

Product Data Sheet

Product Name: Inupadenant

Cat. No.: GC63022

Chemical Properties

Cas. No. 2246607-08-7

Formula $C_{25}H_{26}F_2N_8O_4S_2$

M.Wt 604.65

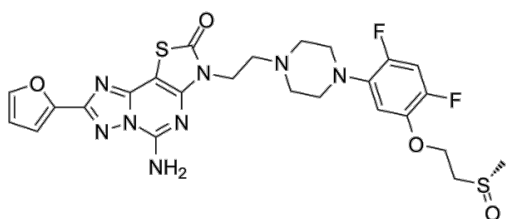
Solubility

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 Peripheral blood cells

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Peripheral blood cells were stimulated for 45min at 37°C with DMSO as control, or CGS-21680 (5µM) in the presence or in the absence of Inupadenant (10nM). After stimulation, samples were incubated at RT for 10min with PROT1 buffer and immediately transferred at -80°C. Samples were thawed for 10min in a cold Preparation Method water bath (12-14°C) and treated with Thaw/Lyse buffer. Cells were permeabilized with Phosflow Perm II buffer, stained with a solution of Brilliant Staining Buffer and relevant antibodies for 60min, washed twice and resuspended in FACS buffer before acquisition on a LSRFortessa FACS machine.

Reaction
Conditions 10nM; 45min

Applications When peripheral blood was incubated with CGS-21680, approximately 2-fold induction of CREB phosphorylation in CD4+ and CD8+ T cells, as well as in CD19+ B cells were observed. Co-incubation with Inupadenant (10nM) strongly reduced CREB phosphorylation in T and B lymphocytes.

Animal experiment [2]:

Animal models Immunocompetent syngeneic mice implanted subcutaneously with MCA205 and CT26 cells

Preparation Method After tumors reached 100-200mm³ and again at 600-700mm³, tumor interstitial fluid was collected and adenosine concentration was measured. Subsequently, the antitumor effect of Inupadenant administered orally at 0.6mg/kg with oxaliplatin 10mg/kg or doxorubicin 5mg/kg was evaluated in models with different adenosine concentration levels.

Dosage form 0.6mg/kg/d; p.o.

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Applications MCA205 tumors displayed the highest adenosine concentration with an average of 33 μ M while CT26 was considered as intermediate with an average of 6 μ M . Inupadenant , in combination with chemotherapy, demonstrated similar tumor growth inhibition in both models, regardless of the concentration of adenosine.

References:

- [1] Tieppo P, Shehade H, Martinoli C, et al. Inhibition of A2AR alleviates adenosine-mediated suppression of plasma cell differentiation. Front Immunol. 2025;16:1702402.
- [2] 34th Annual Meeting & Pre-Conference Programs of the Society for Immunotherapy of Cancer (SITC 2019): part 2 : National Harbor, MD, USA. 10 November 2019. J Immunother Cancer. 2019;7(Suppl 1):283.

Background

Inupadenant is an orally active, highly selective A2A receptor antagonist, which maintains full potency at the high adenosine levels found in tumors, exhibiting strong antitumor activity^[1-2]. Inupadenant is not brain-penetrant^[1].

In vitro, treatment with 10nM Inupadenant for 45 minutes antagonized A2AR signaling and reduced CREB phosphorylation in T and B lymphocytes^[3]. Treatment with 300nM Inupadenant for 3 days restored A2AR-mediated suppression of B cells differentiation to Antibody-Secreting Cells (ASCs), both at the level of PBs and PCs^[3]. Inupadenant has an IC₅₀ value of 0.73nM against HEK293 cells stably expressing human A2AR(HEK293-hA2AR), an IC₅₀ value of 575nM against H293-hA2B, and an IC₅₀ value of 192nM against CHO-K1-hA1^[3].

In vivo, Inupadenant (0.6mg/kg/d; p.o.), in combination with chemotherapy, demonstrated tumor growth inhibition in mouse tumor models with subcutaneous implantation of MCA205 or CT26 cells^[4].

References:

- [1] Laurence Buisseret, et al. Phase 1 trial of the adenosine A2Areceptor antagonist inupadenant (EOS-850): Update on tolerability, and antitumor activity potentially associated with the expression of

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the A2A receptor within the tumor. Journal of Clinical Oncology. Volume 39, Issue 15_suppl.

[2] The A2AR antagonist inupadenant promotes humoral responses in preclinical models. Abstract 48P. Presented at: ESMO Immuno-Oncology Congress 2024; December 2024; Geneva, Switzerland.

[3] Tieppo P, Shehade H, Martinoli C, et al. Inhibition of A2AR alleviates adenosine-mediated suppression of plasma cell differentiation. Front Immunol. 2025;16:1702402.

[4] 34th Annual Meeting & Pre-Conference Programs of the Society for Immunotherapy of Cancer (SITC 2019): part 2 : National Harbor, MD, USA. 10 November 2019. J Immunother Cancer. 2019;7(Suppl 1):283.

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