

R&D Pipeline (As of July 2015)

Changed underlined items from the previous announcement on May 11th, 2015

1. Global Development

(1) Phase-III / Phase-II (1/3) *Compounds with "In-house" in this column include one discovered by collaborative research. (The same applicable hereafter.)

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor*	Remarks
MDV3100 enzalutamide	Androgen receptor inhibitor	Non-Metastatic castration-resistant prostate cancer, Prostate cancer in patients with non-metastatic biochemical recurrence	US/Europe/Asia Phase-III	Oral	Medivation	New indication
		Breast cancer	US/Europe Phase-II			New indication
		Hepatocellular carcinoma	US/Europe Phase-II			New indication
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			

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

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
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	US/Europe/Asia Phase-III	Oral	In-house	
fidaxomicin	Macrocyclic antibiotic	Infectious enteritis (bacterial target: <i>Clostridium difficile</i>)	Japan Phase-III	Oral	Merck	
		<i>Clostridium difficile</i> infection in pediatric patients	Europe Phase-III			New indication (pediatric)
ASP015K	JAK inhibitor	Rheumatoid arthritis	Japan Phase-III US/Europe Phase-II	Oral	In-house	
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	
ASP2215	FLT3/AXL inhibitor	Acute myeloid leukemia	US/Europe/Japan/Asia Phase-III	Oral	In-house	
		Non-small cell lung cancer	US/Japan/Asia Phase-I			

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

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
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	
ASP1707	GnRH antagonist	Endometriosis	Europe/Japan Phase-II	Oral	In-house	
		Prostate cancer	Europe Phase-I			
ASP8477	Inhibition of central sensitization	Neuropathic pain	Europe Phase-II	Oral	In-house	
ASP8232	VAP-1 inhibitor	Diabetic nephropathy	Europe Phase-II	Oral	In-house	
		Diabetic macular edema	US Phase-II			
ASP8273	Mutant-selective irreversible EGFR inhibitor	Non-small cell lung cancer	Japan/Asia Phase-II US Phase I	Oral	In-house	
ASP3662	11beta-HSD1 inhibitor	Painful diabetic peripheral neuropathy	US Phase-II	Oral	In-house	
		Alzheimer's disease	US Phase-I			
CK-2127107	<u>Fast skeletal tropoinin activator</u>	<u>Spinal muscular atrophy</u>	<u>US Phase-II</u>	Oral	Cytokinetics	

2. Local Development: Japan

(1) Approved

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Licensors	Remarks
certolizumab pegol	<u>Cimzia</u> (May 2015)	PEGylated anti-tumor necrosis factor-alpha antibody	<u>Treatment of rheumatoid arthritis</u> (including inhibition of progression of bone structural damage)*	Japan	Injection	UCB	New indication
YM060 ramosetron	<u>Irribow</u> (May 2015)	5-HT ₃ receptor antagonist	<u>Diarrhea-predominant</u> <u>irritable bowel syndrome**</u>	Japan	Oral	In-house	New indication

*Label was expanded so that Cimzia can be given to patients with high risk of progression of structural damage, even they have not received prior treatment with anti-rheumatic drugs.

**Label was expanded so that Irribow can be given to female patients with diarrhea-predominant irritable bowel syndrome.

(2) Filed

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensors	Remarks
ASP7374	Influenza vaccine	Prophylaxis of seasonal influenza	Japan Filed (May 2014)	Injection	UMN Pharma	
ASP1585 (AMG 223) bixalomer	Amine-functional polymer	Hyperphosphatemia in patients not on dialysis with chronic kidney disease	Japan Filed (Mar. 2015)	Oral	Amgen	New indication
AMG 145 evolocumab	Anti-PCSK-9 monoclonal antibody	Hypercholesterolemia	Japan Filed (Mar. 2015)	Injection	Amgen (co-development with Amgen Astellas)	

(3) Phase-III / Phase-II

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensors	Remarks
FK949E quetiapine	Serotonin / dopamine antagonist	Depressive episode in bipolar disorders	Japan Phase-III	Oral	AstraZeneca	New indication 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)	
ASP0456 linaclotide	Guanylate cyclase type-C receptor agonist	Irritable bowel syndrome with constipation	Japan Phase-III	Oral	Ironwood	
		Chronic constipation	Japan Phase-II			
ASP1585 (AMG 223) bixalomer	Amine-functional polymer	Hyperphosphatemia in patients on dialysis with chronic kidney disease (granule formulation)	Japan Bioequivalence study	Oral	Amgen	New formulation
ASP7373	Influenza vaccine	Prophylaxis of H5N1 influenza	Japan Phase-II	Injection	UMN Pharma	
ASP1941 ipragliflozin	SGLT2 inhibitor	Type 1 diabetes mellitus	Japan Phase-II	Oral	In-house (co-development with Kotobuki)	New indication

3. Local Development: Europe

(1) Filed

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensors	Remarks
NGX-4010 capsaicin	TRPV1 agonist	Peripheral neuropathic pain in diabetic patients	Europe Filed (Dec. 2014)	Patch	HealthCare Royalty Partners	New indication

4. Local Development: US

(1) Phase-III / Phase-II

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensors	Remarks
isavuconazonium sulfate	Azole antifungal	Candidemia / Invasive candidiasis	US Phase-III	Injection Oral	Basilea	New indication

5. Phase-I

Code No. Generic Name	Target Disease	Dosage Form	Licensors
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)
ASG-15ME	Cancer (ADC technology)	Injection	In-house (co-development with Seattle Genetics)
ASP5878	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
AGS67E	Cancer (ADC technology)	Injection	In-house (ADC technology in-licensed from Seattle Genetics)
ASP5633	Stress urinary incontinence	Oral	In-house
ASP2205	Stress urinary incontinence	Oral	In-house
ASP5094	Rheumatoid arthritis	Injection	In-house
ASP6858	Chronic kidney disease	Oral	In-house
AMG 103 blinatumomab	Acute lymphoblastic leukemia	Injection	Amgen (co-development with Amgen Astellas)
ASP4132	Cancer	Oral	In-house
ASP4345	Cognitive impairment associated with schizophrenia	Oral	In-house
ASP6282	Underactive bladder	Oral	In-house
ASP4070 (JRC2-LAMP-vax)	Pollinosis caused by Japanese red cedar	<u>Injection</u>	<u>Immunomic Therapeutics</u>

6. Project Discontinued

Code No. Generic Name	Target Disease	Area / Phase	Reason
<u>YM533</u> <u>beraprost</u> <u>sodium</u>	<u>Chronic renal failure</u> <u>(primary glomerular disease or</u> <u>nephrosclerosis)</u>	<u>Japan/Asia Phase-III</u>	<u>Discontinued the development because sufficient</u> <u>results for filing were not obtained in the Phase</u> <u>2b/3 study.</u>

7. Other items changed from the previous financial announcement on May 11th, 2015

- Removed the description of Tarceva (erlotinib), for which extension of exclusivity period was granted in the US.
- Removed the description of CRESEMBA (isavuconazonium sulfate) in invasive aspergillosis and invasive mucormycosis, which was launched in April 2015 in the US.