Bioavailability and Pharmacokinetic Parameters of a Formulation Containing Secoisolariciresinol Diglucoside-Rich Extract

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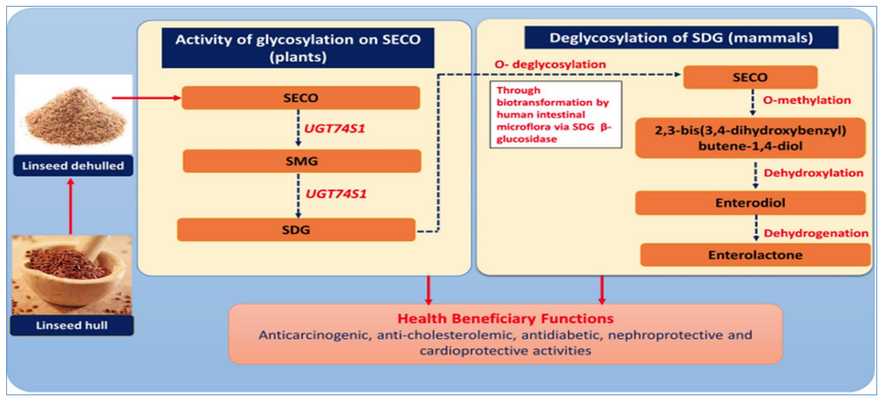
Introduction

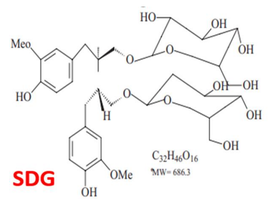
- Seeds of *Linum usitatissimum* (Linaceae)
- Oil : source of omega -3, 6,9 fatty acids
- Lignans : SDG
- Dietary Fibres , Minerals, Vitamins PUFA
- SDG : CVS disorders, Diabetes

Phytoestrogen alternative therapy to HRT



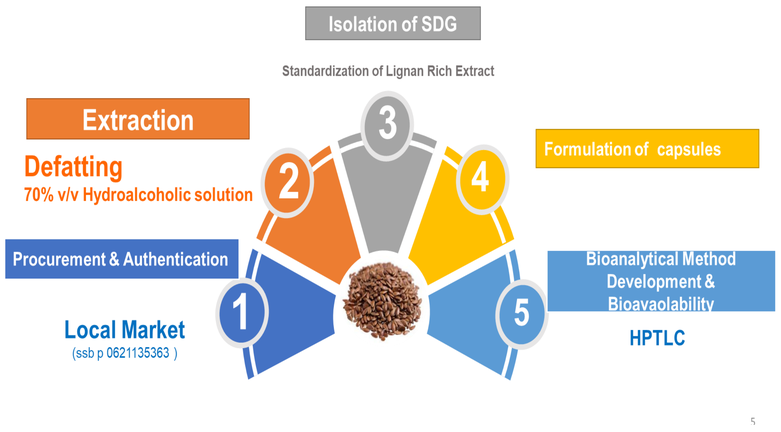
Objectives

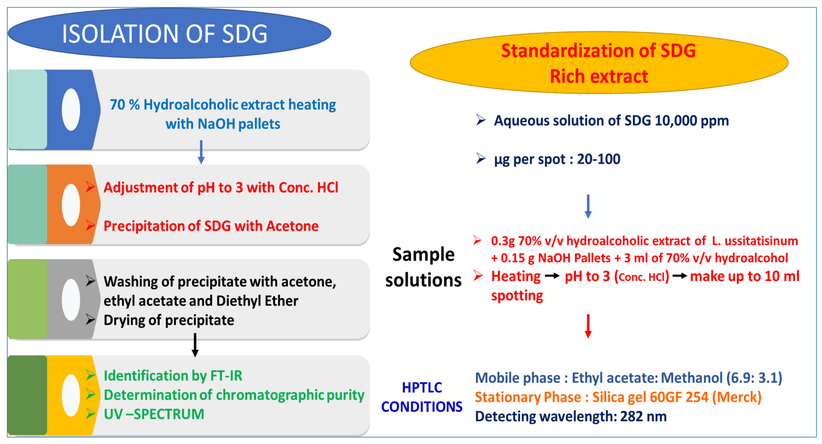
- To prepare and standardize capsule formulation containing lignan rich extract of *Linum usitatissimum* with respect to Secoisolariciresinol diglucoside (SDG)

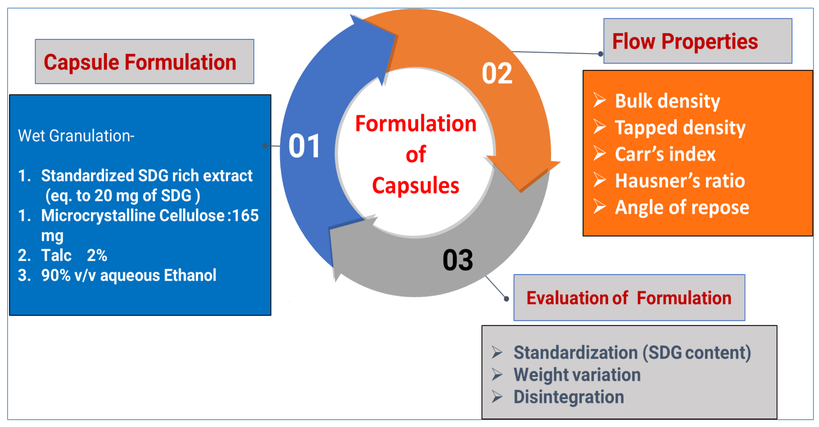


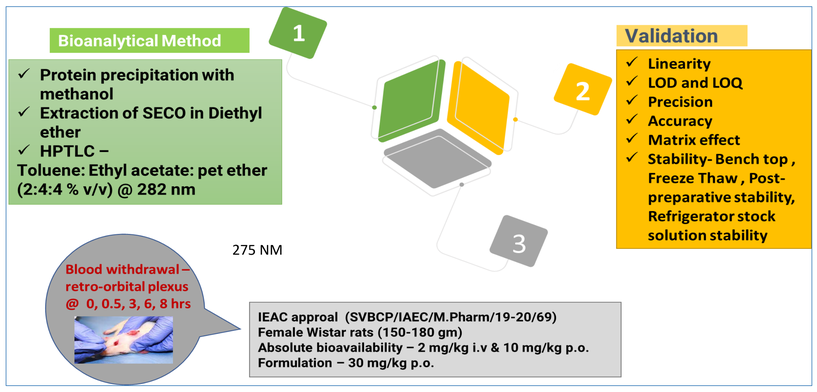
- To determine bioavailability of the formulation in rats with respect to Secoisolariciresinol (SECO)

Materials & Methods



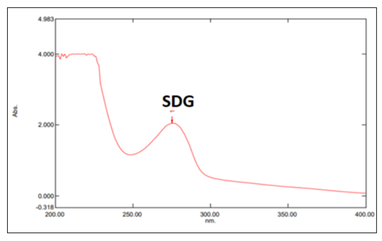




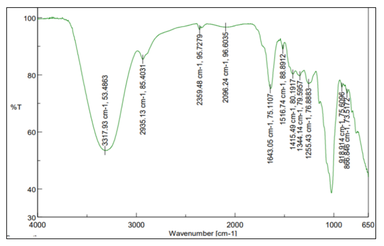


Results & Discussion

IDENTIFICATION OF SDG

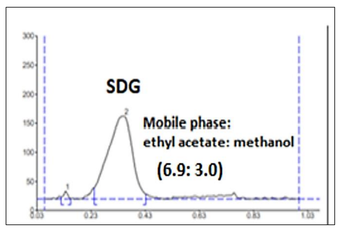


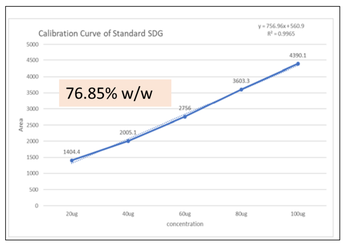
UV SPECTRUM OF SDG



FT –IR SPECTRUM OF SDG

STANDARDIZATION OF EXTRACT BY HPTLC





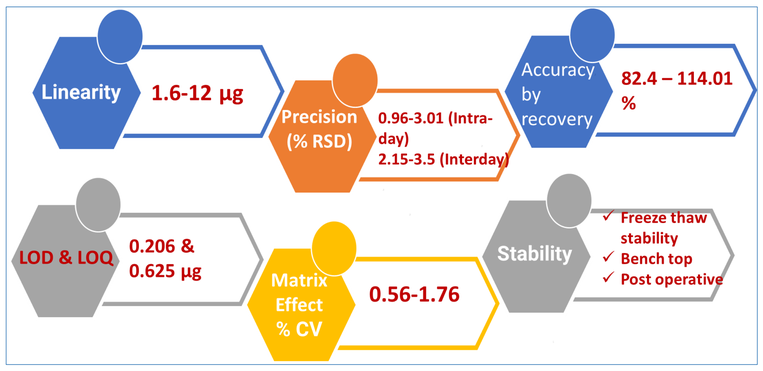
EVALUATION OF FORMULATION

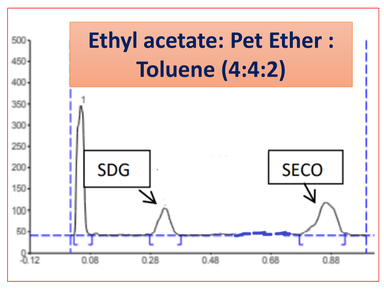
Parameter	Result	Acceptable Range
Bulk Density	36 g/ml	1-50 g/ml
Tapped Density	33 g/ml	1-50 g/ml
Carr's Index	8.33 %	<10-26 %
Hausner's Ratio	1.09	<1-1.46
Angle of repose	25.21°	<30-56°

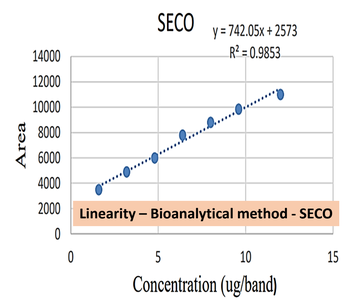
Ingredient	mg/capsule
Standardized Ext (Eq. to 20 mg SDG)	26
Microcrystalline Cellulose	165
Talc	5 %
90 % v/v aq. Alcohol	q.s

Parameters	Results	Acceptable range
SDG Content	18.8±4.9mg	---
Weight variation	±0.043%	±7.5%
Disintegration Time	26.15 min	< 30 mins

VALIDATION OF HPTLC BIOANALYTICAL METHOD



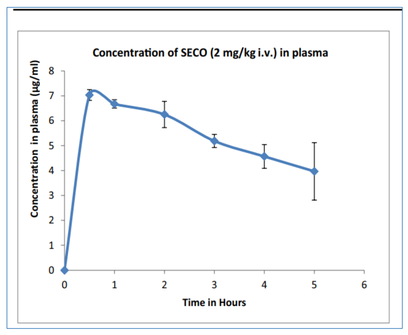


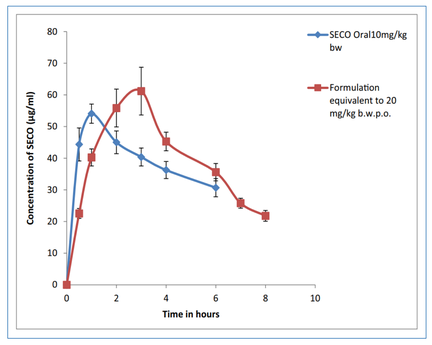


BIOAVAILABILITY DETERMINATION

IV (2MG/KG) SECO

Parameter	Results
Cmax (µg/ml)	71.076±0.655
Tmax (Hr)	0.05
$t_{1/2}$ (Hr)	5.25 ± 0.178
$AUC_{0-\infty}$ (ng/ml)	7854.1 ± 70.27
Clearance (L/Hr)	0.0339 ± 0.0004
K_{el} (Hr^{-1})	0.1265 ± 0.0025
V_d (L)	2.013 ± 0.046





Parameter	SECO Oral (10 mg/kg p.o.)	Formulation (20 mg/kg p.o.)
Cmax (µg/ml)	54.364±0.299	60.862±0.613
Tmax (Hr)	1	3
$t_{1/2}$ (Hr)	5.269 ± 0.045	5.36 ± 0.250
$AUC_{0-\infty}$ (ng/ml)	6688.8 ± 112.6	6969.3 ± 30.57
Clearance (L/Hr)	0.1463 ± 0.0027	0.1285 ± 0.0066
K_{el} (Hr^{-1})	0.1265 ± 0.0025	0.125 ± 0.0014
Relative Bioavailability	98.76%	
Absolute Bioavailability	17.03 ± 0.45%	

CONCLUSIONS : **Secoisolariciresinol Diglucoside could be isolated from alcoholic extract of defatted linseed seeds and the compound was confirmed for its identity by comparing with the standard UV and FT IR Spectra. The alcoholic extract was standardized for the content of SDG (75%w/w) using HPTLC method. Standardized capsule formulation containing 18-20 mg of SDG was developed and the formulation passed all the tests. Rapid , simple and sensitive HPTLC Bioanalytical method for estimation of Secoisolariciresinol (SECO) from plasma could be successfully developed and the method qualified all the validation parameters as per ICH guidelines. Absolute bioavailability was determined with respect to SECO and it is found to be 17.03 %. The relative bioavailabity of the formulation is found to be 98.76 %. This may be due to more polar nature of SDG in the formulation , for being a glycoside while SECO is an aglycon and non polar. The other reason for the higher bioavailability of formulation may be the presence of other constitutemts in the extract**