

## R&D Pipeline (As of May 2016)

Underlined items indicate for changes from the previous announcement on January 29, 2016.

### 1. Global Development

#### (1) Filed

\*Compounds with "In-house" in this column include ones discovered by collaborative research. (The same applicable hereafter.)

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor*	Remarks
YM905 solifenacin	Muscarine M <sub>3</sub> receptor antagonist	Overactive bladder in pediatric patients of 5-18 years	Europe Filed (Sept. 2015)	Oral	In-house	New indication (pediatric)
<u>MDV3100</u> <u>enzalutamide</u>	Androgen receptor inhibitor	Metastatic castration-resistant prostate cancer (Tablet)	<u>US Filed (Feb. 2016)</u> <u>Europe Filed (Mar. 2016)</u>	Oral	Medivation	<u>New formulation</u>

#### (2) Phase-III / Phase-II (1/4)

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
		Metastatic hormone-sensitive prostate cancer	US/Europe/Japan/Asia Phase-III			New indication
		Breast cancer	US/Europe Phase-II			New indication
		Hepatocellular carcinoma	US/Europe/Asia Phase-II			New indication

## (2) Phase-III / Phase-II (2/4)

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
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 <sub>3</sub> receptor antagonist	Neurogenic detrusor overactivity 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	New indication (pediatric)
		<i>Clostridium difficile</i> infection in pediatric patients	Europe Phase-III			
ASP015K	JAK inhibitor	Rheumatoid arthritis	Japan/Asia Phase-III US/Europe Phase-II	Oral	In-house	
<u>ASP1517</u> <u>(FG-4592)</u> <u>roxadustat</u>	HIF stabilizer	Anemia associated with chronic kidney disease in patients not on dialysis and on dialysis	Europe Phase-III <u>Japan Phase-III</u>	Oral	FibroGen	

## (2) Phase-III / Phase-II (3/4)

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
ASP2215 gilteritinib	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			
ASP8273	Mutant-selective irreversible EGFR inhibitor	Non-small cell lung cancer	US/Europe/Japan/Asia Phase-III	Oral	In-house	
<u>YM178</u> <u>mirabegron</u>	Beta 3 receptor agonist	<u>Neurogenic detrusor overactivity</u> <u>in pediatric patients</u>	<u>Europe Phase-III</u>	Oral	In-house	<u>New indication</u> <u>(pediatric)</u>
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	
<u>ASP1707</u>	GnRH antagonist	Endometriosis	Europe/Japan Phase-II	Oral	In-house	
ASP8232	VAP-1 inhibitor	Diabetic nephropathy	Europe Phase-II	Oral	In-house	
		Diabetic macular edema	US Phase-II			
ASP3662	11beta-HSD1 inhibitor	Painful diabetic peripheral neuropathy	US Phase-II	Oral	In-house	
		Alzheimer's disease	US Phase-I			

## (2) Phase-III / Phase-II (4/4)

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
CK-2127107	Fast skeletal tropoinin activator	Spinal muscular atrophy	US Phase-II	Oral	Cytokinetics	
		Chronic obstructive pulmonary disease	US Phase-II			
ASP7962	TrkA inhibitor	Osteoarthritis	Europe Phase-II	Oral	In-house	
AGS-16C3F	ADC targeting ENPP3	Renal cell carcinoma (ADC technology)	US/Europe Phase-II	Injection	In-house (ADC technology in-licensed from Seattle Genetics)	
<u>RPE cell program</u>	<u>Cell therapy (Retinal pigment epithelium cell)</u>	<u>Dry age-related macular degeneration, Stargardt's macular degeneration</u>	<u>US Phase-II</u>	<u>Injection</u>	<u>In-house (Astellas Institute for Regenerative Medicine*)</u>	

\*Formerly known as Ocata Therapeutics

## 2. Local Development: Japan

### (1) Approved

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Licensor	Remarks
<u>ASP1585</u> (AMG 223) <u>bixalomer</u>	<u>Kiklin capsule</u> (Feb. 2016)	Amine-functional polymer	<u>Hyperphosphatemia</u> <u>in patients</u> <u>with chronic kidney disease*</u>	Japan	Oral	Amgen	New indication

\* Previous indication was hyperphosphatemia in patients on dialysis with chronic kidney disease.  
The label was extended to include hyperphosphatemia in patients not receiving dialysis.

### (2) Filed

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	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 on dialysis with chronic kidney disease (granule formulation)	Japan Filed (Sept. 2015)	Oral	Amgen	New formulation
<u>ASP0456</u> <u>linaclotide</u>	Guanylate cyclase-C receptor agonist	Irritable bowel syndrome with constipation	<u>Japan Filed</u> (Feb. 2016)	Oral	Ironwood	

## (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)	
ipragliflozin/ sitagliptin	Fixed dose combination of ipragliflozin and sitagliptin	Type 2 diabetes mellitus	Japan Phase-III	Oral	In-house (co-development with MSD and Kotobuki)	
ASP0456 linaclotide	Guanylate cyclase-C receptor agonist	Chronic constipation	Japan Phase-II	Oral	Ironwood	New indication
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. Phase-I

<b>Code No. Generic Name</b>	<b>Target Disease</b>	<b>Dosage Form</b>	<b>Licensor</b>
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
AGS67E	Cancer (ADC technology)	Injection	In-house (ADC technology in-licensed from Seattle Genetics)
ASP2205	Stress urinary incontinence	Oral	In-house
ASP5094	Rheumatoid arthritis	Injection	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	Injection	Immunomic Therapeutics
ASP0819	Fibromyalgia	Oral	In-house
ASP8062	Fibromyalgia	Oral	In-house
ASP7398	Nocturia	Oral	In-house
ASP6294	Bladder pain syndrome / Interstitial cystitis	Injection	In-house
ASP7266	Severe asthma	Injection	In-house

#### 4. Discontinued

##### (1) Phase-I

<b>Code No.</b>	<b>Target Disease</b>
ASP6858	Chronic kidney disease

##### (2) Discontinued in a part of indication

<b>Code No.</b>	<b>Target Disease</b>	<b>Area / Phase</b>
ASP1707	Prostate cancer	Europe Phase-I

#### 5. Other items changed from the previous quarterly announcement on January 29, 2016

-Removed the description of Repatha (evolocumab), which was launched in April 2016 in Japan.