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## Product Information

### IODOACETAMIDE

Product Number **I6125 AND I1149**

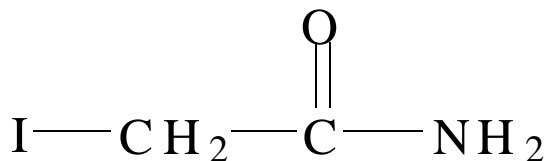
Storage Temperature 2-8 °C

CAS #: 144-48-9

Synonyms: IAN<sup>1</sup>; a-Iodoacetamide;

Monoiodoacetamide; 2-Iodoacetamide; Surauto; USAF D-1<sup>2</sup>

### Product Description



Appearance: powder.<sup>3</sup>

Melting Point: 93°C-96°C<sup>2</sup>

Molecular Formula: C<sub>2</sub>H<sub>4</sub>INO

Formula Weight: 185.0

Some enzymes IAN reportedly inhibits (to varying extents) are: Acetoacetyl-CoA Thiolase<sup>5</sup>; Adenylate Kinase<sup>6</sup>; Alcohol Dehydrogenase (human liver)<sup>7</sup>; Aldehyde Dehydrogenase (0.1 mM, 40%)<sup>8</sup>; Alkaline Phosphatase (calf intestinal)<sup>9,10</sup>; d-Aminolevulinatase Dehydratase<sup>11</sup>; β-Amylase (soybean)<sup>12</sup>; L-Arginine 2-Monooxygenase<sup>13</sup>; Benzaldehyde Dehydrogenase 1 (0.5-10 mM)<sup>14</sup>; Calcium-Activated Protease (100 μM, 100%)<sup>15</sup>; Carbonic Anhydrase B (human)<sup>16</sup>; Cathepsin B (1 mM in the presence of 0.04 M cysteine)<sup>17</sup>; Cyclohexanone Oxygenase (5 mM, 67%)<sup>18</sup>; Dolichol Esterase<sup>19</sup>; Formylmethionine Deformylase (10 mM, 80%)<sup>20</sup>; Galactose Oxidase (0.1 mM, 22%)<sup>21</sup>; Gamma-Glutamylcyclotransferase (1 mM, 14%)<sup>22</sup>; Glutathione Thiol Esterase<sup>23</sup>; Glyceraldehyde-3-Phosphate:NADP Oxidoreductase (0.4 mM, 44%)<sup>24</sup>; Heme Oxygenase (5

mM, 39%)<sup>25</sup>; β-Hydroxy-β-Methylglutaryl Coenzyme A Reductase<sup>26</sup>; 3-Ketoacyl-CoA-Thiolase (0.1 M, 84%)<sup>27</sup>; L-Lysine Decarboxylase (1 mM)<sup>28</sup>; L-β-Lysine Mutase<sup>29</sup>; Malate Dehydrogenase (porcine)<sup>30</sup>; NADPH-Linked α,β-Ketoalkene Double Bond Reductase (1 mM, 37%)<sup>31</sup>; 6-Phosphogluconate Dehydrogenase (10 mM, 80%)<sup>32</sup>; Polyamine Oxidase<sup>33</sup>; Prolidase<sup>34</sup>; D-Proline Reductase<sup>35</sup>; Prostaglandin Endoperoxide E Isomerase (1 mM, 47%)<sup>36</sup>; Protease La (E.coli)<sup>37</sup>; Pyroglutamyl Peptidase I (=Pyrrolidonecarboxyl Peptidase)<sup>38</sup>; Serine-Glyoxylate Aminotransferase (1 mM, 60%)<sup>39</sup>; soluble metalloendopeptidase from rat brain (1 mM, 14%)<sup>40</sup>; Thioesterase component from fatty acid synthetase multienzyme complex (I<sub>50</sub>=100 μM)<sup>41</sup>; Thioltransferase (human red blood cells)<sup>42</sup>; Transglutaminase (in the presence of Ca<sup>2+</sup>)<sup>43</sup>; Tryptophan Oxidative Decarboxylase (1 mM, 80%)<sup>44</sup>; Tryptophan Synthetase<sup>45</sup>.

IAN may also inhibit bromelain, cathepsin C, clostripain and carboxypeptidase P since these enzymes are inhibited by sulfhydryl agents such as iodoacetic acid.<sup>1</sup> IAN (irreversibly) inhibited the dephosphorylation of both epidermal growth factor receptor (EGFR) and platelet-derived growth factor receptor (PDGFR) presumably by alkylation at the active center of one or several protein tyrosine phosphatases in cell lines.<sup>46</sup>

IAN is an irreversible inhibitor of many cysteine proteases. However, it is not highly specific for the active site cysteine residue of proteases and can inhibit many enzymes. In the alkylation reaction, IAN reacts with histidine (such as in RNase<sup>47</sup>), methionine and sulfhydryl groups of many proteins. IAN can react with low molecular weight thiol compounds such as mercaptoethanol and glutathione.<sup>1</sup> The reaction of IAN with glutathione was utilized in a titration method for the determination of sulfhydryl groups in different compounds.<sup>48</sup> The alkylation reaction forms stable protein derivatives which will remain intact during further study of the protein.<sup>49-52</sup>

Sigma Prod. No. I6125 is reagent grade. Product no. I1149 has been additionally tested for trace metal content.

**Preparation Instructions**

IAN is soluble in water; a suggested stock solution is 10-100 mM. The effective concentrations for use as a protease inhibitor are 10-100  $\mu$ M. All solutions are sensitive to light and should be freshly prepared.<sup>1</sup>

## References

1. Proteolytic Enzymes: *A Practical Approach*, R.J. Beynon and J.S. Bond, eds., IRL Press, Oxford, England, 245, 1989.
2. Sigma Material Safety Data Sheet
3. Sigma data.
4. Paraskewas, S., *Synthesis*, 574, 1974.
5. Suzuki, F. et al., *Arch. Biochem. Biophys.*, 254, 272, 1987.
6. Hampton, A. et al., *J. Med. Chem.*, 25, 382, 1982.
7. Hempel, J.D. and Pietruszko, R., *J. Biol. Chem.*, 256, 10889, 1981.
8. Takeuchi, A. and Uritani, I., *Agric. Biol. Chem.*, 45, 1753, 1981.
9. Fernley, H.N., *The Enzymes*, Vol. 4, 3rd ed. Boyer, P.D., ed., Academic, NY, 417, 1971.
10. Maunders, M.J. *Methods in Molecular Biology*, Vol. 16: Enzymes of Molecular Biology, Burrell, M.M., ed. Humana Press Inc. Totowa, NJ 1993, Chapter 19, 331.
11. del C. Batlle, A.M. et al., *Methods Enzymol.*, 17A, 216, 1970.
12. Mikami, B. et al., *J. Biochem.*, 88, 103, 1980.
13. Thoai, N.V. and Olomucki, A., *Methods Enzymol.*, 17A, 335, 1970.
14. Chalmers, R.M. and Fewson, C.A., *Biochem. J.*, 263, 913, 1989.
15. Waxman, L., *Methods Enzymol.*, 80, 664, 1981.
16. Whitney, P.L., *Eur. J. Biochem.*, 16, 126, 1970.
17. Mycek, M.J., *Methods Enzymol.*, 19, 285, 1970.
18. Donoghue, N.A. et al., *Eur. J. Biochem.*, 63, 175, 1976.
19. Sumbilla, C. and Waechter, C.J., *Methods Enzymol.*, 111, 471, 1985.
20. Broom, M.F. et al., *Biochem. J.*, 257, 51, 1989.
21. Medonca, M.H. and Zancan, G.T., *Arch. Biochem. Biophys.*, 252, 507, 1987.
22. Orłowski, M. et al., *Eur. J. Biochem.*, 135, 81, 1983.
23. Murata, K. et al., *Agric. Biol. Chem.*, 51, 1901, 1987.
24. Iglesias, A.A. et al., *Biochim. Biophys. Acta*, 925, 1, 1987.
25. Maines, M.D. et al., *J. Biol. Chem.*, 252, 5900, 1977.
26. Kirtley, M.E. and Rudney, H., *Biochem.*, 6, 230, 1967.
27. Schulz, H. and Staack, H., *Methods Enzymol.*, 71, 398, 1981.
28. Soda, K. and Moriguchi, M., *Methods Enzymol.*, 17B, 677, 1971.
29. Stadtman, T.C. and Grant, M.A., *Methods Enzymol.*, 17B, 206, 1971.
30. Foster, M. and Harrison, J.H., *Biochim. Biophys. Acta*, 351, 295, 1974.
31. Kitamura, S. and Tatsumi, K., *Arch. Biochem. Biophys.*, 282, 183, 1990.
32. Pearse, B.M.F. and Harris, J.I., *FEBS Lett.*, 38, 49, 1973.
33. Holtta, E., *Methods Enzymol.*, 94, 306, 1983.
34. Myara, I. et al., *Life Sci.*, 34, 1985, 1984.
35. Hodgins, D.S. and Abeles, R.H., *Arch. Biochem. Biophys.*, 130, 274, 1969.
36. Ogino, N. et al., *J. Biol. Chem.*, 252, 890, 1977.
37. Goldberg, A. et al., *Methods Enzymol.*, 80, 680, 1981.
38. Doolittle, R.F. *Methods Enzymol.*, 19, 555, 1970.
39. Izumi, Y. et al., *Eur. J. Biochem.*, 190, 285, 1990.
40. Orłowski, M. et al., *Eur. J. Biochem.*, 135, 81, 1983.
41. Lin, C.Y. and Smith, S., *J. Biol. Chem.*, 253, 1954, 1978.
42. Mielal, J.J. et al., *Biochem.*, 30, 8883, 1991.
43. Folk, J.E. and Cole, P.W., *J. Biol. Chem.*, 241, 5518, 1966.
44. Kosuge, T. *Methods Enzymol.*, 17A, 446, 1971.
45. Meyer, R.G. et al., *Methods Enzymol.*, 17A, 406, 1971.
46. Knebel, A. et al., *EMBO J.*, 15, 5314, 1996.
47. Fruchter, R.G. and Crestfield, A.M., *J. Biol. Chem.*, 242, 5807, 1967.
48. Benesch, R. and Benesch, R.E., *Biochim. Biophys. Acta.*, 23, 643, 1957.
49. Takahashi, K. et al., *J. Biol. Chem.*, 242, 4682, 1967.
50. Lundblad, R.L., *Techniques in Protein Modification*, CRC Press, London, 1995, 107.
51. Gurd, F.R.N., *Methods Enzymol.*, 25, 424, 1972.
52. Nishita, T. and Deutsch, H.F., *Biochem. Biophys. Res. Commun.*, 103, 573, 1981.

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