### Chemical Properties

**Product Name:** Peficitinib (ASP015K, JNJ-54781532)

**Cas No.:** 944118-01-8

**M.Wt:** 326.39

**Formula:** C18H22N4O2

**Chemical Name:** 4-(((1R,2r,3S,5s,7s)-5-hydroxyadamantan-2-yl)amino)-7H-pyrrolo[2,3-b]pyridine-5-carbimidic acid

**Canonical SMILES:** N=C(O)C1=CNC2=NC=CC2=C1N[C@]3([[H]][C@@](C4)[[H])][C[C@@]5([[H])C[C@]3([H])C[C@4(O)C5

**Solubility:** Soluble in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

### Biological Activity

**Targets:** Chromatin/Epigenetics

**Pathways:** JAK

**Description:** Peficitinib (ASP015K) is an oral Janus kinase (JAK) inhibitor. It inhibits enzyme activities of JAK1, JAK2, JAK3 and Tyk2 with IC50 values of 3.9, 5.0, 0.71 and 4.8 nmol/L, respectively. It showed moderate selectivity for JAK3 inhibition [1]. JAK family of non-receptor protein tyrosine kinases includes JAK1, JAK2, JAK3 and tyrosine kinase
JAK1, JAK2, JAK3 and Tyk2 are critically important in haematopoietic cells and immune cells [1]. Erythropoietin regulates haematopoiesis (the production of blood cells) [2]. Treatment with ASP015K inhibited the human T-cell proliferation induced by IL-2. And this effect was greater than the effect to inhibit EPO-induced human erythroleukemia cell proliferation. In human whole blood, ASP015K concentration-dependently inhibited STAT5 phosphorylation (pSTAT5) [3]. In healthy volunteers, STAT5-P was dose-dependently inhibited by ASP015K. When the doses of ASP015K were 60, 120, 200, or 300 mg, the mean peak percentage inhibitions of STAT5-P were 84%, 85%, 92%, and 93%, respectively. Plasma ASP015K inhibited STAT5-P with an EC50 value of 48 ng/mL, a shape factor (γ) of ~1.2, and an estimated Emax close to 100%. On days 1, 7, and 14, at ~2 hours after treatment with ASP015K at multiple doses, the peak of median percentage of STAT5-P inhibition appeared [4].

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.