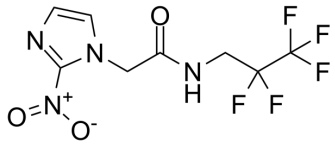
EF-5

Cat. No.:	HY-U00118
CAS No.:	152721-37-4
Molecular Formula:	$C_8H_7F_5N_4O_3$
Molecular Weight:	302.16
Target:	Others
Pathway:	Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (413.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3095 mL	16.5475 mL	33.0951 mL
	5 mM	0.6619 mL	3.3095 mL	6.6190 mL
	10 mM	0.3310 mL	1.6548 mL	3.3095 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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