

RevealX™ Technology in Anti-malarial Drug Purification in Drug Discovery

Reveleris® iES Flash Chromatography System

Introduction

Cinchona and its alkaloids, such as quinine, have been used for the treatment of malaria, a disease caused by protozoa.¹ A range of synthetic anti-malarial drugs have been produced as alternatives to quinine. The emergence of Plasmodium falciparum strains resistant to the synthetic drugs has resulted in reintroduction of quinine, such as the Primaquine with 8-aminoquinoline, in drug discovery.

Using the RevealX™ technology of the Reveleris® iES flash chromatography system and the Reveleris® reversed-phase cartridge, chromophoric and non-chromophoric target compounds can be separated and isolated in small amounts present in a chemical reaction by a single chromatography run.

Experimental

Run Conditions

Cartridge: Reveleris® C18 media 12g

Flow rate: 36 mL/min

Equilibration: 5.0 min

UV1 wavelength: 254 nm

UV2 wavelength: 220 nm

ELSD carrier: Iso-propanol

Injection type: Liquid

Solvent A: Water

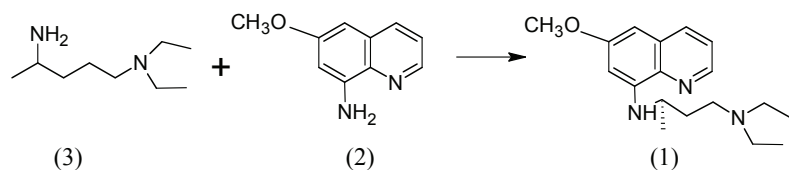
Solvent B: Methanol

Gradient Method

Step	Time (min.)	%B
1	0	30
2	5	100
3	7	100



Results and Discussion



1. 2-Amino-5-Diethylamino Pentane 20mg
2. 8-Amino-6-MethoxyQuinoline HBr 1mg
3. Primaquine 10mg

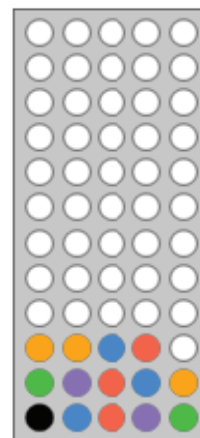
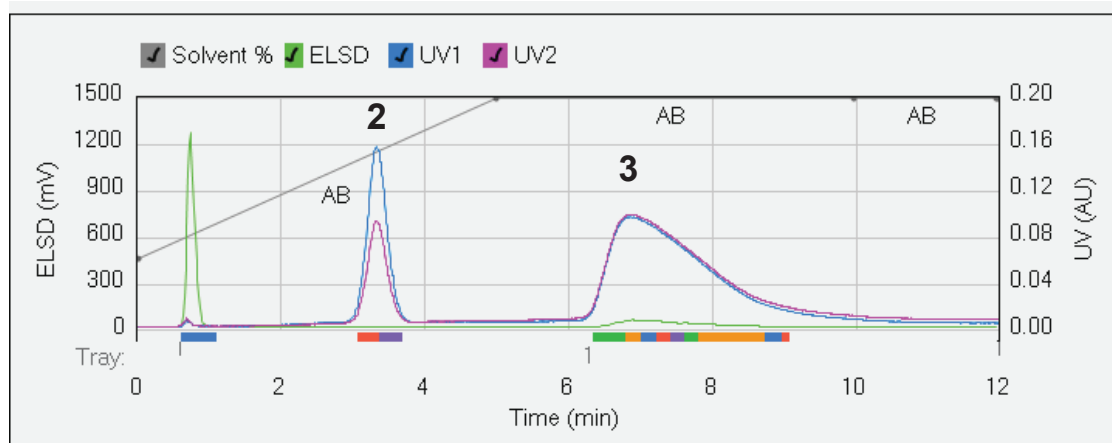


Figure 1: Using traditional flash chromatography system, non-chromophoric compounds have poor sensitivity or are undetected during purification. RevealX™ technology of the Reveleris® iES system can isolate such compounds using the ELSD in a reaction mixture during purification.

Conclusion

Using the RevealX™ technology, separation of both chromophoric and non-chromophoric compounds can improve sample recovery and reduce post-run analysis time in the drug discovery and development process.

References

1. Medicinal natural products; a biosynthetic approach, 3rd edition; Dewick, P.; John Wiley & Sons, Inc., Hoboken, New Jersey, 2009.

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