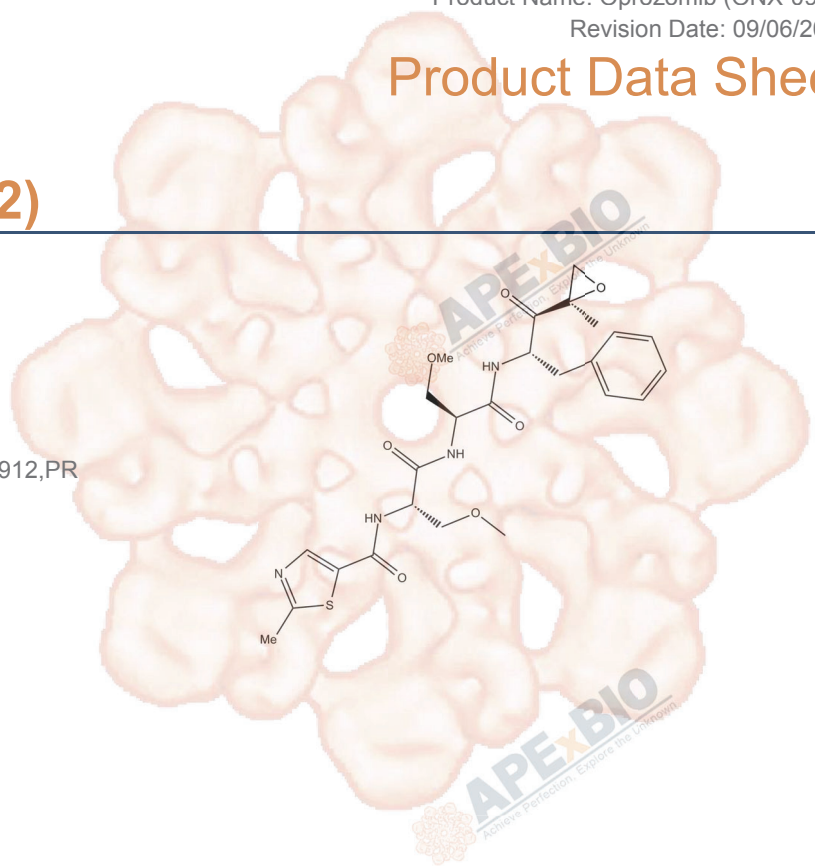


# Product Data Sheet

## Oprozomib (ONX-0912)

<b>Cat. No.:</b>	A1934
<b>CAS No.:</b>	935888-69-0
<b>Formula:</b>	C <sub>25</sub> H <sub>32</sub> N <sub>4</sub> O <sub>7</sub> S
<b>M.Wt:</b>	532.61
<b>Synonyms:</b>	ONX-0912, ONX0912, ONX 0912, PR 047, Oprozomib
<b>Target:</b>	Ubiquitination/ Proteasome
<b>Pathway:</b>	Proteasome
<b>Storage:</b>	Desiccate at -20°C



### Solvent & Solubility

≥26.6mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent Concentration	Mass		
		1mg	5mg	10mg
	1 mM	1.8775 mL	9.3877 mL	18.7755 mL
	5 mM	0.3755 mL	1.8775 mL	3.7551 mL
	10 mM	0.1878 mL	0.9388 mL	1.8775 mL

Please refer to the solubility information to select the appropriate solvent.

### Biological Activity

Shortsummary

Proteasome inhibitor

IC<sub>50</sub> & Target

36 nM (20S proteasome β5), 82 nM (20S proteasome LMP7)

In Vitro

#### Cell Viability Assay

Cell Line:

The human HNSCC cell lines UMSCC-22A, UMSCC-22B, 1483, UMSCC-1, and Cal33.

Preparation method:

The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	48 h; IC50 values ranging from 58.9 to 185.7 nmol/L in 8 different HNSCC cell lines.
	Applications:	In trypan blue exclusion assays, ONX 0912 exhibited IC50 values ranging from 58.9 to 185.7 nmol/L in 8 different HNSCC cell lines. In the 4 HNSCC cell lines (UMSCC-1, UMSCC-22B, 1483, and UMSCC-1) examined, treatment ONX 0912 resulted in processing of caspase-3 to active subunits and cleavage of the caspase substrate PARP.
In Vivo	<b>Animal experiment</b>	
	Animal models:	Athymic nude mice
	Dosage form:	30 mg/kg; Oral taken.
	Applications:	Using nude mice harboring HNSCC xenograft tumors, oral administration of 30 mg/kg ONX 0912 effectively inhibited CT-L activity in normal and HNSCC tumor tissues. Treatments (10 mg/kg and 30 mg/kg) were administered via oral gavage once a day on 2 consecutive days and repeated weekly for 2 weeks. Treatment with 10 mg/kg ONX 0912 did not have a significant effect on tumor growth, relative to treatment with vehicle alone. In contrast, highly significant inhibition of HNSCC tumor growth was seen with 30 mg/kg ONX 0912 (P = 0.003). These results show that consecutive-day treatment with orally administered ONX 0912, using a dose that has previously been shown to be well tolerated, leads to inhibition of HNSCC tumor growth.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

## Product Citations

1. Felix Lambrecht. "Computational methods for the structure determination of highly dynamic molecular machines by cryo-EM." Georg-August-Universität Göttingen. 2019.
2. McCourt JL, Talsness DM, et al. "Mouse models of two missense mutations in actin-binding domain 1 of dystrophin associated with Duchenne or Becker muscular dystrophy." Hum Mol Genet. 2018 Feb 1;27(3):451-462.PMID:29194514
3. Haselbach D, Schrader J, et al."Long-range allosteric regulation of the human 26S proteasome by 20S proteasome-targeting cancer drugs." Nat Commun. 2017 May 25;8:15578.PMID:28541292
4. Schrader J, Henneberg F, et al. "The inhibition mechanism of human 20S proteasomes enables next-generation inhibitor design." Science. 2016 Aug 5;353(6299):594-8.PMID:27493187
5. Vandewynckel YP, Coucke C, et al. "Next-generation proteasome inhibitor oprozomib synergizes with modulators of the unfolded protein response to suppress hepatocellular carcinoma."Oncotarget. 2016 Jun 7;7(23):34988-5000.PMID:27167000

See more customer validations on [www.apexbt.com](http://www.apexbt.com).

## References

- [1] Zang Y, Thomas S M, Chan E T, et al. Carfilzomib and ONX 0912 inhibit cell survival and tumor growth of head and neck cancer

and their activities are enhanced by suppression of Mcl-1 or autophagy[J]. Clinical Cancer Research, 2012, 18(20): 5639-5649.

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



**APExBIO Technology**

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