

CHF-4227

Chemical Properties

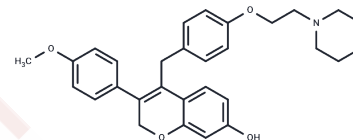
CAS No. : 444643-64-5

Formula: C₃₀H₃₃N₃O₄

Molecular Weight: 471.59

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	CHF-4227 is a novel, potent and selective estrogen receptor modulator that reduces cholesterol and LDL cholesterol concentrations.
Targets(IC50)	Estrogen Receptor/ERR
In vivo	We evaluated the tolerability, adverse events profile, pharmacokinetics, and pharmacodynamics of CHF-4227, a new selective estrogen receptor modulator (SERM), in healthy postmenopausal women. METHODS: Two phase I studies were conducted according to a double-blind, placebo-controlled design. Subjects were randomized to receive six single (5-400 mg) or five multiple oral doses of CHF-4227 for 28 days (5-100 mg). RESULTS: No vaginal bleeding and no changes in either endometrial thickness or the placenta protein 14 markers were found after 4 weeks of treatment. The compound did not induce negative effects on the fibrinolytic system. After 28 days of treatment, CHF-4227 decreased both total and LDL cholesterol concentrations (maximum decreases from baseline of 17.4% (95% CI 7.0, 27.7) and 27.6% (95% CI 9.0, 46.3), respectively). Decreases in both serum and urinary type-I C-terminal collagen telopeptide were also observed producing maximum changes of 40.6% (95% CI 29.5, 51.7), and 41.7% (95% CI 20.3, 56.8), respectively. CHF-4227 (5 and 10 mg) induced near-maximal estrogen-like effects on bone markers and serum lipids without causing hot flashes. The pharmacokinetics of CHF-4227 were characterized by slow absorption, a long elimination half-life (31-42 h after single administration), and dose linearity with respect to C(max) and AUC up to 100 mg. CONCLUSIONS: CHF-4227 is a well-tolerated SERM when administered once daily for 28 days. It is potentially active on bone resorption and serum lipids, without affecting the endometrium and without worsening hot flashes. CHF-4227 is a promising agent for the treatment of several conditions in postmenopausal women.[1]

Solubility Information

Solubility	DMSO: 7.5 mg/mL (15.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1205 mL	10.6024 mL	21.2049 mL
5 mM	0.4241 mL	2.1205 mL	4.241 mL
10 mM	0.212 mL	1.0602 mL	2.1205 mL
50 mM	0.0424 mL	0.212 mL	0.4241 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Civelli M, et al. Single and multiple ascending dose studies of a novel tissue-selective oestrogen receptor modulator, CHF 4227, in healthy postmenopausal women. *Br J Clin Pharmacol.* 2007 Sep;64(3):304-16.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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