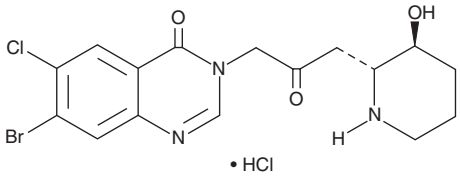
PRODUCT INFORMATION

Halofuginone (hydrochloride)

Item No. 13370

CAS Registry No.:	1217623-74-9
Formal Name:	7-bromo-6-chloro-3-[3-[(2R,3S)-3-hydroxy-2-piperidinyl]-2-oxopropyl]-4(3H)-quinazolinone, monohydrochloride
MF:	$C_{16}H_{17}BrClN_3O_3$ • HCl
FW:	451.1
Purity:	≥95%
UV/Vis.:	λ_{max}: 242, 274, 314, 327 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

Halofuginone (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the halofuginone (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Halofuginone (hydrochloride) is soluble in DMSO. It is also soluble in water. We do not recommend storing the aqueous solution for more than one day.

Description

Halofuginone is a halogenated derivative of febrifugine, a natural quinazolinone-containing compound found in the Chinese herb *D. febrifuga*.[1] It has antimalarial and anticoccidial actions.[1] In mammals, halofuginone at 10 ng/ml down-regulates Smad3, blocking TGF-β signaling and preventing both the differentiation of fibroblasts to myofibroblasts and the transitioning of epithelial cells to mesenchymal cells.[1,2] Through this action, halofuginone blocks fibrosis and tumor progression in a variety of different models.[1,3] This compound also competitively inhibits prolyl-tRNA synthetase (K_i = 18.3 nM), activating the amino acid starvation response.[4,5] This prevents the differentiation of T_H17 cells, blunting an autoimmune response.[5]

References

1. McLaughlin, N.P., Evans, P., and Pines, M. The chemistry and biology of febrifugine and halofuginone. *Bioorg. Med. Chem.* **22(7)**, 1993-2004 (2014).
2. Nelson, E.F., Huang, C.W., Ewel, J.M., *et al.* Halofuginone down-regulates Smad3 expression and inhibits the TGβ-induced expression of fibrotic markers in human corneal fibroblasts. *Mol. Vis.* **18**, 479-487 (2012).
3. Pines, M., Snyder, D., Yarkoni, S., *et al.* Halofuginone to treat fibrosis in chronic graft-versus-host disease and scleroderma. *Biol. Blood Marrow Transplant.* **9(7)**, 417-425 (2003).
4. Keller, T.L., Zocco, D., Sundrud, M.S., *et al.* Halofuginone and other febrifugine derivatives inhibit prolyl-tRNA synthetase. *Nat. Chem. Biol.* **8(3)**, 311-317 (2012).
5. Sundrud, M.S., Koralov, S.B., Feuerer, M., *et al.* Halofuginone inhibits TH17 cell differentiation by activating the amino acid starvation response. *Science* **324(5932)**, 1334-1338 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM