

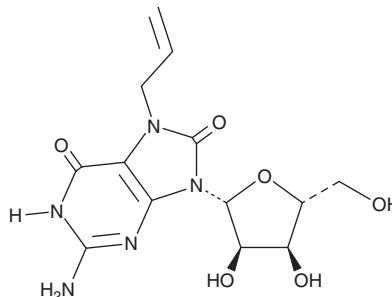
# PRODUCT INFORMATION



## Loxoribine

Item No. 21186

**CAS Registry No.:** 121288-39-9  
**Formal Name:** 7,8-dihydro-8-oxo-7-(2-propen-1-yl)-guanosine  
**Synonyms:** 7-Allyl-8-Oxoguanosine, RWJ 21757  
**MF:** C<sub>13</sub>H<sub>17</sub>N<sub>5</sub>O<sub>6</sub>  
**FW:** 339.3  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 251, 299 nm  
**Supplied as:** A crystalline 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

Loxoribine is supplied as a crystalline solid. A stock solution may be made by dissolving the loxoribine in the solvent of choice. Loxoribine is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of loxoribine in these solvents is approximately 20 and 30 mg/ml, respectively.

Loxoribine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, loxoribine should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Loxoribine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Loxoribine is a guanosine derivative and an agonist of toll-like receptor 7 (TLR7).<sup>1,2</sup> It is selective for TLR7 over other human TLRs in a cell-based reporter assay at 1 mM.<sup>2</sup> Loxoribine induces proliferation, increases the antibody response to sheep red blood cells, and activates natural killer cell activity against Yac-1 lymphoma cells in murine splenocyte cultures (EC<sub>50</sub>s = 10-50, 3-20, and 13-22 μM, respectively).<sup>1</sup> Loxoribine (250 μM) increases production of IL-12, IL-23, IL-27, and IL-10 by human monocyte-derived dendritic cells (MoDCs).<sup>3</sup> Loxoribine-treated MoDCs induce higher levels of IL-17 and IFN-γ secretion by co-cultured allogeneic CD4<sup>+</sup> T cells compared to control MoDCs. Loxoribine (120 mg/kg) reduces the number of pulmonary metastases in the B16 mouse model of melanoma, an effect enhanced by co-administration of IL-2, and exhibits adjuvant activity in mice immunized with a B16 tumor vaccine.<sup>4</sup>

### References

1. Reitz, A.B., Goodman, M.G., Pope, B.L., *et al.* Small-molecule immunostimulants. Synthesis and activity of 7,8-disubstituted guanosines and structurally related compounds. *J. Med. Chem.* **37(21)**, 2561-2578 (1994).
2. Heil, F., Ahmad-Nejad, P., Hemmi, H., *et al.* The Toll-like receptor 7 (TLR7)-specific stimulus loxoribine uncovers a strong relationship within the TLR7, 8 and 9 subfamily. *Eur. J. Immunol.* **33(11)**, 2987-2997 (2003).
3. Dzopalic, T., Dragicevic, A., Vasilijic, S., *et al.* Loxoribine, a selective Toll-like receptor 7 agonist, induces maturation of human monocyte-derived dendritic cells and stimulates their Th-1- and Th-17-polarizing capability. *Int. Immunopharmacol.* **10(11)**, 1428-1433 (2010).
4. Pope, B.L., Sigindere, J., Chourmouzis, E., *et al.* 7-Allyl-8-oxoguanosine (loxoribine) inhibits the metastasis of B16 melanoma cells and has adjuvant activity in mice immunized with a B16 tumor vaccine. *Cancer Immunol. Immunother.* **38(2)**, 83-91 (1994).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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