

## R&D Pipeline (As of July 2017)

Underlined items indicate changes from the previous announcement on April 27, 2017.

### Oncology (1/2)

\*Compounds with "In-house" in this column include ones discovered by collaborative research.

Code No. Generic Name	Classification	Target Disease	Phase / Area	Dosage Form	Licensor*	Remarks
<b>MDV3100</b> enzalutamide	Androgen receptor inhibitor	Metastatic castration-resistant prostate cancer (Tablet)	Filed (Mar. 2016) / Europe	Oral	Pfizer	New formulation
		Castration-resistant prostate cancer (Tablet)	Filed (Sept. 2016) / Japan			New formulation
		Non-metastatic castration-resistant prostate cancer	P-III / US, Europe, Asia			New indication
		Prostate cancer in patients with non-metastatic biochemical recurrence	P-III / US, Europe, Asia			New indication
		Metastatic hormone-sensitive prostate cancer	P-III / US, Europe, Japan, Asia			New indication
		Hepatocellular carcinoma	P-II / US, Europe, Asia			New indication
<b>ASP2215</b> gilteritinib	FLT3/AXL inhibitor	Acute myeloid leukemia	P-III / US, Europe, Japan, Asia	Oral	In-house	
<b>ASP3550</b> degarelix	GnRH antagonist	Prostate cancer (3-month formulation)	P-III / Japan	Injection	Ferring	New formulation
<b>AGS-16C3F</b>	ADC targeting ENPP3	Renal cell carcinoma	P-II / US, Europe	Injection	In-house (ADC technology in-licensed from Seattle Genetics)	
<b>IMAB362</b>	Anti-Claudin 18.2 monoclonal antibody	Gastroesophageal adenocarcinoma	P-II / Europe	Injection	In-house (Ganymed)	
<b>ASG-22ME</b> enfortumab vedotin	ADC targeting nectin-4	Urothelial cancer	P-II / US P-I / Japan	Injection	In-house (co-development with Seattle Genetics)	
<b>AMG 103</b> blinatumomab	Anti-CD19 BiTE antibody	Acute lymphoblastic leukemia	P-II / Japan	Injection	Amgen (co-development with Amgen Astellas)	
<b>ASG-15ME</b>		Urothelial cancer	P-I	Injection	In-house (co-development with Seattle Genetics)	

## Oncology (2/2)

\*Compounds with "In-house" in this column include ones discovered by collaborative research.

Code No. Generic Name	Classification	Target Disease	Phase / Area	Dosage Form	Licensor*	Remarks
ASP4132		Cancer	P-I	Oral	In-house	
AGS67E		Lymphoid malignancies	P-I	Injection	In-house (ADC technology in-licensed from Seattle Genetics)	
AGS62P1		Acute myeloid leukemia	P-I	Injection	In-house (ADC technology, EuCODE license from Ambrx)	

### Updates from the previous announcement (Apr. 2017):

**MDV3100 (enzalutamide):** Discontinued program for breast cancer (Phase III : Triple negative, Phase II : ER/PR positive, HER2 positive) due to the comprehensive assessment based on discussion with Pfizer including competitive landscape change, need for further diagnostic development and new Phase II data.

**ASP8273 (naquotinib):** Discontinued Phase III program for non-smal cell lung cancer due to the comprehensive assessment of patient's benefit and risks following the independent data monitoring committee's recommendation.

**ASP5878:** Discontinued Phase I program for cancer.

## Urology and Nephrology

\*Compounds with "In-house" in this column include ones discovered by collaborative research.

Code No. Generic Name	Classification	Target Disease	Phase / Area	Dosage Form	Licensors*	Remarks
YM905 solifenacin	Muscarine M <sub>3</sub> receptor antagonist	Neurogenic detrusor overactivity in pediatric patients	Filed (Feb. 2017) / US Filed (Apr. 2017) / Europe	Oral	In-house	New indication (pediatric)
EB178 solifenacin/ mirabegron	Combination therapy of solifenacin and mirabegron	Overactive bladder with symptoms of urge urinary incontinence, urgency, and urinary frequency	<u>Filed (Jun. 2017) / US</u>	Oral	In-house	
ASP1517 (FG-4592) roxadustat	HIF stabilizer	Anemia associated with chronic kidney disease in patients not on dialysis and on dialysis	P-III / Europe P-III / Japan	Oral	FibroGen	
YM178 mirabegron	Beta 3 receptor agonist	Neurogenic detrusor overactivity in pediatric patients	P-III / Europe	Oral	In-house	New indication (pediatric)
YM311 (FG-2216)	HIF stabilizer	Renal anemia	P-II / Europe P-I / Japan	Oral	FibroGen	
ASP8232	VAP-1 inhibitor	Diabetic nephropathy	P-II / Europe	Oral	In-house	
ASP6294	Nerve Growth Factor (NGF) neutralization antibody	Bladder pain syndrome / Interstitial cystitis	P-II / Europe	Injection	In-house	
ASP6282		Underactive bladder	P-I	Oral	In-house	
ASP7398		Nocturia	P-I	Oral	In-house	
ASP8302		Underactive bladder	P-I	Oral	In-house	
ASP7713		Underactive bladder	P-I	Oral	In-house	

### Update from the previous announcement (Apr. 2017):

**EB178 (solifenacin/mirabegron):** Filed application for combination use of solifenacin and mirabegron in US in June 2017.

## Immunology and Neuroscience

\*Compounds with "In-house" in this column include ones discovered by collaborative research.

Code No. Generic Name	Classification	Target Disease	Phase / Area	Dosage Form	Licensors*	Remarks
<b>FK949E</b> <b>quetiapine</b>	Serotonin / dopamine antagonist	Improvement of depressive symptoms associated with bipolar disorder (Extended-release tablet)	<u>Approved (Jul. 2017) / Japan</u>	Oral	AstraZeneca	
<b>FK506</b> <b>tacrolimus</b>	Immunosuppressant	Prevention of rejection after organ transplantation ( <u>Granule formulation in pediatric use</u> )	<u>Filed (Jul. 2017) / US</u>	Oral	<u>In-house</u>	<u>New formulation</u>
<b>ASP015K</b> <b>peficitinib</b>	JAK inhibitor	Rheumatoid arthritis	P-III / Japan, Asia P-II / US, Europe	Oral	In-house	
<b>ASKP1240</b> <b>bleseelumab</b>	Anti-CD40 monoclonal antibody	Recurrence of focal segmental glomerulosclerosis in de novo kidney transplant recipients	P-II / US	Injection	Kyowa Hakko Kirin	
<b>ASP1707</b>	GnRH antagonist	Rheumatoid arthritis	P-II / Japan	Oral	In-house	
<b>ASP7962</b>	TrkA inhibitor	Osteoarthritis	P-II / Europe	Oral	In-house	
<b>ASP8062</b>	GABA <sub>B</sub> receptor positive allosteric modulator	Fibromyalgia	P-II / US	Oral	In-house	
<b>ASP0819</b>	Calcium <sup>2+</sup> -activated K <sup>+</sup> channel opener	Fibromyalgia	P-II / US	Oral	In-house	
<b>ASP4070</b> <b>(JRC2-LAMP-vax)</b>	DNA vaccine for Japanese red cedar	Pollinosis caused by Japanese red cedar	P-II / Japan	Injection	Immunomic Therapeutics	
<b>ASP5094</b>	<u>Anti-alpha-9 integrin monoclonal antibody</u>	Rheumatoid arthritis	<u>P-II / Japan</u>	Injection	In-house	
<b>ASP4345</b>		Cognitive impairment associated with schizophrenia	P-I	Oral	In-house	
<b>ASP0892</b>		Peanut allergy	P-I	Injection	Immunomic Therapeutics	
<b>ASP1807 (CC8464)</b>		Neuropathic pain	P-I	Oral	Chromocell	
<b>ASP6981</b>		<u>Cognitive impairment associated with schizophrenia</u>	<u>P-I</u>	<u>Oral</u>	<u>In-house</u>	

### Updates from the previous announcement (Apr. 2017):

**FK949E (quetiapine):** Approved for improvement of depressive symptoms associated with bipolar disorder (extended-release tablet) in US in July 2017.

**FK506 (tacrolimus):** Filed application for prevention of rejection after organ transplantation (granule formulation in pediatric use) in US in July 2017.

**ASP3662:** Discontinued Phase II program for agitation associated with Alzheimer's disease due to the comprehensive consideration including strategic prioritization.

**ASP5094:** Progressed clinical development for rheumatoid arthritis from Phase I to Phase II.

**ASP6981:** Initiated clinical development for cognitive impairment associated with schizophrenia.

**ASP7266:** Discontinued Phase I program for severe asthma.

Others

\*Compounds with "In-house" in this column include ones discovered by collaborative research.

Code No. Generic Name	Classification	Target Disease	Phase / Area	Dosage Form	Licensors*	Remarks
<b>AMG 785</b> <b>romosozumab</b>	Anti-Sclerostin monoclonal antibody	Osteoporosis for those at high risk of fracture	Filed (Dec. 2016) / Japan	Injection	Amgen (co-development with Amgen Astellas)	
<b>ipragliflozin/sitagliptin</b>	Fixed dose combination of ipragliflozin and sitagliptin	Type 2 diabetes	<u>Filed (May. 2017) / Japan</u>	Oral	In-house (co-development with MSD and Kotobuki)	
<b>ASP1941</b> <b>ipragliflozin</b>	SGLT2 inhibitor	Type 1 diabetes	P-III / Japan	Oral	In-house (co-development with Kotobuki)	New indication
<b>fidaxomicin</b>	Macrocyclic antibiotic	Infectious enteritis (bacterial target: <i>Clostridium difficile</i> )	P-III / Japan	Oral	Merck	
		<i>Clostridium difficile</i> infection in pediatric patients	P-III / Europe			New indication (pediatric)
<b>ASP0456</b> <b>linaclotide</b>	Guanylate cyclase-C receptor agonist	Chronic constipation	P-III / Japan	Oral	Ironwood	New indication
<b>ASP0113</b> <b>(VCL-CB01)</b>	DNA vaccine for cytomegalovirus	Cytomegalovirus reactivation in hematopoietic cell transplant recipients	P-III / US, Europe, Japan	Injection	Vical	
<b>ESN364</b> <b>fezolinetant</b>	<u>NK3 receptor antagonist</u>	<u>Menopause-related vasomotor symptoms</u>	<u>P-II / US</u>	<u>Oral</u>	<u>In-house (Ogeda)</u>	
<b>ASP1707</b>	GnRH antagonist	Endometriosis	P-II / Europe, Japan	Oral	In-house	
<b>CK-2127107</b>	Fast skeletal troponin activator	Spinal muscular atrophy	P-II / US	Oral	Cytokinetics	
		Chronic obstructive pulmonary disease	P-II / US			
		Amyotrophic lateral sclerosis	P-II / US			
<b>ASP7317</b> <b>RPE cell program</b>	Cell therapy (Retinal pigment epithelium cell)	Dry age-related macular degeneration, Stargardt's macular degeneration	P-II / US	Injection	In-house (Astellas Institute for Regenerative Medicine)	
<b>MA-0211</b>		<u>Duchenne muscular dystrophy</u>	<u>P-I</u>	<u>Oral</u>	<u>Option agreement with Mitobridge</u>	

**Updates from the previous announcement (Apr. 2017):**

**ipragliflozin/sitagliptin:** Filed application for fixed dose combination of ipragliflozin and sitagliptin in May 2017.

**ESN364 (fezolinetant):** Added to the pipeline list per completion of acquisition.

**MA-0211:** Initiated clinical development for Duchenne muscular dystrophy.