,855, EP-A-84,224, US-PS 4,421,550, EP-A-103,543 (US-A-4,579,583), US-PS 4,487,626, 626, EP-A-125,864, WO 88/04297.

10 It has now been found that 2-pyridylsulfonylureas having specific radicals in the 3-position of the pyridyl radical are particularly highly suitable as herbicides and growth regulators.

15 The present invention relates to compounds of the formula (I) or their salts



in which

R¹ is -OSO₂NR⁴R⁵, -NR⁶R⁷ or iodine,

20 R² is H, (C₁-C₄)alkyl, preferably (C₁-C₃)alkyl, (C₁-C₃)-haloalkyl, halogen, NO₂, CN, (C₁-C₃)alkoxy, (C₁-C₃)haloalkoxy, (C₁-C₃)alkylthio, (C₁-C₃)alkoxy-(C₁-C₃)alkyl, (C₁-C₃)alkoxycarbonyl, (C₁-C₃)alkyl-amino, di-[(C₁-C₃)alkyl]amino, (C₁-C₃)alkyl-sulfinyl, (C₁-C₃)alkylsulfonyl, SO₂NR^aR^b or C(O)NR^aR^b,

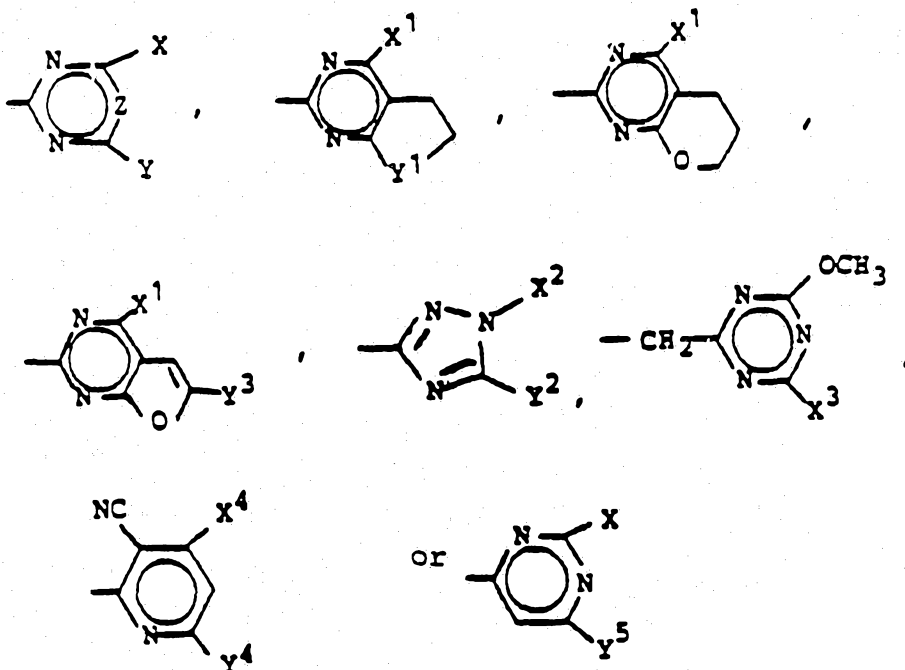
25

R^a and R^b independently of one another are H, (C₁-C₃)alkyl, (C₃-C₄)alkenyl, propargyl, or



- together are $-(CH_2)_4-$, $-(CH_2)_5-$ or $-CH_2CH_2OCH_2CH_2-$,
R³ is H or CH₃,
R⁴ is H, (C₁-C₃)alkyl, (C₃-C₄)alkenyl, (C₁-C₃)alkoxy or
(C₃-C₄)alkynyl, preferably propargyl, and
5 R⁵ is H, (C₁-C₃)alkyl, (C₃-C₄)alkenyl or (C₃-C₄)alkynyl,
preferably propargyl, or
R⁴ and R⁵ together are $-(CH_2)_4-$, $-(CH_2)_5-$ or $-CH_2CH_2OCH_2CH_2-$,
R⁶ is H, (C₁-C₈)alkyl, which is unsubstituted or sub-
stituted by one or more radicals from the group
10 comprising halogen, (C₁-C₄)alkoxy, (C₁-C₄)alkyl-
thio, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl,
(C₁-C₄-alkoxy)carbonyl and CN, (C₃-C₆)alkenyl which
is unsubstituted or substituted by one or more
halogen atoms, (C₃-C₆)alkynyl which is unsub-
stituted or substituted by one or more halogen
15 atoms, (C₁-C₄)alkylsulfonyl which is unsubstituted
or substituted by one or more halogen atoms,
phenylsulfonyl where the phenyl radical is unsub-
stituted or substituted by one or more radicals
from the group comprising halogen, (C₁-C₄)alkyl
20 and (C₁-C₄)alkoxy, (C₁-C₄)alkoxy or (C₁-C₄-alkyl)-
carbonyl which is unsubstituted or substituted by
one or more halogen atoms,
R⁷ is (C₁-C₄)alkylsulfonyl which is unsubstituted or
substituted by one or more halogen atoms, phenyl-
25 sulfonyl where the phenyl radical is unsubstitu-
ted or substituted by one or more radicals from
the group comprising halogen, (C₁-C₄)alkyl and
(C₁-C₄)alkoxy, or di-[(C₁-C₄)alkyl]aminosulfonyl or
30 R⁶ and R⁷ together are a chain of the formula $-(CH_2)_m-SO_2-$,
where the chain can additionally be substituted
by 1 to 4 (C₁-C₃)alkyl radicals and m is 3 or 4,
n is zero or 1,
W is O or S,
35 A is a radical of the formula





- X is H, halogen, (C₁-C₃)alkyl, (C₁-C₃)alkoxy, where the two last-mentioned radicals are unsubstituted or monosubstituted or polysubstituted by halogen or monosubstituted by (C₁-C₃)alkoxy,
- Y is H, (C₁-C₃)alkyl, (C₁-C₃)alkoxy or (C₁-C₃)alkylthio, where the abovementioned alkyl-containing radicals are unsubstituted or monosubstituted or polysubstituted by halogen or monosubstituted or disubstituted by (C₁-C₃)alkoxy or (C₁-C₃)alkylthio, and also a radical of the formula NR⁸R⁹, (C₃-C₆)cycloalkyl, (C₂-C₄)alkenyl, (C₂-C₄)alkynyl, (C₃-C₄)alkenyloxy or (C₃-C₄)alkynyloxy,
- Z is CH or N,
- R⁸ and R⁹ independently of one another are H, (C₁-C₃)alkyl or (C₃-C₄)alkenyl,
- X¹ is CH₃, OCH₃, OC₂H₅ or OCF₂H,
- Y¹ is -O- or -CH₂-,
- X² is CH₃, C₂H₅ or CH₂CF₃,
- Y² is OCH₃, OC₂H₅, SCH₃, SC₂H₅, CH₃ or C₂H₅,
- X³ is CH₃ or OCH₃,
- Y³ is H or CH₃,
- X⁴ is CH₃, OCH₃, OC₂H₅, CH₂OCH₃ or Cl,



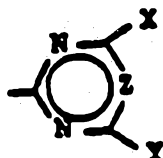
Y^4 is CH_3 , OCH_3 , OC_2H_5 or Cl ,

Y^5 is CH_3 , C_2H_5 , OCH_3 or Cl .

In the formula (I), alkyl, alkoxy, haloalkyl, alkylamino and alkylthio radicals and the corresponding unsaturated and/or substituted radicals can in each case be straight-chain or branched. Alkyl radicals, also in combined meanings such as alkoxy, haloalkyl etc., are methyl, ethyl, n- or i-propyl, alkenyl and alkynyl radicals have the meaning of the possible unsaturated radicals corresponding to the alkyl radicals, such as 2-propenyl, 2- or 3-butenyl, 2-propynyl, 2- or 3-butyne. Halogen is fluorine, chlorine, bromine or iodine.

The compounds of the formula (I) can form salts in which the hydrogen of the $-SO_2-NH$ group is replaced by a cation which is suitable for agricultural purposes. These salts are, for example, metal salts, in particular alkali metal or alkaline earth metal salts, or alternatively ammonium salts or salts with organic amines. Salt formation can also take place by addition of a strong acid to the pyridine moiety of the compound of the formula (I). Suitable acids for this are HCl , HBr , H_2SO_4 or HNO_3 .

Preferred compounds of the formula (I) or their salts are those in which $n = \text{zero}$, $W = O$ and A is a radical of the formula



in which X , Y and Z are defined as described above.

Preferred compounds of the formula I or their salts are also those in which

R^2 , R^4 , R^5 , n , W and A are as defined above and

R^4 and R^5 independently of one another are (C_1-C_3) alkyl,



allyl or propargyl or

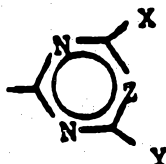
R⁴ and R⁵ together are -(CH₂)₄-, -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-,

R⁶ is H, (C₁-C₄)alkyl which is unsubstituted or substituted by one or more halogen atoms or by a radical from the group comprising (C₁-C₃)alkoxy, (C₁-C₃)alkylthio, (C₁-C₃)alkylsulfonyl, (C₁-C₄)-alkoxycarbonyl and CN, (C₃-C₄)alkenyl, (C₃-C₄)alkynyl, (C₁-C₄)alkylsulfonyl, phenylsulfonyl, phenylsulfonyl which is substituted by one to three radicals from the group comprising halogen, (C₁-C₃)alkyl and (C₁-C₃)alkoxy, (C₁-C₃)alkoxy or (C₁-C₄)alkylcarbonyl,

R⁷ is (C₁-C₄)alkylsulfonyl, phenylsulfonyl or phenylsulfonyl which is substituted by 1 to 3 radicals from the group comprising halogen, (C₁-C₃)alkyl and (C₁-C₃)alkoxy, or di-(C₁-C₄-alkyl)-aminosulfonyl or

R⁶ and R⁷ together are a chain of the formula -(CH₂)_mSO₂- where m is 3 or 4.

Particularly preferred compounds of the formula (I) or their salts are those in which R² is H, (C₁-C₃)alkyl, (C₁-C₃)alkoxy, halogen or (C₁-C₃)alkylthio, R⁴ and R⁵ independently of one another are (C₁-C₃)alkyl, R⁶ is hydrogen, (C₁-C₄)alkyl or (C₁-C₃)alkylsulfonyl, R⁷ is (C₁-C₃)alkylsulfonyl and A is a radical of the formula



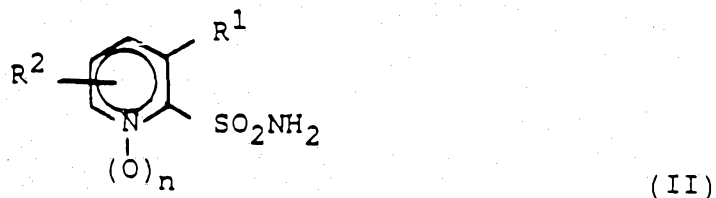
in which Z is CH or N, X is halogen, (C₁-C₂)alkyl, (C₁-C₂)-alkoxy, OCF₂H, CF₃ or OCH₂CF₃, and Y is (C₁-C₂)alkyl, (C₁-C₂)alkoxy or OCF₂H, and in particular the compounds defined above in which n = zero and W is an oxygen atom.

The present invention further relates to processes for the preparation of the compounds of the formula (I) or

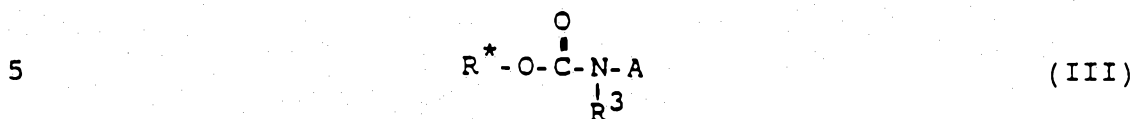


their salts, which comprise

(a) reacting a compound of the formula (II)

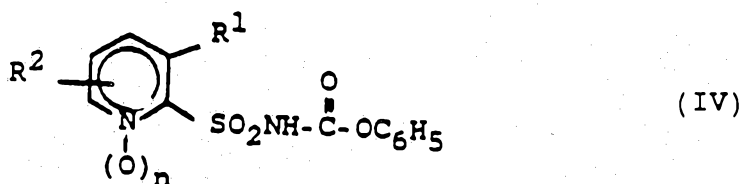


with a heterocyclic carbamate of the formula (III)



in which R* is phenyl or (C₁-C₄)alkyl, or

(b) reacting a pyridylsulfonylcarbamate of the formula (IV)



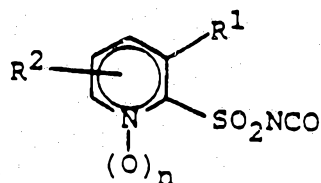
10 with an aminoheterocycle of the formula (V)



or

(c) reacting a sulfonyl isocyanate of the formula (VI)





(VI)

with an aminoheterocycle of the formula R^3-NH-A (V)
or

- 5 (d) first reacting an aminoheterocycle of the formula R^3-NH-A (V) in a one-pot reaction with phosgene in the presence of a base, such as, for example, triethylamine, and reacting the intermediate formed with a pyridinesulfonamide of the formula (II) (for example analogously to EP-A-232,067).

- 10 The reaction of the compounds of the formulae (II) and (III) is preferably carried out under base catalysis in an inert organic solvent, such as, for example, dichloromethane, acetonitrile, dioxane or THF at temperatures between $0^\circ C$ and the boiling point of the solvent.
- 15 1,8-Diazabicyclo[5.4.0]undec-7-ene (DBU) or trimethylaluminum or triethylaluminum is preferably used as the base.

- The sulfonamides (II) are novel compounds. The invention also relates to them and their preparation. They are
- 20 obtained starting from suitably substituted 2-halopyridines, which are reacted with S-nucleophiles such as, for example, benzylmercaptan or thiourea. The compounds formed in this way are converted with sodium hypochlorite or chlorine into the sulfochlorides (analogously to
- 25 EP-A-272,855), which are then either reacted directly with ammonia or with tert.-butylamine via the tert.-butylamides with subsequent protective group removal to give the sulfonamides of the formula (II).

The carbamates of the formula (III) can be prepared by methods which are described in South African patent



applications 82/5671 and 82/5045, or EP-A-70804 (US-A-4,480,101) or RD 275056.

5 The reaction of the compounds (IV) with the aminoheterocycles (V) is preferably carried out in inert aprotic solvents such as, for example, dioxane, acetonitrile or tetrahydrofuran at temperatures between 0°C and the boiling point of the solvent. The starting materials (V) required are known from the literature or can be prepared by processes which are known from the literature. The
10 pyridylsulfonylcarbamates of the formula (IV) are obtained analogously to EP-A-44,808 or EP-A-237,292.

The pyridylsulfonylisocyanates of the formula (VI) can be prepared analogously to EP-A-184,385 and reacted with the aminoheterocycles (V).

15 The salts of the compounds of the formula (I) are preferably prepared in inert solvents such as, for example, water, methanol or acetone at temperatures of 0 - 100°C. Suitable bases for the preparation of the salts according to the invention are, for example, alkali metal carbonates, such as potassium carbonate, alkali metal and
20 alkaline earth metal hydroxides, ammonia or ethanolamine. HCl, HBr, H₂SO₄ or HNO₃ are particularly suitable as acids for salt formation.

25 By "inert solvents" in the process variants above, solvents are in each case meant which are inert under the particular reaction conditions, but which do not have to be inert under all reaction conditions.

30 The compounds of the formula (I) according to the invention have an excellent herbicidal activity against a broad spectrum of economically important monocotyledon and dicotyledon weeds. Even perennial weeds, which are difficult to control and shoot from rhizomes, root stocks or other perennial organs, are well controlled by the active compounds. It is irrelevant here whether the



substances are applied pre-sowing, pre-emergence or post-emergence. In particular, some representatives of the monocotyledon and dicotyledon weed flora which can be controlled by the compounds according to the invention
5 may be mentioned by way of example without a restriction to certain species being intended by their mention.

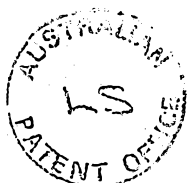
On the monocotyledon weed species side, for example, Avena, Lolium, Alopecurus, Phalaris, Echinochloa, Digitaria, Setaria and Cyperus species from the annual
10 group and on the perennial species side Agropyron, Cynodon, Imperata and Sorghum and also perennial Cyperus species are well controlled.

In the case of dicotyledon weed species, the spectrum of action extends to species such as, for example, Galium,
15 Viola, Veronica, Lamium, Stellaria, Amaranthus, Sinapis, Ipomoea, Matricaria, Abutilon and Sida on the annual side and Convolvulus, Cirsium, Rumex and Artemisia in the case of the perennial weeds.

Under the specific cultivation conditions, weeds
20 occurring in rice, such as, for example, Sagittaria, Alisma, Eleocharis, Scirpus and Cyperus are also outstandingly controlled by the active compounds according to the invention.

If the compounds according to the invention are applied
25 to the surface of the soil before germination, the emergence of the weed seedlings is either completely prevented or the weeds grow to the seed leaf stage, but then cease their growth and finally die completely after the passage of three to four weeks.

On application of the active compounds to the green parts
30 of plants post-emergence, a drastic stop to growth also occurs very rapidly after the treatment and the weed plants remain at the growth stage present at the time of application or die completely after a certain time, so



that in this manner weed competition which is damaging for the crop plants is eliminated very early and in a lasting manner.

5 Although the compounds according to the invention have an excellent herbicidal activity against monocotyledon and dicotyledon weeds, crop plants of economically important crops such as, for example, wheat, barley, rye, rice, corn, sugarbeet, cotton and soya are only damaged insubstantially or not at all. For these reasons, the present
10 compounds are very highly suitable for selectively controlling undesired plant growth in agricultural productive plantings.

Moreover, the substances according to the invention show excellent growth regulatory properties in crop plants.
15 They intervene in a regulating manner in the plant's own metabolism and can thus be employed for influencing plant contents in a controlled manner and for simplifying harvesting such as, for example, by causing desiccation and stunting of growth. In addition, they are also
20 suitable for the general control and inhibition of undesired vegetative growth without killing the plants. In many monocotyledon and dicotyledon crops, inhibition of the vegetative growth plays a great role, as lodging can be reduced by this or completely prevented.

25 The compounds according to the invention can be used in the customary preparations in the form of wettable powders, emulsifiable concentrates, sprayable solutions, dusting agents or granules. The invention therefore also relates to herbicidal and plant growth-regulating agents
30 which contain compounds of the formula (I) or their salts.

The compounds of the formula (I) can be formulated in various ways, depending on which biological and/or physicochemical parameters are given. Examples of suitable formulation possibilities are: wettable powders



(WP), water-soluble powders (SP), water-soluble concentrates, emulsifiable concentrates (EC), emulsions (EW), such as oil-in-water and water-in-oil emulsions, sprayable solutions, suspension concentrates (SC),
5 dispersions based on oil or water, oil-miscible solutions, capsule suspensions (CS), dusting agents (DP), seed dressings, granules for broadcasting and application to the soil, granules (GR) in the form of microgranules, sprayable granules, swellable granules and adsorption
10 granules, water-dispersible granules (WG), water-soluble granules (SG), ULV formulations, microcapsules and waxes.

These individual formulation types are known in principle and are described, for example, in: Winnacker-Küchler, "Chemische Technologie", volume 7, C. Hauser Verlag
15 Munich, 4th Edition 1986; Wade van Valkenburg, "Pesticide Formulations", Marcel Dekker N.Y., 1973; K. Martens, "Spray Drying Handbook", 3rd Ed. 1979, G. Goodwin Ltd. London.

The necessary formulation auxiliaries such as inert
20 materials, surfactants, solvents and other additives are also known and are described, for example, in: Watkins, "Handbook of Insecticide Dust Diluents and Carriers", 2nd Ed., Darland Books, Caldwell N.J.; H.v. Olphen, "Introduction to Clay Colloid Chemistry"; 2nd Ed.,
25 J. Wiley and Sons, N.Y.; C. Marsden, "Solvents Guide", 2nd Ed., Interscience, N.Y. 1963; McCutcheon's "Detergents and Emulsifiers Annual", MC Publ. Corp., Ridgewood N.J.; Sisley and Wood, "Encyclopedia of Surface Active Agents", Chem. Publ. Co. Inc., N.Y. 1964; Schönfeldt,
30 "Grenzflächenaktive Äthylenoxidaddukte" (Surface-active ethylene oxide adducts), Wiss. Verlagsgesell., Stuttgart 1976; Winnacker-Küchler, "Chemische Technologie" (Chemical Technology), Vol. 7, C. Hauser Verlag Munich, 4th Edition, 1986.

35 Combinations with other pesticidally active substances, fertilizers and/or growth regulators can also be prepared



based on these formulations, for example in the form of a finished formulation or as a tank mix.

Wettable powders are preparations which can be dispersed uniformly in water which apart from the active compound and in addition to a diluent or inert substance also contain wetting agents, for example polyoxyethylated alkylphenols, polyoxyethylated fatty alcohols and fatty amines, fatty alcohol polyglycol ether sulfates, alkane sulfonates or alkylbenzenesulfonates and dispersants, for example sodium ligninsulfonate, sodium 2,2'-dinaphthylmethane-6,6'-disulfonate, sodium dibutyl-naphthalene-sulfonate or alternatively sodium oleylmethyltaurate.

Emulsifiable concentrates are prepared by dissolving the active compound in an organic solvent, for example butanol, cyclohexanone, dimethylformamide, xylene or alternatively high-boiling aromatics or hydrocarbons with the addition of one or more emulsifiers. Examples of emulsifiers which can be used are: calcium alkylaryl-sulfonates such as Ca dodecylbenzenesulfonate or nonionic emulsifiers such as fatty acid polyglycol esters, alkyl-aryl polyglycol ethers, fatty alcohol polyglycol ethers, propylene oxide-ethylene oxide condensation products, alkyl polyethers, sorbitan fatty acid esters, polyoxy-ethylene sorbitan fatty acid esters, polyoxyethylene sorbitol esters.

Dusting agents are obtained by grinding the active compound with finely divided solid substances, for example talc, natural clays such as kaolin, bentonite and pyrophyllite, or diatomaceous earth.

Granules can be prepared either by spraying the active compound onto adsorptive, granulated inert material or by applying active compound concentrates by means of adhesives, for example polyvinyl alcohol, sodium polyacrylate or alternatively mineral oils, to the surface of carriers such as sand, kaolinities or granulated inert



material. Suitable active compounds can also be granulated in the manner customary in the preparation of fertilizer granules, if desired mixed with fertilizer granules.

5 The agrochemical preparations as a rule contain 0.1 to 99 percent by weight, in particular 0.1 to 95% by weight, of active compound of the formula (I).

10 In wettable powders the active compound concentration is, for example, about 10 to 90% by weight, the remainder to 100% by weight is composed of customary formulation components. In emulsifiable concentrates, the active compound concentration can be about 1 to 85% by weight, usually 5 to 80% by weight. Pulverulent formulations contain about 1 to 25% by weight, usually 5 to 20% by weight of active compound, sprayable solutions about 0.2 to 20% by weight, usually 2 to 20% by weight of active compound. In the case of granules, the active compound content in some cases depends on whether the active compound is liquid or solid. As a rule, the content in the water-dispersible granules is between 10 and 90% by weight.

25 In addition, said active compound formulations optionally contain the adhesives, wetting agents, dispersants, emulsifiers, penetrants, solvents, fillers or carriers customary in each case.

30 For application, the formulations in commercially available form are optionally diluted in a customary manner, for example by means of water in the case of wettable powders, emulsifiable concentrates, dispersions and water-dispersible granules. Pulverulent preparations, granules for application to the soil or broadcasting and sprayable solutions are customarily not diluted further with other inert substances before application.

The required application rate of the compounds of the



formula (I) varies, inter alia, with the external conditions such as temperature, humidity and the nature of the herbicide used. It can vary within wide limits, for example between 0.001 and 10.0 kg/ha or more of active substance, but it is preferably between 0.005 and 5 kg/ha.

Mixtures or mixed formulations with other active compounds, such as, for example, insecticides, acaricides, herbicides, safeners, fertilizers, growth regulators or fungicides are also optionally possible.

A. Chemical Examples

Example 1

2-Benzylthio-3-iodopyridine

A solution of 34.0 g (0.15 mol) of 2-fluoro-3-iodopyridine and 18.6 g (0.15 mol) of benzylmercaptan in 250 ml of acetonitrile is heated under reflux with 22.8 g (0.165 mol) of potassium carbonate for 8 h. The mixture is cooled, the solvent is removed on a rotary evaporator, the residue is taken up in dichloromethane and the organic phase is washed with water. After drying with sodium sulfate, evaporating and distilling the oily residue in vacuo, 37.3 g (76% of theory) of 2-benzylthio-3-iodopyridine of boiling point 150-153°C at 0.1 mbar are obtained.

Example 2

3-Iodo-2-pyridinesulfonamide

510 ml (0.34 mol) of a 5% strength sodium hypochlorite solution are added dropwise at 0°C to a mixture of 25.0 g (76.5 mmol) of 2-benzylthio-3-iodopyridine, 125 ml of dichloromethane, 60 ml of water and 38 ml of concentrated hydrochloric acid. The mixture is stirred at 0°C for 30 min, extracted 3x using 100 ml of dichloromethane each time and the organic phase is dried using sodium sulfate. The solution thus obtained is cooled to -20°C. 6.8 g (0.4 mol) of ammonia is passed in at this temperature in



the course of 20 min, and the mixture is stirred at -20°C for 2 h and allowed to come to room temperature. The reaction mixture is washed with water and the organic phase is dried and evaporated. Trituration of the residue with diisopropyl ether gives 15.5 g (71% of theory) of 3-iodo-2-pyridinesulfonamide of melting point 247-250°C (dec.)

Example 3

3-(4,6-Dimethoxypyrimidin-2-yl)-1-(3-iodo-2-pyridyl-sulfonyl)urea

1.2 g (0.081 mol) of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) are added to a suspension of 2.1 g (7.4 mmol) of 3-iodo-2-pyridinesulfonamide and 2.2 g (8.1 mmol) of N-(4,6-dimethoxypyrimidine-2-yl)phenyl carbamate in 30 ml of acetonitrile. The resulting solution is stirred at room temperature for 45 min and 20 ml of water are then added. The mixture is acidified to pH 4 using hydrochloric acid and the precipitated product is filtered off with suction. 3.2 g (93% of theory) of 3-(4,6-dimethoxypyrimidin-2-yl)-1-(3-iodo-2-pyridylsulfonyl)urea of melting point 161 - 162°C (dec.) are obtained.

Example 4

3-Dimethylsulfamoyloxy-2-pyridinesulfonamide

107 ml (72 mmol) of a 5% strength sodium hypochlorite solution are added dropwise at 0°C to a mixture of 5.7 g (17.6 mmol) of 2-benzylthio-3-dimethylsulfamoyloxy-pyridine, 30 ml of dichloromethane, 15 ml of water and 8.5 ml of concentrated hydrochloric acid. The mixture is stirred at 0°C for 30 min, extracted 3x using 20 ml of dichloromethane each time and the organic phase is dried using sodium sulfate. The solution thus obtained is cooled to -70°C. Ammonia is passed in at this temperature until the reaction mixture gives a distinctly alkaline reaction. After stirring at -70°C for 3 hours, the mixture is allowed to come to room temperature and is washed with water. The organic phase is dried and evaporated. 3.0 g (61% of theory) of 3-dimethylsulfamoyl-



oxy-2-pyridinesulfonamide are obtained;

NMR (CDCl₃): δ (ppm) = 3.06 (s, 6H, N(CH₃)₂), 5.80 (s, 2H, NH₂), 7.48 (dd, 1H), 7.98 (dd, 1H), 8.38 (dd, 1H).

5 **Example 5**

3-(4,6-Dimethoxypyrimidin-2-yl)-1-(3-dimethylsulfamoyloxy-2-pyridylsulfonyl)urea

1.9 g (12.7 mmol) of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) are added to a suspension of 3.0 [lacuna] (10.6 mmol) of 3-dimethylsulfamoyloxy-2-pyridinesulfonamide and 3.4 g (12.7 mmol) of N-(4,6-dimethoxypyrimidin-2-yl)phenyl carbamate in 40 ml of acetonitrile. The resulting solution is stirred at room temperature for 1 h and 30 ml of water are then added. The mixture is acidified to pH 4 using hydrochloric acid and the precipitated product is filtered off with suction. After triturating with diethyl ether, 2.1 g (42% of theory) of 3-(4,6-dimethoxypyrimidin-2-yl)-1-(3-dimethylsulfamoyloxy-2-pyridylsulfonyl)urea of melting point 155-157°C are obtained.

Example 6

3-(4,6-Dimethoxy-1,3,5-triazin-2-yl)-1-(3-iodo-2-pyridylsulfonyl)urea

9.0 ml (18 mmol) of a 2M solution of trimethylaluminum in toluene are added dropwise at room temperature to 4.3 g (15 mmol) of 3-iodo-2-pyridinesulfonamide in 150 ml of dichloromethane. After evolution of gas has ceased, 3.85 [lacuna] (18 mmol) of methyl 4,6-dimethoxy-1,3,5-triazin-2-yl-carbamate in 20 ml of dichloromethane is added dropwise and the resulting solution is refluxed for 24 hours. The mixture is cooled and poured into 150 ml of ice-cold 1N hydrochloric acid. The organic phase is separated off and the aqueous phase is extracted 2x using dichloromethane. The organic phase is dried and evaporated. After triturating the crude product with diethyl ether, 3.1 g (44% of theory) of 3-(4,6-dimethoxy-1,3,5-triazin-2-yl)-1-(3-iodo-2-pyridylsulfonyl)urea of melting

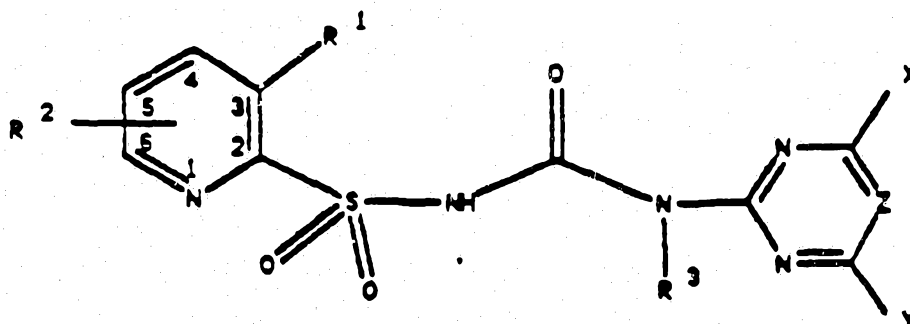


point 155°C (dec.) are obtained.

The compounds in the following Tables 1 to 4 are obtained analogously to the processes of Examples 1-6.



Table 1



Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M. p [°C]
1	I	H	H	OCH ₃	OCH ₃	CH	161-162 (D.)
2	"	H	CH ₃	OCH ₃	OCH ₃	CH	
3	"	H	CH ₃	OCH ₃	CH ₃	N	
4	"	H	H	CH ₃	CH ₃	CH	186 (D.)
5	"	H	H	OCH ₃	CH ₃	CH	177-178
6	"	H	H	CH ₃	CH ₃	N	
7	"	H	H	OCH ₃	CH ₃	N	156-157 (dec.)
8	"	H	H	OCH ₃	OCH ₃	N	155 (dec.)
9	"	H	H	OCH ₃	Cl	CH	
10	"	H	H	OCF ₃ H	CH ₃	CH	
11	"	H	H	OCF ₃ H	OCF ₃ H	CH	
12	"	H	H	OCH ₃	Br	CH	
13	"	H	H	OCH ₃	OC ₂ H ₅	CH	
14	"	H	H	OCH ₃	SC ₂ H ₅	CH	
15	"	H	H	OCH ₃	OC ₂ H ₅	N	
16	"	H	H	OCH ₃	OC ₂ H ₅	CH	
17	"	H	H	OCH ₃	Cl	N	
18	"	H	H	Cl	OC ₂ H ₅	CH	
19	"	H	H	OC ₂ H ₅	OC ₂ H ₅	CH	
20	"	H	H	C ₂ H ₅	OCH ₃	CH	
21	"	H	H	CF ₃	OCH ₃	CH	
22	"	H	H	OCH ₂ CF ₃	CH ₃	CH	
23	"	H	H	OCH ₂ CF ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M-p [°C]
24	"	H	H	OCH ₂ CF ₃	OCH ₂ CF ₃	CH	
25	"	H	H	OCH ₂ CF ₃	OCH ₃	N	
26	"	H	H	OCH ₃	CH(OCH ₃) ₂	CH	
27	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
28	"	"	H	OCH ₃	CH ₃	CH	
29	"	"	H	OCH ₃	Cl	CH	
30	"	"	H	CH ₃	CH ₃	CH	
31	"	"	H	OCH ₃	OCH ₃	N	
32	"	"	H	OCH ₃	CH ₃	N	
33	"	"	H	OC ₂ H ₅	NHCH ₃	N	
34	"	"	CH ₃	OCH ₃	CH ₃	N	
35	"	5-CH ₃	H	OCH ₃	OCH ₃	CH	
36	"	"	H	OCH ₃	CH ₃	CH	
37	"	"	H	OCH ₃	Cl	CH	
38	"	"	H	CH ₃	CH ₃	CH	
39	"	"	H	OCH ₃	OCH ₃	N	
40	"	"	H	OCH ₃	CH ₃	N	
41	"	"	H	OC ₂ H ₅	NHCH ₃	N	
42	"	"	CH ₃	OCH ₃	CH ₃	N	
43	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
44	"	"	H	OCH ₃	CH ₃	CH	
45	"	"	H	OCH ₃	Cl	CH	
46	"	"	H	CH ₃	CH ₃	CH	
47	"	"	H	OCH ₃	OCH ₃	N	
48	"	"	H	OCH ₃	CH ₃	N	
49	"	"	H	OC ₂ H ₅	NHCH ₃	N	
50	"	"	CH ₃	OCH ₃	CH ₃	N	
51	"	4-Cl	H	OCH ₃	OCH ₃	CH	
52	"	"	H	OCH ₃	CH ₃	CH	
53	"	"	H	OCH ₃	Cl	CH	
54	"	"	H	CH ₃	CH ₃	CH	
55	"	"	H	OCH ₃	OCH ₃	N	
56	"	"	H	OCH ₃	CH ₃	N	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
57	"	"	H	OC ₂ H ₅	NHCH ₃	N	
58	"	"	CH ₃	OCH ₃	CH ₃	N	
59	"	5-Cl	H	OCH ₃	OCH ₃	CH	
60	"	"	H	OCH ₃	CH ₃	CH	
61	"	"	H	OCH ₃	Cl	CH	
62	"	"	H	CH ₃	CH ₃	CH	
63	"	"	H	OCH ₃	OCH ₃	N	
64	"	"	H	OCH ₃	CH ₃	N	
65	"	"	H	OC ₂ H ₅	NHCH ₃	N	
66	"	"	CH ₃	OCH ₃	CH ₃	N	
67	"	6-Cl	H	OCH ₃	OCH ₃	CH	
68	"	"	H	OCH ₃	CH ₃	CH	
69	"	"	H	OCH ₃	Cl	CH	
70	"	"	H	CH ₃	CH ₃	CH	
71	"	"	H	OCH ₃	OCH ₃	N	
72	"	"	H	OCH ₃	CH ₃	N	
73	"	"	H	OC ₂ H ₅	NHCH ₃	N	
74	"	"	CH ₃	OCH ₃	CH ₃	N	
75	"	4-CF ₃	H	OCH ₃	OCH ₃	CH	
76	"	"	H	OCH ₃	CH ₃	CH	
77	"	"	H	OCH ₃	Cl	CH	
78	"	"	H	CH ₃	CH ₃	CH	
79	"	"	H	OCH ₃	OCH ₃	N	
80	"	"	H	OCH ₃	CH ₃	N	
81	"	4-F	H	OC ₂ H ₅	NHCH ₃	N	
82	"	"	CH ₃	OCH ₃	CH ₃	N	
83	"	5-CF ₃	H	OCH ₃	OCH ₃	CH	
84	"	"	H	OCH ₃	CH ₃	CH	
85	"	"	H	OCH ₃	Cl	CH	
86	"	"	H	CH ₃	CH ₃	CH	
87	"	"	H	OCH ₃	OCH ₃	N	
88	"	"	H	OCH ₃	CH ₃	N	
89	"	3-F	H	OC ₂ H ₅	NHCH ₃	N	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p.[°C]
90	"	"	CH ₃	OCH ₃	CH ₃	N	
91	"	6-F	H	OCH ₃	OCH ₃	CH	
92	"	"	H	OCH ₃	CH ₃	CH	
93	"	"	H	OCH ₃	Cl	CH	
94	"	"	H	CH ₃	CH ₃	CH	
95	"	"	H	OCH ₃	OCH ₃	N	
96	"	"	H	OCH ₃	CH ₃	N	
97	"	"	H	OC ₂ H ₅	NHCH ₃	N	
98	"	"	CH ₃	OCH ₃	CH ₃	N	
99	"	4-OCH ₃	H	OCH ₃	OCH ₃	CH	
100	"	"	H	OCH ₃	CH ₃	CH	
101	"	"	H	OCH ₃	Cl	CH	
102	"	"	H	CH ₃	CH ₃	CH	
103	"	"	H	OCH ₃	OCH ₃	N	
104	"	"	H	OCH ₃	CH ₃	N	
105	"	"	H	OC ₂ H ₅	NHCH ₃	N	
106	"	"	CH ₃	OCH ₃	CH ₃	N	
107	"	5-OCH ₃	H	OCH ₃	OCH ₃	CH	
108	"	"	H	OCH ₃	CH ₃	CH	
109	"	"	H	OCH ₃	Cl	CH	
110	"	"	H	CH ₃	CH ₃	CH	
111	"	"	H	OCH ₃	OCH ₃	N	
112	"	"	H	OCH ₃	CH ₃	N	
113	"	"	H	OC ₂ H ₅	NHCH ₃	N	
114	"	"	CH ₃	OCH ₃	CH ₃	N	
115	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
116	"	"	H	OCH ₃	CH ₃	CH	
117	"	"	H	OCH ₃	Cl	CH	
118	"	"	H	CH ₃	CH ₃	CH	
119	"	"	H	OCH ₃	OCH ₃	N	
120	"	"	H	OCH ₃	CH ₃	N	
121	"	"	H	OC ₂ H ₅	NHCH ₃	N	
122	"	"	CH ₃	OCH ₃	CH ₃	N	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. {°C}
123	"	6-C ₂ H ₅	H	OCH ₃	OCH ₃	CH	
124	"	6-C ₄ H ₉	H	OCH ₃	OCH ₃	CH	
126	"	6-OC ₂ H ₅	H	OCH ₃	OCH ₃	CH	
127	"	6-OCH ₂ CF ₃	H	OCH ₃	OCH ₃	CH	
128	"	6-SCH ₃	H	OCH ₃	OCH ₃	CH	
129	"	6-SO ₂ CH ₃	H	OCH ₃	OCH ₃	CH	
130	"	6-NO ₂	H	OCH ₃	OCH ₃	CH	
131	"	6-CO ₂ CH ₃	H	OCH ₃	OCH ₃	CH	
132	"	6-Br	H	OCH ₃	OCH ₃	CH	
133	"	6-CF ₃	H	OCH ₃	OCH ₃	CH	
134	"	6-OCF ₃	H	OCH ₃	OCH ₃	CH	
135	"	6-OCF ₂ H	H	OCH ₃	OCH ₃	CH	
136	-OSO ₂ N(CH ₃) ₂	H	H	OCH ₃	OCH ₃	CH	155-157
137	"	H	CH ₃	OCH ₃	OCH ₃	CH	
138	"	H	CH ₃	OCH ₃	CH ₃	N	
139	"	H	H	CH ₃	CH ₃	CH	
140	"	H	"	OCH ₃	CH ₃	CH	
141	"	H	H	CH ₃	CH ₃	N	
142	"	H	H	OCH ₃	CH ₃	N	137-138 (dec.)
143	"	H	H	OCH ₃	OCH ₃	N	
144	"	H	H	OCH ₃	Cl	CH	
145	"	H	H	OCF ₂ H	CE ₃	CH	
146	"	H	H	OCF ₂ H	OCF ₂ H	CH	
147	"	H	H	OCH ₃	Br	CH	
148	"	H	H	OCH ₃	OC ₂ H ₅	CH	
149	"	H	H	OCH ₃	SCN ₂	CH	
150	"	H	H	OCH ₃	OC ₂ H ₅	N	
151	"	H	H	OCH ₃	OC ₂ H ₅	CH	
152	"	H	H	OCH ₃	Cl	N	
153	"	H	H	Cl	OC ₂ H ₅	CH	
154	"	H	H	OC ₂ H ₅	OC ₂ H ₅	CH	
155	"	H	H	C ₂ H ₅	OCH ₃	CH	
156	"	H	H	CF ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
157	"	H	H	OCH ₂ CF ₃	CH ₃	CH	
158	"	H	H	OCH ₂ CF ₃	OCH ₃	CH	
159	"	H	H	OCH ₂ CF ₃	OCH ₂ CF ₃	CH	
160	"	H	H	OCH ₂ CF ₃	OCH ₃	N	
161	"	H	H	OCH ₃	CH(OCH ₃) ₂	CH	
162	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
163	"	"	H	OCH ₃	CH ₃	CH	
164	"	"	H	OCH ₃	Cl	CH	
165	"	"	H	CH ₃	CH ₃	CH	
166	"	"	H	OCH ₃	OCH ₃	N	
167	"	"	H	OCH ₃	CH ₃	N	
168	"	"	H	OC ₂ H ₅	NHCH ₃	N	
169	"	"	CH ₃	OCH ₃	CH ₃	N	
170	"	5-CH ₃	H	OCH ₃	OCH ₃	CH	
171	"	"	H	OCH ₃	CH ₃	CH	
172	"	"	H	OCH ₃	Cl	CH	
173	"	"	H	CH ₃	CH ₃	CH	
174	"	"	H	OCH ₃	OCH ₃	N	
175	"	"	H	OCH ₃	CH ₃	N	
176	"	"	H	OC ₂ H ₅	NHCH ₃	N	
177	"	"	CH ₃	OCH ₃	CH ₃	N	
178	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
179	"	"	H	OCH ₃	CH ₃	CH	
180	"	"	H	OCH ₃	Cl	CH	
181	"	"	H	CH ₃	CH ₃	CH	
182	"	"	H	OCH ₃	OCH ₃	N	
183	"	"	H	OCH ₃	CH ₃	N	
184	"	"	H	OC ₂ H ₅	NHCH ₃	N	
185	"	"	CH ₃	OCH ₃	CH ₃	N	
186	"	4-Cl	H	OCH ₃	OCH ₃	CH	
187	"	"	H	OCH ₃	CH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
188	"	"	H	OCH ₃	Cl	CH	
189	"	"	H	CH ₃	CH ₃	CH	
190	"	"	H	OCH ₃	OCH ₃	N	
191	"	"	H	OCH ₃	CH ₃	N	
192	"	"	H	OC ₂ H ₅	NHCH ₃	N	
193	"	"	CH ₃	OCH ₃	CH ₃	N	
194	"	5-Cl	H	OCH ₃	OCH ₃	CH	
195	"	"	H	OCH ₃	CH ₃	CH	
196	"	"	H	OCH ₃	Cl	CH	
197	"	"	H	CH ₃	CH ₃	CH	
198	"	"	H	OCH ₃	OCH ₃	N	
199	"	"	H	OCH ₃	CH ₃	N	
200	"	"	H	OC ₂ H ₅	NHCH ₃	N	
201	"	"	CH ₃	OCH ₃	CH ₃	N	
202	"	6-Cl	H	OCH ₃	OCH ₃	CH	
203	"	"	H	OCH ₃	CH ₃	CH	
204	"	"	H	OCH ₃	Cl	CH	
205	"	"	H	CH ₃	CH ₃	CH	
206	"	"	H	OCH ₃	OCH ₃	N	
207	"	"	H	OCH ₃	CH ₃	N	
208	"	"	H	OC ₂ H ₅	NHCH ₃	N	
209	"	"	CH ₃	OCH ₃	CH ₃	N	
210	"	4-F	H	OCH ₃	OCH ₃	CH	
211	"	"	H	OCH ₃	CH ₃	CH	
212	"	"	H	OCH ₃	Cl	CH	
213	"	"	H	CH ₃	CH ₃	CH	
214	"	"	H	OCH ₃	OCH ₃	N	
215	"	"	H	OCH ₃	CH ₃	N	
216	"	"	H	OC ₂ H ₅	NHCH ₃	N	
217	"	"	CH ₃	OCH ₃	CH ₃	N	
218	"	5-F	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
219	"	"	H	OCH ₃	CH ₃	CH	
220	"	"	H	OCH ₃	Cl	CH	
221	"	"	H	CH ₃	CH ₃	CH	
222	"	"	H	OCH ₃	OCH ₃	N	
223	"	"	H	OCH ₃	CH ₃	N	
224	"	"	H	OC ₂ H ₅	NHCH ₃	N	
225	"	"	CH ₃	OCH ₃	CH ₃	N	
226	"	6-F	H	OCH ₃	OCH ₃	CH	
227	"	"	H	OCH ₃	CH ₃	CH	
228	"	"	H	OCH ₃	Cl	CH	
229	"	"	H	CH ₃	CH ₃	CH	
230	"	"	H	OCH ₃	OCH ₃	N	
231	"	"	H	OCH ₃	CH ₃	N	
232	"	"	H	OC ₂ H ₅	NHCH ₃	N	
233	"	"	CH ₃	OCH ₃	CH ₃	N	
234	"	4-OCH ₃	H	OCH ₃	OCH ₃	CH	
235	"	"	H	OCH ₃	CH ₃	CH	
236	"	"	H	OCH ₃	Cl	CH	
237	"	"	H	CH ₃	CH ₃	CH	
238	"	"	H	OCH ₃	OCH ₃	N	
239	"	"	H	OCH ₃	CH ₃	N	
240	"	"	H	OC ₂ H ₅	NHCH ₃	N	
241	"	"	CH ₃	OCH ₃	CH ₃	N	
242	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
243	"	"	H	OCH ₃	CH ₃	CH	
244	"	"	H	OCH ₃	Cl	CH	
245	"	"	H	CH ₃	CH ₃	CH	
246	"	"	H	OCH ₃	OCH ₃	N	
247	"	"	H	OCH ₃	CH ₃	N	
248	"	"	H	OC ₂ H ₅	NHCH ₃	N	
249	"	"	CH ₃	OCH ₃	CH ₃	N	
250	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd.No.	R ¹	R ²	R ³	X	Y	Z	M.p..[°C]
251	"	"	H	OCH ₃	CH ₃	CH	
252	"	"	H	OCH ₃	Cl	CH	
253	"	"	H	CH ₃	CH ₃	CH	
254	"	"	H	OCH ₃	OCH ₃	N	
255	"	"	H	OCH ₃	CH ₃	N	
256	"	"	H	OC ₂ H ₅	NHCH ₃	N	
257	"	"	CH ₃	OCH ₃	CH ₃	N	
258	"	6-C ₂ H ₅	H	OCH ₃	OCH ₃	CH	
259	"	6-C ₄ H ₉	H	OCH ₃	OCH ₃	CH	
260	"	6-OC ₂ H ₅	H	OCH ₃	OCH ₃	CH	
261	"	6-OCH ₂ CF ₃	H	OCH ₃	OCH ₃	CH	
262	"	6-SCH ₃	H	OCH ₃	OCH ₃	CH	
263	"	6-SO ₂ CH ₃	H	OCH ₃	OCH ₃	CH	
264	"	6-NO ₂	H	OCH ₃	OCH ₃	CH	
265	"	6-CO ₂ CH ₃	H	OCH ₃	OCH ₃	CH	
266	"	6-Br	H	OCH ₃	OCH ₃	CH	
267	"	6-CF ₃	H	OCH ₃	OCH ₃	CH	
268	"	6-OCF ₃	H	OCH ₃	OCH ₃	CH	
269	"	6-OCF ₂ H	H	OCH ₃	OCH ₃	CH	
270	-OSO ₂ N	$\begin{array}{c} \text{CH}_3 \\ \diagup \\ \text{C}_2\text{H}_5 \end{array}$	H	H	OCH ₃	OCH ₃	CH
271	"	H	H	OCH ₃	CH ₃	CH	
272	"	H	H	OCH ₃	Cl	CH	
273	"	H	H	CH ₃	CH ₃	CH	
274	"	H	H	OCH ₃	OCH ₃	N	
275	"	H	H	OCH ₃	CH ₃	N	
276	"	H	H	OC ₂ H ₅	NHCH ₃	N	
277	"	H	CH ₃	OCH ₃	CH ₃	N	
278	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
279	"	4-Cl	H	OCH ₃	OCH ₃	CH	
280	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
281	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	

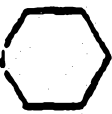


Continuation of Table 1

Cpa. No	R ¹	R ²	R ³	X	Y	Z	M.P.[°C]
282	"	6-Cl	H	OCH ₃	OCH ₃	CH	
283	-OSO ₂ N(CH ₃)OCH ₃	H	H	OCH ₃	OCH ₃	CH	
284	-OSO ₂ N $\begin{array}{l} \diagup \text{CH}_3 \\ \diagdown \text{C}_2\text{H}_5 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
285	"	H	H	OCH ₃	CH ₃	CH	
286	"	H	H	OCH ₃	Cl	CH	
287	"	H	H	CH ₃	CH ₃	CH	
288	"	H	H	OCH ₃	OCH ₃	N	
289	"	H	H	OCH ₃	CH ₃	N	
290	"	H	H	OC ₂ H ₅	NHCH ₃	N	
291	"	H	CH ₃	OCH ₃	CH ₃	N	
292	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
293	"	4-Cl	H	OCH ₃	OCH ₃	CH	
294	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
295	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
296	"	6-Cl	H	OCH ₃	OCH ₃	CH	
297	-OSO ₂ N(Allyl) ₂	H	H	OCH ₃	OCH ₃	CH	
298	-OSO ₂ N $\begin{array}{l} \diagup \text{C}_2\text{H}_5 \\ \diagdown \text{C}_2\text{H}_5 \end{array}$	H	H	OCH ₃	OCH ₃	CH	157-158
299	"	H	H	OCH ₃	CH ₃	CH	151-153 (D.)
300	"	H	H	OCH ₃	Cl	CH	
301	"	H	H	CH ₃	CH ₃	CH	159-160 (D.)
302	"	H	H	OCH ₃	OCH ₃	N	
303	"	H	H	OCH ₃	CH ₃	N	146-149 (D.)
304	"	H	H	OC ₂ H ₅	NHCH ₃	N	
305	"	H	CH ₃	OCH ₃	CH ₃	N	
306	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
307	"	4-Cl	H	OCH ₃	OCH ₃	CH	
308	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
309	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	

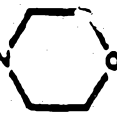


Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
310	"	6-Cl	H	OCH ₃	OCH ₃	CH	
311	"	6-F	H	OCH ₃	OCH ₃	CH	
312	-OSO ₂ N 	H	H	OCH ₃	OCH ₃	CH	158-159
313	"	H	H	OCH ₃	CH ₃	CH	170-171
314	"	H	H	OCH ₃	Cl	CH	
315	"	H	H	CH ₃	CH ₃	CH	169-170
316	"	H	H	OCH ₃	OCH ₃	N	
317	"	H	H	OCH ₃	CH ₃	N	155
318	"	H	H	OC ₂ H ₅	NHCH ₃	N	
319	"	H	CH ₃	OCH ₃	CH ₃	N	
320	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
321	"	4-Cl	H	OCH ₃	OCH ₃	CH	
322	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
323	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
324	"	6-Cl	H	OCH ₃	OCH ₃	CH	
325	"	6-F	H	OCH ₃	OCH ₃	CH	
326	-OSO ₂ N 	H	H	OCH ₃	OCH ₃	CH	173-174 (D.)
327	"	H	H	OCH ₃	CH ₃	CH	
328	"	H	H	OCH ₃	Cl	CH	
329	"	H	H	CH ₃	CH ₃	CH	185-186 (D.)
330	"	H	H	OCH ₃	OCH ₃	N	
331	"	H	H	OCH ₃	CH ₃	N	
332	"	H	H	OC ₂ H ₅	NHCH ₃	N	
333	"	H	CH ₃	OCH ₃	CH ₃	N	
334	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
335	"	4-Cl	H	OCH ₃	OCH ₃	CH	
336	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
337	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
338	"	6-Cl	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.P. {°C}
339	"	6-F	H	OCH ₃	OCH ₃	CH	
340	-OSO ₂ N 	H	H	OCH ₃	OCH ₃	CH	141-142 (D.)
341	"	H	H	OCH ₃	CH ₃	CH	
342	"	H	H	OCH ₃	Cl	CH	
343	"	H	H	CH ₃	CH ₃	CH	
344	"	H	H	OCH ₃	OCH ₃	N	
345	"	H	H	OCH ₃	CH ₃	N	
346	"	H	H	OC ₂ H ₅	NHCH ₃	N	
347	"	H	CH ₃	OCH ₃	CH ₃	N	
348	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
349	"	4-Cl	H	OCH ₃	OCH ₃	CH	
350	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
351	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
352	"	6-Cl	H	OCH ₃	OCH ₃	CH	
353	"	6-F	H	OCH ₃	OCH ₃	CH	
354	-NHSO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	191-192 (D.)
355	"	H	H	OCH ₃	CH ₃	CH	
356	"	H	H	OCH ₃	Cl	CH	
357	"	H	H	CH ₃	CH ₃	CH	
358	"	H	H	OCH ₃	OCH ₃	N	
359	"	H	H	OCH ₃	CH ₃	N	
360	"	H	H	OC ₂ H ₅	NHCH ₃	N	
361	"	H	CH ₃	OCH ₃	CH ₃	N	
362	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
363	"	4-Cl	H	OCH ₃	OCH ₃	CH	
364	"	5-CH ₃	H	OCH ₃	OCH ₃	CH	
365	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
366	"	6-Cl	H	OCH ₃	OCH ₃	CH	
367	"	6-F	H	OCH ₃	OCH ₃	CH	
368	-NHSO ₂ C ₂ H ₅	H	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
369	"	H	H	OCH ₃	CH ₃	CH	
370	"	H	H	OCH ₃	Cl	CH	
371	"	H	H	CH ₃	CH ₃	CH	
372	"	H	H	OCH ₃	OCH ₃	N	
373	"	H	H	OCH ₃	CH ₃	N	
374	"	H	H	OC ₂ H ₅	NHCH ₃	N	
375	"	H	CH ₃	OCH ₃	CH ₃	N	
376	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
377	"	4-Cl	H	OCH ₃	OCH ₃	CH	
378	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
379	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
380	"	6-Cl	H	OCH ₃	OCH ₃	CH	
381	"	6-F	H	OCH ₃	OCH ₃	CH	
382	-NH ₂ SO ₂ C ₆ H ₄	H	H	OCH ₃	OCH ₃	CH	
383	"	H	H	OCH ₃	CH ₃	CH	
384	"	H	H	OCH ₃	Cl	CH	
385	"	H	H	CH ₃	CH ₃	CH	
386	"	H	H	OCH ₃	OCH ₃	N	
387	"	H	H	OCH ₃	CH ₃	N	
388	"	H	H	OC ₂ H ₅	NHCH ₃	N	
389	"	H	CH ₃	OCH ₃	CH ₃	N	
390	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
391	"	4-Cl	H	OCH ₃	OCH ₃	CH	
392	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
393	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
394	"	6-Cl	H	OCH ₃	OCH ₃	CH	
395	"	6-F	H	OCH ₃	OCH ₃	CH	
396	-NH ₂ SO ₂ C ₆ H ₄	H	H	OCH ₃	OCH ₃	CH	
397	"	H	H	OCH ₃	CH ₃	CH	
398	"	H	H	OCH ₃	Cl	CH	
399	"	H	H	CH ₃	CH ₃	CH	
401	"	H	H	OCH ₃	OCH ₃	N	
402	"	H	H	OCH ₃	CH ₃	N	

C₆H₅



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
403	"	H	H	OC ₂ H ₅	NHCH ₃	N	
404	"	H	CH ₃	OCH ₃	CH ₃	N	
405	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
406	"	4-Cl	H	OCH ₃	OCH ₃	CH	
407	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
408	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
409	"	6-Cl	H	OCH ₃	OCH ₃	CH	
410	"	6-F	H	OCH ₃	OCH ₃	CH	
411	-N(SO ₂ CH ₃) ₂	H	H	OCH ₃	OCH ₃	CH	219-220 (D.)
412	"	H	H	OCH ₃	CH ₃	CH	
413	"	H	H	OCH ₃	Cl	CH	
414	"	H	H	CH ₃	CH ₃	CH	
415	"	H	H	OCH ₃	OCH ₃	N	
416	"	H	H	OCH ₃	CH ₃	N	
417	"	H	H	OC ₂ H ₅	NHCH ₃	N	
418	"	H	CH ₃	OCH ₃	CH ₃	N	
419	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
420	"	4-Cl	H	OCH ₃	OCH ₃	CH	
421	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
422	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
423	"	6-Cl	H	OCH ₃	OCH ₃	CH	
424	"	6-F	H	OCH ₃	OCH ₃	CH	
425	-N(SO ₂ C ₂ H ₅) ₂	H	H	OCH ₃	OCH ₃	CH	
426	"	H	H	OCH ₃	CH ₃	CH	
427	"	H	H	OCH ₃	Cl	CH	
428	"	H	H	CH ₃	CH ₃	CH	
429	"	H	H	OCH ₃	OCH ₃	N	
430	"	H	H	OCH ₃	CH ₃	N	
431	"	H	H	OC ₂ H ₅	NHCH ₃	N	
432	"	H	CH ₃	OCH ₃	CH ₃	N	
433	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
434	"	4-Cl	H	OCH ₃	OCH ₃	CH	
435	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
436	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
437	"	6-Cl	H	OCH ₃	OCH ₃	CH	
438	"	6-F	H	OCH ₃	OCH ₃	CH	
439	-N(CH ₃)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	177-178
440	"	H	CH ₃	OCH ₃	OCH ₃	CH	152-153
441	"	H	CH ₃	OCH ₃	CH ₃	N	
442	"	H	H	CH ₃	CH ₃	CH	185-186(D.)
443	"	H	H	OCH ₃	CH ₃	CH	169-170(D.)
444	"	H	H	CH ₃	OC ₂ H ₅	CH	
445	"	H	H	OCH ₃	CH ₃	N	158-159(D.)
446	"	H	H	OCH ₃	OCH ₃	N	173-174(D.)
447	"	H	H	OCH ₃	Cl	CH	167-169
448	"	H	H	OCF ₂ H	CH ₃	CH	
449	"	H	H	OCF ₂ H	OCF ₂ H	CH	
450	"	H	H	OCH ₃	Br	CH	
451	"	H	H	OCH ₃	OC ₂ H ₅	CH	
452	"	H	H	OCH ₃	SC ₂ H ₅	CH	
453	"	H	H	OCH ₃	OC ₂ H ₅	N	
454	"	H	H	OCH ₃	OC ₃ H ₇	CH	
455	"	H	H	CH ₃	Cl	CH	168-169(D.)
456	"	H	H	Cl	OC ₂ H ₅	CH	
457	"	H	H	OC ₂ H ₅	OC ₂ H ₅	CH	
458	"	H	H	C ₂ H ₅	OCH ₃	CH	
459	"	H	H	CF ₃	OCH ₃	CH	
460	"	H	H	OCH ₂ CF ₃	CH ₃	CH	
461	"	H	H	OCH ₂ CF ₃	OCH ₃	CH	
462	"	H	H	OCH ₂ CF ₃	OCH ₂ CF ₃	CH	
463	"	H	H	OCH ₂ CF ₃	OCH ₃	N	
464	"	H	H	OCH ₃	CH(OCH ₃) ₂	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.P. [°C]
465	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
466	"	"	H	OCH ₃	CH ₃	CH	
467	"	"	H	OCH ₃	Cl	CH	
468	"	"	H	CH ₃	CH ₃	CH	
469	"	"	H	OCH ₃	OCH ₃	N	
470	"	"	H	OCH ₃	CH ₃	N	
471	"	"	H	OC ₂ H ₅	NHCH ₃	N	
472	"	"	CH ₃	OCH ₃	OCH ₃	CH	
473	"	5-CH ₃	H	OCH ₃	OCH ₃	CH	
474	"	"	H	OCH ₃	CH ₃	CH	
475	"	"	H	OCH ₃	Cl	CH	
476	"	"	H	CH ₃	CH ₃	CH	
477	"	"	H	OCH ₃	OCH ₃	N	
478	"	"	H	OCH ₃	CH ₃	N	
479	"	"	H	OC ₂ H ₅	NHCH ₃	N	
480	"	"	CH ₃	OCH ₃	OCH ₃	CH	
481	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	135
482	"	"	H	OCH ₃	CH ₃	CH	
483	"	"	H	OCH ₃	Cl	CH	
484	"	"	H	CH ₃	CH ₃	CH	
485	"	"	H	OCH ₃	OCH ₃	N	
486	"	"	H	OCH ₃	CH ₃	N	
487	"	"	H	OC ₂ H ₅	NHCH ₃	N	
488	"	"	CH ₃	OCH ₃	OCH ₃	CH	
489	"	4-Cl	H	OCH ₃	OCH ₃	CH	
490	"	"	H	OCH ₃	CH ₃	CH	
491	"	"	H	OCH ₃	Cl	CH	
492	"	"	H	CH ₃	CH ₃	CH	
493	"	"	H	OCH ₃	OCH ₃	N	
494	"	"	H	OCH ₃	CH ₃	N	
495	"	"	H	OC ₂ H ₅	NHCH ₃	N	
496	"	"	CH ₃	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p..[°C]
497	"	5-Cl	H	OCH ₃	OCH ₃	CH	
498	"	"	H	OCH ₃	CH ₃	CH	
499	"	"	H	OCH ₃	Cl	CH	
500	"	"	H	CH ₃	CH ₃	CH	
501	"	"	H	OCH ₃	OCH ₃	N	
502	"	"	H	OCH ₃	CH ₃	N	
503	"	"	H	OC ₂ H ₅	NHCH ₃	N	
504	"	"	CH ₃	OCH ₃	OCH ₃	CH	
505	"	6-Cl	H	OCH ₃	OCH ₃	CH	
506	"	"	H	OCH ₃	CH ₃	CH	
507	"	"	H	OCH ₃	Cl	CH	
508	"	"	H	CH ₃	CH ₃	CH	
509	"	"	H	OCH ₃	OCH ₃	N	
510	"	"	H	OCH ₃	CH ₃	N	
511	"	"	H	OC ₂ H ₅	NHCH ₃	N	
512	"	"	CH ₃	OCH ₃	OCH ₃	CH	
513	"	4-CF ₃	H	OCH ₃	OCH ₃	CH	
514	"	"	H	OCH ₃	CH ₃	CH	
515	"	"	H	OCH ₃	Cl	CH	
516	"	"	H	CH ₃	CH ₃	CH	
517	"	"	H	OCH ₃	OCH ₃	N	
518	"	"	H	OCH ₃	CH ₃	N	
519	"	4-F	H	OC ₂ H ₅	NHCH ₃	N	
520	"	"	CH ₃	OCH ₃	OCH ₃	CH	
521	"	5-CF ₃	H	OCH ₃	OCH ₃	CH	
522	"	"	H	OCH ₃	CH ₃	CH	
523	"	"	H	OCH ₃	Cl	CH	
524	"	"	H	CH ₃	CH ₃	CH	
525	"	"	H	OCH ₃	OCH ₃	N	
526	"	"	H	OCH ₃	CH ₃	N	
527	"	5-F	H	OC ₂ H ₅	NHCH ₃	N	
528	"	"	CH ₃	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.P.[°C]
529	"	6-F	H	OCH ₃	OCH ₃	CH	
530	"	"	H	OCH ₃	CH ₃	CH	
531	"	"	H	OCH ₃	Cl	CH	
532	"	"	H	CH ₃	CH ₃	CH	
533	"	"	H	OCH ₃	OCH ₃	N	
534	"	"	H	OCH ₃	CH ₃	N	
535	"	"	H	OC ₂ H ₅	NHCH ₃	N	
536	"	"	CH ₃	OCH ₃	OCH ₃	CH	
537	"	4-OCH ₃	H	OCH ₃	OCH ₃	CH	
538	"	"	H	OCH ₃	CH ₃	CH	
539	"	"	H	OCH ₃	Cl	CH	
540	"	"	H	CH ₃	CH ₃	CH	
541	"	"	H	OCH ₃	OCH ₃	N	
542	"	"	H	OCH ₃	CH ₃	N	
543	"	"	H	OC ₂ H ₅	NHCH ₃	N	
544	"	"	CH ₃	OCH ₃	OCH ₃	CH	
545	"	5-OCH ₃	H	OCH ₃	OCH ₃	CH	
546	"	"	H	OCH ₃	CH ₃	CH	
547	"	"	H	OCH ₃	Cl	CH	
548	"	"	H	CH ₃	CH ₃	CH	
549	"	"	H	OCH ₃	OCH ₃	N	
550	"	"	H	OCH ₃	CH ₃	N	
551	"	"	H	OC ₂ H ₅	NHCH ₃	N	
552	"	"	CH ₃	OCH ₃	OCH ₃	CH	
553	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
554	"	"	H	OCH ₃	CH ₃	CH	
555	"	"	H	OCH ₃	Cl	CH	
556	"	"	H	CH ₃	CH ₃	CH	
557	"	"	H	OCH ₃	OCH ₃	N	
558	"	"	H	OCH ₃	CH ₃	N	
559	"	"	H	OC ₂ H ₅	NHCH ₃	N	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p..[°C]
560	"	"	CH ₃	OCH ₃	OCH ₃	CH	
561	"	6-C ₂ H ₅	H	OCH ₃	OCH ₃	CH	
562	"	6-C ₄ H ₉	H	OCH ₃	OCH ₃	CH	
563	"	6-OC ₂ H ₅	H	OCH ₃	OCH ₃	CH	
564	"	6-OCH ₂ CF ₃	H	OCH ₃	OCH ₃	CH	
565	"	6-SCH ₃	H	OCH ₃	OCH ₃	CH	
566	"	6-SO ₂ CH ₃	H	OCH ₃	OCH ₃	CH	
567	"	6-NO ₂	H	OCH ₃	OCH ₃	CH	
568	"	6-CO ₂ CH ₃	H	OCH ₃	OCH ₃	CH	
569	"	6-Br	H	OCH ₃	OCH ₃	CH	
570	"	6-CF ₃	H	OCH ₃	OCH ₃	CH	
571	"	6-OCF ₃	H	OCH ₃	OCH ₃	CH	
572	"	6-OCF ₂ H	H	OCH ₃	OCH ₃	CH	
573	-N(Et)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	188 (D.)
574	"	H	H	OCH ₃	CH ₃	CH	
575	"	H	H	OCH ₃	Cl	CH	
576	"	H	H	CH ₃	CH ₃	CH	
577	"	H	H	OCH ₃	OCH ₃	N	
578	"	H	H	OCH ₃	CH ₃	N	
579	"	H	H	OC ₂ H ₅	NHCH ₃	N	
580	"	H	CH ₃	OCH ₃	OCH ₃	CH	
581	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
582	"	4-Cl	H	OCH ₃	OCH ₃	CH	
583	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
584	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
585	"	6-Cl	H	OCH ₃	OCH ₃	CH	
586	"	6-F	H	OCH ₃	OCH ₃	CH	
587	-N(Pr)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	182-183 (D.)
588	"	H	H	OCH ₃	CH ₃	CH	
589	"	H	H	OCH ₃	Cl	CH	
590	"	H	H	CH ₃	CH ₃	CH	
591	"	H	H	OCH ₃	OCH ₃	N	
592	"	H	H	OCH ₃	CH ₃	N	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
593	"	H	H	OC ₂ H ₅	NHCH ₃	N	
594	"	H	CH ₃	OCH ₃	OCH ₃	CH	
595	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
596	"	4-Cl	H	OCH ₃	OCH ₃	CH	
597	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
598	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
599	"	6-Cl	H	OCH ₃	OCH ₃	CH	
600	"	6-F	H	OCH ₃	OCH ₃	CH	
601	-N(i-Pr)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	195(D.)
602	"	H	H	OCH ₃	CH ₃	CH	
603	"	H	H	OCH ₃	Cl	CH	
604	"	H	H	CH ₃	CH ₃	CH	
605	"	H	H	OCH ₃	OCH ₃	N	
606	"	H	H	OCH ₃	CH ₃	N	
607	"	H	H	OC ₂ H ₅	NHCH ₃	N	
608	"	H	CH ₃	OCH ₃	OCH ₃	CH	
609	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
610	"	4-Cl	H	OCH ₃	OCH ₃	CH	
611	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
612	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
613	"	6-Cl	H	OCH ₃	OCH ₃	CH	
614	"	6-F	H	OCH ₃	OCH ₃	CH	
615	-N(i-Bu)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	166-167
616	"	H	H	OCH ₃	CH ₃	CH	
617	"	H	H	OCH ₃	Cl	CH	
618	"	H	H	CH ₃	CH ₃	CH	
619	"	H	H	OCH ₃	OCH ₃	N	
620	"	H	H	OCH ₃	CH ₃	N	
621	"	H	H	OC ₂ H ₅	NHCH ₃	N	
622	"	H	CH ₃	OCH ₃	OCH ₃	CH	
623	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
624	"	4-Cl	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
625	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
626	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
627	"	6-Cl	H	OCH ₃	OCH ₃	CH	
628	"	6-F	H	OCH ₃	OCH ₃	CH	
629	-N(CF ₃)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	
630	"	H	H	OCH ₃	CH ₃	CH	
631	"	H	H	OCH ₃	Cl	CH	
632	"	H	H	CH ₃	CH ₃	CH	
633	"	H	H	OCH ₃	OCH ₃	N	
634	"	H	H	OCH ₃	CH ₃	N	
635	"	H	H	OC ₂ H ₅	NHCH ₃	N	
636	"	H	CH ₃	OCH ₃	OCH ₃	CH	
637	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
638	"	4-Cl	H	OCH ₃	OCH ₃	CH	
639	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
640	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
641	"	6-Cl	H	OCH ₃	OCH ₃	CH	
642	"	6-F	H	OCH ₃	OCH ₃	CH	
643	-N(CHF ₂)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	
644	"	H	H	OCH ₃	CH ₃	CH	
645	"	H	H	OCH ₃	Cl	CH	
646	"	H	H	CH ₃	CH ₃	CH	
647	"	H	H	OCH ₃	OCH ₃	N	
648	"	H	H	OCH ₃	CH ₃	N	
649	"	H	H	OC ₂ H ₅	NHCH ₃	N	
650	"	H	CH ₃	OCH ₃	OCH ₃	CH	
651	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
652	"	4-Cl	H	OCH ₃	OCH ₃	CH	
653	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
654	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
655	"	6-Cl	H	OCH ₃	OCH ₃	CH	
656	"	6-F	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
657	$\begin{array}{c} \text{CH}_2\text{CF}_3 \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
658	"	H	H	OCH ₃	CH ₃	CH	
659	"	H	H	OCH ₃	Cl	CH	
660	"	H	H	CH ₃	CH ₃	CH	
661	"	H	H	OCH ₃	OCH ₃	N	
662	"	H	H	OCH ₃	CH ₃	N	
663	"	H	H	OC ₂ H ₅	NHCH ₃	N	
664	"	H	CH ₃	OCH ₃	OCH ₃	CH	
665	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
666	"	4-Cl	H	OCH ₃	OCH ₃	CH	
667	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
668	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
669	"	6-Cl	H	OCH ₃	OCH ₃	CH	
670	"	6-F	H	OCH ₃	OCH ₃	CH	
671	$\begin{array}{c} \text{CH}_2\text{CH}_2\text{Cl} \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
672	"	H	H	OCH ₃	CH ₃	CH	
673	"	H	H	OCH ₃	Cl	CH	
674	"	H	H	CH ₃	CH ₃	CH	
675	"	H	H	OCH ₃	OCH ₃	N	
676	"	H	H	OCH ₃	CH ₃	N	
677	"	H	H	OC ₂ H ₅	NHCH ₃	N	
678	"	H	CH ₃	OCH ₃	OCH ₃	CH	
679	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
680	"	4-Cl	H	OCH ₃	OCH ₃	CH	
681	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
682	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
683	"	6-Cl	H	OCH ₃	OCH ₃	CH	
684	"	6-F	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
685	$\begin{array}{c} \text{CH}_2\text{CH}_2\text{OCH}_3 \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
686	"	H	H	OCH ₃	CH ₃	CH	
687	"	H	H	OCH ₃	Cl	CH	
688	"	H	H	CH ₃	CH ₃	CH	
689	"	H	H	OCH ₃	OCH ₃	N	
690	"	H	H	OCH ₃	CH ₃	N	
691	"	H	H	OC ₂ H ₅	NHCH ₃	N	
692	"	H	CH ₃	OCH ₃	OCH ₃	CH	
693	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
694	"	4-Cl	H	OCH ₃	OCH ₃	CH	
695	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
696	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
697	"	6-Cl	H	OCH ₃	OCH ₃	CH	
698	"	6-F	H	OCH ₃	OCH ₃	CH	
699	$\begin{array}{c} \text{CH}_2\text{SCH}_3 \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
700	"	H	H	OCH ₃	CH ₃	CH	
701	"	H	H	OCH ₃	Cl	CH	
702	"	H	H	CH ₃	CH ₃	CH	
703	"	H	H	OCH ₃	OCH ₃	N	
704	"	H	H	OCH ₃	CH ₃	N	
706	"	H	H	OC ₂ H ₅	NHCH ₃	N	
707	"	H	CH ₃	OCH ₃	OCH ₃	CH	
708	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
709	"	4-Cl	H	OCH ₃	OCH ₃	CH	
710	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
711	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
712	"	6-Cl	H	OCH ₃	OCH ₃	CH	
713	"	6-F	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p [°C]
714	$\begin{array}{c} \text{CH}_2\text{SO}_2\text{CH}_3 \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
715	"	H	H	OCH ₃	CH ₃	CH	
716	"	H	H	OCH ₃	Cl	CH	
717	"	H	H	CH ₃	CH ₃	CH	
718	"	H	H	OCH ₃	OCH ₃	N	
719	"	H	H	OCH ₃	CH ₃	N	
720	"	H	H	OC ₂ H ₅	NHCH ₃	N	
721	"	H	CH ₃	OCH ₃	OCH ₃	CH	
722	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
723	"	4-Cl	H	OCH ₃	OCH ₃	CH	
724	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
725	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
726	"	6-Cl	H	OCH ₃	OCH ₃	CH	
727	"	6-F	H	OCH ₃	OCH ₃	CH	
728	$\begin{array}{c} \text{CH}_2\text{CO}_2\text{CH}_3 \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
729	"	H	H	OCH ₃	CH ₃	CH	
730	"	H	H	OCH ₃	Cl	CH	
731	"	H	H	CH ₃	CH ₃	CH	
732	"	H	H	OCH ₃	OCH ₃	N	
733	"	H	H	OCH ₃	CH ₃	N	
734	"	H	H	OC ₂ H ₅	NHCH ₃	N	
735	"	H	CH ₃	OCH ₃	OCH ₃	CH	
736	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
737	"	4-Cl	H	OCH ₃	OCH ₃	CH	
738	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
739	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
740	"	6-Cl	H	OCH ₃	OCH ₃	CH	
741	"	6-F	H	OCH ₃	OCH ₃	CH	

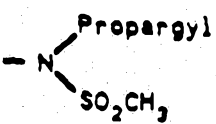
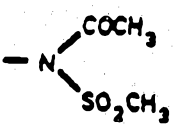


Continuation of Table 1

Upd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
742	$\begin{array}{c} \text{CH}_2\text{CN} \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	
743	"	H	H	OCH ₃	CH ₃	CH	
744	"	H	H	OCH ₃	Cl	CH	
745	"	H	H	CH ₃	CH ₃	CH	
746	"	H	H	OCH ₃	OCH ₃	N	
747	"	H	H	OCH ₃	CH ₃	N	
748	"	H	H	OC ₂ H ₅	NHCH ₃	N	
749	"	H	CH ₃	OCH ₃	OCH ₃	CH	
750	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
751	"	4-Cl	H	OCH ₃	OCH ₃	CH	
752	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
753	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
754	"	6-Cl	H	OCH ₃	OCH ₃	CH	
755	"	6-F	H	OCH ₃	OCH ₃	CH	
756	$\begin{array}{c} \text{Allyl} \\ \diagup \\ \text{N} \\ \diagdown \\ \text{SO}_2\text{CH}_3 \end{array}$	H	H	OCH ₃	OCH ₃	CH	208-210 (D.)
757	"	H	H	OCH ₃	CH ₃	CH	
758	"	H	H	OCH ₃	Cl	CH	
759	"	H	H	CH ₃	CH ₃	CH	
760	"	H	H	OCH ₃	OCH ₃	N	
761	"	H	H	OCH ₃	CH ₃	N	
762	"	H	H	OC ₂ H ₅	NHCH ₃	N	
763	"	H	CH ₃	OCH ₃	OCH ₃	CH	
764	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
765	"	4-Cl	H	OCH ₃	OCH ₃	CH	
766	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
767	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
768	"	6-Cl	H	OCH ₃	OCH ₃	CH	
769	"	6-F	H	OCH ₃	OCH ₃	CH	

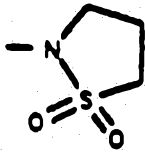
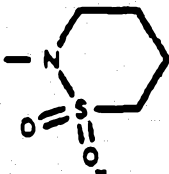


Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p.[°C]
770		H	H	OCH ₃	OCH ₃	CH	167-168 (D.)
771	"	H	H	OCH ₃	CH ₃	CH	
772	"	H	H	OCH ₃	Cl	CH	
773	"	H	H	CH ₃	CH ₃	CH	
774	"	H	H	OCH ₃	OCH ₃	N	
775	"	H	H	OCH ₃	CH ₃	N	
776	"	H	H	OC ₂ H ₅	NHCH ₃	N	
777	"	H	CH ₃	OCH ₃	OCH ₃	CH	
778	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
779	"	4-Cl	H	OCH ₃	OCH ₃	CH	
780	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
781	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
782	"	6-Cl	H	OCH ₃	OCH ₃	CH	
783	"	6-F	H	OCH ₃	OCH ₃	CH	
784		H	H	OCH ₃	OCH ₃	CH	
785	"	H	H	OCH ₃	CH ₃	CH	
786	"	H	H	OCH ₃	Cl	CH	
787	"	H	H	CH ₃	CH ₃	CH	
788	"	H	H	OCH ₃	OCH ₃	N	
789	"	H	H	OCH ₃	CH ₃	N	
790	"	H	H	OC ₂ H ₅	NHCH ₃	N	
791	"	H	CH ₃	OCH ₃	OCH ₃	CH	
792	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
793	"	4-Cl	H	OCH ₃	OCH ₃	CH	
794	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
795	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
796	"	6-Cl	H	OCH ₃	OCH ₃	CH	
797	"	6-F	H	OCH ₃	OCH ₃	CH	



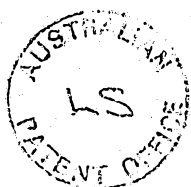
Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p.[°C]
798		H	H	OCH ₃	OCH ₃	CH	195 (D.)
799	"	H	H	OCH ₃	CH ₃	CH	
800	"	H	H	OCH ₃	Cl	CH	
801	"	H	H	CH ₃	CH ₃	CH	
802	"	H	H	OCH ₃	OCH ₃	N	
803	"	H	H	OCH ₃	CH ₃	N	
804	"	H	H	OC ₂ H ₅	NHCH ₃	N	
805	"	H	CH ₃	OCH ₃	OCH ₃	CH	
806	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
807	"	4-Cl	H	OCH ₃	OCH ₃	CH	
808	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
809	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
810	"	6-Cl	H	OCH ₃	OCH ₃	CH	
811	"	6-F	H	OCH ₃	OCH ₃	CH	
812		H	H	OCH ₃	OCH ₃	CH	192-193 (D.)
813	"	H	H	OCH ₃	CH ₃	CH	
814	"	H	H	OCH ₃	Cl	CH	
815	"	H	H	CH ₃	CH ₃	CH	
816	"	H	H	OCH ₃	OCH ₃	N	
817	"	H	H	OCH ₃	CH ₃	N	
818	"	H	H	OC ₂ H ₅	NHCH ₃	N	
819	"	H	CH ₃	OCH ₃	OCH ₃	CH	
820	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
821	"	4-Cl	H	OCH ₃	OCH ₃	CH	
822	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
823	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
824	"	6-Cl	H	OCH ₃	OCH ₃	CH	
825	"	6-F	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p./°C
826	-N(OCH ₃)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	
827	"	H	H	OCH ₃	CH ₃	CH	
828	"	H	H	OCH ₃	Cl	CH	
829	"	H	H	CH ₃	CH ₃	CH	
830	"	H	H	OCH ₃	OCH ₃	N	
831	"	H	H	OCH ₃	CH ₃	N	
832	"	H	H	OC ₂ H ₅	NHCH ₃	N	
833	"	H	CH ₃	OCH ₃	OCH ₃	CH	
834	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
835	"	4-Cl	H	OCH ₃	OCH ₃	CH	
836	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
837	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
838	"	6-Cl	H	OCH ₃	OCH ₃	CH	
839	"	6-F	H	OCH ₃	OCH ₃	CH	
840	-N(CH ₃)SO ₂ CF ₃	H	H	OCH ₃	OCH ₃	CH	
841	"	H	H	OCH ₃	CH ₃	CH	
842	"	H	H	OCH ₃	Cl	CH	
843	"	H	H	CH ₃	CH ₃	CH	
844	"	H	H	OCH ₃	OCH ₃	N	
845	"	H	H	OCH ₃	CH ₃	N	
846	"	H	H	OC ₂ H ₅	NHCH ₃	N	
847	"	H	CH ₃	OCH ₃	OCH ₃	CH	
848	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
849	"	4-Cl	H	OCH ₃	OCH ₃	CH	
850	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
851	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
852	"	6-Cl	H	OCH ₃	OCH ₃	CH	
853	"	6-F	H	OCH ₃	OCH ₃	CH	



Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p. [°C]
854	-N(CH ₃)SO ₂ Et	H	H	OCH ₃	OCH ₃	CH	176-177
855	"	H	H	OCH ₃	CH ₃	CH	
856	"	H	H	OCH ₃	Cl	CH	
857	"	H	H	CH ₃	CH ₃	CH	
858	"	H	H	OCH ₃	OCH ₃	N	
859	"	H	H	OCH ₃	CH ₃	N	
860	"	H	H	OC ₂ H ₅	NHCH ₃	N	
861	"	H	CH ₃	OCH ₃	OCH ₃	CH	
862		4-CH ₃	H	OCH ₃	OCH ₃	CH	
863		4-Cl	H	OCH ₃	OCH ₃	CH	
864	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	193-194 (D.)
865	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
866	"	6-Cl	H	OCH ₃	OCH ₃	CH	
867	"	6-F	H	OCH ₃	OCH ₃	CH	
868	-N(CH ₃)SO ₂ Ph	H	H	OCH ₃	OCH ₃	CH	
869	"	H	H	OCH ₃	CH ₃	CH	
870	"	H	H	OCH ₃	Cl	CH	
871	"	H	H	CH ₃	CH ₃	CH	
872	"	H	H	OCH ₃	OCH ₃	N	
873	"	H	H	OCH ₃	CH ₃	N	
874	"	H	H	OC ₂ H ₅	NHCH ₃	N	
875	"	H	CH ₃	OCH ₃	OCH ₃	CH	
876	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
877	"	4-Cl	H	OCH ₃	OCH ₃	CH	
878	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
879	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
880	"	6-Cl	H	OCH ₃	OCH ₃	CH	
881	"	6-F	H	OCH ₃	OCH ₃	CH	

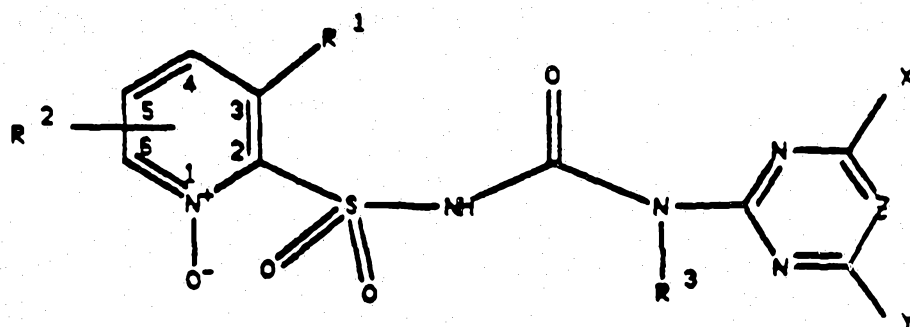


Continuation of Table 1

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p./°C
882	-N(CH ₃)SO ₂ NMe ₂	H	H	OCH ₃	OCH ₃	CH	
883	"	H	H	OCH ₃	CH ₃	CH	
884	"	H	H	OCH ₃	Cl	CH	
885	"	H	H	CH ₃	CH ₃	CH	
886	"	H	H	OCH ₃	OCH ₃	N	
887	"	H	H	OCH ₃	CH ₃	N	
888	"	H	H	OC ₂ H ₅	NHCH ₃	N	
889	"	H	CH ₃	OCH ₃	OCH ₃	CH	
890	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
891	"	4-Cl	H	OCH ₃	OCH ₃	CH	
892	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
893	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
894	"	6-Cl	H	OCH ₃	OCH ₃	CH	
895	"	6-F	H	OCH ₃	OCH ₃	CH	



Table 2



Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.P. [°C]
896	I	H	H	OCH ₃	OCH ₃	CH	
897	"	H	H	OCH ₃	CH ₃		
898	"	H	H	OCH ₃	Cl		
899	"	H	H	CH ₃	CH ₃		
900	"	H	H	OCH ₃	OCH ₃	N	
901	"	H	H	OCH ₃	CH ₃	N	
902	"	H	H	OC ₂ H ₅	NHCH ₃	N	
903	"	H	CH ₃	OCH ₃	OCH ₃	CH	
904	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
905	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
906	"	4-Cl	H	OCH ₃	OCH ₃	CH	
907	"	6-Cl	H	OCH ₃	OCH ₃	CH	
908	"	4-F	H	OCH ₃	OCH ₃	CH	
909	"	6-F	H	OCH ₃	OCH ₃	CH	
910	"	4-OCH ₃	H	OCH ₃	OCH ₃	CH	
911	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
912	-OSO ₂ N(CH ₃) ₂	H	H	OCH ₃	OCH ₃	CH	
913	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
914	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
915	"	4-Cl	H	OCH ₃	OCH ₃	CH	
916	"	6-Cl	H	OCH ₃	OCH ₃	CH	
917	"	4-F	H	OCH ₃	OCH ₃	CH	

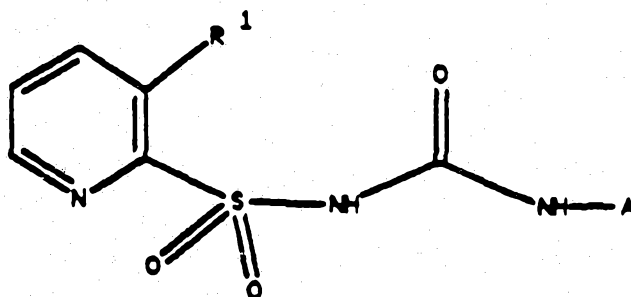


Continuation of Table 2

Cpd. No.	R ¹	R ²	R ³	X	Y	Z	M.p [°C]
918	"	6-F	H	OCH ₃	OCH ₃	CH	
919	"	4-OCH ₃	H	OCH ₃	OCH ₃	CH	
920	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
921	-N(CH ₃)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	
922	"	H	H	OCH ₃	CH ₃	CH	
923	"	H	H	OCH ₃	Cl	CH	
924	"	H	H	CH ₃	CH ₃	CH	
925	"	H	H	OCH ₃	OCH ₃	N	
926	"	H	H	OCH ₃	CH ₃	N	
927	"	H	H	OC ₂ H ₅	NHCH ₃	N	
928	"	H	CH ₃	OCH ₃	OCH ₃	CH	
929	"	4-CH ₃	H	OCH ₃	OCH ₃	CH	
930	"	6-CH ₃	H	OCH ₃	OCH ₃	CH	
931	"	4-Cl	H	OCH ₃	OCH ₃	CH	
932	"	6-Cl	H	OCH ₃	OCH ₃	CH	
933	"	4-F	H	OCH ₃	OCH ₃	CH	
934	"	6-F	H	OCH ₃	OCH ₃	CH	
935	"	4-OCH ₃	H	OCH ₃	OCH ₃	CH	
936	"	6-OCH ₃	H	OCH ₃	OCH ₃	CH	
937	-NH ₂ SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	
938	-NH ₂ SO ₂ C ₂ H ₅	H	H	OCH ₃	OCH ₃	CH	
939	-N(SO ₂ CH ₃) ₂	H	H	OCH ₃	OCH ₃	CH	
940	-N(CH ₃)SO ₂ Et	H	H	OCH ₃	OCH ₃	CH	
941	-N(Et)SO ₂ CH ₃	H	H	OCH ₃	OCH ₃	CH	



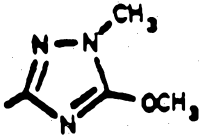
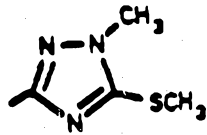
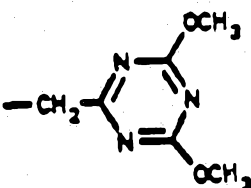
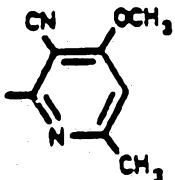
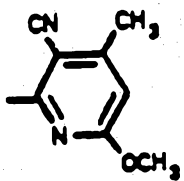
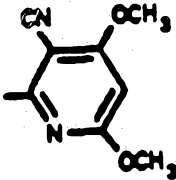
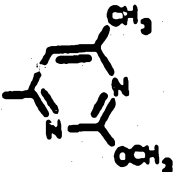
Table 3



Cpd. No.	R¹	A
942	I	
943	-OSO₂N(CH₃)₂	
944	I	
945	-OSO₂N(CH₃)₂	
946	I	

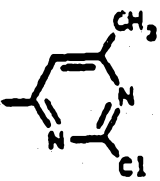
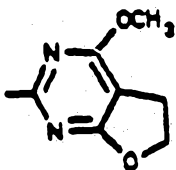
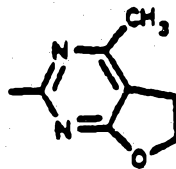
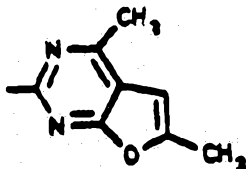
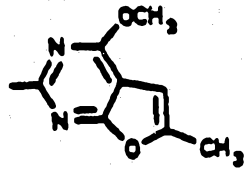
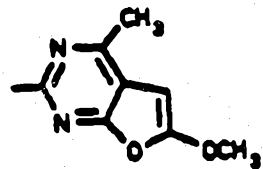


Continuation of Table 3

Cpd. No.	R ¹	A
947	-OSO ₂ N(CH ₃) ₂	
948	I	
949	-OSO ₂ N(CH ₃) ₂	
950	I	
951	-OSO ₂ N(CH ₃) ₂	
952	I	
953	-OSO ₂ N(CH ₃) ₂	

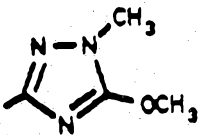
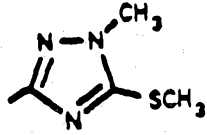
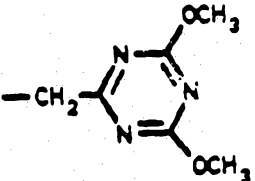
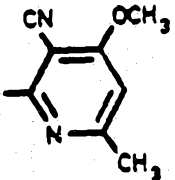
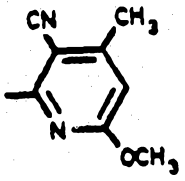
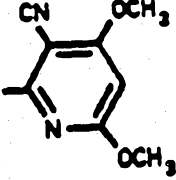


Continuation of Table 3

Cpd. No.	R ¹	A
954	I	
955	-N(CH ₃)SO ₂ CH ₃	
956	-	
957	-	
958	-	
959	-	



Continuation of Table 3

Cpd. No.	R ¹	A
960	$-N(CH_3)SO_2CH_3$	
961	-	
962	-	
963	-	
964	-	
965	-	



Continuation of Table 3

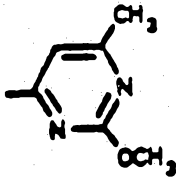
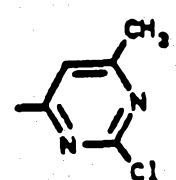
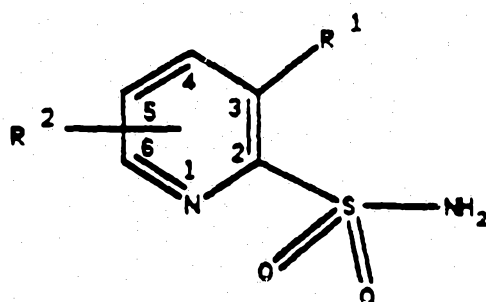
Cpd. No.	R ¹	A
966	-	 <chem>COc1nc(C)ccn1</chem>
967	-	 <chem>Cc1nc(Cl)ccn1</chem>



Table 4



Cpd. No.	R ¹	R ²	M.p. [°C]
968	I	H	247-250 (dec.)
969	"	4-CH ₃	
970	"	5-CH ₃	
971	"	6-CH ₃	
972	"	4-OCH ₃	
973	"	5-OCH ₃	
974	"	6-OCH ₃	
975	"	4-Cl	
976	"	5-Cl	
977	"	6-Cl	
978	"	4-F	
979	"	5-F	
980	"	6-F	
981	"	6-C ₂ H ₅	
982	"	6-C ₄ H ₉	
983	"	6-OC ₂ H ₅	
984	"	6-OCH ₂ CF ₃	
985	"	6-SCH ₃	
986	"	6-SO ₂ CH ₃	
987	"	6-NO ₂	
988	"	6-CO ₂ CH ₃	
989	"	6-Br	
990	"	6-CF ₃	
991	"	6-OCF ₃	
992	"	6-OCF ₂ H	

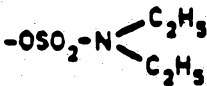
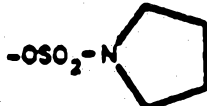
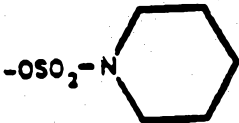
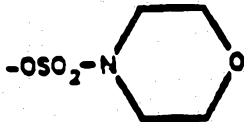


Continuation of Table 4

Cpd. No.	R ¹	R ²	m.p. [°C]
993	-OSO ₂ N(CH ₃) ₂	H	oil
994	"	4-CH ₃	
995	"	5-CH ₃	
996	"	6-CH ₃	
997	"	4-OCH ₃	
998	"	5-OCH ₃	
999	"	6-OCH ₃	
1000	"	4-Cl	
1001	"	5-Cl	
1002	"	6-Cl	
1002	"	4-F	
1004	"	5-F	
1005	"	6-F	
1006	"	6-C ₂ H ₅	
1007	"	6-C ₄ H ₉	
1008	"	6-OC ₂ H ₅	
1009	"	6-OCH ₂ CF ₃	
1010	"	6-SCH ₃	
1011	"	6-SO ₂ CH ₃	
1012	"	6-NO ₂	
1013	"	6-CO ₂ CH ₃	
1014	"	6-Br	
1015	"	6-CF ₃	
1016	"	6-OCF ₃	
1017	"	6-OCF ₂ H	
1018	-OSO ₂ -N $\begin{smallmatrix} \text{CH}_3 \\ \text{C}_2\text{H}_5 \end{smallmatrix}$	H	
1019	-OSO ₂ -N $\begin{smallmatrix} \text{CH}_3 \\ \text{C}_3\text{H}_7 \end{smallmatrix}$	H	



Continuation of Table 4

Cpd. No.	R ¹	R ²	M.p. [°C]
1020		H	94-97
1021		H	142-143
1022		H	166-167
1023		H	oil
1024	-NHSO ₂ CH ₃	H	176-178
1025	-NHSO ₂ C ₂ H ₅	H	
1026	-NHSO ₂ C ₃ H ₇	H	
1027	-NHSO ₂ C ₆ H ₅	H	
1028	-N(SO ₂ CH ₃) ₂	H	208
1029	-N(SO ₂ C ₂ H ₅) ₂	H	
1030	-N(CH ₃)SO ₂ CH ₃	H	175
1031	"	4-CH ₃	
1032	"	5-CH ₃	
1033	"	6-CH ₃	124
1034	"	4-OCH ₃	
1035	"	5-OCH ₃	
1036	"	6-OCH ₃	
1037	"	4-Cl	
1038	"	5-Cl	
1039	"	6-Cl	
1040	"	4-F	
1041	"	5-F	

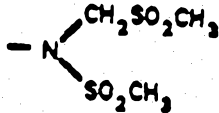
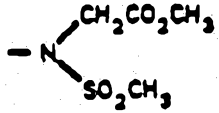
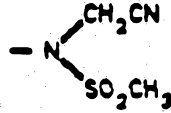
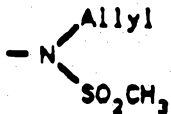
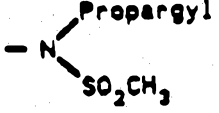
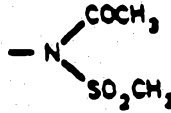
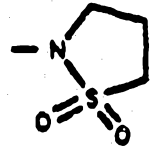
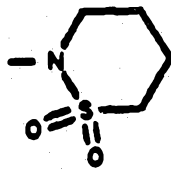


Continuation of Table 4

Cpd. No.	R ¹	R ²	M.p. [°C]
1042	"	6-F	
1043	"	6-C ₂ H ₅	
1044	"	6-C ₄ H ₉	
1045	"	6-OC ₂ H ₅	
1046	"	6-OCH ₂ CF ₃	
1047	"	6-SCH ₃	
1048	"	6-SO ₂ CH ₃	
1049	"	6-NO ₂	
1050	"	6-CO ₂ CH ₃	
1051	"	6-Br	
1052	"	6-CF ₃	
1053	"	6-OCF ₃	
1054	"	6-OCF ₂ H	
1055	-N(Et)SO ₂ CH ₃	H	178-179(D.)
1056	-N(Pr)SO ₂ CH ₃	H	149-150
1057	-N(i-Pr)SO ₂ CH ₃	H	201
1058	-N(i-Bu)SO ₂ CH ₃	H	amorphous
1059	$\begin{array}{c} \text{CH}_2\text{CF}_3 \\ \\ -\text{N} \\ \\ \text{SO}_2\text{CH}_3 \end{array}$	H	
1060	$\begin{array}{c} \text{CH}_2\text{CH}_2\text{Cl} \\ \\ -\text{N} \\ \\ \text{SO}_2\text{CH}_3 \end{array}$	H	
1061	$\begin{array}{c} \text{CH}_2\text{CH}_2\text{OCH}_3 \\ \\ -\text{N} \\ \\ \text{SO}_2\text{CH}_3 \end{array}$	H	
1062	$\begin{array}{c} \text{CH}_2\text{SCH}_3 \\ \\ -\text{N} \\ \\ \text{SO}_2\text{CH}_3 \end{array}$	H	



Continuation of Table 4

Cpd. No.	R ¹	R ²	M.p[°C]
1063		H	
1064		H	
1065		H	
1066		H	143-144
1067		H	138-141
1068		H	
1069		H	200 (D.)
1070		H	220-221 (D.)
1071	-N(OCH ₃)SO ₂ CH ₃	H	136-137
1072	-N(CH ₃)SO ₂ C ₂ H ₅	H	
1073	-N(CH ₃)SO ₂ CF ₃	H	
1074	-N(CH ₃)SO ₂ Ph	H	200-203
1075	-N(CH ₃)SO ₂ N(Me) ₂	H	



B. FORMULATION EXAMPLES

- 5 a) A dusting agent is obtained by mixing 10 parts by weight of a compound of the formula (I) and 90 parts by weight of talc or inert material and comminuting in a hammer mill.
- 10 b) A wettable powder which is easily dispersible in water is obtained by mixing 25 parts by weight of a compound of the formula (I), 64 parts by weight of kaolin-containing quartz as inert material, 10 parts by weight of potassium ligninsulfonate and 1 part by weight of sodium oleoylmethyltaurate as wetting agent and dispersant and grinding in a pin-disk mill.
- 15 c) A dispersion concentrate which is easily dispersible in water is obtained by mixing 20 parts by weight of a compound of the formula (I) with 6 parts by weight of alkylphenol polyglycol ether ([®]Triton X 207), 3 parts by weight of isotridecanol polyglycol ether (8 EO) and 71 parts by weight of paraffinic mineral oil (boiling range, for example, about 255 to over 277°C) and grinding to a fineness of less than 5 microns in a friction ball mill.
- 20
- 25 d) An emulsifiable concentrate is obtained from 15 parts by weight of a compound of the formula (I), 75 parts by weight of cyclohexane as solvent and 10 parts by weight of ethoxylated nonylphenol as emulsifier.
- 30 e) Granules which are dispersible in water are obtained by mixing
- | | |
|----|---|
| 75 | parts by weight of a compound of the formula (I), |
| 10 | " of calcium ligninsulfonate, |
| 5 | " of sodium lauryl sulfate, |
| 3 | " of polyvinyl alcohol and |
| 7 | " of kaolin, |



grinding in a pinned-disk mill and granulating the powder in a fluidized bed by spraying water as a granulating fluid.

- f) Granules which are dispersible in water are also obtained by homogenizing and precomminuting
- | | | |
|----|----|---|
| 5 | 25 | parts by weight of a compound of the formula (I), |
| | 5 | " of sodium 2,2'-dinaphthylmethane- |
| | | 6,6'-disulfonate, |
| | 2 | " of sodium oleolymethyltaurate, |
| 10 | 1 | " of polyvinyl alcohol, |
| | 17 | " of calcium carbonate and |
| | 50 | " of water, |
- then grinding in a bead mill and atomizing the suspension thus obtained in a spray tower by means of a single substance nozzle and drying.
- g) Extruder granules are obtained by mixing 20 parts by weight of active compound, 3 parts by weight of sodium ligninsulfonate, 1 part by weight of carboxymethylcellulose and 76 parts by weight of kaolin, grinding and moistening with water. This mixture is extruded and then dried in a stream of air.

C. Biological examples

1. Weed action pre-emergence

- Seeds or pieces of rhizome of monocotyledon and dicotyledon weed plants were planted in sandy loam soil in plastic pots and covered with earth. The compounds according to the invention formulated in the form of wettable powders or emulsion concentrates were then applied in various dosages to the surface of the covering earth as aqueous suspensions or emulsions using a water application rate of 600 to 800 l/ha after conversion.

After the treatment, the pots were placed in a greenhouse and kept under good growth conditions for the weeds. Visual assessment of the plants and the emergence damage



was carried out in comparison to untreated controls after the emergence of the experiment plants after an experiment time of 3 to 4 weeks. As the assessment values show, the compounds according to the invention have a good herbicidal pre-emergence activity against a broad spectrum of weed grasses and weeds (cf. Table 5).

Table 5: Pre-emergence action of the compounds according to the invention

Ex.No.	Dose (kg a.i./ha)	Herbicidal action					
		LOMU	ECCR	AVSA	STME	CHSE	SIAL
10	1	0.3	5	5	5	5	5
	136	0.3	5	5	4	5	5
	4	0.3	5	5	5	5	5
15	411	0.3	5	5	5	5	5
	354	0.3	5	5	5	5	5
	439	0.3	5	5	5	5	5
	312	0.3	4	4	2	4	4
	326	0.3	2	2	2	3	3
20	7	0.3	5	5	5	5	5
	299	0.3	5	5	4	5	5
	443	0.3	5	5	5	5	5
	301	0.3	2	2	2	2	3
	298	0.3	5	5	4	5	5
25	313	0.3	3	3	2	4	3
	446	0.3	5	3	5	4	3
	445	0.3	5	4	5	5	2
	756	0.3	5	5	5	5	5
	442	0.3	5	5	5	5	5
30	455	0.3	3	2	2	3	3
	770	0.3	5	5	5	5	5
	854	0.3	5	5	5	5	5
	8	0.3	5	5	5	5	5
	5	0.3	5	5	5	5	5
35	142	0.3	5	5	5	5	5
	340	0.3	5	5	3	5	5
	573	0.3	5	5	5	5	5



Abbreviations:

	Ex.No. =	Preparation example from Tables 1 to 4
	a.i. =	active ingredient (based on pure active compound)
5	LOMU =	Lolium multiflorum
	ECCR =	Echinochloa crus-galli
	AVSA =	Avena sativa
	STME =	Stellaria media
	CHSE =	Chrysanthemum segetum
10	STAL =	Sinapis alba

2. Weed action post-emergence

Seeds or pieces of rhizome of monocotyledon and dicotyledon weeds were planted in sandy loam soil in plastic pots, covered with earth and raised in a greenhouse under good growth conditions. Three weeks after sowing, the experimental plants were treated in the three-leaf stage.

The compounds according to the invention formulated as wettable powders or as emulsion concentrates were sprayed onto the green parts of plants in various dosages using a water application rate of 600 to 800 l/ha after conversion and, after a standing time of the experimental plants in the greenhouse under optimum growth conditions of about 3 to 4 weeks, the action of the preparations was assessed visually in comparison to untreated controls.

The agents according to the invention also show a good herbicidal activity post-emergence against a broad spectrum of economically important weed grasses and weeds (cf. Table 6).



Table 6

	Ex.No.	Dose (kg a.i./ha)	Herbicidal action					
			LOMU	ECCR	AVSA	STME	CHSE	SIAL
5	1	0.3	5	5	5	5	5	5
	136	0.3	3	4	1	5	5	5
	4	0.3	5	5	5	5	5	5
	411	0.3	5	5	4	5	5	5
	354	0.3	5	5	5	5	5	5
10	439	0.3	5	5	5	5	5	5
	7	0.3	5	5	5	5	5	5
	299	0.3	3	3	2	3	2	5
	443	0.3	5	5	5	5	3	5
	298	0.3	3	5	2	4	3	5
15	445	0.3	3	2	2	3	4	3
	756	0.3	5	4	3	4	4	5
	442	0.3	5	2	3	3	2	4
	770	0.3	5	3	3	3	3	3
	854	0.3	4	5	4	4	5	5
20	8	0.3	5	5	5	5	3	5
	5	0.3	5	5	5	5	5	5
	142	0.3	4	2	2	4	1	3
	340	0.3	3	3	0	5	2	5
	573	0.3	5	5	5	5	5	5
25								

Abbreviations:

- Ex.No. = Preparation example from Tables 1 to 4
- a.i. = active ingredient (based on pure active compound)
- LOMU = *Lolium multiflorum*
- ECCR = *Echinochloa crus-galli*
- AVSA = *Avena sativa*
- STME = *Stellaria media*
- CHSE = *Chrysanthemum segetum*
- STAL = *Sinapis alba*



3. Crop plant tolerability

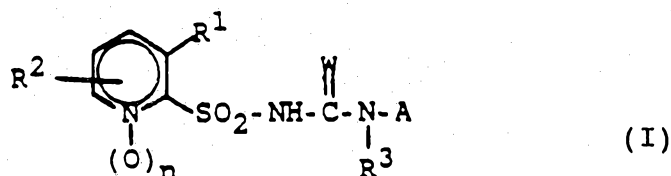
In further experiments in a greenhouse, seeds of a relatively large number of crop plants and weeds were planted in sandy loam soil and covered with earth.

- 5 Some of the pots were immediately treated as described under 1 and the others were placed in a greenhouse until the plants had developed two to three true leaves and then sprayed with the substances according to the invention in various dosages, as described under 2.
- 10 Four to five weeks after application and standing in a greenhouse, it was determined by means of optical assessment that the compounds according to the invention left dicotyl crops such as, for example, soya, cotton, rape, sugarbeet and potatoes undamaged pre- and post-emergence
- 15 even at high active compound dosages. Moreover, some substances also spared gramineous crops such as, for example, barley, wheat, rye, sorghum millet, corn and rice. The compounds of the formula (I) thus have a high selectivity when used for controlling undesired plant
- 20 growth in agricultural crops.



PATENT CLAIMS

1. A compound of the formula (I) or its salts



in which

- 5 R^1 is $-\text{OSO}_2\text{NR}^4\text{R}^5$, $-\text{NR}^6\text{R}^7$ or iodine,
 R^2 is H, (C_1-C_3) alkyl, (C_1-C_3) haloalkyl, halogen, NO_2 ,
 CN, (C_1-C_3) alkoxy, (C_1-C_3) haloalkoxy, (C_1-C_3) alkyl-
 thio, (C_1-C_3) alkoxy- (C_1-C_3) alkyl, (C_1-C_3) alkoxy-
 carbonyl, (C_1-C_3) alkylamino, di $[(\text{C}_1-\text{C}_3)$ alkyl]amino,
 (C_1-C_3) alkylsulfinyl, (C_1-C_3) alkylsulfonyl, $\text{SO}_2\text{NR}^a\text{R}^b$
 or $\text{C}(\text{O})\text{NR}^a\text{R}^b$,
 R^a and R^b independently of one another are H,
 (C_1-C_3) alkyl, (C_3-C_4) alkenyl, propargyl, or
 together are $-(\text{CH}_2)_4-$, $-(\text{CH}_2)_5-$ or $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-$,
 R^3 is H or CH_3 ,
 R^4 is H, (C_1-C_3) alkyl, (C_3-C_4) alkenyl, (C_1-C_3) alkoxy or
 (C_3-C_4) alkynyl, and
 R^5 is H, (C_1-C_3) alkyl, (C_3-C_4) alkenyl or (C_3-C_4) alkynyl,
 or
 R^4 and R^5 together are $-(\text{CH}_2)_4-$, $-(\text{CH}_2)_5-$ or $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-$,
 R^6 is H, (C_1-C_8) alkyl, which is unsubstituted or sub-
 stituted by one or more radicals from the group
 comprising halogen, (C_1-C_4) alkoxy, (C_1-C_4) alkyl-
 thio, (C_1-C_4) alkylsulfinyl, (C_1-C_4) alkylsulfonyl,
 (C_1-C_4) alkoxycarbonyl and CN, (C_3-C_6) alkenyl which
 is unsubstituted or substituted by one or more
 halogen atoms, (C_3-C_6) alkynyl which is unsub-
 stituted or substituted by one or more halogen
 atoms, (C_1-C_4) alkylsulfonyl which is unsubstituted
 or substituted by one or more halogen atoms,
 phenylsulfonyl where the phenyl radical is unsub-
 stituted or substituted by one or more radicals



from the group comprising halogen, (C₁-C₄)alkyl and (C₁-C₄)alkoxy, (C₁-C₄)alkoxy or (C₁-C₄)alkyl-carbonyl which is unsubstituted or substituted by one or more halogen atoms,

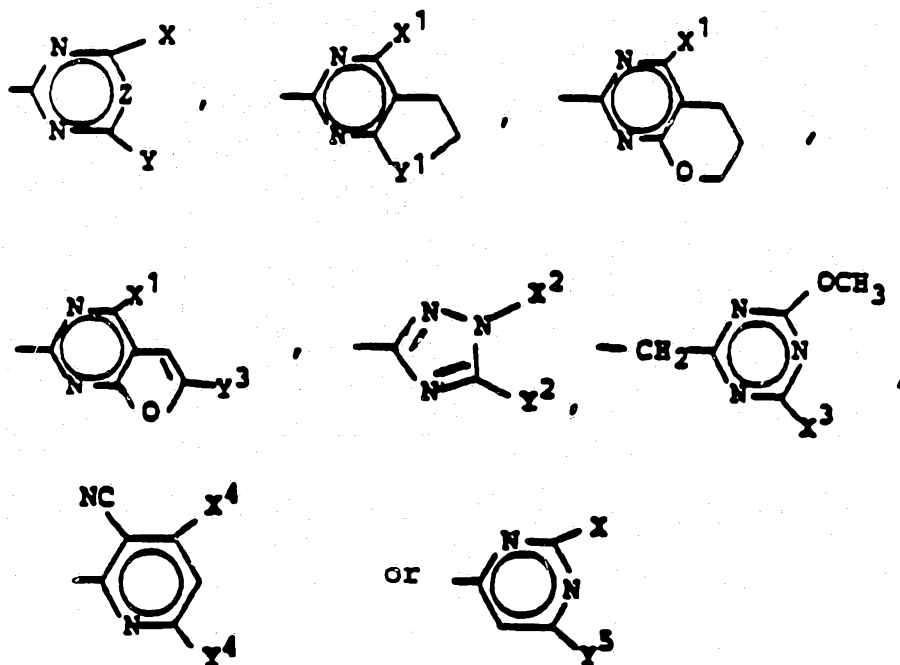
5 R⁷ is (C₁-C₄)alkylsulfonyl which is unsubstituted or substituted by one or more halogen atoms, phenylsulfonyl where the phenyl radical is unsubstituted or substituted by one or more radicals from the group comprising halogen,
10 (C₁-C₄)alkyl and (C₁-C₄)alkoxy, or [di-(C₁-C₄)-alkyl]aminosulfonyl or

R⁶ and R⁷ together are a chain of the formula -(CH₂)_m-SO₂-, where the chain can additionally be substituted by 1 to 4 (C₁-C₃)alkyl radicals and m is 3 or 4,

15 n is zero or 1,

W is O or S,

A is a radical of the formula



20 X is H, halogen, (C₁-C₃)alkyl, (C₁-C₃)alkoxy, where the two last-mentioned radicals are unsubstituted or monosubstituted or polysubstituted by halogen or



monosubstituted by (C₁-C₃)alkoxy,
 Y is H, (C₁-C₃)alkyl, (C₁-C₃)alkoxy or (C₁-C₃)alkylthio,
 where the abovementioned alkyl-containing radicals are unsubstituted or monosubstituted or polysubstituted by halogen or monosubstituted or disubstituted by (C₁-C₃)alkoxy or (C₁-C₃)alkylthio, and also a radical of the formula NR⁸R⁹, (C₃-C₆)-cycloalkyl, (C₂-C₄)alkenyl, (C₂-C₄)alkynyl, (C₃-C₄)alkenyloxy or (C₃-C₄)alkynyloxy,
 Z is CH or N,
 R⁸ and R⁹ independently of one another are H, (C₁-C₃)alkyl or (C₃-C₄)alkenyl,
 X¹ is CH₃, OCH₃, OC₂H₅ or OCF₂H,
 Y¹ is -O- or -CH₂-,
 X² is CH₃, C₂H₅ or CH₂CF₃,
 Y² is OCH₃, OC₂H₅, SCH₃, SC₂H₅, CH₃ or C₂H₅,
 X³ is CH₃ or OCH₃,
 Y³ is H or CH₃,
 X⁴ is CH₃, OCH₃, OC₂H₅, CH₂OCH₃ or Cl,
 Y⁴ is CH₃, OCH₃, OC₂H₅ or Cl,
 Y⁵ is CH₃, C₂H₅, OCH₃ or Cl.

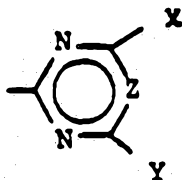
2. A compound as claimed in claim 1, wherein
 R⁴ and R⁵ independently of one another are (C₁-C₃)alkyl, allyl or propargyl or
 R⁴ and R⁵ together are -(CH₂)₄-, -(CH₂)₅- or -CH₂CH₂OCH₂CH₂-,
 R⁶ is H, (C₁-C₄)alkyl which is unsubstituted or substituted by one or more halogen atoms or by a radical from the group comprising (C₁-C₃)alkoxy, (C₁-C₃)alkylthio, (C₁-C₃)alkylsulfonyl, (C₁-C₄)-alkoxycarbonyl and CN, (C₃-C₄)alkenyl, (C₃-C₄)alkynyl, (C₁-C₄)alkylsulfonyl, phenylsulfonyl, phenylsulfonyl which is substituted by one to three radicals from the group comprising halogen, (C₁-C₃)alkyl and (C₁-C₃)alkoxy, (C₁-C₃)-alkoxy or (C₁-C₄)alkylcarbonyl,
 R⁷ is (C₁-C₄)alkylsulfonyl, phenylsulfonyl or phenylsulfonyl which is substituted by 1 to 3 radicals from the group comprising halogen, (C₁-C₃)alkyl



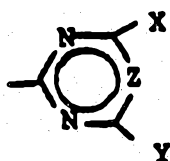
and (C₁-C₃)alkoxy, or di-(C₁-C₄-alkyl)-aminosulfonyl or

R⁶ and R⁷ together are a chain of the formula -(CH₂)_mSO₂- where m is 3 or 4.

- 5 3. A compound as claimed in claim 1 or 2, wherein
W is an oxygen atom,
n is the number zero and
A is a radical of the formula



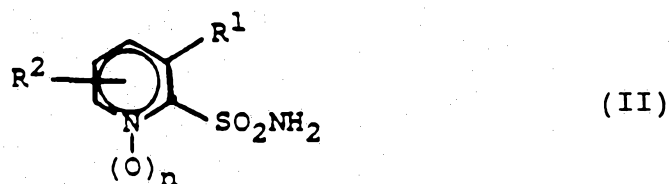
- 10 4. A compound as claimed in one or more of claims 1 to 3,
wherein
R² is H, (C₁-C₃)alkyl, (C₁-C₃)alkoxy, halogen or (C₁-C₃)-
alkylthio, R⁴ and R⁵ independently of one another are
(C₁-C₃)alkyl, R⁶ is hydrogen, (C₁-C₄)alkyl or (C₁-C₃)alkyl-
sulfonyl, R⁷ is (C₁-C₃)alkylsulfonyl and A is a radical of
15 the formula



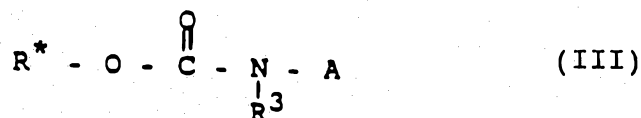
in which Z is CH or N, X is halogen, (C₁-C₂)alkyl, (C₁-C₂)-
alkoxy, OCF₂H, CF₃ or OCH₂CF₃ and Y is (C₁-C₂)alkyl,
(C₁-C₂)alkoxy or OCF₂H.

- 20 5. A process for the preparation of a compound of the
formula (I) or its salts as defined by claim 1, which
comprises
(a) reacting a compound of the formula (II)



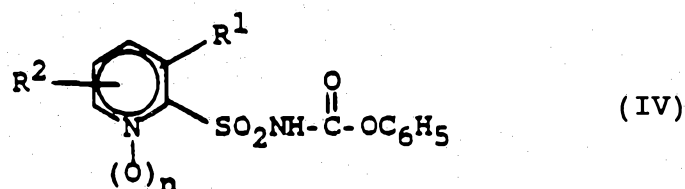


with a heterocyclic carbamate of the formula (III)



in which R* is phenyl or (C₁-C₄)alkyl, or

- 5 (b) reacting a pyridylsulfonylcarbamate of the formula (IV)



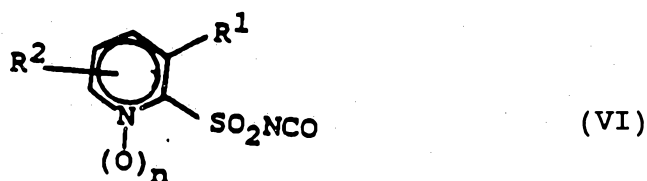
with an aminoheterocycle of the formula (V)



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or

- (c) reacting a sulfonyl isocyanate of the formula (VI)



with an aminoheterocycle of the formula R³-NH-A (V)



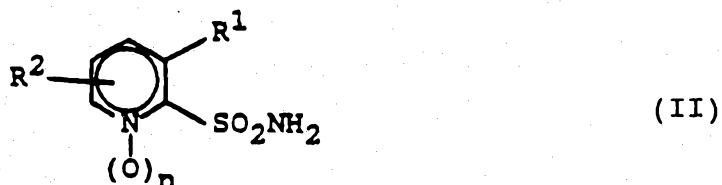
or

- (d) first reacting an aminoheterocycle of the formula R^3-NH-A (V) in a one-pot reaction with phosgene in the presence of a base and reacting the intermediate formed with a pyridinesulfonamide of the formula (II).

6. A herbicide or plant growth-regulating agent, which contains a compound of the formula (I) or its salts as defined by one or more of claims 1 to 4 and formulation auxiliaries.

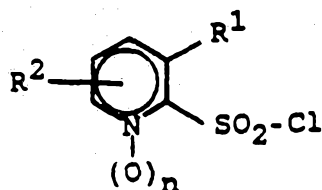
7. A method of combating undesired plants or of regulating the growth of plants, wherein an amount of a compound of the formula (I) or its salts as defined by one or more of claims 1 to 4, which is herbicidally effective or effective for regulating the growth of plants, is applied to the plants, plant seed or the cultivated area.

8. A compound of the formula (II)



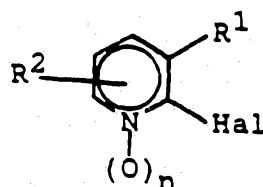
in which R^1 , R^2 and n have the meaning mentioned in one or more of claims 1 to 4.

9. A process for the preparation of a compound of the formula (II) as claimed in claim 8, which comprises converting a sulfochloride of the formula



R^1 , R^2 and n have the meaning defined above, into a sulfonamide of the formula (II) by reaction with tert.-butylamine and removal of the tert.-butyl group under customary conditions or using ammonia.

- 5 10. The process as claimed in claim 9, wherein the sulfochloride is prepared by reaction of a compound of the formula



in which

- 10 Hal is fluorine, chlorine, bromine or iodine and R^1 , R^2 and n have the meanings defined above, with an S-nucleophile and subsequent reaction of the resulting intermediate with sodium hypochlorite or chlorine.



INTERNATIONAL SEARCH REPORT

International Application No PCT/EP 90/02308

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) ⁴		
According to International Patent Classification (IPC) or to both National Classification and IPC		
Int.Cl. ⁵ C 07 D 401/12, 401/14, 417/14, 213/71, A 01 N 47/36		
II. FIELDS SEARCHED		
Minimum Documentation Searched ⁷		
Classification System	Classification Symbols	
Int.Cl. ⁵	C 07 D; A 01 N	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched ⁴		
III. DOCUMENTS CONSIDERED TO BE RELEVANT ¹		
Category ⁸	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³
X	US, A, 4435206 (GEORGE LEVITT) 6 March 1984, see the whole document ---	1-10
X	EP, A2, 0314505 (E.I. DU PONT DE NEMOURS AND COMPANY) 3 May 1989, see pages 3-16 ---	1-10
X	US, A, 4487626 (WILLIAM T. ZIMMERMAN) 11 December 1984, see columns 1-8, 39-40 ---	1-2,5-10
X	WO, A1, 8804297 (E.I. DU PONT DE NEMOURS AND COMPANY) 16 June 1988, see pages 10-16 ---	1-10
A	EP, A1, 0178101 (E.I. DU PONT DE NEMOURS AND COMPANY) 16 April 1986, see pages 7-23 -----	1-10
¹⁰ Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step "Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art "&" document member of the same patent family		
IV. CERTIFICATION		
Date of the Actual Completion of the International Search:		Date of Mailing of this International Search Report
26 March 1991 (26.03.91)		12 April 1991 (12.04.91)
International Searching Authority		Signature of Authorized Officer
European Patent Office		

**ANNEX TO THE INTERNATIONAL SEARCH REPORT
ON INTERNATIONAL PATENT APPLICATION NO. PCT/EP 90/02308**

SA 43102

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report. The members are as contained in the European Patent Office EDP file on 28/02/91. The European Patent office is in no way liable for these particulars which are merely given for the purpose of information.

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US-A- 4435206	06/03/84	CA-A- 1114819	22/12/81
		CA-A- 1128047	20/07/82
		EP-A-B- 0013480	23/07/80
		EP-A- 0049554	14/04/82
		JP-A- 55102577	05/08/80
		US-A- 4522645	11/06/85
		US-A- 4776878	11/10/88

EP-A2- 0314505	03/05/89	AU-D- 2442288	04/05/89
		US-A- 4946494	07/08/90

US-A- 4487626	11/12/84	AU-B- 545336	11/07/85
		AU-D- 7427981	25/02/82
		CA-A- 1204115	06/05/86
		EP-A-B- 0046677	03/03/82
		JP-A- 57070891	01/05/82

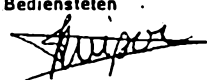
WO-A1- 8804297	16/06/88	AU-D- 1181888	30/06/88
		EP-A- 0273610	06/07/88
		EP-A- 0334896	04/10/89
		JP-T- 2500912	29/03/90
		US-A- 4774337	27/09/88
		US-A- 4808721	28/02/89
		WO-A- 89/01937	09/03/89

EP-A1- 0178101	16/04/86	AU-D- 4789685	10/04/86
		US-A- 4662931	05/05/87
		US-A- 4755211	05/07/88
		US-A- 4655817	07/04/87
		US-A- 4657578	14/04/87
		US-A- 4756742	12/07/88
		US-A- 4707179	17/11/87
		US-A- 4707178	17/11/87

For more details about this annex : see Official Journal of the European patent Office, No. 12/82

INTERNATIONALER RECHERCHENBERICHT

Internationales Aktenzeichen PCT/EP 90/02308

I. KLASSEFIZKATION DES ANMELDUNGSGENSTANDS (bei mehreren Klassifikationssymbolen sind alle anzugeben) ⁶		
Nach der Internationalen Patentklassifikation (IPC) oder nach der nationalen Klassifikation und der IPC Int.Cl. ⁵ C 07 D 401/12, 401/14, 417/14, 213/71, A 01 N 47/36		
II. RECHERCHIERTE SACHGEBIETE		
Recherchierter Mindestprüfstoff ⁷		
Klassifikationssystem	Klassifikationssymbole	
Int.Cl. ⁵	C 07 D; A 01 N	
Recherchierte nicht zum Mindestprüfstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Sachgebiete fallen ⁸		
III. EINSCHLÄGIGE VERÖFFENTLICHUNGEN⁹		
Art *	Kennzeichnung der Veröffentlichung ¹¹ , soweit erforderlich unter Angabe der maßgeblichen Teile ¹²	Betr. Anspruch Nr. ¹³
X	US, A, 4435206 (GEORGE LEVITT) 6 März 1984, siehe Dokument insgesamt --	1-10
X	EP, A2, 0314505 (E.I. DU PONT DE NEMOURS AND COMPANY) 3 Mai 1989, Siehe Seiten 3-16 --	1-10
X	US, A, 4487626 (WILLIAM T. ZIMMERMAN) 11 Dezember 1984, Siehe Spalten 1-8, 39-40 --	1-2,5-10
* Besondere Kategorien von angegebenen Veröffentlichungen¹⁰: "A" Veröffentlichung, die den allgemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist "E" älteres Dokument, das jedoch erst am oder nach dem internationalen Anmeldedatum veröffentlicht worden ist "L" Veröffentlichung, die geeignet ist, einen Prioritätsanspruch zweifelhaft erscheinen zu lassen, oder durch die das Veröffentlichungsdatum einer anderen im Recherchenbericht genannten Veröffentlichung belegt werden soll oder die aus einem anderen besonderen Grund angegeben ist (wie ausgeführt) "O" Veröffentlichung, die sich auf eine mündliche Offenbarung, eine Benutzung, eine Ausstellung oder andere Maßnahmen bezieht "P" Veröffentlichung, die vor dem internationalen Anmeldedatum, aber nach dem beanspruchten Prioritätsdatum veröffentlicht worden ist "T" Spätere Veröffentlichung, die nach dem internationalen Anmeldedatum oder dem Prioritätsdatum veröffentlicht worden ist und mit der Anmeldung nicht kollidiert, sondern nur zum Verständnis des der Erfindung zugrundeliegenden Prinzips oder der ihr zugrundeliegenden Theorie angegeben ist "X" Veröffentlichung von besonderer Bedeutung, die beanspruchte Erfindung kann nicht als neu oder auf erfinderischer Tätigkeit beruhend betrachtet werden "Y" Veröffentlichung von besonderer Bedeutung, die beanspruchte Erfindung kann nicht als auf erfinderischer Tätigkeit beruhend betrachtet werden, wenn die Veröffentlichung mit einer oder mehreren anderen Veröffentlichungen dieser Kategorie in Verbindung gebracht wird und diese Verbindung für einen Fachmann naheliegend ist "&" Veröffentlichung, die Mitglied derselben Patentfamilie ist		
IV. BESCHEINIGUNG		
Datum des Abschlusses der internationalen Recherche		Absenddatum des internationalen Recherchenberichts
26. März 1991		12 APR 1991
Internationale Recherchenbehörde		Unterschrift des bevollmächtigten Bediensteten
Europäisches Patentamt		Mme N. KUIPER 

III. EINSCHLÄGIGE VERÖFFENTLICHUNGEN (Fortsetzung von Blatt 2)		
Art *	Kennzeichnung der Veröffentlichung, soweit erforderlich unter Angabe der maßgeblichen Teile	Betr. Anspruch Nr.
X	WO, A1, 8804297 (E.I. DU PONT DE NEMOURS AND COMPANY) 16 Juni 1988, Siehe Seiten 10-16 --	1-10
A	EP, A1, 0178101 (E.I. DU PONT DE NEMOURS AND COMPANY) 16 April 1986, Siehe Seiten 7-23 -- -----	1-10

**ANHANG ZUM INTERNATIONALEN RECHERCHENBERICHT
ÜBER DIE INTERNATIONALE PATENTANMELDUNG NR.PCT/EP 90/02308**

SA 43102

In diesem Anhang sind die Mitglieder der Patentfamilien der im obengenannten internationalen Recherchenbericht angeführten Patentdokumente angegeben.
Die Angaben über die Familienmitglieder entsprechen dem Stand der Datei des Europäischen Patentamts am 28/02/91
Diese Angaben dienen nur zur Unterrichtung und erfolgen ohne Gewähr.

Im Recherchenbericht angeführtes Patentdokument	Datum der Veröffentlichung	Mitglied(er) der Patentfamilie	Datum der Veröffentlichung
US-A- 4435206	06/03/84	CA-A- 1114819	22/12/81
		CA-A- 1128047	20/07/82
		EP-A-B- 0013480	23/07/80
		EP-A- 0049554	14/04/82
		JP-A- 55102577	05/08/80
		US-A- 4522645	11/06/85
		US-A- 4776878	11/10/88
EP-A2- 0314505	03/05/89	AU-D- 2442288	04/05/89
		US-A- 4946494	07/08/90
US-A- 4487626	11/12/84	AU-B- 545336	11/07/85
		AU-D- 7427981	25/02/82
		CA-A- 1204115	06/05/86
		EP-A-B- 0046677	03/03/82
		JP-A- 57070891	01/05/82
WO-A1- 8804297	16/06/88	AU-D- 1181888	30/06/88
		EP-A- 0273610	06/07/88
		EP-A- 0334896	04/10/89
		JP-T- 2500912	29/03/90
		US-A- 4774337	27/09/88
		US-A- 4808721	28/02/89
		WO-A- 89/01937	09/03/89
EP-A1- 0178101	16/04/86	AU-D- 4789685	10/04/86
		US-A- 4662931	05/05/87
		US-A- 4755211	05/07/88
		US-A- 4655817	07/04/87
		US-A- 4657578	14/04/87
		US-A- 4756742	12/07/88
		US-A- 4707179	17/11/87
		US-A- 4707178	17/11/87

Für nähere Einzelheiten zu diesem Anhang : siehe Amtsblatt des Europäischen Patentamts, Nr.12/82