# Product Data Sheet

Product Name: SF2523
Cat. No.: GC19328

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 1174428-47-7 | | |
| SMILES | O=C1C=C(N2CCOCC2)OC3=C1SC=C3C4=CC=C(OCCO5)C5=C4 | | |
| Formula | $C_{19}H_{17}NO_5S$ | M.Wt | 371.41 |
| Solubility | DMSO : ≥ 30 mg/mL (80.77 mM) | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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 | SF2523 | | |

## Protocol

**Animal experiment:**

Mice: After 20 d of tumor implantation, mice are treated with either (i) 30 mg/kg of SF2523 formulated in 15% DMA+30% captisol, (ii) 30 mg/kg of JQ1 formulated in 30% captisol in combination with 30 mg/kg of BKM120 formulated in 15% ethanol+15% cremaphore, (iii) vehicle (15% ethanol+15% cremaphore, as control), or (iv) another vehicle (15% DMA+30% captisol, as control) five times a week, until tumors are removed on day 35[2].


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References:

[1]. Carlino L, et al. Dual Kinase-Bromodomain Inhibitors in Anticancer Drug Discovery: A Structural andPharmacological Perspective. J Med Chem. 2016 Oct 27;59(20):9305-9320.
[2]. Andrews FH, et al. Dual-activity PI3K-BRD4 inhibitor for the orthogonal inhibition of MYC to block tumor growth and metastasis. Proc Natl Acad Sci U S A. 2017 Feb 14;114(7):E1072-E1080.

## Background

SF2523 is a highly selective and potent inhibitor of PI3K with IC50s of 34 nM, 158 nM, 9 nM, 241 nM and 280 nM for PI3Kα, PI3Kγ, DNA-PK, BRD4 and mTOR, respectively.

SF2523 treatment decreases protein levels of MYCN and Cyclin D1, the MYCN target, and inhibits AKT activation by blocking phosphorylation of AKT at Ser473. SF2523 treatment leads to the displacement of BRD4 from both MYCN promoter sites. SF2523 interacts robustly with the full-length BRD4 (Kd=140 nM) and exhibits comparable affinity to the BRD4 first BD (BD1) (Kd=150 nM), however it binds more weakly to the second BD (BD2) of BRD4 (Kd=710 nM). Comparison of binding affinities of SF2523 for BDs of other proteins reveal that it binds equally well to BDs of BRD4, BRD2, and BRD3; shows moderate binding to BDs of CECR2 and BRDT; but associates much weaker with other BDs[2].

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SF2523 treatment results in a significant reduction of tumor volume compared with control. Importantly, SF2523 shows no gross toxicity to the treated mice, as there is no notable change in body weight. Tumors from SF2523-treated mice have markedly reduced MYCN, pAKT, and Cyclin D1 levels compared with levels of these proteins in vehicle-treated mice tumors[2].

References:

[1]. Carlino L, et al. Dual Kinase-Bromodomain Inhibitors in Anticancer Drug Discovery: A Structural andPharmacological Perspective. J Med Chem. 2016 Oct 27;59(20):9305-9320.
[2]. Andrews FH, et al. Dual-activity PI3K-BRD4 inhibitor for the orthogonal inhibition of MYC to block tumor growth and metastasis. Proc Natl Acad Sci U S A. 2017 Feb 14;114(7):E1072-E1080.


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