



국내 화학 작물보호제 개발현황

Development Status of New Agrochemicals in Korea

2007. 10. 26 고객지원실장 정 봉진상무

What is crop protection?

WAR against Diseases/Insects/Weeds!



What is best???

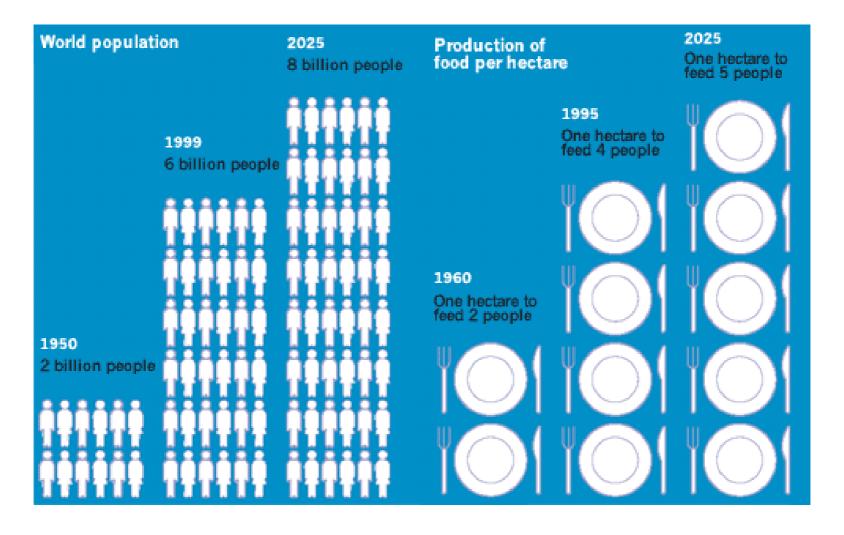
- Fast and long residual effect...
- Safe to Environment...
- Low application dose...
- Ease to Use...



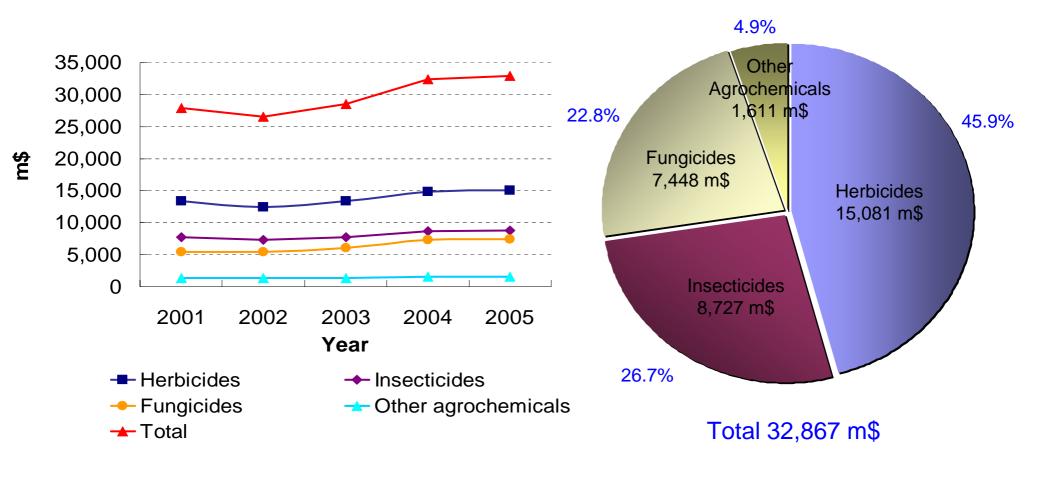
- New Molecules
- New Formulation/Application

Theories and Applications of Chem. Eng., 2007, Vol. 13, No. 2 Why needs crop protection?

\square Crop Protection ∞ Food Production ∞ Sustainable Industry



Crop Protection Sales Trend in the World



Sources: Cropnosis (2007)



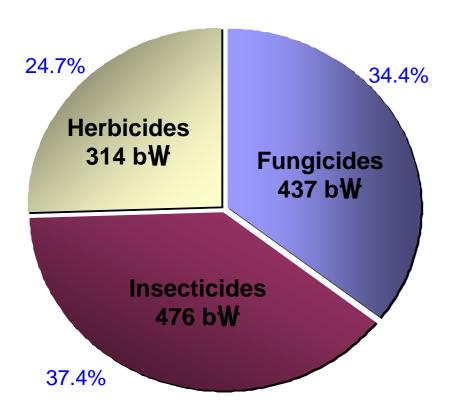
Theories and Applications of Chem. Eng., 2007, Vol. 13, No. 2 Agrochemical Market Review

Leading Company (2004)

	Company	Sales (USD M)	M/S	R/D (USD M)	R&D as % of Sales
1	Bayer CropScience	7,002	21.60%	738	10.5
2	Syngenta	6,030	18.60%	499	8.3
3	BASF	4,167	12.90%	339	8.1
4	Dow AgroSciences	3,133	9.70%	240	7.7
5	Monsanto	2,744	8.50%	96	3.5
6	DuPont	2,211	6.80%	243	11
7	Sumitomo Chemical	1,358	4.20%	113	8.3
8	Makhteshim-Agan	1,358	4.20%	19	1.4
9	Nufarm	1,180	3.60%	54	4.6
10	Arysta	791	2.40%	20	2.5
11	FMC	704	2.20%	71	10.1
12	Cheminova	492	1.50%	43	8.7
13	Ishihara	362	1.10%	41	11.3
14	Sipcam-Oxon	347	1.10%	10	2.9
15	Kumiai	338	1.00%	22	6.6
	Total	32,349	100%	-	-

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Sectors (2006)



Total 1,272 b₩

Leading Company (2006)

	Company	Sales (b W)	M/S
1	Dongbu Hannong	300	23.6
2	KyungNong	185	14.5
3	Dongbang	128	10.0
4	Youngil	125	9.8
5	Syngenta	122	9.6
6	Bayer	121	9.5
7	Samkong	118	9.3
8	Dongbu Fine Chem.	71	5.6
9	Sungbo	58	4.6
10	SMBT	19	1.5
	Others	27	2.1
	Total	1,272	100

Sources: KCPA (2006)



2005, Manufacturer Level

/LIO NAC)

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Leading Country Market

					(US M\$)
2005	Country	2004	2005	Sales Growth	Average
Ranking		Sales	Sales	('05/'04)	M/S (%)
1 (1)	USA	6,938	7,248	4.5%	21.8
2 (3)	Brazil	4,494	4,244	-5.6%	13.4
3 (2)	Japan	3,093	2,989	-3.4%	9.3
4 (4)	France	2,233	2,323	4.0%	7.0
5 (5)	China	1,895	2,043	7.8%	6.0
6 (6)	Germany	1,372	1,364	2.8%	4.1
7 (12)	Australia	1,199	1,151	-4.0%	3.6
8 (7)	Canada	1,022	1,105	8.1%	3.3
9 (8)	South Korea	838	920	9.8%	2.7
10 (9)	Italy	903	919	1.8%	2.8
	World	32,349	32,867	1.6%	100.0

Sources: Cropnosis (2007)



^{*}Figures in () indicate 2003 ranking

Discovery Research: Optimization (2-3 yrs) Development (5~8 yrs) **Product Field** Candidate Candidate **Efficacy trials** Field test Registration **Mammalian** and **Synthesis Toxicity** eco-toxicology screening Metabolism/ Residue/ Commercialization **Experimental Environmental fate** formulation Commercial **formulation Screening** Chemical **Manufacturing** process **Chemical process/ Product chemistry**

Probability: 1/30,000

(Designed by Dr. S.J. Koo)



□ Market Governance = Low Price / High Activity / Multi-function

			Volume	
Class	Leading Products	Manufacturer	(M. won)	Characteristics
Fungicide	fluazinam WP	DHT	10,100	Broad spectrum / Protectant
	polyoxin-B WP	DCC	9,300	Anti-biotics /Protecting&Curing effect
	tebuconazole WP	Bayer	9,000	Broad spectrum / Protecting&curing effect
	hexaconazole EC	SamKong	8,100	Protecting&curing effect
	azoxystrobin SC	Syngenta	8,000	Strobilurins
Insecticide	emamectin benzoate EC	Syngenta	14,100	Broad spectrum
	imidacloprid WP	DHT	13,200	Aphids(Foliar app.)
	carbofuran GR	Youngll	10,000	Low Price, Broad spectrum soil treatment
		DHT	9,700	
	imidacloprid GR	Bayer	7,700	Neo-Nicotinoid, Aphids
	cartap hydrochloride SP	KyungNong	7,300	Low price, Lepidoptera spp
Herbicide	paraquat dichloride SL	DHT	16,000	Non-selective
		Syngenta	12,800	
	glyphosate SL	DHT	11,000	Non-selective
	mefenacet + imazosulfuron SC	DHT	8,400	Rice / Labor-saving
	pyrazosulfuron-ethyl + molinate GR	Bayer	8,100	
	butachlor GR	DHT	6,900	Rice / Low price





- □ Quality Control Law of Agriculture products(2005 Revised)
 - GAP Starting from 2006
 - 10% Increase of CAGR upto 2013년

Low Toxic \sim **Safe**

- □ Eco- & Mammalian Toxicity / Residue
- □ Registration



Multinational companies

- Difficult to Develop New Compounds
- Huge Investment of R&D Cost

Solidation for Competence

•Contineous Restructuring
•M & A

Biological Changes

- •Resistance problems ==> Shorten PLC
- •Suddenly Occurrence of Unwanted Insects/Deseases/Weeds



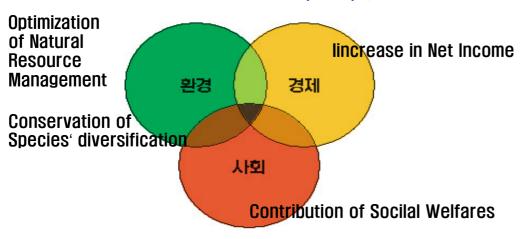
Need to Develop New Molecules



Environment

GAP & Environment–Friendly Agriculture

Stable Food Production on Economical/Safe/Qualitative Point of View





==> Concentration of New Technologies

Technology

Physiology of Crop/Insects/Deseases

Mammalian & Ecological Toxicity

Surface & Colloid Chemistry/Rheology

Delivery system

Adjuvant Technology

Analyging Power of Worldwide Patents etc.

New Formulation[Safe & Labor-saving

Light & Labor-saving Formulation
Safety
Decrease in Application Dose
One-packed formulation
Decrease in spray volume
Optimization of Biological Efficacy
Controlled-release Formulation



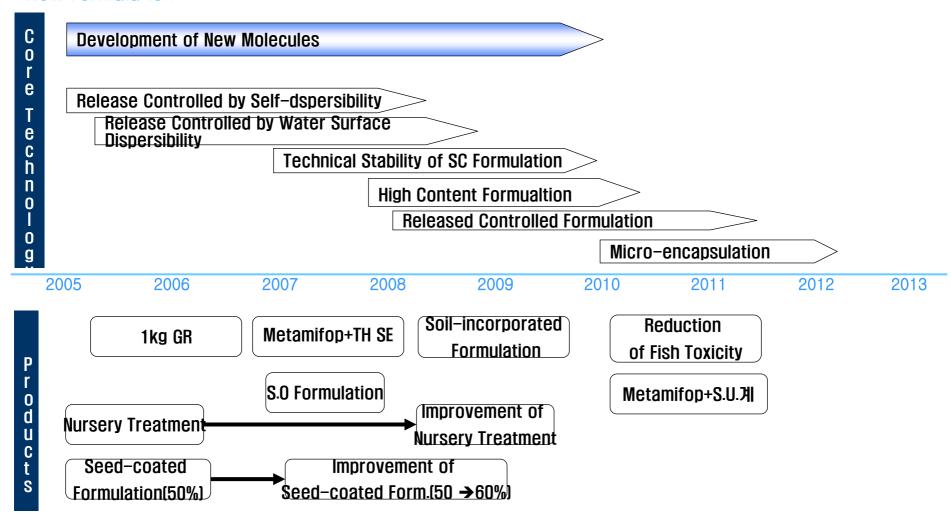
□ New formulations





Theories and Applications of Chem. Eng., 2007, Vol. 13, No. 2 **Technology Roadmap**

■New Formulation



Theories and Applications of Chem. Eng., 2007, Vol. 13, No. 2 Discovery Research in Korea

Institution	Sponsors	Since
Korea Research Institute of Chemical Technology (KRICT)	Ministry of Science and Technology Ministry of Agriculture and Forestry POSCO Chemical LGLS Hanwha, Hyundai DHT Sungbo Chemical KyungNong Dong-O Chemical	1982
LG Life Sciences (LGLS)	LGLS	1990
Dongbu Hitek (DHT)	DHT	1997



^{*} International patent law for biological active small molecule was introduced in 1987 in Korea

Compound name	Usage	Inventor	Developmental status
Flupyrazofos	Insecticide	KRICT	Registered (1996) Discontinued afterward
K12060	Herbicide	KRICT	Licensed to Zeneca (1995) Discontinued (1997)
Pyribenzoxim	Herbicide	LGLS	Commercialized (1997)
Ethaboxam	Fungicide	LGLS	Commercialized (1999) Registration applied in EU (2003)
Flucetosulfuron	Herbicide	LGLS/KRICT	Commercialized (2005)
Bistrifluron	Insecticide	DHT/KRICT	Commercialized (2006)
Metamifop	Herbicide	DHT/KRICT	Registration in Korea(2007) & Japan (2010)



Structure	Usage	Insecticide
CF_3 S N P OC_2H_5 OC_2H_5	Character- istics	 Very effective and specific to Diamond Back moth
	Mode of action	 Acetylcholinesterase inhibition
	History and Developmen- tal status	Discovered in 1989 by KRICT
		Licensed to Sungbo Chemical in 1991
		Registered in 1996 : First new pesticide registered in Korea
		Discontinued

Structure	Usage	Selective herbicide for winter cereals
O F	Characteristics	 Post-emergence herbicide Broad spectrum: Control of Alopecurus myosuroides plus various broadleaf weeds including Galium aparine Low use rate: <20 g ai/ha
S NH NH N OCH3	Mode of action	ALS inhibition
O O N N CH ₃	History and Developmental status	 Discovered in 1992 by KRICT Licensed to Zeneca in 1995 Discontinued in 1997



The First New Herbicide in KOREA

	Common: Pyribenzoxim	Usage	Selective rice herbicide
Name	Code: LGC-40863 Brand: PYANCHOR™ Structure	Characteristics	Post-emergence Herbicide Broad spectrum
	,O M e		Wide application windowApplication Rate: 20 ~ 50 g ai/ha
MeG		Mode of action	• ALS inhibition
MeC	O N O N O N O N O N O N O N O N O N O N	History and Developmental status	 Discovered by LG Chemical in 1993 Launched in Korea in 1997: (The First new pesticide commercialized in Korea) Global development (entry year) China (00), Vietnam (01), Panama (02), Thailand (03) Latin America, Malaysia, Sri Lanka etc: 04~06

The First New Fungicide in KOREA

	Common: Ethaboxam Code: LGC-30473 Brand: GUARDIAN™ TELLUS™	Usage	Oomycetes fungicide to control downy mildew and late blight
Name		Characteristics	Curative Translaminar
	Structure		SystemicApplication rate: 100 ~ 250 g ai/ha
			Novel chemistry
	∠C₂H₅		Low risk of resistance development
C_2H_5	N S S S	Mode of action	Inhibition of tubulin polymerizationInhibition of oxidative respiration
Н	O CN	History and Developmental status	 Discovered by LG Chemical in 1993 Launched in 1999 in Korea Registration applied to EU in 2003 EU registration expected in 2007

A flexible new component in rice herbicide systems

Name	Common: Flucetosulfuron Code: LGC-4213	Usage	Selective herbicide for rice, winter cereals, and turfgrass
	Brand: FLUXO™		Pre and Post-emergence herbicide
Structure		Characteristics	Broad spectrum: Barnyardgrass,Sedges, and BL weeds
OMe		Characteristics	Wide application windowLow use rate: 10~30 g ai/ha
$\bigcap^{N} \bigvee$	Me OMe	Mode of action	ALS Inhibition
SO ₂ NHCONH N OMe		History and Developmental status	 Discovered jointly by LG Life Sciences and KRICT in 1999 Launched in 2004 in Korea In development in Japan, Europe, and USA

The First New Insecticide in KOREA

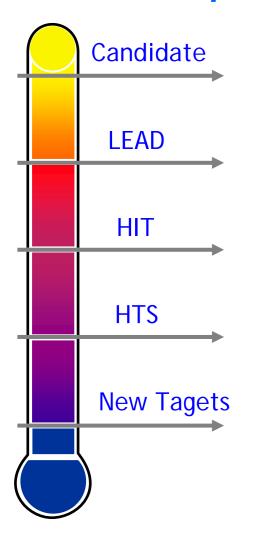
Structure	Usage	• Insecticide
C F 3	Characteristics	 Broad spectrum Particularly strong to <i>Lepidoptera</i> Whitefly and Termite Rate: 50 ~ 400 g ai/ha
F Q Q	Mode of action	IGR (Chitin biosynthesis inhibitor)
N N CF3	History and Developmental status	 Discovered by HanHwa (1995) Developed by DHT (1998) Commercialized in 2006 in Korea Under global development Asia: Thailand, India, Malaysia South America: Brazil, Columbia, Mexico, Argentina

Theories and Applications of Chem. Eng., 2007, Vol. 13, No. 2 Metamifop (DBH-129)

A grasskiller with excellent rice safety

	<u> </u>
Structure	V V V V V V V V V V
Usage	Selective herbicide for rice, turf, and dicotyledonous crops
Characteristics	 A grasskiller for post-emergence Available for both soil and foliar application in direct-seeded and transplanted rice cultivation Excellent rice safety Rate: 100 ~ 200 g ai/ha Barnyardgrass up to tiller stage controlled excellently
Mode of action	• ACCase inhibition
History and Developmental status	 Discovered by KRICT in 1995 Developed by DHT in 1997 Registration in 2007 w/ Trade name of "Pyzero" In global development including Japan, LA and VT

Participants: Genomine Inc. • KRICT • KIST



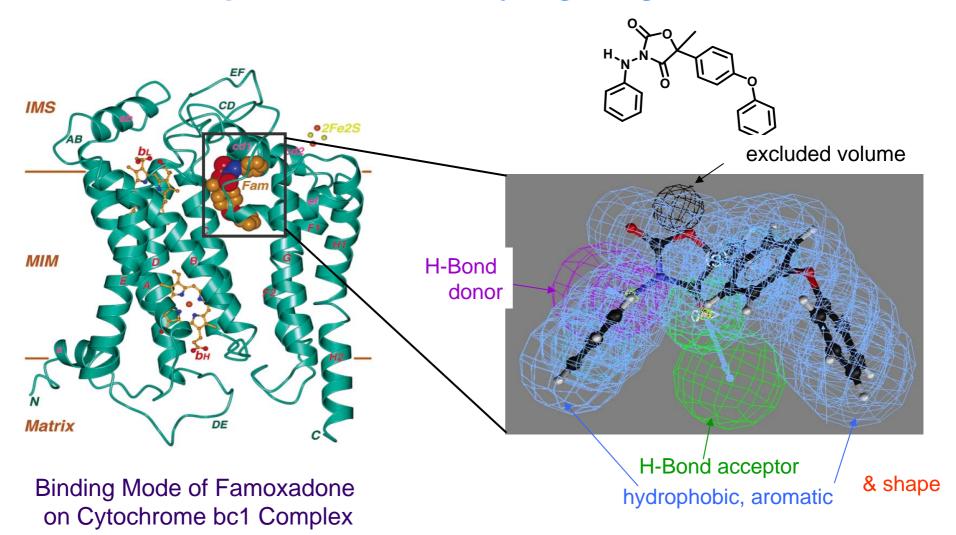
- Lead/Candidate Selection & Optimization
 - In vivo screening, Structure Activity Relationship (SAR), Derivatization
- Chemical Bank & Target Validation
 - Combinatorial Chemical Synthesis (CCS), In vivo Screening
- High Throughput System (HTS)
 - Isolation & Purification of the target enzymes, substrate synthesis
- Assay set-up for the target enzyme encoded lethal genes
 - Construct enzyme expression system, small-scaled in vitro assay
- Functional Genomics—Mining & Verifying New Targets
 - Antisense Mutagenesis (Direct & Random), Genomic D/B

(Provided by Dr. D.H. Lee)



Theories and Applications of Chem. Eng., 2007, Vol. 13, No. 2 Rational Scaffold Design

Participants: KRICT • KyungNong • KTR

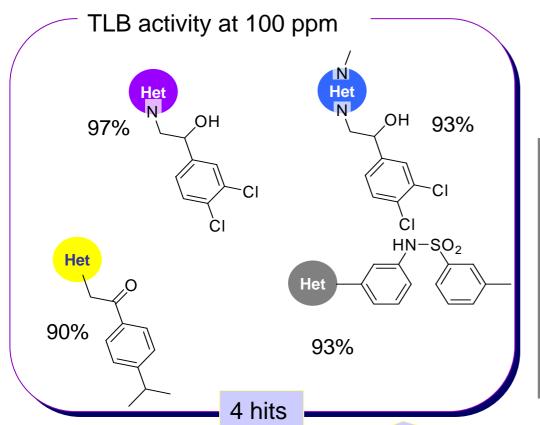


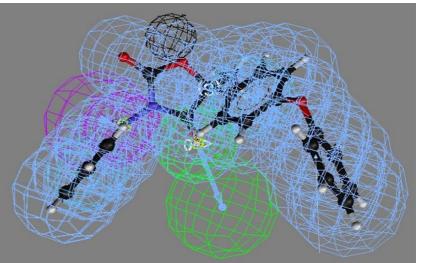
(Provided by Dr. B.T. Kim at KRICT)



Theories and Applications of Chem. Eng., 2007, Vol. 13, No. 2 Rational Approach

Hits from Commercially Available Agents





~200 compounds

(Provided by Dr. B.T. Kim at KRICT)



Participants: Dongbu Hitek • LGLS • KRICT

Head

Thiazolopyridazine

Pyrazole

Uracil

Pyridazinone

 F_3C

Me

Triazolinone

$$N - F_3$$

Indazole

$$Cl$$
 $X = H, F, Cl$
 Cl
 Cl
 Cl
 Cl
 Cl
 Cl
 Cl
 Cl

 $\dot{\mathbf{C}}\mathbf{H}_{2}\mathbf{F}$

Bridge

- In Korea, efforts to develop new agrochemicals have been made for 20 years
- Several new molecules were discovered and, out of them, Pyribenzoxim, Fluceotusulfuron, Ethaboxam, Bistrifluron were commercialized and Metamifop is just about to launch in the market
- ❖ A nation-wide collaboration project is underway among industry, academia, and independent research institutes
- With all experiences and collaborations, overall potential to discover and develop further new agrochemicals is very high and optimistic in Korea



- Dr. SJ Koo at MokWoo Ltd.
- Dr. DS Kim at Seoul National Univ.
- Dr. BT Kim, Dr. YK Ko & Dr. IT Hwang at KRICT
- Dr. DH Lee at Genomine
- Dr. IC Hwang & Dr. J Chul at KyungNong