

## Product Data Sheet

Product Name: CL264  
 Cat. No.: GC39361

### Chemical Properties

Cas. No. 1510712-69-2

SMILES O=C(O)CNC(C1=CC=C(CN2C(NC3=C(N)N=C(NCCCC)N=C23)=O)C=C1)=O

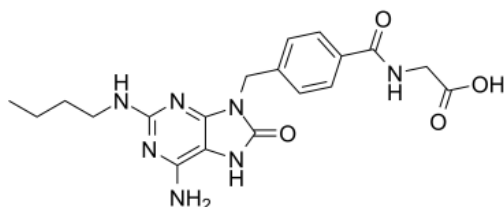
Formula  $C_{19}H_{23}N_7O_4$  M.Wt 413.43

Solubility DMSO : 25 mg/mL (60.47 mM; Need ultrasonic);  $H_2O$  : < 0.1 mg/mL (insoluble) 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.

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

Structure



### Protocol

#### Cell experiment [1]:

|            |             |
|------------|-------------|
| Cell lines | CAL-1 cells |
|------------|-------------|

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

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|                               |                                                                                                                                                                                                                                                     |
|-------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Preparation Method            | CAL-1 cells were stimulated with the TLR7 ligand CL264. The reagents were diluted in culture medium and added to the cell suspension in the wells of the 96- or 24-well plates. Vehicle and nonstimulatory Poly-A RNA (2µg/mL) was used as control. |
| Reaction Conditions           | 0.5-10µg/mL; 1-12h                                                                                                                                                                                                                                  |
| Applications                  | CL264 promotes the secretion of TNF-α, IL-6, and IFN-β in CAL-1 cells in a dose- and concentration-dependent manner.                                                                                                                                |
| <b>Animal experiment [2]:</b> |                                                                                                                                                                                                                                                     |
| Animal models                 | C57Bl/6J mice                                                                                                                                                                                                                                       |
| Preparation Method            | Cancer cells - Murine lung adenocarcinoma LLC-luc cells (1E6 in 100µl PBS/injection) were injected into the flank of recipient mice. Mice then received intra-tumor injections of CL264 at day 0, 3 and 6 after tumor grafting.                     |
| Dosage form                   | 40µg/injection; intra-tumor injections; 3 times                                                                                                                                                                                                     |
| Applications                  | Intratumoral injection of the TLR7 agonist CL264 induced a pro-tumorigenic effect.                                                                                                                                                                  |
|                               |                                                                                                                                                                                                                                                     |
|                               |                                                                                                                                                                                                                                                     |
|                               |                                                                                                                                                                                                                                                     |

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### References:

[1] Hilbert T, Steinhagen F, Weisheit C, Baumgarten G, Hoeft A, Klaschik S. Synergistic Stimulation with Different TLR7 Ligands Modulates Gene Expression Patterns in the Human Plasmacytoid Dendritic Cell Line CAL-1. *Mediators Inflamm.* 2015;2015:948540.

[2] Dajon M, Iribarren K, Petitprez F, et al. Toll like receptor 7 expressed by malignant cells promotes tumor progression and metastasis through the recruitment of myeloid derived suppressor cells. *Oncoimmunology.* 2018;8(1):e1505174.

### Background

CL264 is an adenine analog and a potent, highly specific TLR7 ligand. The binding of TLR7 to CL264 in plasmacytoid dendritic cells significantly enhances immune responses, demonstrating great potential in the treatment of cancer, allergic and infectious diseases<sup>[1]</sup>.

In vitro, CL264 (0.5-10µg/ml; 1-12h) promoted the secretion of TNF-α, IL-6, and IFN-β in CAL-1 cells in a dose- and concentration-dependent manner<sup>[1]</sup>. In a Lewis lung carcinoma (LLC) and bone marrow-derived macrophage (BMDM) co-culture system, CL264 (40ng/ml; 24h) stimulation of BMDMs inhibited LLC cells with IFN-γ co-stimulation<sup>[2]</sup>.

In vivo, intratumoral injection of CL264 (40µg/dose) on days 0, 3, and 6 post-tumor grafting induced a pro-tumorigenic effect in C57BL/6J mice<sup>[3]</sup>.

### References:

[1] Hilbert T, Steinhagen F, Weisheit C, Baumgarten G, Hoeft A, Klaschik S. Synergistic Stimulation with Different TLR7 Ligands Modulates Gene Expression Patterns in the Human Plasmacytoid Dendritic Cell Line CAL-1. *Mediators Inflamm.* 2015;2015:948540.

[2] Müller E, Christopoulos PF, et al. Toll-Like Receptor Ligands and Interferon-γ Synergize for Induction of Antitumor M1 Macrophages. *Front Immunol.* 2017 Oct 26;8:1383.

[3] Dajon M, Iribarren K, Petitprez F, et al. Toll like receptor 7 expressed by malignant cells promotes tumor progression and metastasis through the recruitment of myeloid derived suppressor cells.

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