

R&D Pipeline (August 2014)

1. Global Development

(1) Filed

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin*	Remarks
MDV3100 enzalutamide	Androgen receptor inhibitor	Metastatic castration-resistant prostate cancer in patients who have not received chemotherapy	US Filed (Mar. 2014) Europe Filed (Apr. 2014) Japan Phase-III Asia Phase-III	Oral	Medivation*	New indication
		Non-metastatic castration-resistant prostate cancer	US Phase-III Europe Phase-III Asia Phase-III			New indication
		Breast cancer	US/Europe Phase-II			New indication

*"In-house" shown in the "origin" column includes discovery by collaborative research. (The same applicable hereafter.)

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

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
erlotinib	HER1/EGFR tyrosine kinase inhibitor	Pediatric ependymoma	US Phase-III	Oral	In-house (co-development with Roche/Genentech)	Not a new indication, rather a supplement whose results are planned to submit to the FDA
ASP0113 (VCL-CB01)	DNA vaccine for cytomegalovirus	Cytomegalovirus reactivation in hematopoietic cell transplant recipients	US/Europe/Japan Phase-III	Injection	Vical	
		Cytomegalovirus infection or reactivation in solid organ transplant recipients	US/Europe Phase-II			
YM905 solifenacin	Muscarine M ₃ receptor antagonist	Neurogenic detrusor overactivity and idiopathic overactive bladder in pediatric patients	US/Europe Phase-III	Oral	In-house	New indication (pediatric)
EB178 solifenacin/ mirabegron	Concomitant use of solifenacin and mirabegron	Urinary frequency, urinary incontinence or urgency associated with overactive bladder	Europe/US/Asia Phase-III	Oral	In-house	
fidaxomicin	Macrocyclic antibiotic	Infectious enteritis (bacterial target: <i>Clostridium difficile</i>)	Japan Phase-III	Oral	Cubist	
		<i>Clostridium difficile</i> infection in pediatric patients	Europe Phase-III			
ASP015K	JAK inhibitor	Rheumatoid arthritis	Japan Phase-III (US/Europe Phase-II*)	Oral	In-house	

*A license agreement was executed with Janssen Biotech, Inc. for the development and commercialization worldwide except for Japan. Phase-IIb studies were completed by Astellas.

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

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
ASP1517 (FG-4592) roxadustat	HIF stabilizer	Anemia associated with chronic kidney disease in patients not on dialysis and on dialysis	Europe Phase-III Japan Phase-II	Oral	FibroGen	
YM311 (FG-2216)	HIF stabilizer	Renal anemia	Europe Phase-II Japan Phase-I	Oral	FibroGen	
ASKP1240	Anti-CD40 monoclonal antibody	Prevention of organ transplant rejection	US Phase-II Japan Phase-I	Injection	Kyowa Hakko Kirin	
ASP3652	Inhibition of afferent nerve activity	Bladder pain syndrome / Interstitial cystitis	Europe Phase-II Japan Phase-I	Oral	In-house	
ASP1707	GnRH antagonist	Endometriosis	Europe/Japan Phase-II	Oral	In-house	
		Prostate cancer	Europe Phase-I			
ASP4901 (AKP-002)	PDE9 inhibitor	Lower urinary tract symptoms associated with benign prostatic hyperplasia	Japan Phase-II	Oral	ASKA	
ASP8477	Inhibition of central sensitization	Neuropathic pain	Europe Phase-II	Oral	In-house	

2. Local Development: Japan

(1) Filed

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
ASP7374	Influenza vaccine	Prophylaxis of seasonal influenza	Japan Filed (May 2014)	Injection	UMN Pharma	
certolizumab pegol	PEGylated anti-tumor necrosis factor-alpha antibody	Disease modifying anti-rheumatic drugs (DMARD)-naive rheumatoid arthritis	Japan Filed (Jun. 2014)	Injection	UCB	New indication
nateglinide	Fast acting insulin secretion enhancer	Type 2 diabetes Combination with DPP-4 inhibitor	Japan Filed (Jul. 2014)	Oral	Ajinomoto Pharmaceuticals	New indication
YM060 ramosetron	5-HT3 receptor antagonist	Irritable bowel syndrome with diarrhea in female patients	Japan Filed (Jul. 2014)	Oral	In-house	New indication

(2) Phase-III / Phase-II

Code No. Generic Name	Classification	Target Disease	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
FK949E quetiapine	Serotonin/dopamine antagonist	Depressive episode in bipolar disorders	Japan Phase-III	Oral	AstraZeneca	New indication New formulation
ASP1585 (AMG 223) bixalomer	Amine-functional polymer	Hyperphosphatemia in patients not on dialysis with chronic kidney disease	Japan Phase-III	Oral	Amgen	New indication
		Hyperphosphatemia in patients on dialysis with chronic kidney disease (granule formulation)	Japan Bioequivalence study	Oral		New formulation
ASP3550 degarelix	GnRH antagonist	Prostate cancer (three-month formulation)	Japan Phase-III	Injection	Ferring	New formulation
AMG 785 romosozumab	Anti-Sclerostin monoclonal antibody	Osteoporosis	Japan Phase-III	Injection	Amgen (co-development with Amgen Astellas)	
AMG 145 evolocumab	Anti-PCSK-9 monoclonal antibody	Hyperlipidemia	Japan Phase-III	Injection	Amgen (co-development with Amgen Astellas)	
AMG 102 rilotumumab	Anti-HGF monoclonal antibody	Gastric cancer	Japan Phase-III	Injection	Amgen (co-development with Amgen Astellas)	
ASP7373	Influenza vaccine	Prophylaxis of H5N1 influenza	Japan Phase-II	Injection	UMN Pharma	
ASP0456 linaclotide	Guanylate cyclase type-C receptor agonist	Irritable bowel syndrome	Japan Phase-II	Oral	Ironwood	
ASP7991	Calcium-sensing receptor activator	Secondary hyperparathyroidism	Japan Phase-II	Oral	In-house	

3. Local Development: Europe

(1) Phase-III / Phase-II

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
NGX-4010 capsaicin	TRPV1 agonist	Peripheral diabetic neuropathy	Europe Phase-III	Patch	NeurogesX	New indication

4. Local Development: US

(1) Filed

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
isavuconazole	Azole antifungal	Invasive aspergillosis and invasive mucormycosis	US Filed (Jul. 2014)	Injection Oral	Basilea	
		Candidemia / Invasive candidiasis	US Phase-III			

5. Phase-I

Code No. Generic Name	Target Disease	Dosage Form	Origin
AGS-16M8F/ AGS-16C3F	Cancer (ADC technology)	Injection	In-house (ADC technology in-licensed from Seattle Genetics)
ASG-22ME	Cancer (ADC technology)	Injection	In-house (co-development with Seattle Genetics)
ASP9226	Neuropathic pain	Oral	In-house
ASP8232	Diabetic nephropathy	Oral	In-house
ASP3662	Alzheimer's disease	Oral	In-house
ASG-15ME	Cancer (ADC technology)	Injection	In-house (co-development with Seattle Genetics)
ASP2215	Cancer	Oral	In-house
ASP3325	Hyperphosphatemia	Oral	In-house
CK-2127107	Skeletal muscle disease (non-neuromuscular indications)	Oral	Cytokinetics
ASP5878	Cancer	Oral	In-house
AMG 337	Gastric cancer	Oral	Amgen (co-development with Amgen Astellas)
ASP8273	Cancer	Oral	In-house
ASP7962	Osteoarthritis, Chronic low back pain	Oral	In-house
YM178 mirabegron	Neurogenic detrusor overactivity and idiopathic overactive bladder in pediatric patients	Oral	In-house
ASP7657	Diabetic nephropathy	Oral	In-house
ASP3700	Osteoarthritis	Oral	In-house
AGS67E	Cancer (ADC technology)	Injection	In-house (ADC technology in-licensed from Seattle Genetics)
ASP5633	Stress urinary incontinence	Oral	In-house

<Changes from the Previous Announcement on May 12, 2014> (Changed underlined items)

Launched

-Enzalutamide: Removed the previous item below. It was launched in Japan in May 2014.

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Origin	Remarks
MDV3100 enzalutamide	XTANDI (Mar. 2014)	Androgen receptor inhibitor	Castration-resistant prostate cancer*	Japan	Oral	Medivation	

*Precautions regarding indication include the description that the efficacy and safety of the drug have not been established in patients with prostate cancer who have not received chemotherapy.

Filed

-ASP7374: Filed in Japan.

-Certolizumab pegol: Filed in Japan.

-Nateglinide: Filed in Japan.

-Ramosetron: Filed in Japan.

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
ASP7374	Influenza vaccine	Prophylaxis of seasonal influenza	<u>Japan Filed</u> (<u>May 2014</u>)	Injection	UMN Pharma	
certolizumab pegol	PEGylated anti-tumor necrosis factor-alpha antibody	<u>Disease modifying anti-rheumatic drugs</u> (<u>DMARD</u>)-naive rheumatoid arthritis	<u>Japan Filed</u> (<u>Jun. 2014</u>)	Injection	UCB	New indication
nateglinide	Fast acting insulin secretion enhancer	Type 2 diabetes Combination with DPP-4 inhibitor	<u>Japan Filed</u> (<u>Jul. 2014</u>)	Oral	Ajinomoto Pharmaceuticals	New indication
YM060 ramosetron	5-HT3 receptor antagonist	<u>Irritable bowel syndrome with diarrhea</u> <u>in female patients</u>	<u>Japan Filed</u> (<u>Jul. 2014</u>)	Oral	In-house	New indication

-Isavuconazole: Filed in the US.

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
isavuconazole	Azole antifungal	<u>Invasive aspergillosis</u> <u>and invasive mucormycosis</u>	<u>US Filed</u> <u>(Jul. 2014)</u>	Injection Oral	Basilea	

Phase-III / Phase-II

-Fidaxomicin: Entered into Phase-III in Europe for pediatric indication.

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
fidaxomicin	Macrocyclic antibiotic	<u>Clostridium difficile infection</u> <u>in pediatric patients</u>	<u>Europe Phase-III</u>	Oral	Cubist	<u>New indication</u> <u>(pediatric)</u>

-ASP015K: Entered into Phase-III in Japan.

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Origin	Remarks
ASP015K	JAK inhibitor	Rheumatoid arthritis	<u>Japan Phase-III</u> <u>(US/Europe</u> <u>Phase-II*)</u>	Oral	In-house	

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Phase-IIb studies were completed by Astellas.

Phase-I

-ASP5633 [Stress urinary incontinence]: Entered into Phase-I.