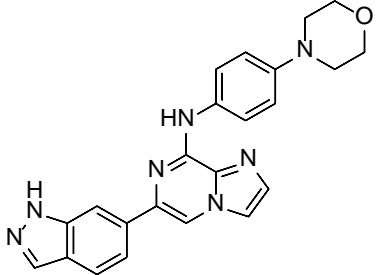


# Product data sheet



|  |   |   |
|--|---|---|
| MedKoo Cat#: 206107<br>Name: Entospletinib<br>CAS#: 1229208-44-9<br>Chemical Formula: C <sub>23</sub> H <sub>21</sub> N <sub>7</sub> O<br>Exact Mass: 411.1808<br>Molecular Weight: 411.46 |  |   |
| Product supplied as:   |   | Powder  |
| Purity (by HPLC):  |   | ≥ 98%   |
| Shipping conditions  |   | Ambient temperature   |
| Storage conditions:  |   | Powder: -20°C 3 years; 4°C 2 years.<br>In solvent: -80°C 3 months; -20°C 2 weeks. |

## 1. Product description:

Entospletinib, also known as GS-9973, is a highly selective and orally efficacious Syk inhibitor which is currently undergoing clinical evaluation for autoimmune and oncology indications. In Phase II clinical trials, Entospletinib demonstrates clinical activity in subjects with relapsed or refractory CLL with acceptable toxicity.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

| Solvent                 | Max Conc. mg/mL | Max Conc. mM |
|-------------------------|-----------------|--------------|
| DMF                     | 10.0            | 24.30        |
| DMSO                    | 34.50           | 83.85        |
| DMSO:PBS (pH 7.2) (1:2) | 0.30            | 0.73         |

## 4. Stock solution preparation table:

| Concentration / Solvent Volume / Mass | 1 mg    | 5 mg     | 10 mg    |
|---------------------------------------|---------|----------|----------|
| 1 mM                                  | 2.43 mL | 12.15 mL | 24.30 mL |
| 5 mM                                  | 0.49 mL | 2.43 mL  | 4.86 mL  |
| 10 mM                                 | 0.24 mL | 1.22 mL  | 2.43 mL  |
| 50 mM                                 | 0.05 mL | 0.24 mL  | 0.49 mL  |

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Series J, Ribes A, Garcia C, Souleyreau P, Bauters A, Morschhauser F, Jürgensmeier JM, Sié P, Ysebaert L, Payrastre B. Effects of novel Btk and Syk inhibitors on platelet functions alone and in combination in vitro and in vivo. *J Thromb Haemost.* 2020 Dec;18(12):3336-3351. doi: 10.1111/jth.15098. Epub 2020 Oct 26. PMID: 32926549.

### In vivo study

1. Poe JC, Jia W, Di Paolo JA, Reyes NJ, Kim JY, Su H, Sundry JS, Cardones AR, Perez VL, Chen BJ, Chao NJ, Cardona DM, Saban DR, Sarantopoulos S. SYK inhibitor entospletinib prevents ocular and skin GVHD in mice. *JCI Insight.* 2018 Oct 4;3(19):e122430. doi: 10.1172/jci.insight.122430. PMID: 30282825; PMCID: PMC6237454.

## 7. Bioactivity

Biological target: Entospletinib (GS-9973) is a Syk inhibitor with an IC<sub>50</sub> of 7.7 nM.

### In vitro activity

# Product data sheet



The effects of a Btk inhibitor, tirabrutinib, and a Syk inhibitor, entospletinib, were investigated alone and in combination on platelet signaling and functions in vitro. Entospletinib alone efficiently inhibited washed platelet aggregation in response to collagen. However, entospletinib only weakly affected platelet activation in platelet-rich plasma. Importantly, the combination of tirabrutinib and entospletinib induced a significant decrease in platelet response to collagen in vitro.

Reference: J Thromb Haemost. 2020 Dec;18(12):3336-3351. <https://onlinelibrary.wiley.com/doi/10.1111/jth.15098>

## In vivo activity

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Potential utility of ENTO (Entospletinib) as GVHD (graft-versus-host disease) prophylaxis was examined using a preclinical mouse model of eye and skin GVHD and ENTO-compounded chow. Early SYK inhibition improved blood immune cell reconstitution in GVHD mice and prolonged survival, with 60% of mice surviving to day +120 compared with 10% of mice treated with placebo. Compared with mice receiving placebo, mice receiving ENTO had dramatic improvements in clinical eye scores, alopecia scores, and skin scores.

Reference: JCI Insight. 2018 Oct 4;3(19):e122430. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6237454/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*