

R&D Pipeline (As of May 2015)

1. Global Development

(1) Approved

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

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Licensor*	Remarks
erlotinib	Tarceva (Mar. 2015)	HER1/EGFR tyrosine kinase inhibitor	Pediatric ependymoma	US	Oral	In-house (co- development with Roche/Genentech)	The data was submitted to the FDA to fulfill Pediatric Written Request and the pediatric exclusivity was granted. Pediatric ependymoma is not a new indication.

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

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

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

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 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			
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	

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

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
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			
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			

2. Local Development: Japan

(1) 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	
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
YM060 ramosetron	5-HT3 receptor antagonist	Irritable bowel syndrome with diarrhea in female patients	Japan Filed (Jul. 2014)	Oral	In-house	New indication
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)	

(2) Phase-III / Phase-II

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensors	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
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	Licensor	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) Approved

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Licensor	Remarks
isavuconazonium sulfate	CRESEMBA (Mar. 2015)	Azole antifungal	Treatment of invasive aspergillosis and invasive mucormycosis in patients 18 years of age and older	US	Injection Oral	Basilea	

(2) Phase-III / Phase-II

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	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	Licensor
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)
CK-2127107	Skeletal muscle disease	Oral	Cytokinetics
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

6. Project Discontinued / Removal from Pipeline List

(1) Filed / Phase-II

Code No. Generic Name	Target Disease	Area / Phase	Reason
nateglinide	Type 2 diabetes Combination with DPP-4 inhibitor	Japan Filed (Jul. 2014)	Withdrew the NDA for strategic reasons and discontinued the development.
AMG 102 rilotumumab	Gastric cancer	Japan Phase-III	Discontinued the development following study termination.
ASP7991	Secondary hyperparathyroidism	Japan Phase-II	Discontinued the development based on Phase-II study results.

(2) Phase-I

Code No. Generic Name	Target Disease
ASP3325	Hyperphosphatemia
ASP3700	Osteoarthritis
ASP9226	Neuropathic pain
ASP7657	Diabetic nephropathy

(3) Removal from Pipeline List

Code No. Generic Name	Target Disease	Area / Phase	Remarks
AMG 337	Gastric cancer	Japan Phase-II	Removed from the pipeline list for strategic reasons based on discussion with Amgen.

<Changes from the Previous Announcement on February 2, 2015> (Changed underlined items)

Launched

-Enzalutamide: Removed the following description.

-Nitisinone: Removed the following description.

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Licensors	Remarks
MDV3100 enzalutamide	XTANDI (Dec. 2014)	Androgen receptor inhibitor	Metastatic castration-resistant prostate cancer in adult men who are asymptomatic or mildly symptomatic after failure of androgen-deprivation therapy in whom chemotherapy is not yet clinically indicated	Europe	Oral	Medivation	New indication
nitisinone	Orfadin (Dec. 2014)	4-Hydroxyphenyl pyruvate dioxygenase inhibitor	Hereditary tyrosinemia type 1	Japan	oral	Sobi	

Pediatric exclusivity granted

-Erlotinib: Pediatric exclusivity granted.

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Licensors	Remarks
erlotinib	<u>Tarceva</u> (Mar. 2015)	HER1/EGFR tyrosine kinase inhibitor	Pediatric ependymoma	US	Oral	In-house (co-development with Roche/ Genentech)	The data was submitted to the FDA to fulfill Pediatric Written Request <u>and the</u> <u>pediatric exclusivity was</u> <u>granted.</u> Pediatric ependymoma is not a new indication.

Approved

-Isavuconazonium sulfate: Approved in US in March 2015.

Code No. Generic Name	Product Name (Approval Date)	Classification	Target Disease	Area	Dosage Form	Licensor	Remarks
isavuconazonium sulfate	<u>CRESEMBA</u> (Mar. 2015)	Azole antifungal	<u>Treatment of invasive aspergillosis and invasive mucormycosis in patients 18 years of age and older</u>	US	Injection Oral	Basilea	

Filed

-Bixalomer: Filed in Japan in March 2015.

-Evolocumab: Filed in Japan in March 2015.

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
ASP1585 (AMG 223) bixalomer	Amine-functional polymer	Hyperphosphatemia in patients not on dialysis with chronic kidney disease	<u>Japan Filed</u> (Mar. 2015)	Oral	Amgen	New indication
AMG 145 evolocumab	PCSK9 inhibitor	Hypercholesterolemia	<u>Japan Filed</u> (Mar. 2015)	Injection	Amgen (co-development with Amgen Astellas)	

Phase-III / Phase-II

-Enzalutamide: Entered into Phase-II in hepatocellular carcinoma.

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensor	Remarks
MDV3100	Androgen receptor inhibitor	<u>Hepatocellular carcinoma</u>	<u>US/Europe</u> <u>Phase-II</u>	Oral	Medivation	New indication

-ASP2215: Entered into Phase-III in acute myeloid leukemia and Phase-I in non-small cell lung cancer.

-ASP8273: Added the description of Asia.

-ASP3662: Entered into Phase-II.

-Ipragliflozin: Entered into Phase-II.

Code No. Generic Name	Classification	Target Disease	Area / Phase	Dosage Form	Licensors	Remarks
ASP2215	FLT3/AXL inhibitor	<u>Acute myeloid leukemia</u>	<u>US/Europe/ Japan/Asia Phase-III</u>	Oral	In-house	
		<u>Non-small cell lung cancer</u>	<u>US/Japan/Asia Phase-I</u>			
ASP8273	Mutant-selective irreversible EGFR inhibitor	Non-small cell lung cancer	<u>Japan/Asia Phase-II US Phase I</u>	Oral	In-house	
ASP3662	<u>11beta-HSD1 inhibitor</u>	<u>Painful diabetic peripheral neuropathy</u>	<u>US Phase-II</u>	Oral	In-house	
<u>ASP1941 ipragliflozin</u>	<u>SGLT2 inhibitor</u>	<u>Type 1 diabetes mellitus</u>	<u>Japan Phase-II</u>	<u>Oral</u>	<u>In-house (co-development with Kotobuki)</u>	<u>New indication</u>

Phase-I

-Blinatumomab [Acute lymphoblastic leukemia]: Entered into Phase-I.

-ASP4132 [Cancer]: Entered into Phase-I.

-ASP4345 [Cognitive impairment associated with schizophrenia]: Entered into Phase-I.

-ASP6282 [Underactive bladder]: Entered into Phase-I.

Project Discontinued / Removal from Pipeline List

- Nateglinide [Type 2 diabetes, combination with DPP-4 inhibitor]: Withdrew the NDA in Japan.
- Rilotumumab [Gastric cancer] in Phase-III in Japan: Discontinued the development.
- ASP7991 [Secondary hyperparathyroidism] in Phase-II in Japan: Discontinued the development.
- ASP3325 [Hyperphosphatemia] in Phase-I: Discontinued the development.
- ASP3700 [Osteoarthritis] in Phase-I: Discontinued the development.
- ASP9226 [Neuropathic pain] in Phase-I: Discontinued the development.
- ASP7657 [Diabetic nephropathy] in Phase-I: Discontinued the development.
- AMG 337 [Gastric cancer] in Phase-II in Japan: Removed from the pipeline list.