# Product Data Sheet

Product Name: VR23
Cat. No.: GC12003

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 1624602-30-7 | | |
| Chemical Name | 7-chloro-4-(4-((2,4-dinitrophenyl)sulfonyl)piperazin-1-yl)quinoline | | |
| SMILES | ClC1=CC2=C(C(N3CCN(S(C4=C([N+]([O-])=O)C=C([N+]([O-])=O)C=C4)(=O)=O)CC3)=CC=N2)C=C1 | | |
| Formula | $C_{19}H_{16}ClN_5O_6S$ | M.Wt | 477.88 |
| Solubility | ≥ 45.55mg/mL in DMSO | 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. | | |

***Caution: Product has not been fully validated for medical applications. For research use only.***
***Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com***
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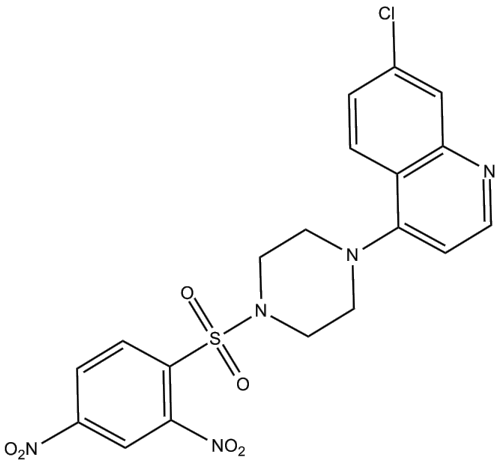
Structure




## Background

VR23 is an effective proteasome inhibitor, with IC50 values of 3 μmol/L, 1 nmol/L, and 50-100 nmol/L to inhibit activities of caspase-like proteasomes, trypsin-like proteasomes, and chymotrypsin-like proteasomes, respectively [1].

As a regulator, the ubiquitin-proteasome system is important for cell growth and apoptosis [2]. The ubiquitin-proteasome pathway is critical in the regulated degradation of proteins involved in tumor growth and cell cycle control [3].

Treatment with VR23 made cancer cells undergo an abnormal centrosome amplification cycle. This cycle was caused by the accumulation of ubiquitinated cyclin E. Bortezomib is clinically approved as a chymotrypsin-like proteasome inhibitor. VR23 in combinations with bortezomib, caused a synergistic effect in killing multiple myeloma cells. This synergistic effect was also effective to myeloma cells resistant to bortezomib [1].

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In vivo, VR23 was effective in controlling metastatic breast cancer cells and multiple myelomas [1]. In engrafted animals, the treatment with VR23 at 30 mg/kg twice per week for three weeks inhibited tumor growth effectively. Following 24 hours pre-treatment with paclitaxel at 20mg/kg/week, the administration of VR23 enhanced the anti-tumor activity of paclitaxel by 70%. Histological data showed that VR23 substantially decreased mitotic index, inhibited tumor infiltration into surrounding tissues and remarkably reduced tumor cell proliferation and angiogenesis [4].

References:

[1]. Pundir S, Vu HY, Solomon VR, et al. VR23: A Quinoline-Sulfonyl Hybrid Proteasome Inhibitor That Selectively Kills Cancer via Cyclin E-Mediated Centrosome Amplification. Cancer research, 2015, 75(19): 4164-4175.
[2]. Almond JB, Cohen GM. The proteasome: a novel target for cancer chemotherapy. Leukemia, 2002, 16(4): 433-443.
[3]. Adams J, Palombella VJ, Sausville EA, et al. Proteasome inhibitors: a novel class of potent and effective antitumor agents. Cancer research, 1999, 59(11): 2615-2622.
[4]. Vu HYT, Pundir S, Solomon RV, et al. Anticancer effects and mechanism of VR23, a novel chloroquine derivative. Cancer Research, 2014, 74(19 Supplement): 4545-4545.

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