Masitinib -- targeted therapy for cancers, inflammatory diseases and neurological indications

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In new research published in the open-access, peer-reviewed scientific journal *PLoS ONE*, Dr Patrice Dubreuil and colleagues characterise the pharmacological profile of masitinib (AB1010), a novel tyrosine kinase inhibitor (TKI) that targets the stem cell factor (KIT), PDGFR and Lyn.

Masitinib is the active pharmacological ingredient of the first ever registered veterinary anticancer drug, Masivet® (1). The main cellular targets of masitinib are mast cells, meaning this drug also has many potential non-oncology applications. Indeed, Masivet® is in phase II/III trials for canine atopic dermatitis, inflammatory bowel disease and arthritis; as well as feline asthma. Additionally, promising results have been reported from human clinical trials of masitinib in rheumatoid arthritis (2), asthma (3) and mastocytosis.

Patrice Dubreuil from INSERM worked with scientists from several French research institutes and hospitals to carry out this work. He said, "This study shows that masitinib targets cell receptors known to be involved in various disease processes but due to its selectivity profile, it does not affect those associated with toxicity. In vitro, masitinib had greater activity and selectivity against KIT than the benchmark TKI, imatinib. Masitinib also more strongly inhibited mast cell degranulation, cytokine production, and migration than imatinib. In vivo, we show that masitinib can block tumour growth in mice".

Alain Moussy from AB Science, a pharmaceutical company which is developing masitinib for multiple indications in human and animal medicine, commented, "This is an important paper for us, being the cornerstone publication of masitinib's inhibitory profile". Speaking about the drug's future development, he said, "Masitinib is in numerous phase II/III clinical trials for both human and veterinary medicine. We anticipate that masitinib will be effective for the treatment of KIT and PDGFR-dependent diseases, which include various cancers, inflammatory diseases, and neurological indications, and that it will have a better safety profile, especially regarding cardiotoxicity and carcinogenicity, than other KIT inhibitors."

References:

(1) *J Vet Intern Med* 2008; 22: 1301-1309.

(2) *Arthritis Res Ther* 2009; 11:R95 doi:10.1186/ar2740.

(3) *Allergy* 2009; 64: 1194-1201.

• Dubreuil P, Letard S, Ciufolini M, Gros L, Humbert M, et al. (2009) Masitinib (AB1010), a Potent and Selective Tyrosidoi:10.1371/journal.pone.0007258T. PLoS ONE 4(9): e7258. doi:10.1371/journal.pone.0007258

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