

## Technology information form

Technology title
Novel site-specific PEGylated interferon-alpha (P1101) for treatment of Hepatitis B and C
Summary description of technology
Third generation long-acting, site-specific PEGylated interferon-alpha, having one predominate positional isomer, offering a longer half-life and therefore requiring a less frequent administration regime. The new design also results in an improvement in production yields.
Development status
Early stage <input type="checkbox"/> Preclinical <input checked="" type="checkbox"/> Phase I <input type="checkbox"/> Phase II <input type="checkbox"/> Phase III <input type="checkbox"/> Phase IV <input type="checkbox"/> Preregistration <input type="checkbox"/> Registered <input type="checkbox"/>
Full description (Less than 400 words)
<p>PharmaEssentia's third-generation PEGylated alpha interferon P1101 (40K) is aimed at improving the product quality of existing PEGylated alpha interferon on the market. Existing PEGylated alpha interferon has many disadvantages, including being mixtures of positional isomers that vary from lot to lot, random dissociation of each isomer that could lead to non-predictable dose quantities, and inconsistencies in the stability of covalent linkages between PEG and the protein.</p> <p>PEGINTRON<sup>®</sup> (12K) and PEGASYS<sup>®</sup> (40K) are two forms of commercially available PEGylated alpha interferon that are mixtures of 14 and 8 positional isomers respectively. Positional isomers resulted from non-site-specific conjugation of PEG and the protein created a heterogonous population. These PEG moieties may not bind with the same stability in some locations as others. Therefore, the randomness in attachment and dissociation may affect the pharmacokinetics and thus make dosing unpredictable. This could further lead to complication in the regulatory approval process. Also, based on the prodrug concept, PEGINTRON<sup>®</sup> has carbamate linkage, while PEGASYS<sup>®</sup> has amide linkage between PEG and the protein. However, it has been documented that reductive alkylation was found to be far more stable than having an amide or carbamate linkage.</p>

PharmaEssentia has overcome the above problems of existing PEGylated alpha interferon in three revolutionary ways: (1) Novel PEG with amine linkage to alpha interferon; (2) Site-directed PEGylation; (3) Conservatively modified alpha interferon. PharmaEssentia has developed a series of novel PEG molecules and designed a specific amine linkage between PEG and alpha interferon. PharmaEssentia has developed a series of novel PEG molecules and has designed a specific amine linkage between PEG and alpha interferon. The amine linkage in P1101 has been the most stable form known to date. PharmaEssentia's scientists have also been able to target the attachment of PEG to the defined regions of alpha interferon (site-specific), and with only one single predominate positional isomer (>95%). The combination of site specific PEGylation and the use of amine linkage creates a far more stable PEGylated interferon and thus making dosage administration more predictable. In addition, PharmaEssentia researchers have made structural improvements on the alpha interferon resulting in higher protein production yields, and through a unique refolding process, P1101 not only has a better yield but also a longer half-life than existing PEGylated interferon. This allows for a potentially less frequent administration regime. Currently, P1101 is undergoing preclinical studies, and preliminary results show no toxicity effects associated with our novel PEG molecules. PharmaEssentia expects to file for IND in February, 2008.

Patent status and no.

Pending: PCT/US2006/062708, 50004-006P01, 50004-008P01, 50004-007P01

Type of business relationship sought

Licensing or partnering

Licensing contact

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<http://www.pharmaessentia.com/>