Data Sheet (Cat.No.TN4819)

TargetMol
A DRUG SCREENING EXPERT

(-)-Praeruptorin A

Chemical Properties

CAS No.:	14017-71-1
Formula:	C21H22O7
Molecular Weight:	386.39
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	(-)-Praeruptorin A has anti-inflammatory, anti-contractile and anti-hyperplasia activities, it exerts distinct relaxant effects on isolated rat aorta rings dependent on endothelium and nitric oxide synthesis;it also can significantly suppress airway inflammation and airway remodeling induced by ovalbumin challenge, and is a potential candidate for the treatment of asthma. (-)-Praeruptorin A resensitizes Pgp-mediated MDR (Pgp-MDR) cancer cells to cancer drugs.
Targets(IC_{50})	ATPase: None Calcium Channel: None IFN-γ: None IL Receptor: None NO: None P-gp: None TGF-β/Smad: None
In vitro	P-glycoprotein (Pgp) is an ATP-driven membrane exporter for a broad spectrum of hydrophobic xenobiotics. Pgp-overexpression is a common cause of multidrug resistance (MDR) in cancer cells and could lead to chemotherapeutic failure. METHODS AND RESULTS: Through an extensive herbal drug screening program we previously showed that (+)-praeruptorin A/(-)-Praeruptorin A (PA), a naturally existing pyranocumarin isolated from the dried root of Peucedanum praeruptorum Dunn., re-sensitizes Pgp-mediated MDR (Pgp-MDR) cancer cells to cancer drugs. A number of PA derivatives were synthesized and one of these, (+/-)-3'-O, 4'-O-dicynnamoyl-cis-khellactone (DCK), was more potent than PA or verapamil in the reversal of Pgp-MDR. In Pgp-MDR cells DCK increased cellular accumulation of doxorubicin without affecting the expression level of Pgp. In Pgp-enriched membrane fractions DCK moderately stimulated basal Pgp-ATPase activity, suggesting some transport substrate-like function. However, DCK also inhibited Pgp-ATPase activity stimulated by the standard substrates verapamil or progesterone with decreased V(max)s but K(m)s were relatively unchanged, suggesting a primarily non-competitive mode of inhibition. While the binding of substrates to active Pgp would increase the reactivity of the Pgp-specific antibody UIC2, DCK decreased UIC2 reactivity. CONCLUSIONS:These results suggest that DCK could bind simultaneously with substrates to Pgp but perhaps at an allosteric site and thus affect Pgp-substrate interactions.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.588 mL	12.940 mL	25.881 mL
5 mM	0.518 mL	2.588 mL	5.176 mL
10 mM	0.259 mL	1.294 mL	2.588 mL
50 mM	0.052 mL	0.259 mL	0.518 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. (+/-)-3'-O, 4'-O-dicynnamoyl-cis-khellactone, a derivative of (+/-)-praeruptorin A, reverses P-glycoprotein mediated multidrug resistance in cancer cells. Bioorg Med Chem. 2006 Nov 1;14(21):7138-45.
2. (+/-)-Praeruptorin A enantiomers exert distinct relaxant effects on isolated rat aorta rings dependent on endothelium and nitric oxide synthesis. Chem Biol Interact. 2010 Jul 30;186(2):239-46.

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