R&D Pipeline (May 2011)

1. Global Development

(1) Filed

Stage in the most advanced territory

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
telavancin	Lipoglycopeptide antibiotic	Complicated skin and soft tissue infections	Europe Filed (Oct. 2009)	Injection	Theravance	
		Nosocomial pneumonia	USA Filed* (Jan. 2009) Europe Filed (Oct. 2009)			
		MRSA infections	Japan Phase-I			
YM178 mirabegron	Beta 3 receptor agonist	Urinary frequency, urinary incontinence or urgency associated with overactive bladder	Japan Filed (Jun. 2010) USA Phase-III Europe Phase-III	Oral	In-house	

*Received a Complete Response letter from the FDA in November 2009, and the second Complete Response letter in December 2010.

(2) Phase-III/Phase-II (1/3)

Stage in the most advanced territory

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
YM150 darexaban	Factor Xa inhibitor	Prevention of venous thromboembolism 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	Europe Phase-II Japan/Asia Phase-II			
		Acute coronary syndrome	Europe Phase-II			
EC905 solifenacin/ tamsulosin	Concomitant use of solifenacin and tamsulosin	Lower urinary tract symptoms associated with benign prostatic hyperplasia	Europe Phase-III	Oral	In-house	
MDV3100	Androgen antagonist	Prostate cancer	USA Phase-III Europe Phase-III Japan Phase-I	Oral	Medivation	
ASP1941 ipragliflozin	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/Europe Phase-III	Injection Oral	Basilea	
		Candidemia/ Invasive candidiasis	USA/Europe Phase-III			
erlotinib (Tarceva)	HER1/EGFR tyrosine kinase inhibitor	NSCLC (First line for patients with EGFR mutation, adjuvant), Hepatocellular carcinoma	USA Phase-III	Oral	In-house (OSI)	New indication

(2) Phase-lll/Phase-ll (2/3)

Stage in the most advanced territory

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
OSI-906	IGF-1R/IR tyrosine kinase inhibitor	Adrenocortical carcinoma	USA Phase-III	Oral	In-house (OSI)	
		Ovarian cancer, NSCLC, Hepatocellular carcinoma	USA Phase-II			
tivozanib	Triple VEGF receptors inhibitor	Renal cell carcinoma	USA/Europe Phase-III	Oral	AVEO	
		Breast cancer, colorectal cancer	USA/Europe Phase-I			
YM155	Survivin suppressant	Breast cancer, Non-Hodgkin's lymphoma	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	
ASP1517 (FG-4592)	HIF stabilizer	Renal anemia	Europe Phase-II Japan Phase-I	Oral	FibroGen	
YM311 (FG-2216)	HIF stabilizer	Renal anemia	Europe Phase-II Japan Phase-I	Oral	FibroGen	
AGS-1C4D4	Antibody (Prostate stem cell antigen)	Pancreatic cancer	USA/Europe Phase-II	Injection	In-house (Agensys)	
ASP015K	Immunosuppressant	Prevention of organ transplant rejection	USA Phase-II Japan Phase-I	Oral	In-house	
ASKP1240	Anti-CD40 antagonist	Prevention of organ transplant rejection	USA Phase-II Japan Phase-I	Injection	Kyowa Hakko Kirin	
OSI-027	mTOR kinase inhibitor	Renal cell cancer	USA Phase-II	Oral	In-house (OSI)	

(2) Phase-lll/Phase-ll (3/3)

Stage in the most advanced territory

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
PSN821	GPR119 agonist	Type 2 diabetes, Obesity	Europe Phase-II	Oral	In-house (OSI)	
YM905 solifenacin	Muscarine M_3 receptor antagonist	Neurogenic detrusor overactivity and idiopathic overactive bladder in pediatric patients	USA/Europe Phase-II	Oral	In-house	New indication
diannexin	Inhibition of monocyte and platelet binding to phosphatidylserine	Prevention of delayed graft function in kidney transplantation	USA Phase-II	Injection	Alavita	
EB178 solifenacin/ mirabegron	Concomitant use of solifenacin and mirabegron	Urinary frequency, urinary incontinence or urgency associated with overactive bladder	Europe Phase-II	Oral	In-house	
ASP3652	Inhibition of afferent nerve activity	Chronic prostatitis/ Chronic pelvic pain syndrome	Europe Phase-II	Oral	In-house	

2. Local Development: Japan

(1) Filed

The most advanced stage

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	
YM529 minodronate	Bisphosphonate	Osteoporosis (Intermittent administration)	Japan Filed (Sep. 2010)	Oral	In-house (co-development with Ono)	New formulation
YM443 acotiamide	Acetylcholine esterase inhibitor	Functional dyspepsia	Japan Filed (Sep. 2010)	Oral	Zeria	
ASP3550 degarelix	GnRH receptor antagonist	Prostate cancer (One month formulation)	Japan Filed (Oct. 2010)	Injection	Ferring	
		Prostate cancer (Three month formulation)	Japan Phase-II			New formulation
ASP1585 (AMG223) bixalomer	Amine-functional phosphate-binding polymer	Hyperphosphatemia in patients with chronic kidney disease on dialysis	Japan Filed (Mar. 2011)	Oral	Ilypsa/Amgen	
YM177 celecoxib	Cyclooxygenase-II inhibitor	Anti-inflammatory and analgesic effects in post-operation, post-trauma, and post-tooth extraction	Japan Filed (Mar. 2011)	Oral	Pfizer	New indication

(2) Phase-lll/Phase-ll

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
YM533 beraprost sodium	Prostacyclin receptor stimulator	Chronic renal failure (primary, nephrosclerosis)	Japan/Asia Phase-III	Oral	Toray	New indication New formulation
YM060 ramosetron	5-HT3 receptor antagonist	Irritable bowel syndrome Female patients	Japan Phase-II	Oral	In-house	New indication
		Irritable bowel syndrome (orally-disintegrating tablet)	Japan Bio-equivalent study			New formulation
ASP7373	Influenza vaccine	Prophylaxis of H5N1 influenza	Japan Phase-II	Injection	UMN Pharma	
ASP7374	Influenza vaccine	Prophylaxis of seasonal influenza	Japan Phase-II	Injection	UMN Pharma	

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	USA Filed (Dec. 2006)*	Injection	Cardiome	

*Received an approvable letter from the FDA in August 2008

4. Local Development: Europe

(1) Filed

Code No. Generic Name	Classification	Therapeutic Target	Area / Phase	Dosage Form	Origin	Remarks
fidaxomicin	Macrocyclic antibiotic	Clostridium difficile infection	Europe Filed (Jul. 2010)	Oral	Optimer	

5. Phase-I

Code No. Generic Name	Therapeutic Target	Dosage Form	Origin
AGS-16M8F	Cancer (ADC)	Injection	In-house (Agensys)
ASG-5ME	Cancer (ADC)	Injection	In-house (Agensys) (Co-development with Seattle Genetics)
ASP7035	Nocturia	Oral	In-house
ASP0777	Alzheimer's disease [Dementia]	Oral	In-house
ASP3291	Ulcerative colitis	Oral	In-house
FK949E quetiapine	Major depressive disorder	Oral	AstraZeneca
ASP4178	Type 2 diabetes	Oral	In-house
ASP5034	Type 2 diabetes	Oral	In-house
ASP1707	Prostate cancer, Endometriosis	Oral	In-house
ASP0306	Lower urinary tract symptoms associated with benign prostatic hyperplasia	Oral	In-house
ASP4058	Multiple sclerosis	Oral	In-house
ASP0456 linaclotide	Irritable bowel syndrome	Oral	Ironwood
ASP4901 (AKP-002)	Lower urinary tract symptoms associated with benign prostatic hyperplasia	Oral	ASKA
ASP8477	Neuropathic pain	Oral	In-house
ASP2408	Rheumatoid arthritis	Injection	In-house (Perseid)
ASP3026	Cancer	Oral	In-house
ASP9521	Prostate cancer	Oral	In-house
AGS-22M6E	Cancer (ADC)	Injection	In-house (Agensys)
ASP7147	Irritable bowel syndrome	Oral	In-house

6. Project Discontinued

Code No. Generic Name	Area / Phase	Therapeutic Target	Reason
ASP2151	Japan Phase-II* USA Phase-II*	Herpes zoster, Genital herpes	We have decided to discontinue the development of ASP2151 as a result of the investigation of serious adverse events observed in a 28-day safety study in healthy subjects.

*Development suspended

Changes from the Previous Announcement (Financial Results Announcement on February 1, 2011)

Approval

-YM905 (Product name: Vesicare OD) was launched in Japan in April, and removed from the list.

Code No. Generic Name	Product Name (Approval Date)	Classification	Therapeutic Target	Area	Dosage Form	Origin	Remarks
YM905 solifenacin	Vesicare OD (Oct. 2010)	Muscarine M_3 receptor antagonist	Urinary frequency, urinary incontinence or urgency associated with overactive bladder	Japan	Oral (orally-disintegrating tablet)	In-house	New formulation

Filed

-ASP1585 was filed in Japan.

-YM177 was filed in Japan for new indication.

Code No. Generic Name	Classification	Therapeutic Target	Area/Phase	Dosage Form	Origin	Remarks
ASP1585 (AMG223) bixalomer	Amine-functional phosphate-binding polymer	Hyperphosphatemia in patients with chronic kidney disease on dialysis	Japan Filed (Mar. 2011)	Oral	Ilypsa/Amgen	
YM177 celecoxib	Cyclooxygenase-II inhibitor	Anti-inflammatory and analgesic effects in post-operation, post-trauma, and post-tooth extraction	Japan Filed (Mar. 2011)	Oral	Pfizer	New indication

-Added fidaxomicin, in-lisenced from Optimer, to the list of filed projects in Europe.

Code No. Generic Name	Classification	Therapeutic Target	Area/Phase	Dosage Form	Origin	Remarks
fidaxomicin	Macrocyclic antibiotic	Clostridium difficile infection	Europe Filed (Jul. 2010)	Oral	Optimer	

Phase-III/Phase-II

-The NDA filing for YM150 was withdrawn in Japan for the therapeutic target of prevention of venous thromboembolism after major orthopedic surgery. It was moved to the Phase-III/Phase-II list. (Underlined)

Code No. Generic Name	Classification	Therapeutic Target	Area/Phase	Dosage Form	Origin	Remarks
YM150 darexaban	Factor Xa inhibitor	Prevention of venous thromboembolism 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	Europe Phase-II Japan/Asia Phase-II			
		Acute coronary syndrome	Europe Phase-II			

-Added tivozanib, in-lisenced from AVEO, to the Phase-III/Phase-II list.

Code No. Generic Name	Classification	Therapeutic Target	Area/Phase	Dosage Form	Origin	Remarks
tivozanib	Triple VEGF receptors inhibitor	Renal cell carcinoma	USA/Europe Phase-III	Oral	AVEO	
		Breast cancer, colorectal cancer	USA/Europe Phase-I			

-Added EB178 (solifenacin/mirabegron) to the Phase-III/Phase-II list.

-ASP3652: Entry into Phase-II from Phase I.

Code No. Generic Name	Classification	Therapeutic Target	Area/Phase	Dosage Form	Origin	Remarks
EB178 solifenacin/ mirabegron	Concomitant use of solifenacin and mirabegron	Urinary frequency, urinary incontinence or urgency associated with overactive bladder	Europe Phase-II	Oral	In-house	
ASP3652	Inhibition of afferent nerve activity	Chronic prostatitis/ Chronic pelvic pain syndrome	Europe Phase-II	Oral	In-house	

-Added ASP7373, in-lisenced from UMN Pharma, to the Phase-III/Phase-II list in Japan.

-Added ASP7374, in-lisenced from UMN Pharma, to the Phase-III/Phase-II list in Japan.

Code No. Generic Name	Classification	Therapeutic Target	Area/Phase	Dosage Form	Origin	Remarks
ASP7373	Influenza vaccine	Prophylaxis of H5N1 influenza	Japan Phase-II	Injection	UMN Pharma	
ASP7374	Influenza vaccine	Prophylaxis of seasonal influenza	Japan Phase-II	Injection	UMN Pharma	

Phase-I (Newly Developed)

-Added ASP9521 [Prostate cancer] to the phase-I list.

-Added AGS-22M6E [Cancer] to the phase-I list.

-Added ASP7147 [Irritable bowel syndrome] to the phase-I list.

Project Discontinued

-Deleted ASP2151 in development suspension, due to the discontinuation of the development.

Code No. Generic Name	Area/Phase	Therapeutic Target	Reason
ASP2151	Japan Phase-II* USA Phase-II*	Herpes zoster, Genital herpes	We have decided to discontinue the development of ASP2151 as a result of the investigation of serious adverse events observed in a 28-day safety study in healthy subjects.

*Development suspended