

Global Guide of Coming Off-patent Agrochemical Active Ingredients

The Fourth Edition

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Researched & Prepared by:

Kcomber Inc.

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1. Introduction

As a good guide for pesticide companies who are interested in commercial development of coming off-patent products, this report is CCM's fourth edition report on coming off-patent agrochemicals in the world.

This report contains profiles of 34 active ingredients (15 herbicides, 7 insecticides, 11 fungicides and 1 safener), whose patents will be expired in 2017–2022. The coming off-patent active ingredients are expected to add value to the pesticide market. Compared with developing new varieties of pesticides, to develop the pesticides whose patent have been expired will not only cost less and have lower risk, but also enjoy considerable profits. In a word, it will be a huge market with tremendous commercial value.

In this report, CCM focuses on the information of the patent products including basic information, history, synthesis route, application, physical & safety data, patent information and registration information.

Readers of this report can:

- gain an overview of the coming off-patent products whose patents will be expired in 2017–2022;
- know the registration situation of the hot products of agricultural giants in main agricultural countries.

2. Approach for this report

The report is drafted by diverse methods as follows:

- Desk research

The sources of desk research are various, which include published magazines, journals, government statistics, industrial statistics, customs statistics, association seminars as well as information from the internet. A lot of work went into compiling and analysing the information obtained.

- Data processing and presentation

The data collected and compiled were sourced from:

Published articles from Chinese periodicals, magazines, journals and the third-party databases

The data from various channels had been combined to make this report as precise and scientific as possible. Throughout the process, a series of internal discussions were held in order to analyse the data and draw conclusions from it.

- Government statistics & customs statistics
- Comments from industrial experts
- CCM's database
- Professional databases from other sources
- Information from the internet

3. Executive summary

Global Guide of Coming Off-patent Agrochemical Active Ingredients, finished in July 2017, is CCM's fourth edition report on coming off-patent agrochemicals in the world. This report contains profiles of 34 active ingredients (15 herbicides, 7 insecticides, 11 fungicides and 1 safener) whose patents will be expired in 2017–2022.

Among the 34 active ingredients, none of the three, namely flufenpyr-ethyl, pyrifluquinazon, isotianil, had been registered in 15 target countries as of July 2017, while XXX of them had been registered in equal to or more than XXX countries among the 15 target ones.

Among the 15 target countries, there were three countries where at least XXX active ingredients were registered as of July 2017 including Australia, Canada and China

4. What's in this report?

Note: Key data/information in this sample page is hidden, while in the report it is not.

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Table Pesticides to come off-patent in 2017–2022

Active ingredient	Developer	Patent expiry date	Application
Herbicides			
Aminopyralid	Dow AgroSciences LLC	XXX	XXX
Flucetosulfuron	XXX	XXX	XXX
Flufenpyr-ethyl	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX
Metamifop	XXX	XXX	XXX
Orthosulfamuron	XXX	XXX	XXX
Penoxsulam	XXX	XXX	XXX
Pinoxaden	XXX	XXX	XXX
Pyrasulfotole	XXX	XXX	XXX
Pyroxasulfone	XXX	XXX	XXX
Pyroxulam	XXX	XXX	XXX
Saflufenacil	XXX	XXX	XXX
Tembotrione	XXX	XXX	XXX
Thiencarbazone-methyl	XXX	XXX	XXX
Topramezone	XXX	XXX	XXX
Insecticides			
Bistrifluron	XXX	XXX	XXX
Chlorantraniliprole	XXX	XXX	XXX
Clothianidin	XXX	XXX	XXX
Flubendiamide	XXX	XXX	XXX
Metofluthrin	XXX	XXX	XXX
Pyrifluquinazon	XXX	XXX	XXX
Spirotetramat	XXX	XXX	XXX
Fungicides			
Amisulbrom	XXX	XXX	XXX
Benalaxyl-M	XXX	XXX	XXX
Fenpyrazamine	XXX	XXX	XXX
Fluopicolide	XXX	XXX	XXX
Fluoxastrobin	XXX	XXX	XXX
Isotianil	XXX	XXX	XXX
Mandipropamid	XXX	XXX	XXX
Metalaxyl-M	XXX	XXX	XXX
Metrafenone	XXX	XXX	XXX
Penflufen	XXX	XXX	XXX
Valifenalate	XXX	XXX	XXX
Others			
Cyprosulfamide	XXX	XXX	XXX

Source: CCM

Table Registration of 34 active ingredients in 15 target countries as of July 2017

Pesticide	Argentina	Australia	Belgium	Brazil	Canada	Chile	China	Denmark	Finland	France	Greece	The Netherlands	South Africa	Switzerland	Uruguay	Total
Aminopyralid	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Flucetosulfuron	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Flufenpyr-ethyl	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Metamifop	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Orthosulfamuron	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Penoxsulam	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Pinoxaden	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Pyrasulfotole	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Pyroxasulfone	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Pyroxulam	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Saflufenacil	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX

Pesticide	Argentina	Australia	Belgium	Brazil	Canada	Chile	China	Denmark	Finland	France	Greece	The Netherlands	South Africa	Switzerland	Uruguay	Total
Tembotrione	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Thiencarbazone-methyl	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Topramezone	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Bistrifluron	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Chlorantraniliprole	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Clothianidin	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Flubendiamide	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Metofluthrin	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Pyrifluquinazon	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Spirotetramat	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Amisulbrom	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Benalaxyl-M	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX



Pesticide	Argentina	Australia	Belgium	Brazil	Canada	Chile	China	Denmark	Finland	France	Greece	The Netherlands	South Africa	Switzerland	Uruguay	Total
Fenpyrazamine	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Fluopicolide	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Fluoxastrobin	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Isotianil	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Mandipropamid	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Metalaxyl-M	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Metrafenone	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Penflufen	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Valifenalate	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Cyprosulfamide	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX
Total	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX	XXX

Note: "✓" indicates the ingredient had been registered in the country.

Source: CCM

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1 Profiles of coming off-patent herbicides

1.4 Foramsulfuron

- Basic information

IUPAC name:

2-[(4,6-dimethoxypyrimidin-2-yl)carbamoylsulfamoyl]-4-formamido-N,N-dimethylbenzamide

CAS No.: 173159-57-4

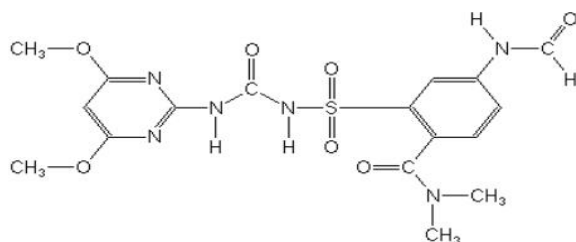
Formula: $C_{17}H_{20}N_6O_7S$

Typical formulation: foramsulfuron+Iodosulfuron-methyl-sodium 32% WG

Formulation type: OF, SC, WG

Trade name: Equip, MaisTer, Option, Tribute

Figure 1.4-1 Chemical structure of foramsulfuron



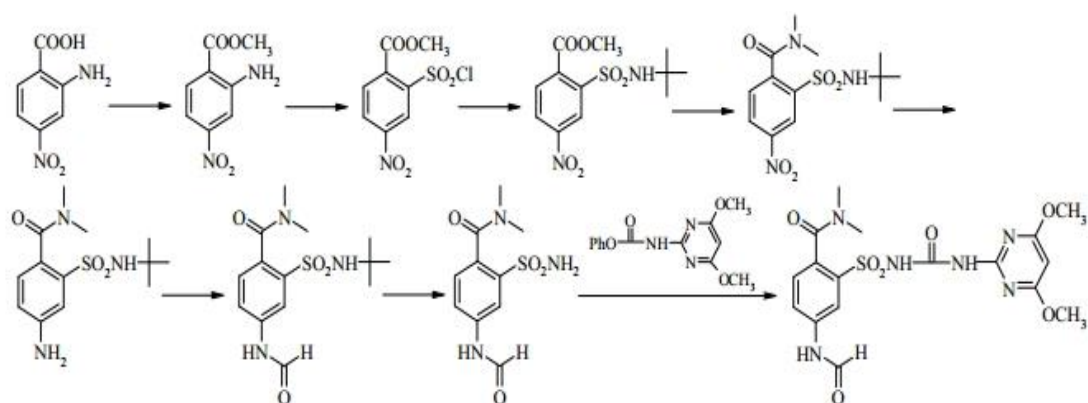
- History

Foramsulfuron was reported by B. Collins et al. It was first synthesized in 1995 and developed by Aventis CropScience (now Bayer AG).

- Synthesis route

There are four routes for synthesizing foramsulfuron.

Figure 1.4-2 Route A for synthesizing foramsulfuron



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Application

Foramsulfuron is a sulfonylurea herbicide. Its mode of action is to inhibit the biosynthesis of essential amino acids in susceptible plants, through inhibition of acetolactate synthase. Sulfonylurea herbicides are characterized by selective, post-emergence control of grasses and broad-leaved weeds in turf, forestry, horticultural and field crops.

Foramsulfuron can be used on turf, corn, forestry and so on.



- Physical & safety data

Physical properties

Molecular weight: 452.4

Physical form: yellow-brown solid, fine grained granule, weak aromatic odor

Melting point: 194.5°C

Vapour pressure: 4.2×10^{-12} Pa (25°C)Octanol-water partition coefficient: $\log P_{ow} = -0.78$ (20°C)Henry's law constant: 5.8×10^{-12} Pa·m³·mol⁻¹ (25°C)

Solubility: in water 3,293mg/L (20°C)

Toxicity

Oral: acute oral LD50 for rats > 5,000mg/kg.

Skin and eye: acute percutaneous LD50 for rats > 2,000mg/kg; non-irritating to skin; mildly irritating to eyes (rabbits); not a skin sensitiser (guinea pigs)

Inhalation: LC50 (4h) for rats > 5.04mg/L

Environmental profile

- Ecotoxicity

Bird: oral LD50 > 2,000mg/kg (bobwhite quail, mallard duck); LC50 > 5,000mg/kg (bobwhite quail, mallard duck)

Fish: acute (96h) LC50 > 100mg/L (*Oncorhynchus mykiss*)

- Environmental fate

Animals: In rats, following oral administration, 91% was eliminated within 24 hours, mainly in the faeces, and mainly as unchanged foramsulfuron. Metabolism proceeds largely as in plants.

Plants: In corn, metabolism is hydrolyzed by the sulfonylurea bridge, with formation of 4-formylamino-N,N-dimethyl-2-sulfamoylbenzamide and 2-amino-4,6-dimethoxypyrimidine, by hydrolysis of the formamide moiety on the phenyl ring to produce 4-amino-2-[3-(4,6-dimethoxypyrimidin-2-yl)ureidosulfonyl]-N,N-dimethylbenzamide, and by oxidative metabolism of the dimethoxypyrimidyl ring. All these metabolites are subject to further degradation, leading to the formation of highly polar and water-soluble products. Residues in the plant are low.

Soil/environment: Soil DT50 (aerobic) 1.5-12.7 d. It degrades at a moderate rate in water/sediment systems, DT5034-55 d.

- Patent information

Table 1.4-1 Patent information of foramsulfuron in some countries/regions, as of July 2017

Country/region	Patent No.	Time
China	XXX	XXX
	XXX	
The European Union	XXX	XXX
The US	XXX	XXX

Source: EPO & SIPO

- Registration information

As of July 2017, among the 15 target countries, foramsulfuron had been registered in Argentina, Denmark, Australia, Belgium, Canada, Chile, France, Greece, the Netherlands, Switzerland and Uruguay.

Table 1.4-2 Registration information of foramsulfuron in Argentina, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron+Iodosulfuron-methyl-sodium	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX

Source: SENASA

Table 1.4-3 Registration information of foramsulfuron in Denmark, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron+Iodosulfuron-methyl-Na+Isoxadifen-ethyl	XXX	XXX	XXX	XXX
Foramsulfuron+Iodosulfuron-methyl-Na+Isoxadifen-ethyl	XXX	XXX	XXX	XXX
Foramsulfuron+Iodosulfuron-methyl-Na+Isoxadifen-ethyl	XXX	XXX	XXX	XXX
Foramsulfuron+Thiencarbazone-methyl+Thiencarbazone	XXX	XXX	XXX	XXX

Source: SEGES

Table 1.4-4 Registration information of foramsulfuron in Australia, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX

Source: APVMA

Table 1.4-5 Registration information of foramsulfuron in Belgium, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Cyprosulfamide+Foramsulfuron+Thiencarbazone-methyl	XXX	XXX	XXX	XXX
Foramsulfuron+Isoxadifen-ethyl	XXX	XXX	XXX	XXX
Cyprosulfamide+Foramsulfuron+Thiencarbazone-methyl	XXX	XXX	XXX	XXX
Cyprosulfamide+Foramsulfuron+Iodosulfuron-methyl-sodium+Thiencarbazone-methyl	XXX	XXX	XXX	XXX

Source: Phytoweb

Table 1.4-6 Registration information of foramsulfuron in Canada, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron+Iodosulfuron-methyl-sodium	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX

Source: Health Canada

Table 1.4-7 Registration information of foramsulfuron in Chile, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron+Iodosulfuron-methyl-sodium	XXX	XXX	XXX	XXX

Source: SAG

Table 1.4-8 Registration information of foramsulfuron in France, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron+Thiencarbazone-methyl+Cyprosulfamid	XXX	XXX	XXX	XXX
Foramsulfuron+Thiencarbazone-methyl	XXX	XXX	XXX	XXX

Source: E-phy

Table 1.4-9 Registration information of foramsulfuron in Greece, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron	XXX	XXX	XXX	XXX

Source: Hellenic Republic Ministry of Reconstruction of Production, Environmental and Energy Rural Development

Table 1.4-10 Registration information of foramsulfuron in the Netherlands, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Iodosulfuron-methyl-sodium+Foramsulfuron	XXX	XXX	XXX	XXX
Iodosulfuron-methyl-sodium+Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron+Thiencarbazone-methyl	XXX	XXX	XXX	XXX

Source: CTGB

Table 1.4-11 Registration information of foramsulfuron in Switzerland, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron+Isoxadifen-ethyl	XXX	XXX	XXX	XXX
Foramsulfuron+Thiencarbazone+Iodosulfuron-methyl-natrium+Cyprosulfamid	XXX	XXX	XXX	XXX
Foramsulfuron+Iodosulfuron-methyl-natrium+Isoxadifen-ethyl	XXX	XXX	XXX	XXX
Foramsulfuron+Iodosulfuron-methyl-natrium+Isoxadifen-ethyl	XXX	XXX	XXX	XXX
Foramsulfuron+Thiencarbazone+Iodosulfuron-methyl-natrium	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron	XXX	XXX	XXX	XXX
Foramsulfuron+Iodosulfuron-methyl-natrium	XXX	XXX	XXX	XXX
Foramsulfuron+Thiencarbazone+Iodosulfuron-methyl-natrium	XXX	XXX	XXX	XXX

Source: BLW

Table 1.4-12 Registration information of foramsulfuron in Uruguay, as of July 2017

Active ingredient	Type of formulation	Content	Product name	Registrant
Foramsulfuron+Iodosulfuron-methyl-sodium+Isoxadifen	XXX	XXX	XXX	XXX

Source: MGAP

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If you want more information, please feel free to contact us

Tel: +86-20-37616606 Fax: +86-20-37616968

Email: econtact@cnchemicals.com