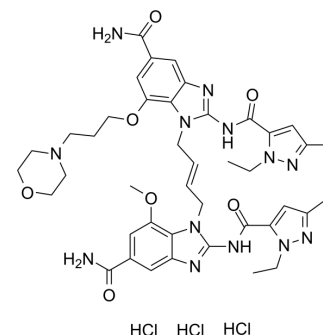


## diABZI STING agonist-1 trihydrochloride

|                           |  |
|---------------------------|--|
| <b>Cat. No.:</b>          | HY-112921B   |
| <b>CAS No.:</b>           | 2138299-34-8   |
| <b>Molecular Formula:</b> | C <sub>42</sub> H <sub>54</sub> Cl <sub>3</sub> N <sub>13</sub> O <sub>7</sub>   |
| <b>Molecular Weight:</b>  | 959.32   |
| <b>Target:</b>            | STING  |
| <b>Pathway:</b>           | Immunology/Inflammation  |
| <b>Storage:</b>           | 4°C, sealed storage, away from moisture<br>* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture) |



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 90 mg/mL (93.82 mM; Need ultrasonic)  
H<sub>2</sub>O : 25 mg/mL (26.06 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent Concentration | Mass      |           |            |
|---------------------------|-----------------------|-----------|-----------|------------|
|                           |                       | 1 mg      | 5 mg      | 10 mg      |
|                           | 1 mM                  | 1.0424 mL | 5.2120 mL | 10.4241 mL |
|                           | 5 mM                  | 0.2085 mL | 1.0424 mL | 2.0848 mL  |
|                           | 10 mM                 | 0.1042 mL | 0.5212 mL | 1.0424 mL  |

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: PBS  
Solubility: 33.33 mg/mL (34.74 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (2.17 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.08 mg/mL (2.17 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.08 mg/mL (2.17 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

diABZI STING agonist-1 (trihydrochloride) is a selective stimulator of interferon genes (STING) receptor agonist, with EC<sub>50</sub>s of 130, 186 nM for human and mouse, respectively.

#### IC<sub>50</sub> & Target

STING<sup>[1]</sup>.

#### In Vitro

diABZI STING agonist-1 is a selective stimulator of interferon genes (STING) receptor agonist, with EC<sub>50</sub>s of 130, 186 nM for

human and mouse, respectively. At a concentration of 1  $\mu$ M, diABZI STING agonist-1 (compound 3) demonstrates high selectivity against more than 350 kinases tested<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

diABZI STING agonist-1 trihydrochloride (subcutaneous injection; 2.5 mg/kg) induces STING-dependent activation of type-I interferon and pro-inflammatory cytokines in vivo<sup>[1]</sup>.

diABZI STING agonist-1 trihydrochloride (intravenous injection; 3 mg/kg) exhibits systemic exposure with a half-life of 1.4 h and achieves systemic concentrations greater than the half-maximal effective concentration (EC<sub>50</sub>) for mouse STING (200 ng/ml)<sup>[1]</sup>.

diABZI STING agonist-1 trihydrochloride (intravenous injection; 1.5 mg/kg; days 1, 4 and 8; 43 days) results in significant tumour growth inhibition and significantly improves survival (P < 0.001) with 8 out of 10 mice remaining tumor free at the end of the study on day 43<sup>[1]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

|               |   |
|---------------|---|
| Animal Model: | Wild and Sting <sup>-/-</sup> C57Blk6 mice <sup>[1]</sup> |
|---------------|---|

|         |           |
|---------|-----------|
| Dosage: | 2.5 mg/kg |
|---------|-----------|

|                 |                                   |
|-----------------|-----------------------------------|
| Administration: | Subcutaneous injection; 2.5 mg/kg |
|-----------------|-----------------------------------|

|         |   |
|---------|---|
| Result: | Activated secretion of IFN $\beta$ , IL-6, TNF, and CXCL1 in wild-type but not Sting <sup>-/-</sup> mice. |
|---------|---|

|               |   |
|---------------|---|
| Animal Model: | Syngeneic mouse model of colorectal tumours (CT-26) in BALB/c mice <sup>[1]</sup> |
|---------------|---|

|         |         |
|---------|---------|
| Dosage: | 3 mg/kg |
|---------|---------|

|                 |                                |
|-----------------|--------------------------------|
| Administration: | Intravenous injection; 3 mg/kg |
|-----------------|--------------------------------|

|         |  |
|---------|--|
| Result: | Exhibited a half-life of 1.4 hours and achieved systemic concentrations greater than EC <sub>50</sub> for mouse STING (200 ng/ml). |
|---------|--|

|               |   |
|---------------|---|
| Animal Model: | Syngeneic mouse model of colorectal tumours (CT-26) in BALB/c mice <sup>[1]</sup> |
|---------------|---|

|         |           |
|---------|-----------|
| Dosage: | 1.5 mg/kg |
|---------|-----------|

|                 |   |
|-----------------|---|
| Administration: | Intravenous injection; 1.5 mg/kg; 43 days |
|-----------------|---|

|         |   |
|---------|---|
| Result: | Resulted in significant tumour growth inhibition and improved survival. |
|---------|---|

#### CUSTOMER VALIDATION

- Cell Res. 2022 Dec;32(12):1086-1104.
- Nat Nanotechnol. 2021 Sep 30.
- Protein Cell. 2021 Oct 22;1-21.
- Mol Cell. 2023 Apr 14;S1097-2765(23)00243-5.
- Proc Natl Acad Sci U S A. 2023 Jan 31;120(5):e2213777120.

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## REFERENCES

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[1]. Ramanjulu JM, et al. Design of amidobenzimidazole STING receptor agonists with systemic activity. Nature. 2018 Nov 7.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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