Data Sheet (Cat.No.TN4913)

TargetMol
A DRUG SCREENING EXPERT

Riddelline

Chemical Properties

CAS No.:	23246-96-0
Formula:	C18H23NO6
Molecular Weight:	349.38
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Riddelline is a potent genotoxic agent in vitro and induces significant elevations in unscheduled DNA synthesis and S-phase synthesis in rat liver.
Targets(IC_{50})	DNA/RNA Synthesis: None VEGFR: None
In vitro	Pyrrolizidine alkaloids (PAs) are common constituents of many species of flowering plants which possess carcinogenic as well as anticarcinogenic activity in vivo. Pyrrolizidine alkaloids are genotoxic in various short-term assays. The mechanisms by which these compounds exert these effects is still unclear. METHODS AND RESULTS: In this study, we characterized the ability of eight bifunctional PAs, with differing stereochemistry and functional groups, to cross-link cellular DNA in cultured bovine kidney epithelial cells. PAs representative of three major structural classes, the macrocycles (seneciphylline, Riddelline, retrorsine, senecionine, monocrotaline), the open diesters (heliosupine, latifoline), and pyrrolizidine base (retronecine) were cultured for 2 hr with cells and an external metabolizing system. Every PA induced DNA cross-links which consisted primarily of proteinase-sensitive cross-links (DPC), but also to a smaller extent, DNA interstrand cross-links (ISC). None of the PAs induced detectable amounts of DNA single-strand breaks. The PAs which produced DPC and/or ISC (ranked from most potent to least) were: seneciphylline (DPC greater than ISC); Riddelline (DPC greater than ISC); retrorsine (DPC greater than ISC); senecionine (DPC greater than ISC); heliosupine (DPC greater than ISC); monocrotaline (ISC = DPC); latifoline (DPC greater than ISC); and retronecine (ISC greater than DPC). Although the PAs induced DNA cross-linking to varying degrees, cell viabilities for all treatment groups were greater than 90% as determined by trypan blue dye exclusion. Since the cross-linking ability of these PAs paralleled their ability to inhibit colony formation, cross-link formation may be involved in the biological activity of these compounds. CONCLUSIONS: Two structural determinants of biological activity appear to be the presence of both a macrocyclic necic acid ester and an alpha,beta-unsaturated ester function since the cross-linking ability of seneciphylline, Riddelline, retrorsine, and senecionine far exceeded that of monocrotaline, heliosupine, latifoline, and retronecine. In addition, the stereochemical orientation of the ester linkage was found to have no effect on biological activity.

Solubility Information

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

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.862 mL	14.311 mL	28.622 mL
5 mM	0.572 mL	2.862 mL	5.724 mL
10 mM	0.286 mL	1.431 mL	2.862 mL
50 mM	0.057 mL	0.286 mL	0.572 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. DNA cross-linking in mammalian cells by pyrrolizidine alkaloids: structure-activity relationships. Toxicol Appl Pharmacol. 1991 Oct;111(1):90-8.

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