Halofuginone hydrobromide

Cat. No. *ALK-0071*

Lot. No. (See product label)

Product Name
Halofuginone hydrobromide

CAS No.
64924-67-0

Synonyms
RU-19110 hydrobromide

Description
Halofuginone (RU-19110) hydrobromid, a Febrifugine derivative, is a competitive prolyl-tRNA synthetase inhibitor with a Ki of 18.3 nM. Halofuginone hydrobromid is a specific inhibitor of type-I collagen synthesis and attenuates osteoarthritis (OA) by inhibition of TGF-β activity. Halofuginone hydrobromid is also a potent pulmonary vasodilator by activating Kv channels and blocking voltage-gated, receptor-operated and store-operated Ca2+ channels. Halofuginone hydrobromid has anti-malaria, anti-inflammatory, anti-cancer, anti-fibrosis effects.

Structural Formula
Halofuginone hydrobromide

MW
495.59

MF
C16H18Br2ClN3O3

Purity
0.9955

Appearance
Solid

Solubility
DMSO : 50 mg/mL(100.89 mM;Need ultrasonic) H2O : 2.6 mg/mL(5.25 mM;Need ultrasonic)

Source
Plants >Saxifragaceae > Dichroa febrifugaLour

IC50 & Target
Microbial Metabolite

Shipping
Room temperature in continental US; may vary elsewhere.

FOR RESEARCH OR FURTHER MANUFACTURING USE ONLY

Address: SUITE 209, 17 Ramsey Road, Shirley, NY 11967
Tel: 1-631-356-5138 Fax: 1-631-910-2166
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SMILES

O=C1N(CC(C[C@@H]2NCCC[C@H]2O)=O)C=NC3=C1C=C(Cl)C(Br)=C3.Br

In Vivo

Halofuginone (0.2, 0.5, 1 or 2.5 mg/kg; injected intraperitoneally every other day for 1 month) attenuates progression of OA in anterior cruciate ligament transection (ACLT) mice. Lower concentration (0.2 or 0.5 mg/kg) has minimal effects on subchondral bone and higher concentration (2.5 mg/kg) induces proteoglycan loss in articular cartilage. Halofuginone (0.25 mg/kg; intraperitoneally injected; every day; 16 days) decreases NRF2 protein levels in tumors. While the tumor volumes do not change substantially between treatments with the vehicle, Halofuginone (0.25 mg/kg, intraperitoneally injected, every day) or cisplatin alone. Combined treatment with Halofuginone and Cisplatin significantly suppresses the tumor volume compared to treatment with Halofuginone or cisplatin alone.Intraperitoneal administration of Halofuginone (0.3mg/kg, for 2 weeks) partially reverses the established pulmonary hypertension in mice.

In Vitro

Halofuginone competitively inhibits prolyl-tRNA synthetase by occupying both the prolineand tRNA-binding pockets of prolyl-tRNA synthetase. The IC50s of Halofuginone (1, 10, 100, 1000, 10000 nM; 48 hours) are 114.6 and 58.9 nM in KYSE70 and A549 cells, respectively. The IC50s of Halofuginone (1, 10, 100, 1000 nM; 24 hours) for NRF2 protein are 22.3 and 37.2 nM in KYSE70 and A549 cells, respectively. The IC50 of Halofuginone for global protein synthesis is 22.6 and 45.7 nM in KYSE70 and A549 cells, respectively. Halofuginone increases voltage-gated K+ (Kv) currents in pulmonary artery smooth muscle cells (PASMC) and K+ currents through KCNA5 channels in HEK cells transfected with KCNA5 gene. Halofuginone (0.03-1μM) inhibits receptor-operated Ca2+ entry (ROCE) in HEK cells transfected with calcium-sensing receptor gene and attenuated store-operated (SOCE) Ca2+ entry in PASMC.

Storage

4℃, sealed storage, away from moisture

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