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产品名称: **PF-06380101**

产品别名: **Aur0101; Auristatin-0101**

生物活性:				
Description	PF-06380101 (Aur0101), an auristatin microtubule inhibitor, is a cytotoxic Dolastatin 10 analogue. PF-06380101 (Aur0101) shows excellent potencies in tumor cell proliferation assays and differential ADME properties when compared to other synthetic auristatin analogues that are used in the preparation of ADCs.			
IC ₅₀ & Target	Auristatin			
In Vivo	After an IV dose of 20a at 20 µg/kg to Wistar Han rats, PF-06380101 exhibited a mean systemic clearance (Cl) of 70 mL/min/kg and a volume of distribution (Vss) of 14.70 L/kg, resulting in a terminal elimination half-life (t _{1/2}) of approximately 6 h. PF-06380101 preferentially distributes into human plasma relative to whole blood and that PF-06380101 is a P-glycoprotein (P-gp) substrate. PF-06380101 is anticipated to be of low risk to perpetrate pharmacokinetic drug interactions with compounds for which CYP1A2, CYP2B6, CYP2C8, CYP2C9, CYP2C19, CYP2D6, and/or CYP3A4/5-mediated metabolism constitutes the primary mechanism of clearance. The utility of the new auristatin analogues as ADC payloads including the development of the lead analogue 20a (PF-06380101) will be reported in due course.			
Solvent&Solubility	In Vitro: DMSO : ≥ 65 mg/mL (87.48 mM) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	1.3459 mL	6.7294 mL
		5 mM	0.2692 mL	1.3459 mL
		10 mM	0.1346 mL	0.6729 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。			
References	[1]. Maderna A, et al. Discovery of cytotoxic dolastatin 10 analogues with N-terminal modifications. J Med Chem. 2014 Dec 26;57(24):10527-43.			