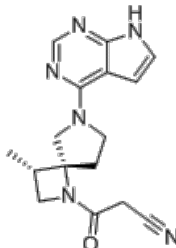


|                          |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                                                                                    |
|--------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------------------------------------------------------------------------------|
| <b>Product Name</b>      | : Delgocitinib                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |  |
| <b>Synonyms</b>          | : JTE052;JTE-052;LEO 124249;LEO124249                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                                                    |
| <b>Cat No.</b>           | : M11104                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                                                                    |
| <b>CAS Number</b>        | : 1263774-59-9                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                                                                    |
| <b>Molecular Formula</b> | : C <sub>16</sub> H <sub>18</sub> N <sub>6</sub> O                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                                                                                    |
| <b>Formula Weight</b>    | : 310.36                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                                                                    |
| <b>Chemical Name</b>     | 3-[(3S,4R)-3-methyl-6-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-1,6-diazaspiro[3.4]octan-1-yl]-3-oxopropanenitrile                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                                                                                    |
| <b>Description</b>       | Delgocitinib (JTE-052, LEO 124249) is a potent, selective, orally active, pan-JAK inhibitor with IC <sub>50</sub> of 2.8, 2.6, 13 and 58 nM for JAK1,2,3 and Tyk2, respectively; does not inhibit a broad panel of kinases with exception of ROCK-II (IC <sub>50</sub> =140 nM); inhibits cytokine signaling evoked by IL-2, IL-6, IL-23, granulocyte/macrophage CSF, and IFN-α in vitro; potently suppresses the IL-2-induced IFN-γ production in mice (ED <sub>50</sub> =1.1 mg/kg), suppresses inflammatory responses in collagen-induced arthritis model. Psoriasis Phase 2 Clinical |                                                                                    |
| <b>Pathway</b>           | : Angiogenesis                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                                                                    |
| <b>Target</b>            | : JAK                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                                                    |
| <b>Receptor</b>          | : JAK                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |                                                                                    |
| <b>Solubility</b>        | : DMSO : ≥ 58 mg/mL 186.89 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |                                                                                    |
| <b>SMILES</b>            | : N#CCC(N1C[C@H](C)[C@]12CN(C3=C4C(NC=C4)=NC=N3)CC2)=O                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |                                                                                    |
| <b>Storage</b>           | : (-20°C)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                                                                                    |
| <b>Stability</b>         | : ≥ 2 years                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                                                                    |
| <b>Reference</b>         | :                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                                                                                    |

1. Tanimoto A, et al. Inflamm Res. 2015 Jan;64(1):41-51. | 2. Amano W, et al. J Allergy Clin Immunol. 2015 Sep;136(3):667-677.e7. | 3. Tanimoto A, et al. BMC Musculoskelet Disord. 2015 Nov 6;16:339.