

Candidate's examination paper (Examination paper B/1992 Chem)

Dear Sirs,

European Patent Application No.
of

With reference to the communication dated, amended claims are filed herewith in triplicate. The amendments offered are without prejudice to any possible divisional applications which may in future be filed. Oral proceedings are requested in the event that the Examiner is not minded to allow this application.

The present invention provides certain amidothionophosphoric acid ester derivatives, as defined by the formula on page 2 of the specification for use as herbicides. Such compounds have properties which are surprisingly beneficial for use of the compounds as herbicides, which are not suggested by the prior art.

In particular as explained at page 2 of the specification, the compounds display a surprisingly low phytotoxicity to crop plants, and are moreover not toxic to mammals. In particular these compounds may be used at surprisingly low concentrations compared with those heretofore known.

Comparing thus with the compounds of Document II for example these require to be used at a concentration range of at least 6 to 8 kg/ha - and at this range are not fully effective. Higher doses are phytotoxic to useful plants and hence can generally only be used pre-emergence.

The compounds of the present invention on the other hand are effective at only 0.5-5 kg/ha and are not phytotoxic at these ranges (see Tables I and II).

Claim 1 has thus been amended to reflect this more clearly and is now directed to the use of the compounds as herbicides. Claim 1 can thus be seen to be based upon original claim 7, with the purpose limitation restricted to use as herbicides.

Having regard in particular to the Examiner's comments in item 5, it is submitted that new claim 1 is both novel and inventive over Document IV.

Document IV does indeed disclose certain compounds falling within the general formula of claim 1 as amended. However such compounds are clearly restricted to use in controlling phytotoxic threadworms; no utility as herbicides is either disclosed or suggested, and indeed neither is such utility made available to the public in any way within the meaning of Articles 52(1), 54(1) and (2) EPC.

Applying the principles expanded in Decision G 06/88 of the Enlarged Board, the technical effect of the compounds as herbicides, is implied into amended claim 1 on a technical feature which distinguishes the claim from the disclosures of Document IV.

As this decision confirmed, despite the fact that such a heretofore unknown effect may have inherently occurred during the use of compounds according to Document IV, such use did not reveal the technical effect of herbicides efficacy - inherency is not to be considered when determining what the document makes available.

Moreover, since the effect is quite different it is in no way predictable from Document IV.

Although in the medical field, and not strictly analogous, the Decision ICI/plaque removal has shown that an inventive step can be recognised where a new technical effect underlines the claim, even if the end-purpose is ultimately the same.

Thus, although the present invention and Document IV ultimately both teach to improved crop cultivation this is achieved through a different technical effect (herbicidal vs worm-controlling activity). Document IV does not suggest the present invention as now claimed.

New claims 2 to 7 specify preferred features of the invention, based on the specification. New claims 2 and 3, as discussed in more detail below, relate to particularly preferred compounds.

New claim 5, as mentioned above, relates to a low, preferred dosage (see page 5, line 7).

New claim 6, as discussed in more detail below, relates to a particularly advantageous use of the invention, based on Example 2 and page 7.

New claim 7 is based on page 6, lines 8-10.

Having regard to item 1, claim 8 has been limited to novel herbicidal compositions which may be distinguished from the compositions of Document IV.

Applying the considered practice of the EPO as expressed in the Guidelines and Decisions of the Boards of Appeal (notably Xanthenes) a general formula does not disclose, in terms of novelty, all the possible compounds encompassed by it, where there are at least two variable groups. Thus only certain compounds and compositions are actually disclosed by Document IV, notably compounds A and B.

Claim 8 (and its dependent claims) is limited to compounds according to the invention where the phenyl ring is substituted by a methyl group at the 4 position. Applying the principles set out above such compounds are not disclosed in Document IV. Claim 8 is thus novel.

It is, having regard to item 2, also inventive - neither Document IV nor Document II disclose the use of such compounds as herbicides. As explained above the compounds of the present invention are clearly superior over those of Document II.

The present specification also shows that such compounds exhibit particularly superior activity.

It is stated at page 4 that compounds IV and V show particularly high herbicidal activity. This is borne out by inspection of Examples 1 and 2, particularly Tables 1 and 2. Even at concentrations as low as 8 g/are, Compounds IV and V were effective against weeds, whilst having no effect on crop plants, showing better activity than compounds I-III; at the lower concentrations (8 and 22 g/are). Comparison of the structures reveals that compound IV differs from compound I only in the 4-methyl group. Compound V differs from compound II in the position of the 4 methyl group (position 3 in compound II). The common feature is thus the 4 position for the methyl group.

The compounds of claims 8, and 2 are thus particularly effective - and this superior effect is in no way predictable from the cited prior art. Claims 3 and 9 relate to the preferred compounds IV and V, exemplified in the specification. Whilst having regard to items 3 and 4, 4-methyl substituted compounds such as compounds IV and V and their preparation are indeed disclosed in Document V, the disclosures of this document are limited to processes and compounds per se only. No suggestion of any herbicidal activity, let alone superior activity are suggested.

Whilst original claims 4 and 5-6 have been deleted, it is noted that applying the principles as outlined above, compound III is not disclosed and can thus be seen to be novel.

The Examiner in item 2 suggests that herbicidal compositions such as emulsifiable concentrates are conventional. The present invention shows on the contrary that certain emulsifiable concentrates are particularly useful in treating water logged areas such as paddy fields. New claim 6 defines such use based on the description at page 7, lines 10-12 and Example 2.

Thus, undiluted emulsifiable concentrates may particularly beneficially be used to control weeds in waterlogged areas such as rice fields. Considering Table 2, the particularly superior properties of compounds IV and V can be seen in this area.

Claim 11, based on page 7, has thus been introduced, relating to a preferred emulsifiable concentrate according to the invention - as can be seen from the first paragraph on page 7 a spreading agent and a stabiliser are advantageously contained.

Claim 10 is based on original claim 2 and specifies various preferred forms of novel herbicidal compositions according to the invention.

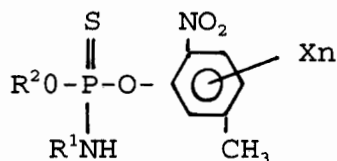
Form 1037 is enclosed for acknowledgement of receipt

Yours faithfully

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Professional Representative

Claims (as amended)

1. Use of compounds according to the formula

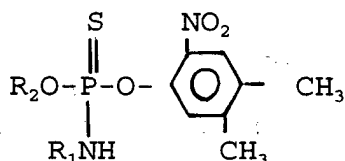


wherein R¹ is a C3-C4 alkyl group, R² is a C1-C4 alkyl group, X is a methyl group and n is an integer from 0 to 3

as herbicides.

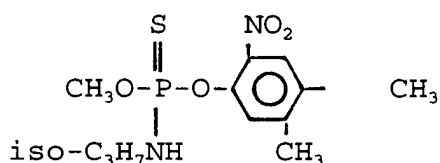
2. Use as claimed in claim 1 wherein n is 1 and X is a methyl group at position 4.
3. Use as claimed in claim 1 or claim 2 wherein the compound is selected from compounds of formulae I, II, III, IV and V
- (I) wherein R¹ is isopropyl, R² is methyl and n=0;
- (II) wherein R¹ is sec-butyl, R² is ethyl, n=1, and X is at position 3;
- (III) R¹ is isopropyl, R² is methyl, n=1 and X is at position 3;
- (IV) R¹ is isopropyl, R² is methyl, n=1 and X is at position 4;
- (V) R¹ is sec-butyl, R² is ethyl, n=1 and X is at position 4.
4. Use as claimed in claim 3 of a compound of formula IV or V.
5. Use as claimed in any one of claims 1 to 5 wherein the compounds are applied to in amount of 0.5 to 5 kg/ha to the area to be treated.
6. Use as claimed in any one of claims 1 to 5 wherein the compounds are applied in the form of an undiluted emulsifiable concentrate to water-logged areas.
7. Use of compounds as claimed in any one of claims 1 to 6 in conjunction with one or more agents selected from fungicides, insecticides and fertilisers.

8. A herbicide composition characterised in that in addition to the usual additives it contains as a herbicidally active compound at least one compound of the formula

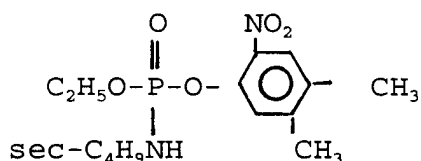


wherein R¹ is a C3-C4 alkyl group, R² is a C1-C4 alkyl group.

9. A herbicide composition as claimed in claim 7 characterised in that it contains a compound of formula IV



or of formula 5



10. A herbicide composition as claimed in claim 8 or claim 9, characterised in that the composition is in the form of a wettable powder, a granulate, an oil spray or an emulsifiable concentrate.
11. A herbicide composition as claimed in claim 10 in the form of an emulsifiable concentrate, further comprising at least one spreading agent and stabiliser.

Notes

As mentioned in the response compound III is novel, and hence an analogy process for its preparation may also be novel. It may therefore be possible to retain claims 4-6, limited to compound III.

I have not included this in the response since there is no evidence that compound II is particularly beneficial (structurally it is close to the compounds of Document IV) and hence there may be a problem of obviousness, in terms of compositions and compounds over Document IV.

This might be arguable because herbicidal activity for Document III is not suggested in the prior art; and Tables 1 & 2 show that III is effective. A claim to an emulsifiable concentrate containing compound III might be possible (use in waterlogged conditions).

It has been included for the present in view of its closer similarity to the compounds of Document IV, and in view of the fact that it has not been identified by the client as a preferred compound.

Unity is not believed to be a problem at present, but claims 8-11 could be divided out if the Examiner objects.