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| Physiologically Based Pharmacokinetic  *LEAP LOGO*  Modelling Core | | | | | | | | | | | | | | |
| **Applicant details** | | | | | | | | | | | | | | |
| **Name** | |  | | | | | | | | | | | | |
| **Address** | |  | | | | | | | | | | | | |
| **Contact details** | | Telephone | | | | | | | | | | | | |
| Email | | | | | | | | | | | | |
| **Overview/Strategy** | | | | | | | | | | | | | | |
| **Brief description of context and supporting data.** | |  | | | | | | | | | | | | |
| **Route of administration** | | | | | | | | | | | | | | |
| **Expected route of delivery**  (please tick more than one if relevant) | | * Subcutaneus (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Intramuscular (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Oral (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Intracellular depot (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Implant (please specify: * Other (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ | | | | | | | | | | | | |
| **Formulation** | | | | | | | | | | | | | | |
| **Type of formulation** (please tick more than one if relevant) | | * Inorganic (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Lipid-based (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Solid drug nanoparticle (please specify):\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Polymeric (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Solution: \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ * Other (please specify): \_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_\_ | | | | | | | | | | | | |
| **Characteristics** | |  | | | | | | | | | | **Not available** | | |
| Chemical composition | |  | | | | | | | | | | € | | |
| Size | |  | | | | | | | | | | € | | |
| Charge | |  | | | | | | | | | | € | | |
| **Antiretroviral physicochemical properties** | | | | | | | | | | | | | | |
| **Properties** | | **Value** | | | | | | | **Notes** | | | **Not available** | | |
| Molecular weight | |  | | | | | | |  | | | € | | |
| logP | |  | | | | | | |  | | | € | | |
| Protein binding | |  | | | | | | |  | | | € | | |
| pKa | |  | | | | | | |  | | | € | | |
| Acid/base | |  | | | | | | |  | | | € | | |
| Blood to plasma ratio | |  | | | | | | |  | | | € | | |
| Solubility (water) | |  | | | | | | |  | | | € | | |
| **Supporting pharmacology data** | | | | | | | | | | | | | | |
|  | | | **Value** | | | | | | **Notes** | | | | **Not available** | |
| Caco-2 cells apparent permeability (cm/s) | | |  | | | | | |  | | | | € | |
| Dissolution/drug release rate | | |  | | | | | |  | | | | € | |
| **Metabolism/Elimination** | | | | | | | | | | | | | | |
| **Please provide a brief description of the metabolism/elimination processes** (if known) | | | |  | | | | | | | | | | |
| **Phase I in vitro metabolism** (indicate the CYP isoform) | | | | | | | | | | | | | | |
| **Enzyme** | | | | **Km** | | | **Vmax** | | | | **Clint** | **Not available** | | |
|  | | | |  | | |  | | | |  | € | | |
|  | | | |  | | |  | | | |  | € | | |
|  | | | |  | | |  | | | |  | € | | |
| **Phase II in vitro metabolism** (indicate the metabolism enzymes) | | | | | | | | | | | | | | |
| **Enzyme** | | | | **Km** | | | **Vmax** | | | | **Clint** | **Not available** | | |
|  | | | |  | | |  | | | |  | € | | |
|  | | | |  | | |  | | | |  | € | | |
|  | | | |  | | |  | | | |  | € | | |
| **Other mechanisms of clearance (e.g. renal, MPS, RES)** | | | | | | | | | | | | | | |
| **Mechanisms** | | | | **Value** | | | | **Notes** | | | | **Not available** | | |
|  | | | |  | | | |  | | | | € | | |
|  | | | |  | | | |  | | | | € | | |
| **Pre-clinical pharmacokinetic data** (provide as relevant) | | | | | | | | | | | | | | |
| **Species** | **Route of administration** | | | | **AUC** | **Cmax** | | | | **Clearance** | | | | **Volume of distribution** |
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| **Clinical pharmacokinetic data** (provide as relevant) | | | | | | | | | | | | | | |
| **Route of administration** | | | | | **AUC** | **Cmax** | | | | **Clearance** | | | | **Volume of distribution** |
|  | | | | |  |  | | | |  | | | |  |
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