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(71) Applicant: UPL LIMITED [IN/IN]; Agrochemical Plant, Durgachak, Midnapore Dist., West Bengal, Haldia 721 602 (IN).

(72) Inventors: SHROFF, Jaidev, Rajnikant; Uniphos House, Madhu Park, 11th Road, Khar (West), State of Maharashtra, Mumbai 400 052 (IN). SHROFF, Vikram, Rajnikant; Uniphos House, Madhu Park, 11th Road, Khar (West), State of Maharashtra, Mumbai 400 052 (IN). DUBEY, Bhupendrakumar, Vishnuprasad; Uniphos House, Madhu Park, 11th Road, Khar (West), State of Maharashtra, Mumbai 400 052 (IN).

(74) Agents: MAJUMDAR, Subhotosh et al.; S Majumdar & Co, 5, Harish Mukherjee Road, Kolkata 700025 (IN).

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(54) Title: WEED CONTROL

(57) Abstract: The present invention provides the use of pyrazosulfuron ethyl for the control of winter weeds in winter crops that are not rice, and a composition useful therein.

## **WEED CONTROL**

### **FIELD OF INVENTION:**

The present invention relates to the use of pyrazosulfuron-ethyl for selective control of winter weeds.

### **BACKGROUND AND PRIOR ARTS:**

Orobanche is a winter weed species first described in 1753 in Europe. This is a significant weed affecting the yield of many winter crops. Several strategies have been employed against orobanche species of weeds but few have been proved effective. Orobanche infests a locus by forming a pool of seeds in the soil. A control program aimed at controlling orobanche must target this seed pool within the soil. A simulation model by Kebreab and Murdoch, 2001 predicted that sustainable control of orobanche weed species must aim at reducing the seed pool to below 2000 seeds per square metre.

There are several known strategies for the control of orobanche, but none of them have been found completely effective individually. Fumigation is one such approach, which aims to eliminate the seed pool. Some fumigants known for the control of orobanche weed species include methyl bromide, metham sodium, methyl iodide, 1,3-dichloropropene dazomet and ethylene dibromide. However, these fumigants are known to be inferior in providing control of orobanche and their use is also becoming highly regulated.

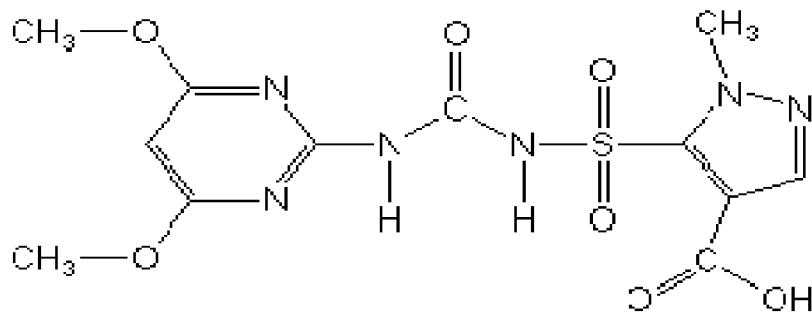
Some other strategies known for orobanche control are inhibition by soil nitrogen, solarisation, germination stimulants, sacrificial host crops, sacrificial volunteer pasture, false host crops, grazing, soil inversion, biological control using certain fungus and flies, introduction of resistant crop cultivars, induced resistance, pretreatment of seeds, germination inhibitors, mannitol metabolism and chemical control. The very number of approaches tried so far indicates the significance and magnitude of the dire need to provide an alternative approach for the control of this weed species.

Glyphosate is known to be an effective herbicide for control of broomrape, but is not known to increase the yield of the crop. Glyphosate also falls short of providing an effective complete control. It was found that increasing the dosage of glyphosate did not enhance the broomrape control but severely damaged the crop yield.

Certain sulfonylureas have been employed for controlling broomrape. Chlorsulfuron was one such sulfonylurea, which not only provided incomplete control on single application but also delayed crop maturity (Kotula-Syka and Eleftherohorinos, 1991). Triasulfuron applied as a single foliar spray resulted into unacceptable damage to potatoes (Goldwasser et al., 2001). Rimsulfuron selectively controlled only *O. ramosa*, but it required repeated applications since its effect was temporary (Kleifeld et al., 1994).

Imidazolinones such as imazaquin controlled broomrape but caused extensive crop damage (Sauerborn et al., 1989b). The phenoxy-acid herbicides such as MCPA and 2,4-D were also not suitable as low rates, required to prevent crop damage, did not result in appreciably preventing broomrape emergence. Accordingly, there is a need in the art for providing a solution to control orobanche weeds comprehensively in a winter crop without compromising the yield of the crop. The present invention provides such a solution.

Pyrazosulfuron-ethyl is pyrimidinylsulfonylurea herbicide having IUPAC name 5-[(4,6-dimethoxypyrimidin-2-ylcarbamoyl)sulfamoyl]-1-methylpyrazole-4-carboxylic acid and chemical structure as follows:



Pyrazosulfuron-ethyl was disclosed in US4954164 which claimed a method of controlling the growth of undesired vegetation in rice growing sites without harming the rice plants which comprises applying Pyrazosulfuron-ethyl. Hitherto, pyrazosulfuron-ethyl has not been recommended for use in any crop other than rice.

Pyrazosulfuron-ethyl is mainly absorbed by the root of weeds, and controls the synthesis of weed amino acid. Pyrazosulfuron-ethyl stops weeds bud and root growth and mainly used for the prevention and cure of *ratalaindica*, *monochoriavaginalis*, *cyperusdifformis*, *cyperusserotinus* etc., in the transplant and direct-seeding rice field, and has a strong control for *echinochloa*, *crusgalli* and broadleaf weeds. There is no recommendation in the state of the art towards the use of pyrazosulfuron ethyl for controlling winter weeds in winter crops.

It is therefore an object of the present invention to provide for the selective control of winter weeds.

Another object of the present invention is to provide for the selective control of winter weeds in winter crops.

Yet another object of the present invention is to provide for controlling the growth of winter weeds in winter season while providing season-long control from a single treatment and also increasing the grain and/or stover yield.

#### **SUMMARY OF THE INVENTION:**

Accordingly, in an aspect, the present invention provides the use of pyrazosulfuron ethyl for the control of winter weeds on winter crops.

In an embodiment, the present invention provides a method of controlling winter weeds on winter crops, said method comprising administering pyrazosulfuron ethyl to the locus at which said winter crop is grown.

In another aspect, the present invention provides the use of pyrazosulfuron ethyl for improving the grain and/or stover yield of a winter crop.

In an embodiment, the present invention provides a method for improving the grain and/or stover yield of a winter crop, said method comprising administering pyrazosulfuron ethyl to the locus of the winter crop.

In one aspect, the present invention provides a herbicidal composition for controlling winter weeds in winter crops growing at a locus, said composition comprising pyrazosulfuron ethyl.

In yet another aspect, the present invention provides a herbicidal composition for controlling the winter weeds infestation at a locus wherein at least a winter crop is growing or is intended to be grown, said composition comprising pyrazosulfuron ethyl and at least one other agrochemical active ingredient.

#### **DETAILED DESCRIPTION OF THE INVENTION:**

The invention will now be described with respect to particular embodiments.

‘PPI’ according to the present invention refers to preplant-incorporation/ application of herbicides before planting or sowing the seed/crop to the field.

The term ‘locus’ as used herein shall denote the vicinity of a desired crop in which weed control, typically selective weed control, of winter weeds is desired. The ‘locus’ includes the vicinity of desired crop plants wherein the weed infestation has either emerged or is yet to emerge. The term crop shall include a multitude of desired crop plants or an individual crop plant growing at a locus.

The term control indicates eradication of the investigated weed(s). A 100% control signifies total eradication of the weed(s) under investigation. Winter season indicates the time span of December to March in the Northern hemisphere and June to August in the Southern hemisphere.

‘Season long Control’ indicates that only one application of the herbicidal composition is required per season for any given crop, and that the weeds will remain under control for the duration of the season.

It was surprisingly found that Pyrazosulfuron-ethyl demonstrated an enhanced winter weed control in winter crops season in a single application. Therefore, in an aspect, the present invention provides the use of pyrazosulfuron ethyl for the control of winter weeds on winter crop.

In an embodiment, this use of pyrazosulfuron ethyl enables it to be employed in a method of controlling winter weeds. Accordingly, in this embodiment, the present invention provides a method of controlling winter weeds on winter crops, said method comprising administering pyrazosulfuron ethyl to the locus at which said winter crop is grown.

It has further been found that the use of pyrazosulfuron ethyl in winter crops results in an increased grain and/or stover yield of winter crops. Therefore, in this embodiment, the present invention provides the use of pyrazosulfuron ethyl for improving the grain and/or stover yield of a winter crop.

In an embodiment, this use of pyrazosulfuron ethyl enables it to be employed in a method of increasing the grain and/or stover yield of a winter crop. Thus, in this embodiment, the present invention also provides a method of increasing the grain and/or stover yield of a winter crop, said method comprising administering pyrazosulfuron ethyl to the locus at which said winter crop is grown.

The herbicide pyrazosulfuron ethyl according to the present invention may be incorporated into a composition comprising other agrochemical excipients and adjuvants and administered to the locus.

In an embodiment, at least another pesticide is used in combination with pyrazosulfuron ethyl.

Therefore, in an embodiment, the present invention provides the use of pyrazosulfuron ethyl, in combination with at least another pesticide, for the control of winter weeds on winter crops.

In another embodiment, the at least another pesticide is a herbicide.

Therefore, in an embodiment, the present invention provides the use of pyrazosulfuron ethyl, in combination with a second herbicide, for the control of winter weeds on winter crops.

In an embodiment, the second herbicide is pretilachlor. Therefore, in this embodiment, the present invention provides the use of pyrazosulfuron ethyl, in combination with pretilachlor, for the control of winter weeds on winter crops.

In an embodiment, the present invention provides a method of controlling winter weeds on winter crops, said method comprising administering pyrazosulfuron ethyl, in combination with at least another pesticide, to the locus at which said winter crop is grown.

In an embodiment, the at least another pesticide is a herbicide. Thus, in this embodiment, the present invention provides a method of controlling winter weeds on winter crops, said method comprising administering pyrazosulfuron ethyl, in combination with a second herbicide, to the locus at which said winter crop is grown.

In an embodiment, the second herbicide is pretilachlor. Therefore, in this embodiment, the present invention provides a method of controlling winter weeds on winter crops, said method comprising administering pyrazosulfuron ethyl, in combination with pretilachlor, to the locus at which said winter crop is grown.

The use of pyrazosulfuron ethyl on winter crops has been found to enhance the grain and/or stover yield of the crop.

Therefore, in another embodiment, the present invention provides the use of pyrazosulfuron ethyl, in combination with at least another pesticide, for improving the grain and/or stover yield of a winter crop.

In an embodiment, the at least another pesticide is a herbicide. Therefore, in this embodiment, the present invention provides the use of pyrazosulfuron ethyl, in combination with a second herbicide, for improving the grain and/or stover yield of a winter crop.

In another embodiment, the second herbicide is pretilachlor. Therefore, in this embodiment, the present invention provides the use of pyrazosulfuron ethyl, in combination with pretilachlor, for improving the grain and/or stover yield of a winter crop.

In an embodiment, this use of pyrazosulfuron ethyl enables it to be employed in a method of enhancing the grain and/or stover yield of a winter crop. Thus, in this embodiment, the present invention provides a method for improving the grain and/or stover yield of a winter crop, said method comprising administering pyrazosulfuron ethyl, in combination with at least another pesticide, to the locus of the winter crop.

In an embodiment, the at least another pesticide is a herbicide. Thus, in this embodiment, the present invention provides a method for improving the grain and/or stover yield of a winter crop, said method comprising administering pyrazosulfuron ethyl, in combination with a second herbicide, to the locus of the winter crop.

In another embodiment, the second herbicide is pretilachlor. Thus, in this embodiment, the present invention provides a method for improving the grain and/or stover yield of a winter crop, said method comprising administering pyrazosulfuron ethyl, in combination with pretilachlor, to the locus of the winter crop.

However, it should be noted that the choice of the second pesticide, preferably the second herbicide, is not limiting, such that pyrazosulfuron ethyl may be combined with any other

pesticide or herbicide. The second pesticide or the herbicide, may be compatible or incompatible with pyrazosulfuron ethyl as long as it is found suitable for controlling winter weeds in winter crops or suitable for enhancing the grain and/or stover yield of a winter crop. The instances wherein the second herbicide is incompatible with pyrazosulfuron ethyl, it may be formulated as a specialized formulation that prevents the contact between pyrazosulfuron ethyl and the second herbicide, all of which formulation types are intended to be used as contemplated according to the present invention.

In any aspect or embodiment described hereinabove, pyrazosulfuron ethyl may be used as contemplated according to the present invention, in combination with a pyrazole herbicide or a pyrimidinylsulfonylurea herbicide.

In an embodiment, the pyrazole herbicide may be selected from the group consisting of azimsulfuron, difenzoquat, halosulfuron, metazachlor, metazosulfuron and pyrazosulfone.

In another embodiment, the pyrimidinylsulfonylurea herbicide may be selected from amidosulfuron, azimsulfuron, bensulfuron, chlorimuron, cyclosulfamuron, ethoxysulfuron, flazasulfuron, flucetosulfuron, flupyrifos, foramsulfuron, halosulfuron, imazosulfuron, mesosulfuron, metazosulfuron, methiopyrisulfuron, monosulfuron, nicosulfuron, orthosulfamuron, oxasulfuron, primisulfuron, propyrisulfuron, rimsulfuron, sulfometuron, sulfosulfuron, trifloxsulfuron and zuomihuanglong.

The use of pyrazosulfuron ethyl, optionally in combination with a second pesticide, for the control of winter weeds comprises a single pre-planting incorporation of pyrazosulfuron ethyl, in optional combination with a second pesticide, at the locus where such control is desired. In an embodiment, more than one pre-plant incorporations (PPI) may also be preferred.

Preferably, the pre-planting incorporation is done into the soil at the locus where the winter crop is growing or intended to be grown.

Mode of application of agrochemicals depends upon the selectivity and the mode of action of the active ingredient. PPI application is usually done for volatile active ingredients. Pyrazosulfuron ethyl is not volatile in nature and normally applied in a preemergence treatment. However, the present inventors surprisingly found that PPI application Pyrazosulfuron ethyl showed a season long weed control in winter crops.

The term “winter weeds” as used herein shall denote weeds that grow in the winter season and infest the crops grown during the winter season.

In an embodiment, the common weeds of winter season are *Avenafatua*, *Anagallisarvensis*, *Chenopodium album*, *Chenopodiummurale*, *Cirsiumarvense*, *Melilotusindica*, *Melilotusalba*, *Partheniumhysterophorus*, *Phalaris minor*, *Asphodelustenuifolius*, *Cichoriumintybus*, *Daucuscarota*, *Cuscutareflexa*, *Alhagicamelorum*, *Argemoneaxicana*, *Spergulaarvensis*, *Orobanche spp.*, *Partheniumhysterophorus*, *Biden pilosa*, *Carhamusoxycantha*, *Digitariasanguinalis*, *Gomphrenacelostraites*, *Phyllanthusniruri*, *Portulacaoleracea*, *Tridexprocumbens*, *Cyanodondactylon*, *Avenaludovieiana*, *Polypogonmonspeliensis*, *Trigonella polyeerata*, *Fumariaparviflora*, *Lathyrusaphaca*, *Vicia sativa*, *Cirsiumarvense*, *Convolvulus arvensis*, *Coronopusdidymus*, *Loliumtemulentum*, *Lophochloaphleoides*, *Medicago denticulate*, *Poaannua*, *Rumexmaritimus*, *Rumexspinosis*, *Sileneconoidea*, *Sismbriumirio*, *Sonchusoleraceous* *Polypoganmonspeliensis*, *Vicia sativa*, *Euphorbia helioscopiaL* and *Euphorbia draeuneulooides*.

However, it should be understood that the use of pyrazosulfuron ethyl and a method of the present invention is not limited to the control of these weeds alone but is applicable to any weed that is infecting the crop field in winter season.

In another embodiment the winter crops according to the present invention is mustard (*Brassica species*), wheat (*Triticum vulgare*), maize (*Zea mays*), Lucerne (*Hedicago sativa*); cumin(*Cuminum cyminum*), coriander (*Coriandrum sativum*); fenugreek (*rigonella foenumgraecum*); gram (*Cicer arietinum*), Onion (*Allium cepa*); tomato (*Lycopersicum*

*esculentum*); Fennel(*Foeniculum vulgare*); potato(*Solanum tuberosum*), Isabgol (*Plantago ovata*); oat (*Avena sativa*); sunflower(*Helianthus annuus*); brinjal (*Solanum melongena*); cabbage(*Brassica oleracea*); coleus (*Solenostemon scutellarioides*), bell pepper(*Capsicum annuum*); celery (*Apium graveolens*) and beans.

In a preferred embodiment, the use of pyrazosulfuron ethyl is for the control of winter weeds in a crop that is not rice.

Similarly, in a method of controlling winter weeds in winter crops, said method comprises treating said winter weeds with pyrazosulfuron ethyl, provided that said winter crop is not rice.

The herbicide of the invention can be applied to the soil or to crops in any amount which will give the required control of weeds. A person skilled in the art would be able to determine the quantity of pyrazosulfuron ethyl required for the optimum control depending, inter-alia, on the infestation density of the winter weed sought to be controlled.

For example, the recommended dosage of pyrazosulfuron ethyl may be 50 – 200 g per hectare, which may be sufficient for achieving sufficient control of winter weeds.

In an embodiment, the winter weed sought to be controlled is orobanche.

Thus, in this embodiment, the present invention provides the use of pyrazosulfuron ethyl, optionally in combination with a second pesticide, for controlling weed belonging to the orobanche species.

It was observed that due to PPI application of pyrazosulfuron ethyl, the weeds of orobanche species present in the soil directly absorbed pyrazosulfuron ethyl and were destroyed.

In another embodiment, the present invention provides a method for controlling orobanche weeds infestation in winter crops, the method comprising administering pyrazosulfuron

ethyl, optionally in combination with a second pesticide, to the locus at which such weed control is desired.

Broomrape or broom-rape (*Orobanche*) is a genus of over 200 species of parasitic herbaceous plants in the family Orobanchaceae, mostly native to the temperate Northern Hemisphere. Branched broomrape *Orobanche ramosa*, native to central and southwestern Europe but widely naturalised elsewhere, is considered a major threat to crops in some areas. In heavily infested areas, branched broomrape can cause total crop failure. Broomrape belongs to the group of eudicots/non-magnoliid dicots.

*Orobanche* infestation is usually found in crops belonging to solanaceae family and brassicaceae family. The common crops infested by *orobanche* are mustard, sunflower, tomato, brinjal, potato, cabbage, coleus, bell pepper, sunflower, celery, and beans.

In another embodiment, the present invention provides for use of pyrazosulfuron ethyl for controlling *orobanche* weeds infestation in crops selected from mustard, wheat, sunflower, tomato, brinjal, potato, cabbage, coleus, bell pepper, sunflower, celery and beans.

In another embodiment, the present invention provides a method for controlling *orobanche* weeds in a crop selected from mustard, wheat, sunflower, tomato, brinjal, potato, cabbage, coleus, bell pepper, sunflower, celery, and beans, said method comprising applying to the locus, wherein said crop is growing or is intended to be grown, a herbicidally effective amount of pyrazosulfuron ethyl.

In an embodiment, the winter crop is mustard.

In another embodiment, the present invention provides a method for controlling *orobanche* weeds in mustard, said method comprising applying pyrazosulfuron ethyl to the locus wherein said mustard is growing or is intended to be grown.

In another embodiment, the present invention also provides for use of pyrazosulfuron ethyl, optionally in combination with a second pesticide, for controlling *orobanche* weeds in mustard.

It was found that the method according to present invention is particularly effective against *Orobanche cernua*, *Orobanche Crenata*, *Orobanche aegyptiaca*, *Orobanche historia* and *Orobanche ramosa*.

In an embodiment, the present invention provides a method for controlling growth of weeds belonging to the *Orobanche* species in mustard crops, said method comprising administering a single pre-planting incorporation of Pyrazosulfuron ethyl to the locus wherein mustard is growing or is intended to be grown.

In an embodiment, the present invention also provides for use of pyrazosulfuron ethyl for controlling a weed selected from *Orobanche cernua*, *Orobanche historia* *Orobanche Crenata*, *Orobanche aegyptiaca* and *Orobanche ramosa* in mustard.

Preferably, pyrazosulfuron ethyl is administered in the form of a wettable powder formulation, more preferably a 10 WP formulation.

Wettable powder of Pyrazosulfuron ethyl when dissolved in water has the tendency of flocculation and coagulation and the active settle downs after mixing. The use of above wetting agent which also act as penetrating agent decreases flocculation and coagulation and good suspension is obtained which is easy for application on plants.

It was further surprisingly found that a composition comprising pyrazosulfuron ethyl demonstrated an enhanced winter weed control in winter crops season in a single application. It has further been found that the pyrazosulfuron ethyl or its composition, when applied to a crop field in which winter crops are growing or intended to be grown results in an increased grain and/or stover yield of winter crops.

Therefore, in one aspect, the present invention provides a herbicidal composition for controlling winter weeds in winter crops growing at a locus, said composition comprising pyrazosulfuron ethyl.

The herbicide pyrazosulfuron ethyl according to the present invention may be incorporated into a composition comprising other agrochemical excipients and adjuvants and administered to the locus.

In an embodiment, the composition may also be administered for achieving increase in grain and/or stover yield in a winter crop. Therefore, in this embodiment, the present invention provides a herbicidal composition for improving the grain and/or stover yield of a winter crop, said composition comprising pyrazosulfuron ethyl.

In an embodiment, at least another pesticide is used in combination with pyrazosulfuron ethyl for controlling the winter weeds and/or increasing the grain and/or stover yield of the crop.

Therefore, in this aspect, the present invention provides a herbicidal composition for controlling the winter weeds infestation at a locus wherein at least a winter crop is growing or is intended to be grown, said composition comprising pyrazosulfuron ethyl and at least one other pesticide.

In an embodiment, the at least another pesticide is a herbicide. Therefore, in this aspect, the present invention provides a herbicidal composition for controlling the winter weeds infestation at a locus wherein at least a winter crop is growing or is intended to be grown, said composition comprising pyrazosulfuron ethyl and at least a second herbicide.

In another embodiment, the preferred second herbicide is pretilachlor. Therefore, in this aspect, the present invention provides a herbicidal composition for controlling the winter weeds infestation at a locus wherein at least a winter crop is growing or is intended to be grown, said composition comprising pyrazosulfuron ethyl and pretilachlor.

The composition according to the present invention comprising pyrazosulfuron ethyl may thus be used for controlling winter weeds, or alternatively for improving grain and/or stover yield, in a winter crop.

Thus, in this embodiment, the present invention provides a composition for controlling the winter weeds at a locus wherein at least one winter crop is growing or intended to be grown, or alternatively for enhancing the grain and/or stover yield of a winter crop, said composition comprising pyrazosulfuron ethyl and at least another pesticide.

In an embodiment, the at least another pesticide is a second herbicide. Therefore, in this embodiment, the present invention provides a composition for controlling the winter weeds at a locus wherein at least one winter crop is growing or intended to be grown, or alternatively for enhancing the grain and/or stover yield of a winter crop, said composition comprising pyrazosulfuron ethyl and a second herbicide.

In an embodiment, the second herbicide is pretilachlor. Therefore, in this embodiment, the present invention provides a composition for controlling the winter weeds at a locus wherein at least one winter crop is growing or intended to be grown, or alternatively for enhancing the grain and/or stover yield of a winter crop, said composition comprising pyrazosulfuron ethyl and pretilachlor.

However, it should be noted that the choice of the second pesticide, preferably the second herbicide, is not limiting, such that pyrazosulfuron ethyl may be combined with any other pesticide or herbicide. The second pesticide or the herbicide, may be compatible or incompatible with pyrazosulfuron ethyl as long as it is found suitable for controlling winter weeds in winter crops or suitable for enhancing the grain and/or stover yield of a winter crop. The instances wherein the second herbicide is incompatible with pyrazosulfuron ethyl, it may be formulated as a specialized formulation that prevents the contact between pyrazosulfuron ethyl and the second herbicide, all of which formulation types are intended to be used as contemplated according to the present invention.

In any aspect or embodiment described hereinabove, pyrazosulfuron ethyl may be combined with a pyrazole herbicide or a pyrimidinylsulfonylurea herbicide.

In an embodiment, the pyrazole herbicide may be selected from the group consisting of azimsulfuron, difenoquat, halosulfuron, metazachlor, metazosulfuron and pyrozasulfone.

In another embodiment, the pyrimidinylsulfonylurea herbicide may be selected from amidosulfuron, azimsulfuron, bensulfuron, chlorimuron, cyclosulfamuron, ethoxysulfuron, flazasulfuron, flucetosulfuron, flupyralsulfuron, foramsulfuron, halosulfuron, imazosulfuron, mesosulfuron, metazosulfuron, methiopyrisulfuron, monosulfuron, nicosulfuron, orthosulfamuron, oxasulfuron, primisulfuron, propyrisulfuron, rimsulfuron, sulfometuron, sulfosulfuron, trifloxsulfuron and zuomihuanglong.

The composition of pyrazosulfuron ethyl, optionally in combination with a second pesticide, for the control of winter weeds is preferably applied as a single pre-planting incorporation at the locus where such control is desired. In an embodiment, more than one pre-plant incorporation (PPI) may also be preferred.

Preferably, the pre-planting incorporation is done into the soil at the locus where the winter crop is growing or intended to be grown.

The mode of application of agrochemicals depends upon the selectivity and the mode of action of the active ingredient. PPI application is usually preferred for volatile active ingredients. Pyrazosulfuron ethyl is not volatile in nature and normally applied in a preemergence treatment. However, the present inventors surprisingly found that PPI application of a composition comprising pyrazosulfuron ethyl showed a season long weed control in winter crops, unlike the temporary control achieved using other sulfonylureas.

The term “winter weeds” as used herein shall denote weeds that grow in the winter season and infest the crops grown during the winter season such as those described hereinabove.

However, it should be understood that the compositions of pyrazosulfuron ethyl and optionally in combination with a second herbicide are not only directed to the control of these weeds alone but is applicable to any weed that is infecting the crop field in winter season.

In another embodiment the winter crops according to the present invention is mustard (*Brassica species*), wheat (*Triticum vulgare*), maize (*Zea mays*), Lucerne (*Hedicago sativa*); cumin (*Cuminum cyminum*), coriander (*Coriandrum sativum*); fenugreek (*rigonella foenumgraecum*); gram (*Cicer arietinum*), Onion (*Allium cepa*); tomato (*Lycopersicum esculentum*); Fennel (*Foeniculum vulgare*); potato (*Solanum tuberosum*), Isabgol (*Plantago ovata*); oat (*Avena sativa*); sunflower (*Helianthus annuus*); brinjal (*Solanum melongena*); coleus (*Solenostemon scutellarioides*), bell pepper (*Capsicum annuum*); celery (*Apium graveolens*) and beans.

In a preferred embodiment, the composition of the present invention is directed to the control of winter weeds in a crop that is not rice.

The composition of the invention can be applied to the soil or to crops in any amount which will give the required control of weeds. A person skilled in the art would be able to determine the quantity of pyrazosulfuron ethyl required for the optimum control depending, inter-alia, on the infestation density of the winter weed sought to be controlled.

For example, the recommended dosage of pyrazosulfuron ethyl may be 50 – 200 g per hectare, which may be sufficient for achieving sufficient control of winter weeds.

In another embodiment, the compositions of the present invention comprise pyrazosulfuron ethyl in an effective amount, the second herbicide in its effective amount and agronomically acceptable excipients. These compositions were found useful in the practice of the enhanced compositions of the present invention. The agronomically acceptable excipients may be selected from carriers, inert materials, organic or inorganic solvents, minerals, mixed

solvents, wetting agents and/or emulsifying agents, adhesive agents, anti-caking agents, deflocculating agents, and the like. The herbicidal composition may be formulated in the form of solid and liquid formulations.

The compositions can also contain other compatible components, for example, other herbicides, herbicide safeners, plant growth regulants, fungicides, insecticides, and the like and can be formulated with liquid fertilizers or solid, particulate fertilizer carriers such as ammonium nitrate, urea and the like.

In an embodiment, the winter weed sought to be controlled is *orobanche*.

Thus, in this embodiment, the present invention provides compositions comprising pyrazosulfuron ethyl, optionally in combination with a second pesticide, for controlling weed belonging to the *orobanche* species.

It was observed that due to PPI application of a composition comprising pyrazosulfuron ethyl, the weeds of *orobanche* species present in the soil directly absorbed pyrazosulfuron ethyl and were annihilated.

Broomrape or broom-rape (*Orobanche*) is a genus of over 200 species of parasitic herbaceous plants in the family Orobanchaceae, mostly native to the temperate Northern Hemisphere. Branched broomrape *Orobanche ramosa*, native to central and southwestern Europe but widely naturalised elsewhere, is considered a major threat to crops in some areas. In heavily infested areas, branched broomrape can cause total crop failure. Broomrape belongs to the group of eudicots/non-magnoliid dicots.

*Orobanche* infestation is usually found in crops belonging to solanaceae family and brassicaceae family. The common crops infested by *orobanche* are mustard, oil seed rape, sunflower, tomato, brinjal, potato, cabbage, coleus, bell pepper, sunflower, celery, and beans.

In another embodiment, the present invention provides compositions comprising pyrazosulfuron ethyl, optionally in combination with a second pesticide, for controlling weed belonging to the *orobanche* species in crops selected from mustard, oil seed rape, wheat, sunflower, tomato, brinjal, potato, cabbage, coleus, bell pepper, celery and beans.

In an embodiment, the winter crop is mustard.

In another embodiment, the present invention provides compositions comprising pyrazosulfuron ethyl, optionally in combination with a second pesticide, for controlling weed belonging to the *orobanche* species at the locus wherein mustard is growing or is intended to be grown.

It was found that the composition according to present invention is particularly effective against *Orobanche cernua*, *Orobanche Crenata*, *Orobanche aegyptiaca*, *Orobanche historia* and *Orobanche ramosa*.

In an embodiment, the present invention provides compositions comprising pyrazosulfuron ethyl, optionally in combination with a second pesticide, for controlling weed belonging to the *orobanche* species, wherein said compositions are suitable for being single pre-planting incorporated into the soil at the locus wherein mustard is growing or is intended to be grown.

In an embodiment, the weed belonging to the *orobanche* species is selected from *Orobanche cernua*, *Orobanche historia* *Orobanche Crenata*, *Orobanche aegyptiaca* and *Orobanche ramosa* in mustard.

In another aspect, the compositions of the present invention may be presented in the form of a multi-pack herbicidal product or as a kit-of-parts for herbicidal treatment of winter crops.

Therefore, in one embodiment, the present invention provides a multi-pack herbicidal product for controlling winter weeds in winter crops, comprising:

- (a) a first container comprising pyrazosulfuron ethyl;
- (b) optionally a second container comprising a second pesticide; and
- (c) an instruction manual instructing an user to administer the pyrazosulfuron ethyl, optionally in combination with a second pesticide, at the locus infested with winter weeds.

In an embodiment, the multi-pack herbicidal product of the invention comprises a third container comprising a wetting agent. The wetting agent is admixed to pyrazosulfuron ethyl prior to being administered to the desired locus.

In an embodiment the wetting agent which also act as penetrating agent is selected from but not limited to silicone based surfactant and/or alkoxylates of fatty alcohol. The wetting agent is present in an amount of 0.025% to 0.5% in the compositions of the invention.

Preferable silicone based surfactant is selected from but not limited to the group of trisiloxanealkoxylate such as silicone polyether, 2-(3-hydroxypropyl)-heptamethyltrisiloxane, and polyalkyleneoxide modified heptamethyltrisiloxane.

Preferably alkoxylates of fatty alcohol wherein alkoxylate moiety consists of ethylene oxide (EO), propylene oxide (PO) or butylene oxide (BO) units or mixtures thereof. The alkoxylate moiety may also be present in the form of ethylene oxide/propylene oxide block copolymer. Particular preference is given to ethoxylated fatty alcohols, preferably primary alcohols having preferably from 8 to 22 carbon atoms, for example coconut, palm fat, palm kernel, tallow fat, lauryl, stearyl or oleyl alcohol, and preferably from 1 to 80 EO units per mole of alcohol, and the alcohol radical is linear or may preferably be methyl-branched in the 2-position, or contains linear and methyl-branched radicals in a mixture. The preferred ethoxylated alcohols include, for example, C<sub>11</sub> alcohols having 3, 5, 7, 8 and 11 EO units, (C<sub>12</sub>- C<sub>15</sub>) alcohols having 3, 6, 7, 8, 10 and 13 EO units, (C<sub>14</sub> - C<sub>15</sub>) alcohols having 4, 7 and 8 EO units, (C<sub>16</sub> -C<sub>18</sub>) alcohols having 8, 11, 15, 20, 25, 50 and 80 EO units and mixtures

thereof. The degrees of ethoxylation specified constitute statistical averages which may be an integer or a fraction for a specific product.

In an embodiment, the multi-pack herbicidal product comprises a package holding the first and second containers together with the instruction manual.

In this embodiment, the present invention provides a multi-pack herbicidal product for controlling winter weeds in winter crops, comprising:

- (a) a first container comprising pyrazosulfuron ethyl;
- (b) optionally, a second container comprising a second pesticide; and
- (c) a third container comprising polyalkyleneoxide modified heptamethyltrisiloxane and/or ethylene oxide/propylene oxide block copolymer;
- (d) a package holding the first, second and third containers; and
- (e) an instruction manual instructing an user to administer the pyrazosulfuron ethyl, optionally in combination with a second pesticide, at the locus infested with winter weeds.

The multi-pack herbicidal product described above is herbicidally efficacious and stable.

Preferably, the composition comprising pyrazosulfuron ethyl is a wettable powder formulation, more preferably a 10 WP formulation.

Wettable powder of Pyrazosufuron ethyl when dissolved in water has the tendency of flocculation and coagulation and the active settle downs after mixing. The use of above wetting agent which also act as penetrating agent decreases flocculation and coagulation and good suspension is obtained which is easy for application on plants.

The present inventors have also surprisingly found good control of winter weeds in winter crop with the said multi-pack herbicidal product is achieved with low dosage of pyrazosulfuron ethyl.

**EXAMPLES:**

The invention will now be described in more details with reference to the following examples. Field trials were conducted on various winter weeds in mustard and the effect of pyrazosulfuron ethyl was studied to understand the selective and effective control of winter weeds infestation in mustard.

A study was carried out to determine the relative biological activity of pyrazosulfuron ethyl when pre-plantation incorporated into the soil against orobanche. Several small plot replicated trials were carried out to evaluate and/or compare the efficacy of pyrazosulfuron ethyl against a range of indicated winter weed species in the indicated winter crops, e.g. mustard. No problems were encountered during mixing or application of any of the product formulations or tank mixtures under test.

In each of the reported data, the homogeneity of variance was tested by Bartlett's test. Wherever this test indicated no homogeneity of variance, the transformed values were used for analysis of variance. Assessment data was then analyzed using a two-way analysis of variance (ANOVA) on untransformed and transformed data.

**Example 1: Control of Orobanche with Pyrazosulfuron ethyl applied PPI**

The herbicidal action of pyrazosulfuron ethyl on weeds found in winter season according to the invention was demonstrated by the following field experiments:

The soil of experimental plot were treated with Pyrazosulfuron ethyl 100 to 200gm ai/ha PPI to evaluate the herbicidal action on Orobanche in mustard. The herbicidal activity was noted, processed according to the protocol described as above and reported as hereinbelow:

Active ingredient	Dose	% control	grain yield(qtls/ha)	stover yield (qtls/ha)
Control	-	0	11.3	34.6

Pyrazosulfuron ethyl	200 g a.i./ha	90	17.5	85.0
Pyrazosulfuron ethyl	150 g a.i./ha	85	16.75	78.7
Pyrazosulfuron ethyl	100 g a.i./ha	65	15.5	64.5

**Conclusion:** Approximate 90% control of orobanche was achieved by PPI application of pyrazosulfuron ethyl in mustard. No phytotoxicity and residual toxicity on mustard was observed, which was surprising.

**Example 2: Control of various weeds grown in winter by Pyrazosulfuron**

		Percentage Control		
Pyrazosulfuronethyl	Crops	200 g a.i./ha	150 g a.i./ha	100 g a.i./ha
<i>Asphodelustenuifolius</i>	Wheat	100	100	85
<i>Avenafatua</i>	Wheat	100	100	75
<i>Chenopodium album</i> ( <i>bathua</i> or <i>bathuwa</i> )	Wheat	100	100	90
<i>Chenopodiummurale</i>	Wheat	100	100	90
<i>Melilotusofficinalis</i>	Wheat	100	100	85

Thus, 100% control of various winter weedswas achieved by PPI application of pyrazosulfuron ethyl in wheat. No phytotoxicity and residual toxicity on mustard was observed.

**Comparative Example 3:**

A number of trials were carried out on orobanche in a crop of mustard using the following compounds. The results were compiled as in the table below:

	Dose	% control	Weed Intensity No./m <sup>2</sup>	% grain yield	% stover yield
Control	No treatment	0	11.67	11.3	34.6

Pyrazosulfuron ethyl (PPI)	200 g a.i./ha	<b>85.69</b>	1.67	<b>17.5</b>	<b>85.0</b>
Sulfosulfuron (Post-emergence)	25 g a.i./ha	<b>45.76</b>	6.33	<b>14.6</b>	<b>67.5</b>
Copper Sulphate (sowing)	2.0 kgi./ha	<b>57.16</b>	5.00	<b>13.75</b>	<b>57.5</b>
Imazethapyr (Post – emergence)	25g a.i./ha	<b>71.47</b>	3.33	<b>15.25</b>	<b>70.3</b>
Acetachlorphen 16.5%+Clodinafop 8.0 %	250 gm a.i/ha	<b>59.98</b>	4.67	21.44	49.01
Neem Cake	200 kg /ha	<b>71.47</b>	3.33	19.83	46.05
Oxyflorofen	0.25 kg a.i/ha	<b>45.76</b>	6.33	17.76	44.39
Imazapic	2.5 gm a.i/ha	<b>54.33</b>	5.33	18.63	45.06
Propanil 60 % DF	5.0 litre/ha	<b>42.84</b>	6.67	17.75	44.16

**CLAIMS**

1. Use of pyrazosulfuron ethyl for the control of a winter weed.
2. Use as claimed in claim 1 for the control of a winter weed infestation in a winter crop.
3. Use as claimed in claim 1 or claim 2 for simultaneous increase in grain and/or stover yield of winter crop.
4. Use as claimed in claim 1 for the control of a winter weed infestation in a crop that is not rice.
5. Use as claimed in any one of the preceding claims, wherein pyrazosulfuron ethyl is used in combination with at least another pesticide.
6. Use as claimed in any one of the preceding claims, wherein said pyrazosulfuron ethyl is pre-plantation incorporated into the soil at the locus where the winter crop is growing or intended to be grown.
7. Use as claimed in any one of the preceding claims, wherein said winter crop is selected from the group consisting of mustard, oil seed rape, wheat, maize, Lucerne, cumin, coriander, fenugreek, gram, onion, tomato, fennel, potato, isabgol, oat, sunflower, brinjal, cabbage, coleus, bell pepper, celery and beans.
8. Use as claimed in any one of the preceding claims, wherein said pyrazosulfuron ethyl is used in an amount of 50 – 200 g per hectare.
9. Use as claimed in any one of the preceding claims for the control of a winter weed belonging to *Orobanche* species.

10. Use as claimed in claim 5, wherein said second pesticide is pretilachlor.
11. Use as claimed in claim 9, wherein said weed of *Orobanche* species is selected from *Orobanche cernua*, *Orobanche Crenata*, *Orobanche aegyptiaca*, *Orobanche historia* and *Orobanche ramosa*.
12. Use as claimed in any one of the preceding claims, wherein a wettable powder formulation comprising pyrazosulfuron ethyl is administered.
13. A herbicidal composition for controlling winter weeds in winter crops and/or for increasing the grain and/or stover yield in a winter crop growing at a locus, said composition comprising pyrazosulfuron ethyl.
14. The composition as claimed in claim 13, comprising at least another agrochemical active ingredient.
15. The composition as claimed in claim 14, wherein said another agrochemical active ingredient is pretilachlor.
16. The composition as claimed in claims 13, 14 and 15 additionally comprising at least one agronomically acceptable component selected from the group consisting of carriers, inert materials, organic or inorganic solvents, minerals, mixed solvents, wetting agents and/or emulsifying agents, adhesive agents, anti-caking agents, deflocculating agents, herbicides, herbicide safeners, plant growth regulators, fungicides and insecticides.
17. A multi-pack herbicidal product for controlling winter weeds in winter crops, comprising:
  - (a) a first container comprising pyrazosulfuron ethyl;
  - (b) optionally a second container comprising a second pesticide; and

- (c) an instruction manual instructing an user to administer the pyrazosulfuron ethyl, optionally in combination with a second pesticide, at the locus infested with winter weeds.

18. The multi-pack herbicidal product as claimed in claim 17 additionally comprising a third container comprising a wetting agent.

19. The multi-pack herbicidal product as claimed in claim 17 or claim 18 additionally comprising a package holding the first and second containers together with the instruction manual.

20. The multi-pack herbicidal product as claimed in claim 17 wherein the first container comprises a wettable powder formulation of pyrazosulfuron ethyl.

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/IB2014/062096

## A. CLASSIFICATION OF SUBJECT MATTER

**A01N 43/54 (2006.01)** **A01N 43/66 (2006.01)** **A01N 47/36 (2006.01)** **C07D 239/47 (2006.01)** **A01P 13/00 (2006.01)**  
**A01N 25/14 (2006.01)**

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

**WPI, EPODOC, CAPLUS, CROPU, ESPACENET, GOOGLE SCHOLAR** (Pyrazosulfuron ethyl, Pyrimidinyl sulfonyl urea, Pyrazole sulfonyl urea, Winter weed, Orobanche, Broom Rape, Parasitic, Aeginetia, Pretilachlor, Herbicide, Pesticide, Crop, Plant, Wetting agent and associated terms).

**ESPACENET**: Applicant and Inventor name search also conducted.

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	Documents are listed in the continuation of Box C	

Further documents are listed in the continuation of Box C

See patent family annex

* Special categories of cited documents:		
"A" document defining the general state of the art which is not considered to be of particular relevance	"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
"E" earlier application or patent but published on or after the international filing date	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"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)	"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
"O" document referring to an oral disclosure, use, exhibition or other means	"&"	document member of the same patent family
"P" document published prior to the international filing date but later than the priority date claimed		

Date of the actual completion of the international search  
25 September 2014

Date of mailing of the international search report  
25 September 2014

## Name and mailing address of the ISA/AU

AUSTRALIAN PATENT OFFICE  
PO BOX 200, WODEN ACT 2606, AUSTRALIA  
Email address: pct@ipaaustralia.gov.au

## Authorised officer

Balaji Rengarajan  
AUSTRALIAN PATENT OFFICE  
(ISO 9001 Quality Certified Service)  
Telephone No. +61 3 99359648

INTERNATIONAL SEARCH REPORT		International application No.
C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		PCT/IB2014/062096
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2013/037735 A1 (BASF AGROCHEMICAL PRODUCTS B.V.) 21 March 2013 (See page 11, Table I, component A35; page 13, line 5-page 15, line 17; page 17, lines 18-36; page 26, line 17-page 33, line 31; Examples)	1-20
X	WO 2012/042316 A1 (UNITED PHOSPHOROUS LIMITED) 05 April 2012 (See page 5, first column in Table; page 7, line 26-page 16, line 25; page 27, lines 1-27; examples; claims)	1-20
X	AL-MAMUN MD. A. et al., "Economic Weed Management Options In Winter Rice", Pak. J. Weed Sci. Res., 2011, Vol. 17(4), pages 323-331. (See abstract; page 325, last para-page 330, last para; Tables 1-2, Figures 1-3)	1-20
X	HALDER P. et al., "Comparative Efficacy Of Pyrazosulfuron Ethyl (PSE) Alone And Its Combination With Molinate Against Weed Complex Of Boro Paddy", Journal of Crop and Weed, 2005, Vol. 1(1), pages 49-53. (See abstract; page 51, column 1, para 4-page 52, column 2, para 1; Tables 1-2)	1-20
X	AL-MAMUN MD. A. et al., "Impact of Oxadiazon And Pyrazosulfuron-ethyl On Rice And Associated Weeds In Dry Season Rice Cultivation, Pak. J. Weed Sci. Res., 2010, Vol. 16 (3), pages 309-319. (See abstract; page 310, last para-page 318, first para; Tables 1-7)	1-20

**INTERNATIONAL SEARCH REPORT**

Information on patent family members

International application No.

**PCT/IB2014/062096**

This Annex lists known patent family members relating to the patent documents cited in the above-mentioned international search report. The Australian Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

<b>Patent Document/s Cited in Search Report</b>		<b>Patent Family Member/s</b>	
<b>Publication Number</b>	<b>Publication Date</b>	<b>Publication Number</b>	<b>Publication Date</b>
WO 2013/037735 A1	21 March 2013	AU 2012307557 A1	03 Apr 2014
		CA 2845513 A1	21 Mar 2013
		CN 103841826 A	04 Jun 2014
		EA 201400337 A1	29 Aug 2014
		EP 2755479 A1	23 Jul 2014
		MD 20140038 A2	31 Aug 2014
		US 2014228216 A1	14 Aug 2014
WO 2012/042316 A1	05 April 2012	CN 103153067 A	12 Jun 2013
		CO 6700853 A2	28 Jun 2013
		PE 13612013 A1	21 Dec 2013

**End of Annex**