

## R&D Pipeline (May 2010)

### 1. Global development

#### (1) Filed

Stage in the Most Advanced Territory

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
YM617 tamsulosin	Alpha-1 receptor antagonist	Lower urinary tract syndrome in male patients	Japan Filed (June 2007)	Oral	In-house	New indication
telavancin	Lipoglycopeptide antibiotic	Complicated skin and soft tissue infections (cSSTI)	Europe Filed (Oct. 2009)	Injection	Theravance	
		Nosocomial pneumonia (NP)	USA Filed* (Jan. 2009) Europe Filed (Oct. 2009)			
		MRSA infections	Japan Phase-I			
YM905 solifenacin	Muscarine M <sub>3</sub> receptor antagonist	Urinary frequency, urinary incontinence or urgency associated with overactive bladder (orally-disintegrating tablet)	Japan Filed (Dec. 2009)	Oral	In-house	New formulation

\*Received a Complete Response letter from the FDA in November 2009

## (2) Phase-III/ Phase-II -1

Stage in the Most Advanced Territory

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
YM178 mirabegron	Beta 3 receptor agonist	Urinary frequency, urinary incontinence or urgency associated with overactive bladder	USA Phase-III Europe Phase-III Japan Phase-III	Oral	In-house	
YM150	Factor Xa inhibitor	Prevention of venous thromboembolism (VTE) after major orthopedic surgery	Japan/Asia Phase-III Europe Phase-II USA Phase-II	Oral	In-house	
		Prophylaxis of thromboembolic complications associated with atrial fibrillation (AF)	Europe Phase-II Japan/Asia Phase-II			
		Acute coronary syndrome (ACS)	Europe Phase-II			
solifenacin/ tamsulosin	Concomitant use of solifenacin and tamsulosin	Lower urinary tract syndrome associated with benign prostatic hyperplasia (BPH)	Europe Phase-III	Oral	In-house	
MDV3100	Androgen antagonist	Prostate cancer	USA Phase-III Europe Phase-III	Oral	Medivation	
ASP1941	SGLT2 inhibitor	Type 2 diabetes	Japan Phase- III USA Phase-II Europe Phase-II	Oral	In-house (co-development with Kotobuki)	
Isavuconazole	Azole antifungal	Invasive aspergillosis	USA Phase- III Europe Phase- III	Injection Oral	Basilea	
		Candidemia/ Invasive candidiasis	USA Phase- III Europe Phase- III			
YM155	Survivin suppressant	Breast cancer, Non-Hodgkin's lymphoma, Melanoma	USA Phase-II Europe Phase-II Japan Phase-I	Injection	In-house	
AC220	FLT3 kinase inhibitor	Acute Myeloid Leukemia	USA Phase-II Europe Phase-II	Oral	Ambit	

**(2) Phase-III/ Phase-II -2**

Stage in the Most Advanced Territory

<b>Code No. Generic Name</b>	<b>Classification</b>	<b>Therapeutic Target</b>	<b>Area / Phase</b>	<b>Dosage Form</b>	<b>Origin</b>	<b>Remarks</b>
ASP2151	Helicase-primase inhibitor	Herpes zoster, Genital herpes	Japan Phase-II USA Phase-II	Oral	In-house	
ASP0485 alefacept	Immunosuppressant	Prophylaxis of kidney transplant rejection	USA Phase-II Europe Phase-II	Injection	In-house	
ASP9831	PDE4 inhibitor	Non-alcoholic steatohepatitis	Europe Phase-II	Oral	In-house	
YM311 (FG-2216)	HIF stabilizer	Renal anemia	Europe Phase-II Japan Phase-I	Oral	FibroGen	
ASP1517 (FG-4592)	HIF stabilizer	Renal anemia	Europe Phase-II Japan Phase-I	Oral	FibroGen	
YM060 ramosetron	5-HT3 receptor antagonist	Irritable bowel syndrome (IBS)	Europe Phase-II	Oral	In-house	
AGS-1C4D4	Antibody (Prostate stem cell antigen)	Pancreatic cancer	USA/Europe Phase-II	Injection	In-house (Agensys)	
ASP6183 (AGS-8M4)	Antibody binds to human chondrolectin (AGS-8)	Ovarian cancer	USA Phase-II	Injection	In-house (Agensys)	
ASP015K	Immunosuppressant	Suppression of organ rejection in organ transplant	USA Phase-II Japan Phase-I	Oral	In-house	
ASKP1240	Anti-CD40 antagonist	Suppression of organ rejection in organ transplant	USA Phase-II	Injection	Kyowa Hakko Kirin	

## 2. Local development: Japan

### (1) Filed

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
ASP8825 (XP13512)	Prodrug of gabapentin	Restless legs syndrome	Japan Filed (Nov. 2009)	Oral	XenoPort	

### (2) Phase-III/ Phase-II

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
YM529 minodronate	Bisphosphonate	Osteoporosis (intermittent administration)	Japan Phase-III	Oral	In-house (co-development with Ono)	New formulation
ASP1585 (AMG223)	Non-absorbed, polymer-based phosphate binder	Hyperphosphatemia	Japan Phase-III	Oral	Ilypsa/Amgen	
YM177 celecoxib	Cyclooxygenase-II inhibitor	Acute pain	Japan Phase-III	Oral	Pfizer	New indication
YM533 beraprost sodium	Prostacyclin receptor stimulator	Chronic renal failure (primary, nephrosclerosis)	Japan/Asia Phase-III	Oral	Toray	New indication New formulation
YM443 acotiamide	Acetylcholine esterase inhibitor	Functional dyspepsia	Japan Phase-III	Oral	Zeria	
ASP3550 degarelix	GnRH receptor antagonist	Prostate cancer	Japan Phase-II	Injection	Ferring	

## 3. Local development: USA

### (1) Filed

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
RSD1235 vernakalant	Antiarrhythmic agent	Atrial fibrillation (AF)	USA Filed (Dec. 2006)*	Injection	Cardiome	

\*Received an approvable letter from the FDA in August 2008

#### 4. Phase-I

Code No. Generic Name	Therapeutic Target	Dosage Form	Origin
ASP2905	Alzheimer's disease (Dementia), Schizophrenia	Oral	In-house
AGS-16M18	Cancer	Injection	In-house (Agensys)
AGS-6MF	Cancer (ADC)	Injection	In-house (Agensys)
ASG-5ME	Cancer (ADC)	Injection	In-house (Agensys) (Co-development with Seattle Genetics)
ASP3652	Overactive bladder	Oral	In-house
ASP7035	Nocturia	Oral	In-house
ASP0777	Alzheimer's disease (Dementia)	Oral	In-house
ASP3291	Ulcerative colitis	Oral	In-house
FK949E	Major depressive disorder	Oral	AstraZeneca
ASP4178	Type 2 diabetes	Oral	In-house
ASP5034	Type 2 diabetes	Oral	In-house

#### 5. Discontinued

Code No. Generic Name	Area / Phase	Therapeutic Target	Reason
YM086 (BIBR277) telmisartan	Japan Filed (June 2006)	Type 2 diabetic nephropathy	The decision for the withdrawal of application for approval was made because currently submitted data is insufficient to establish an evidence that is necessary to attain the approval, as a result of discussion with Pharmaceuticals and Medical Devices Agency.
ASP0265	Phase-I	Prostate cancer, Endometriosis	Considering the results of Phase-I, discontinuation of development was decided.

### **Changes from the previous announcement (Financial results announcement on February 2, 2010)**

- YM086 was deleted from the list because the application for approval was withdrawn and the development was discontinued.

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YM086 (BIBR277) telmisartan	Angiotensin II receptor blocker	Type 2 diabetic nephropathy	Japan Filed (June 2006)	Oral	Boehringer Ingelheim	New indication

- Listed Isavuconazole, licensed from Basilea as Phase-III in USA and Europe.

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Isavuconazole	Azole antifungal	Invasive aspergillosis	USA Phase- III Europe Phase- III	Injection Oral	Basilea	
		Candidemia/ Invasive candidiasis	USA Phase- III Europe Phase- III			

- ASKP1240: Entry into Phase-II in USA from Phase-I.

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ASKP1240	Anti-CD40 antagonist	Suppression of organ rejection in organ transplant	USA Phase-II	Injection	Kyowa Hakko Kirin	

- Listed ASG-5ME (Cancer, In-house (Agensys) (Co-development with Seattle Genetics)) as Phase-I.
- Listed ASP5034 (Type 2 diabetes , In-house) as Phase-I.
- ASP0265 (Prostate cancer, Endometriosis , Phase-I) was deleted from the list because the the development was discontinued.