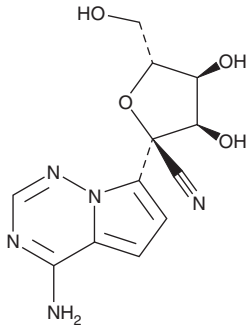
PRODUCT INFORMATION

GS-441524

Item No. 30469



CAS Registry No.:	1191237-69-0
Formal Name:	2-C-(4-aminopyrrolo[2,1-f][1,2,4]triazin-7-yl)-2,5-anhydro-D-altrononitrile
MF:	$C_{12}H_{13}N_5O_4$
FW:	291.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 247 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GS-441524 is supplied as a solid. A stock solution may be made by dissolving the GS-441524 in the solvent of choice, which should be purged with an inert gas. GS-441524 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GS-441524 in these solvents is approximately 10 mg/ml.

GS-441524 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GS-441524 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GS-441524 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GS-441524 is an antiviral nucleoside analog and a metabolite of remdesivir (Item No. 30354).[1] Upon entry into cells, GS-441524 is metabolized to an active triphosphate form that induces RNA chain termination and inhibits viral polymerases. It is active against Middle East respiratory syndrome coronavirus (MERS-CoV) and severe acute respiratory syndrome CoV (SARS-CoV) in infected primary human airway epithelial (HAE) cells (EC_{50}s = 0.86 and 0.18 µM, respectively). GS-441524 reduces viral plaque formation in SARS-CoV-2-infected Vero E6 and Calu-3 2B4 cells (EC_{50}s = 0.42 and 0.62 mM, respectively).[2] It is cytoprotective against hepatitis C virus (HCV), yellow fever virus (YFV), dengue virus type 2 (DENV-2), influenza A, and parainfluenza 3 in cell-based assays (EC_{50}s = 4.1, 11, 9.46, 27.9, and 1.71 µM, respectively).[3]

References

1. Agostini, M.L., Andres, E.L., Sims, A.C., *et al.* Coronavirus susceptibility to the antiviral remdesivir (GS-5734) is mediated by the viral polymerase and the proofreading exoribonuclease. *mBio* **9(2)**, e00221-18 (2018).
2. Pruijssers, A.J., George, A.S., Schäfer, A., *et al.* Remdesivir inhibits SARS-CoV-2 in human lung cells and chimeric SARS-CoV expressing the SARS-CoV-2 RNA polymerase in mice. *Cell Rep.* **32(3)**, 107940 (2020).
3. Cho, A., Saunders, O.L., Butler, T., *et al.* Synthesis and antiviral activity of a series of 1'-substituted 4-aza-7,9-dideazaadenosine C-nucleosides. *Bioorg. Med. Chem.* **22(8)**, 2705-2707 (2012).

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