

## **Trifluoromethylthiolating reagents**

The trifluoromethylthio group (CF<sub>3</sub>S-) represents one of the most lipophilic substituents. It is well known that incorporation of the trifluoromethylthio group into small molecules greatly enhances their ability to cross lipid membranes and their in vivo absorption rate<sup>[1]</sup>. In addition, the high electronegativity of the CF<sub>3</sub>S- group significantly improves the small molecule's stability in acidic environments. Thus, the trifluoromethylthio group has drawn special attention from the pharmaceutical and agrochemical industries for its use in isosetere-based drug design<sup>[2,3]</sup>.

J&K offers trifluoromethylthiolating reagents developed by Qilong Shen's group at Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences. There are two types of these new electrophilic reagents: trifluoromethanesulfenates (Lu-Shen reagent) and N-trifluoromethylthiosaccharin (Shen reagent). Systematic studies have shown that both types of reagents are highly reactive toward a wide range of nucleophiles, yet the substrate scope of these reagents is complementary.

### ■ Trifluoromethanesulfenates (Lu-Shen Reagent)

### ■ N-Trifluoromethylthiosaccharin (Shen Reagent)

#### References

[1] Shao, X. X.; Xu, C. F.; Lu, L.; Shen, Q. Acc. Chem. Res. 2015, 48, 1227

[2] Shao, X. X.; Wang, X. Q.; Yang, T.; Lu, L.; Shen, Q. Angew. Chem. Int. Ed. 2013, 52, 3457.

[3] Wang, X.-Q.; Yang, T.; Cheng, X. L.; Shen, Q. Angew. Chem. Int. Ed. 2013, 52, 12860.

[4] Hu, F.; Shao, X. X.; Zu, D. H.; Lu, L.; Shen, Q. Angew. Chem. Int. Ed. 2014, 53, 6105.

[5] Shao, X. X.; Xu, C. F.; Lu, L.; Shen, Q. J. Org. Chem. 2015, 80, 3012.

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# Trifluoromethylthiolating reagents

1784571

Me Me O-SCF<sub>3</sub>

1584705-82-7

3, 3- Dimethyl-1- (Trifluoromethylthio)-

1,2-benziodoxole

1784565

N-SCF<sub>3</sub>

183267-04-1

1-(Trifluoromethylthio)pyrrolidine-2,5-

dione

1784574

Me Me O-SCF

1640084-14-5

 $(\hbox{\it 2-Phenylpropan-2-yloxy}) (trifluoromethyl)$ 

sulfane

1784567

1647073-46-8

N-Trifluoromethylthiosaccharin

1784566

N-SCF<sub>3</sub>

719-98-2

2-(Trifluoromethylthio)isoindoline-1,3-

dione