Introduction

Silicon-containing compounds have been largely ignored in drug design until recently. Silicon can be considered a bioisostere of carbon and hence offers an innovative avenue in drug discovery. For example, C/Si exchange in drug-like scaffolds provides an exciting approach in medicinal chemistry to improve ADME/Tox profile and to enhance potency of the biologically active compounds (Figure 1). Herein we have designed and synthesized a library of silicon-containing building blocks for drug design.

Figure 1. Examples of silicon-containing molecules of medicinal interest.

Design

Advantages of "Silicon Switch":
- Larger C–Si bond length (C–C = 1.54 Å, C–Si = 1.87 Å) → changes in the interactions with specific proteins.
- Increase in lipophilicity → improved cell penetration and selectivity.
- Difference in bonding preferences: Si prefers higher coordination numbers → access to compounds for which corresponding carbon analogs are not available.

We offer

Over 15 unique silicon-containing building blocks on a 1-30 g scale from stock. We also have designed a library of silicon-containing building blocks for drug discovery programs. These molecules can be synthesized upon request within 4-6 weeks.

References