

Catalog # 10-2381

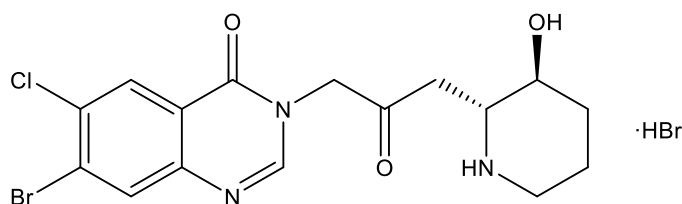
Halofuginone HBr

CAS# 64924-67-0

(2R,3S)-7-Bromo-6-chloro-3-[3-(3-hydroxy-2-piperidiny)-2-oxopropyl]-4-3H-quinazolinone hydrobromide;

NSC 713205

Lot # X109762



Potent and selective prolyl-tRNA synthetase (PRS) inhibitor ($K_i=18.3$ nM) derived from febrifugine.¹ Also attenuates TGF- β signaling, including reversibly reducing Smad3 protein levels and inhibiting fibroblast differentiation.² Blocks fibrosis, angiogenesis, and tumor progression.^{2,3} Inhibition of PRS results in amino acid starvation which activates the integrated stress response (ISR) and mTOR signaling⁴ and thus preventing differentiation of T_H17 cells, suppressing the autoimmune response⁵. Reduces TMPRSS2 protein levels via enhanced ubiquitination and proteasomal degradation, limiting SARS-CoV-2 cellular entry.⁶

- 1) Keller *et al.* (2012), *Halofuginone and other febrifugine derivatives inhibit prolyl-tRNA synthetase*; Nat. Chem. Biol., **8** 311
- 2) Nelson *et al.* (2012), *Halofuginone down-regulates Smad3 expression and inhibits the TGF β -induced expression of fibrotic markers in human corneal fibroblasts*; Mol. Vis., **18** 479
- 3) Elkin *et al.* (2000), *Halofuginone: a potent inhibitor of critical steps in angiogenesis progression*. FASEB J., **14** 2477
- 4) Misra *et al.* (2021), *Discordant regulation of eIF2 kinase GCN2 and mTORC1 during nutrient stress*; Nucleic Acids. Res., **49** 5726
- 5) Sundrud *et al.* (2009), *Halofuginone inhibits Th17 cell differentiation by activating the amino acid starvation response*; Science, **324** 1334
- 6) Chen *et al.* (2021), *A high-throughput screen for TMPRSS2 expression identifies FDA-approved compounds that can limit SARS-CoV-2 entry*; Nat. Commun., **12** 3907

PHYSICAL DATA

Molecular Weight:	495.60
Molecular Formula:	C ₁₆ H ₁₇ BrClN ₃ O ₃ ·HBr
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (30 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 2 months.

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