Small Molecules

BSI-201

Cysteine-containing protein modifier; Inhibits growth of triple-negative breast cancer cells

Catalog # 74122

50 mg

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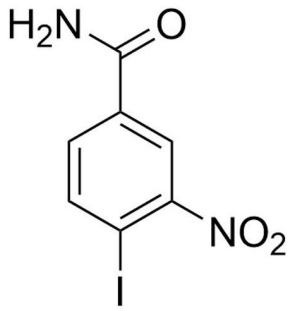
Product Description

BSI-201, also known as iniparib, can interact with the zinc finger domain of poly(ADP-ribose) polymerase 1 (PARP1) in vitro, but fails to inhibit the enzyme (Patel et al.). The nitroso metabolite of BSI-201 is highly reactive and forms non-specific covalent interactions with many cysteine-containing proteins (Liu et al.). BSI-201 has demonstrated anti-proliferative effects in triple-negative breast cancer cells (Chuang et al.; Yin et al.), and early studies indicated that it may be useful in treating early-stage triple-negative and BRCA1/2 mutation-associated breast cancer (O'Shaughnessy et al. 2009); however, its efficacy was later found to be insignificant (O'Shaughnessy et al. 2014).

Molecular Name:	BSI-201
Alternative Names:	Iniparib; IND 71677
CAS Number:	160003-66-7
Chemical Formula:	$C_7H_5IN_2O_3$
Molecular Weight:	292.0 g/mol
Purity:	≥ 98%
Chemical Name:	4-iodo-3-nitrobenzamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 100 mM · Absolute ethanol ≤ 30 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 3.42 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING
· When used in combination with other small molecules, enables derivation of extended pluripotent stem (EPS) cells from both humans and mice (Yang et al.).
CANCER RESEARCH
· Inhibits the growth of a subset of triple-negative breast cancer cells (Myc/MDA-231), in combination with cisplatin (Chuang et al.; Yin et al.).

References

Chuang H-C et al. (2012) Differential anti-proliferative activities of poly(ADP-ribose) polymerase (PARP) inhibitors in triple-negative breast cancer cells. Breast Cancer Res Treat 134(2): 649–59.
Liu X et al. Iniparib nonselectively modifies cysteine-containing proteins in tumor cells and is not a bona fide PARP inhibitor. Clin Cancer Res 2011; 18:510–23.
O'Shaughnessy J et al. (2009) G2 Efficacy of BSI-201, a poly (ADP-ribose) polymerase-1 (PARP1) inhibitor, in combination with gemcitabine/carboplatin (G/C) in patients with metastatic triple-negative breast cancer (TNBC): results of a randomized phase II trial. Eur J Cancer Suppl 7(3): 7.
O'Shaughnessy J et al. (2014) Phase III study of iniparib plus gemcitabine and carboplatin versus gemcitabine and carboplatin in patients with metastatic triple-negative breast cancer. J Clin Oncol 32(34): 3840–7.
Patel AG et al. (2012) Failure of iniparib to inhibit poly(ADP-Ribose) polymerase in vitro. Clin Cancer Res 18(6): 1655–62.
Yang Y et al. (2017) Derivation of pluripotent stem cells with in vivo embryonic and extraembryonic potency. Cell 169(2): 243–57.e25.
Yin S et al. (2017) Myc mediates cancer stem-like cells and EMT changes in triple negative breast cancers cells R. Samant (Ed.). PLoS One 12(8): e0183578.

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