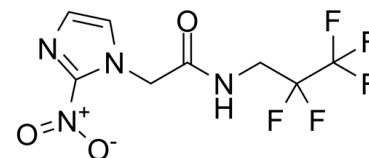


Data Sheet

Product Name:	EF-5
Cat. No.:	CS-7155
CAS No.:	152721-37-4
Molecular Formula:	C ₈ H ₇ F ₅ N ₄ O ₃
Molecular Weight:	302.16
Target:	Others
Pathway:	Others
Solubility:	DMSO : 125 mg/mL (413.69 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

EF-5 (EF5; 2-Nitroimidazole) is a hypoxia labeling agent used to identify hypoxia in cells. **In Vitro:** Overexpression of CYPOR induces similar 2- to 4-fold increases in EF-5 binding and metabolic reduction of tirapazamine and CEN-209 in SiHa and HCT116 cell lines, and similar enhancement of γH2AX formation. EF-5 binding and metabolic reduction of the prodrugs are highly correlated in a panel of 14 hypoxic tumor cell lines^[1]. **In Vivo:** EF-5 binding is a promising stratification biomarker for benzotriazine-N-oxide bioreductive prodrugs. In HCT116 xenografts, CYPOR overexpression also significantly increases EF-5 binding and CEN-209 reduction, and modification of tumor hypoxia causes similar changes to the bioreductive activation of both agents, resulting in a strong correlation between EF-5 binding and CEN209-induced DNA damage at the individual tumor level^[1]. Following intravenous injection of EF-5, binding and detection using a monoclonal antibody in 9L gliomas is specific and oxygen dependent. Detection of binding using fluorescence microscopy can be performed on frozen tissues; tissue sections can be counterstained with haematoxylin and eosin for light microscopic analysis. Alternatively, the distribution of hypoxia in a tumor can be inferred by examining individual tumor cells using flow cytometric techniques^[2].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: EF-5 is prepared in 0.9% saline^[2]. ^[2]Rats: The rat is given EF-5 as an intravenous injection of 10 mM EF-5 prepared in 0.9% saline. The mass of solution administered is 1% of the rat's mass. Three hours following EF-5 administration, anaesthesia is induced with xylazine and ketamine, the tumor removed and immediately cooled. The tumor is weighed and then bisected. Half of the tumor is used for disaggregation and cell analysis and the other half is quickly frozen for histopathological analysis^[2].

References:

[1]. Wang J, et al. The 2-nitroimidazole EF5 is a biomarker for oxidoreductases that activate the bioreductive prodrug CEN-209 under hypoxia. Clin Cancer Res. 2012 Mar 15;18(6):1684-95.

[2]. Evans SM, et al. Identification of hypoxia in cells and tissues of epigastric 9L rat glioma using EF5 [2-(2-nitro-1H-imidazol-1-yl)-N-(2,2,3,3,3-pentafluoropropyl) acetamide]. Br J Cancer. 1995 Oct;72(4):875-82.

CAIndexNames:

1H-Imidazole-1-acetamide, 2-nitro-N-(2,2,3,3,3-pentafluoropropyl)-

SMILES:

O=C(NCC(F)(F)C(F)(F)F)CN1C=CN=C1[N+](O-)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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