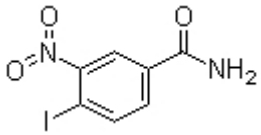
Product Introduction

Iniparib (BSI-201)

BSI-201 (Iniparib, SAR240550) is a **PARP1** inhibitor with demonstrated effectiveness in triple-negative breast cancer (TNBC). Phase 3.

Technical Data:

Molecular Weight (MW):	292.03	
Formula:	$C_7H_5IN_2O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 58 mg/mL	
	Water <1 mg/mL	
	Ethanol 28 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	160003-66-7	

Biological Activity

BSI-201 is described as a prodrug of 4-iodo-3-nitrosobenzamide, an agent that covalently inhibits PARP1 by binding to its first zinc finger under cell-free conditions. Treatment of 120 μM BSI-201 plus buthionine sulfoximine (BSO) induces a 95% cell death among 855-2 cells, and displays a similar effect in other human cancer cells. [1] BSI-201 inhibits the growth of E-ras 20 cells, the effect of which can be augmented 4-fold when BOS is added. [2] Recently BSI-201 shows no ability to inhibit PARP enzymatic or cellular activity, but can non-selectively modify cysteine-containing proteins in tumor cells, suggesting the

mechanism of action for BSI-201 is likely not via inhibition of PARP activity. [3] BSI-201 (100 μM) inhibits ionizing radiation-induced single-strand breaks (SSBs) repair in human lymphoid cell lines based on large endogenous Epstein–Barr virus (EBV) circular episomes assay, resulting in 55% repair by 2 hours, which can be reversed surprisingly by knockdown of PARP1, indicating that the mechanism of inhibition does not involve trapping PARP at SSBs. [4] BSI-201 is not able to selectively kill homologous recombination (HR)-deficient cells between BRCA2-deficient PEO1 and BRCA2-revertant PEO4, or ATM-deficient GM16666 and ATM-restored GM16667 fibroblasts. BSI-201 is cytotoxic to a variety of cell lines at concentrations above 40 μM reflecting a mechanism independent of PARP. [5]

References

[1] Mendeleyev J, et al. Biochem Pharmacol, 1995, 50(5), 705-714.
[2] Bauer PI, et al. Biochem Pharmacol, 2002, 63(3), 455-462.
[3] Liu X, et al. Clin Cancer Res, 2012, 18(2), 510-523.
[4] Ma W, et al. Proc Natl Acad Sci U S A, 2012, 109(17), 6590-6595.
[5] Patel AG, et al. Clin Cancer Res, 2012, 18(6), 1655-1662.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.