

## Product Data Sheet

Product Name: NS 6180  
Cat. No.: GC17909

### Chemical Properties

Cas. No. 353262-04-1

Chemical Name 4-(3-(trifluoromethyl)benzyl)-2H-benzo[b][1,4]thiazin-3(4H)-one

SMILES FC(F)(C1=CC(CN2C3=CC=CC=C3SCC2=O)=CC=C1)F

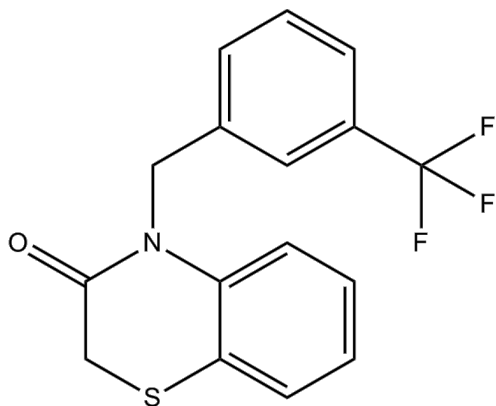
Formula  $C_{16}H_{12}F_3NOS$  M.Wt 323.33

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, DMSO:PBS (pH 7.2) (1:1): 0.5 mg/ml, Ethanol: 20 mg/ml  
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



**Caution: Product has not been fully validated for medical applications. For research use only.**

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Address: 10292 Central Ave. #205, Montclair, CA, USA

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### Background

IC50: 9, 14 and 15 nM for rat, human and mouse erythrocyte KCa3.1 channels, respectively.

NS 6180 is a potent KCa3.1 channel blocker. KCa3.1 (the Ca<sup>2+</sup>-activated K<sup>+</sup> channel, encoded by the KCNN4 gene) plays a key role in these processes by participating in the regulation of calcium entry.

In vitro: NS6180 blocked cloned human KCa3.1 channels via T250 and V275, while the same amino acid residues conferred sensitivity to triarylmethanes such as like TRAM-34. NS6180 blocked endogenously expressed KCa3.1 channels in human, mouse and rat erythrocytes with similar potencies. Rat and mouse splenocyte proliferation was suppressed NS6180 at submicrolar concentrations, which potently inhibited IL-2 and IFN- $\gamma$  production, exerting smaller effects on IL-4 and TNF- $\alpha$  and no effect on IL-17 production. After induction of colitis, antibody staining exhibited KCa3.1 channels in healthy colon and strong up-regulation in association with infiltrating immune cells [1].

In vivo: Despite poor plasma exposure, NS6180 (3 and 10 mg·kg<sup>-1</sup> b.i.d.) abolished colon inflammation and improved body weight gain effectively, just as the standard IBD drug sulfasalazine (300 mg·kg<sup>-1</sup> q.d.). The benzothiazinone NS6180 was potent on recombinant and endogenously expressed KCa3.1 channels, selectivity and molecular site of action. Furthermore, the effect of NS6180 characterize its pharmacokinetics on the activity of T-lymphocytes from wild-type and KCa3.1<sup>-/-</sup> knockout mice and show that it abolishes inflammation in an animal model of IBD [1].

Clinical trial: So far, no clinical study has been conducted.

### Reference:

[1] Strbk D, Brown DT, Jenkins DP, Chen YJ, Coleman N, Ando Y, Chiu P, Jrgensen S, Demnitz J, Wulff H, Christophersen P. NS6180, a new K(Ca) 3.1 channel inhibitor prevents T-cell activation and inflammation in a rat model of inflammatory bowel disease. Br J Pharmacol. 2013 Jan;168(2):432-44.

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