

Main R&D Activities① (November 8 , 2011 Release)



Ph IIb Application submitted

*Changes from the previous announcement
(July 29, 2011)

Stage		Compound/ Code	Therapy area/Action	Origin	Features	Comments
Japan	Overseas					
Ph III (12/2009)		Pentasa (tablet)	Ulcerative colitis	Ferring Pharmaceuticals	New dosage regimen for ulcerative colitis in the remission phase (once a day)	
Ph III (11/2010)		Pentasa (suppository)	Ulcerative colitis	Ferring Pharmaceuticals	Consideration of a new dosage form for the active phase of ulcerative colitis (once a day)	*Development of a new dosage form
Ph III (8/2010)	(US) SkyePharma : Application submitted (3/2009) (Europe) Mundipharma : Application submitted (3/2010)	KRP-108 (Inhalant)	Anti- asthmatic	SkyePharma PLC	An ICS/LABA combination product, which offers better compliance and convenience to the patients	*License agreement with SkyePharma (4/2008) *Domestic Ph II completed (4/2010)
Ph II (2/2008)	Ph II (9/2007)	KRP-104	Anti- diabetes agent	In-house	A DPPIV inhibitor to reduce blood glucose through suppression of the degradation of insulin-releasing hormone. Diabetic therapy with fewer side effects is expected than existing treatments.	*Overseas Ph II b completed (3/2011) *Domestic Ph II b completed (3/2010)

Main R&D Activities② (November 8 , 2011 Release)



POC Project (Pre-clinical ~ Ph II)

*Changes from the previous announcement (July 29, 2011)

Stage		Compound/ Code	Therapy area/Action	Origin	Features	Comments
Japan	Overseas					
Ph I (12/2010)	Ph II (POC) (12/2010) (Novartis)	KRP-203	Transplantation, autoimmune diseases,and IBD	In-house	An immunosuppressant with a novel mechanism called an S1P-agonist. It may have a better safety profile than previous ones as well as an excellent effect under concomitant use with other types of immunomodulator.	License agreement with Novartis (2/2006) New license agreement IBD (11/2010)
	Ph I (8/2010)	KRP-110	Opioid-induced constipation and intractable pruritus	In-house	A highly selective μ -opioid receptor antagonist. It is expected to block constipation induced by opioid analgesics without interrupting the analgesic effect of opioids. It is orally effective in various itching models, indicating potential of a novel anti-itch drug for intractable pruritus.	
Ph II *(8/2011)	Ph III Merz	KRP-209	Tinnitus	Merz	KRP-209 (Neramexane) is expected to improve the patients' annoyance and difficulties in their life caused by tinnitus, mainly through its two pharmacological properties: 1) NMDA antagonistic activity and 2) Nicotinic acetylcholine antagonistic activity	License agreement with Merz (11/2009) Merz:Ph I clinical trial of Japanese patients in US completed (3/2010)
Preparing for clinical trials	(Europe) Almirall : Preparing for application (US) Forest Pharmaceuticals : Preparing for application	KRP-AB1102 (Inhaled drug)	Chronic Obstructive Pulmonary Disease (COPD)	Almirall	This bronchodilating agent has an acetylcholine receptor antagonist action that offers long-lasting improvement for breathing difficulty and shortness of breath associated with COPD. ①Fewer systemic side effects ②Twice-daily dosage offers a full-day improvement in symptoms and respiratory function ③Short time required for the maximum effect	License agreement with Almirall (2/2011)
Ph I *(8/2011)		KRP-AM1977X (Oral agent)	New quinolone synthetic antibacterial agent	In-house	①Superior ability to combat drug-resistant gram-positive bacteria (incl. MRSA) ②Outstanding ADME (oral absorption, tissue migration) ③High degree of safety expected since safety hurdles cleared prior to clinical trials	
Ph I preparations		KRP-AM1977Y (Injection)	New quinolone synthetic antibacterial agent	In-house		

Main R&D Activities③ (November 8 , 2011 Release)



Licensing Development

Stage	Compound/Code	Licensee/Collaborative research	Therapy area/Action	Origin	Comments
Application submitted (3/2011)	Alphagan /AlphaganP	Senju Pharmaceuticals	Glaucoma	Allergan (US)	<ul style="list-style-type: none"> •Licensed from Allergan (Cross license of gatifloxacin ophthalmic solution) •License-out to Senju (5/2004)
Overseas Ph II (8/2005)	Ketas	MediciNova (US)	Cerebrovascular disorders	In-house	<ul style="list-style-type: none"> •KYORIN grants MediciNova an exclusive license in all countries worldwide except for Japan, China, South Korea and Taiwan to develop, manufacture and sell the compound and products for the multiple sclerosis indication (10/2004) Result of Ph II was reported in April 2008
Overseas Ph III (Anti-bronchial Asthma: 11/2006) Overseas Ph II/III (Interstitial cystitis: 5/2005)	KCA-757	MediciNova (US)	Bronchial asthma and interstitial cystitis	In-house	<ul style="list-style-type: none"> •KYORIN grants MediciNova an exclusive license in all countries worldwide except for Japan, China, South Korea and Taiwan to develop and sell the compound and products •Interstitial cystitis: Result of Ph II/III was reported in January 2007 and development ceased •Bronchial asthma: Clinical trial overseas was discontinued.
Overseas Ph II (POC) (12/2010)	KRP-203	Novartis (Switzerland)	Transplantation, autoimmune, and IBD*	In-house	<ul style="list-style-type: none"> •Kyorin grants the right to develop and commercialize KRP-203 worldwide for use as an immunosuppressant in organ transplants, and right to develop and commercialize KRP-203 worldwide except in Japan, Korea, China and Taiwan for the treatment of autoimmune diseases and other diseases (February 2006) •New license agreement IBD (November 2010)