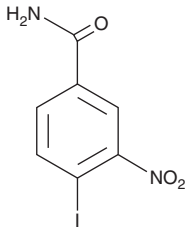
PRODUCT INFORMATION

BSI-201

Item No. 11304

CAS Registry No.:	160003-66-7
Formal Name:	4-iodo-3-nitro-benzamide
Synonyms:	IND 71677, Iniparib
MF:	$C_7H_5IN_2O_3$
FW:	292.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 242 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BSI-201 is supplied as a crystalline solid. A stock solution may be made by dissolving the BSI-201 in the solvent of choice. BSI-201 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BSI-201 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

BSI-201 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BSI-201 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BSI-201 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Poly(ADP-ribose) polymerase (PARP) is a critical DNA repair enzyme involved in DNA single-strand break repair *via* the base excision repair pathway. PARP1 is activated by DNA damage. Inhibiting its activity has been linked to synthetic lethality and loss of either of the breast cancer susceptibility genes, BRCA1 and BRCA2.[1-3] BSI-201 is an irreversible, noncompetitive inhibitor of PARP1 that disrupts binding between PARP1 and DNA by interacting with the DNA binding domain.[1,2,4] It produces rapid apoptosis in various cancer cell lines with IC_{50} values ranging from 40-128 µM and is not toxic in Syrian hamsters at doses as high as 200 mg/kg.[5] In phase II clinical studies, BSI-201, in combination with carboplatin and gemcitabine, has produced promising results in “triple-negative” breast cancers, increasing median overall survival from 7.7 months to 12.3 months.[6]

References

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2. Javle, M. and Curtin, N.J. *Ther. Adv. Med. Oncol.* **3(6)**, 257-267 (2011).
3. Plummer, R. *Breast Cancer Res.* **13(4)**, 1-6 (2011).
4. Bauer, P.I., Mendeleyeva, J., Kirsten, E., *et al. Biochem. Pharmacol.* **63(3)**, 455-462 (2002).
5. Mendeleyev, J., Kirsten, E., Hakam, A., *et al. Biochem. Pharmacol.* **50(5)**, 705-714 (1995).
6. O’Shaughnessy, J., Osborne, C., Pippen, J.E., *et al. N. Engl. J. Med.* **364(3)**, 205-214 (2011).

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