



Synthesis of Polyamine Derivatives as Cytotoxic Payloads for Cancer Treatment

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Cancer Treatment

Surgery

Radiation

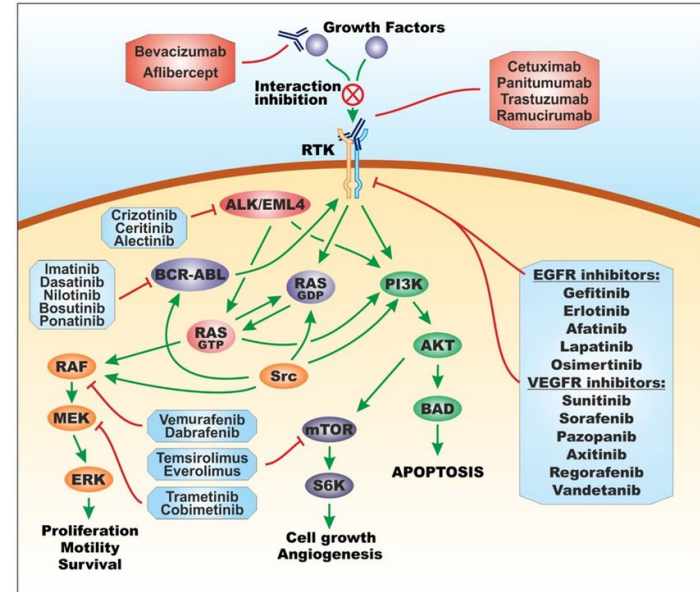
Systemic Therapies

Chemotherapy

Immunotherapy

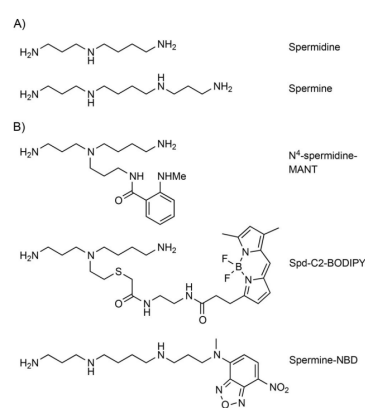
Hormone Therapy

Targeted Therapy

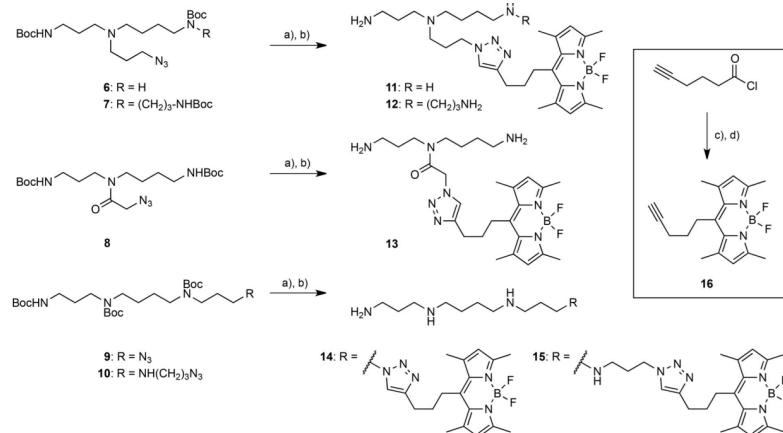


Falzone, Luca & Salomone, Salvatore & Libra, Massimo. (2018). Evolution of Cancer Pharmacological Treatments at the Turn of the Third Millennium. *Frontiers in Pharmacology*. 9. 10.3389/fphar.2018.01300.

Polyamine Transport System and Fluorescent Probe Development



Scheme 1. Structures of polyamines and fluorescent polyamine probes.
A) Spermidine and spermine. B) Three different fluorophore–polyamine conjugates. MANT: *N*-methylanthranilic acid, BODIPY: 4,4-difluoro-4-bora-3a,4a-diaza-*s*-indacene, NBD: 7-nitrobenzoxadiazole.



Scheme 3. BODIPY click conjugation towards fluorescent polyamine probes. A) trifluoroacetic acid (TFA)/CH₂Cl₂ (1:1). B) 16, DIPEA, CuBr, CH₂Cl₂. C) 2,4-Di-methylpyrrole, CH₂Cl₂, reflux. D) Et₃N, BF₃·OEt₂, CH₂Cl₂.

Vanhoutte R, Kahler JP, Martin S, van Veen S, Verhelst SHL. Clickable Polyamine Derivatives as Chemical Probes for the Polyamine Transport System. *Chembiochem*. 2018 May 4;19(9):907-911. doi: 10.1002/cbic.201800043. Epub 2018 Apr 14. PMID: 29451723.

Linear Polyamine Fluorescent Probes in Cancer Cells

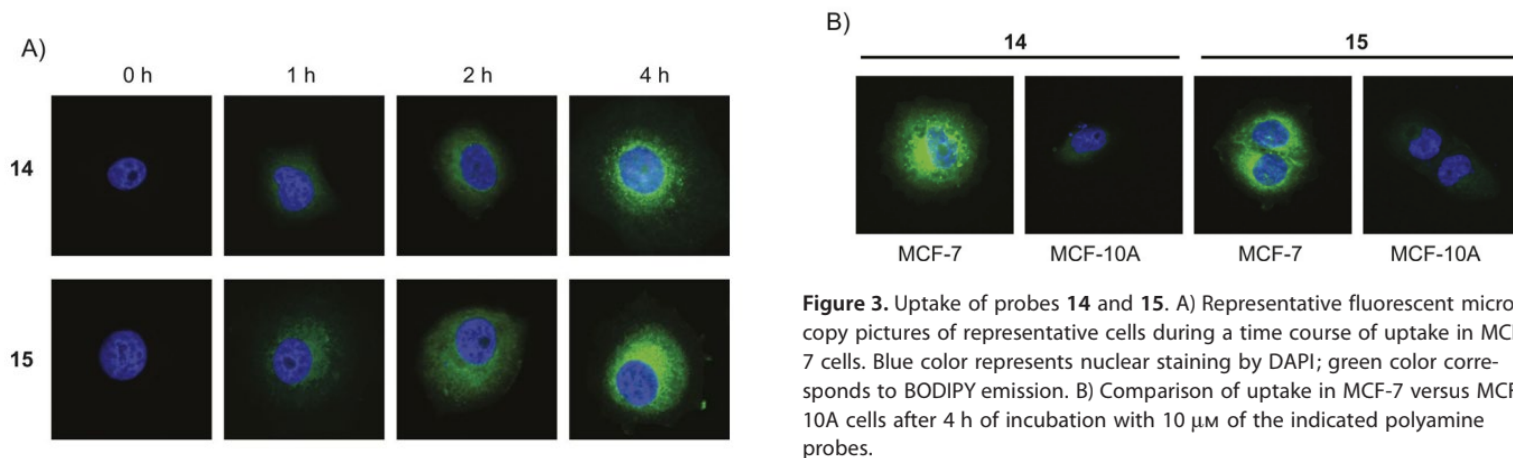
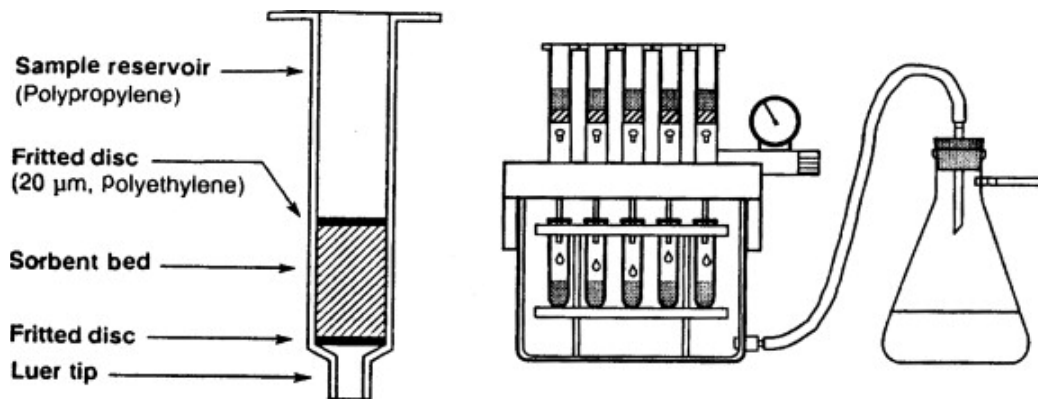
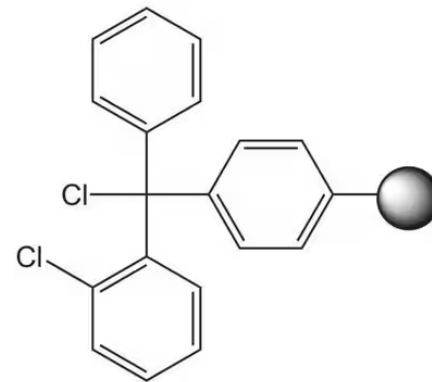


Figure 3. Uptake of probes **14** and **15**. A) Representative fluorescent microscopy pictures of representative cells during a time course of uptake in MCF-7 cells. Blue color represents nuclear staining by DAPI; green color corresponds to BODIPY emission. B) Comparison of uptake in MCF-7 versus MCF-10A cells after 4 h of incubation with 10 μ M of the indicated polyamine probes.

Solid Phase Synthesis Methods



Handbook of Nanomaterials in Analytical Chemistry 2020 via ScienceDirect



Sigma-Aldrich Chemical Data Sheet

LC-MS Spectra of First Two Synthesized Products



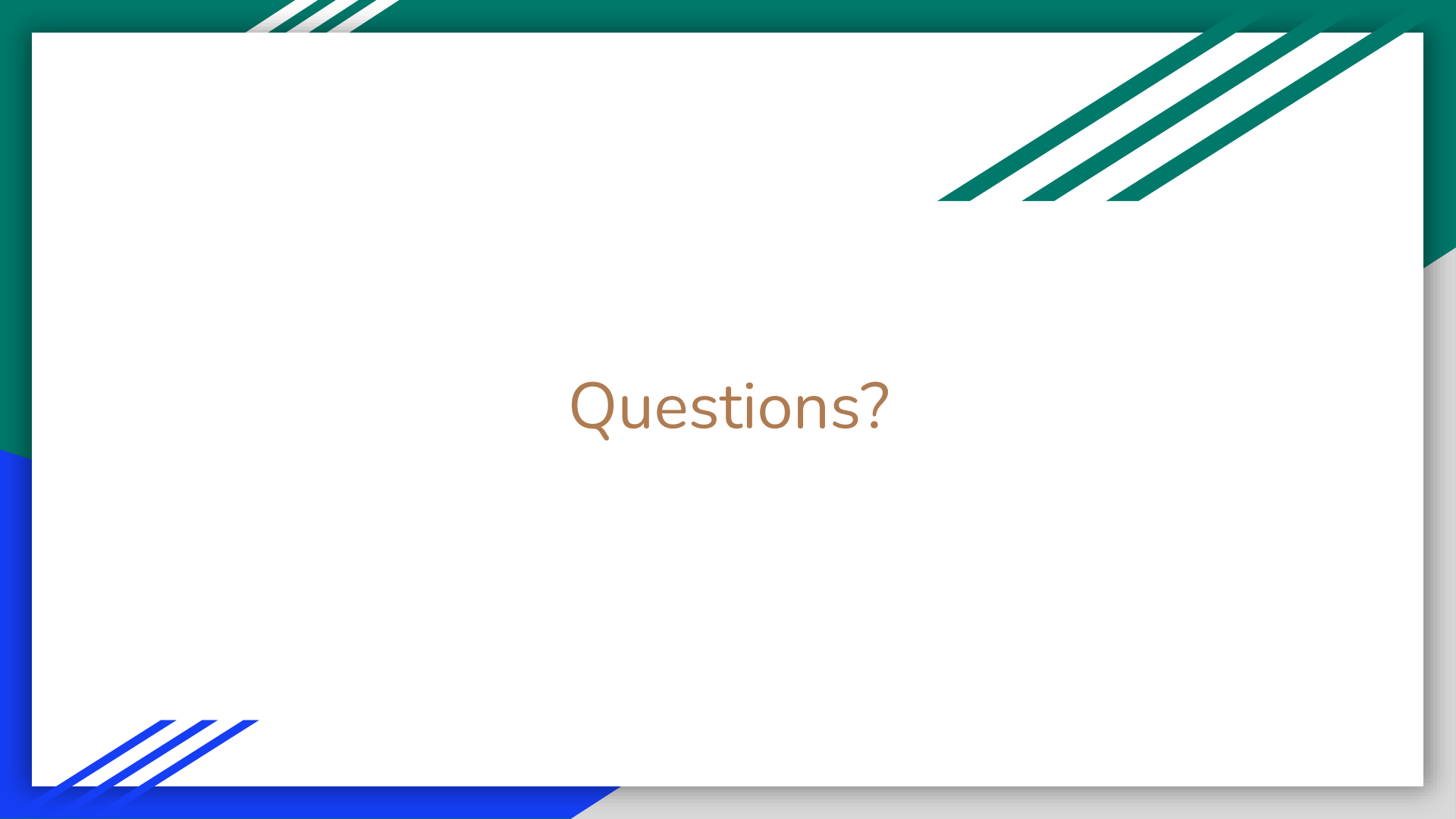
Next Steps

Synthesis of three more polyamine derivatives with purification

Test on cell lines to determine each products uptake and efficacy

Gives insight into the polyamine transport system





Questions?